

chain nodes :

12 13 14 17 18 25 26 27 32 34 36 37 38 39

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-32 4-34 8-25 12-13 13-14 17-18 25-26 26-27 36-37 38-39

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 2-3 2-32 3-4 4-5 4-34 8-25 12-13 13-14 25-26 26-27

exact bonds :

17-18 36-37 38-39

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems :

containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9]

G5:H,O

G6:H,OH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS
13:CLASS

14:CLASS 17:CLASS 18:CLASS 25:CLASS 26:CLASS 27:Atom 32:CLASS 34:CLASS
36:CLASS 37:CLASS 38:CLASS 39:CLASS

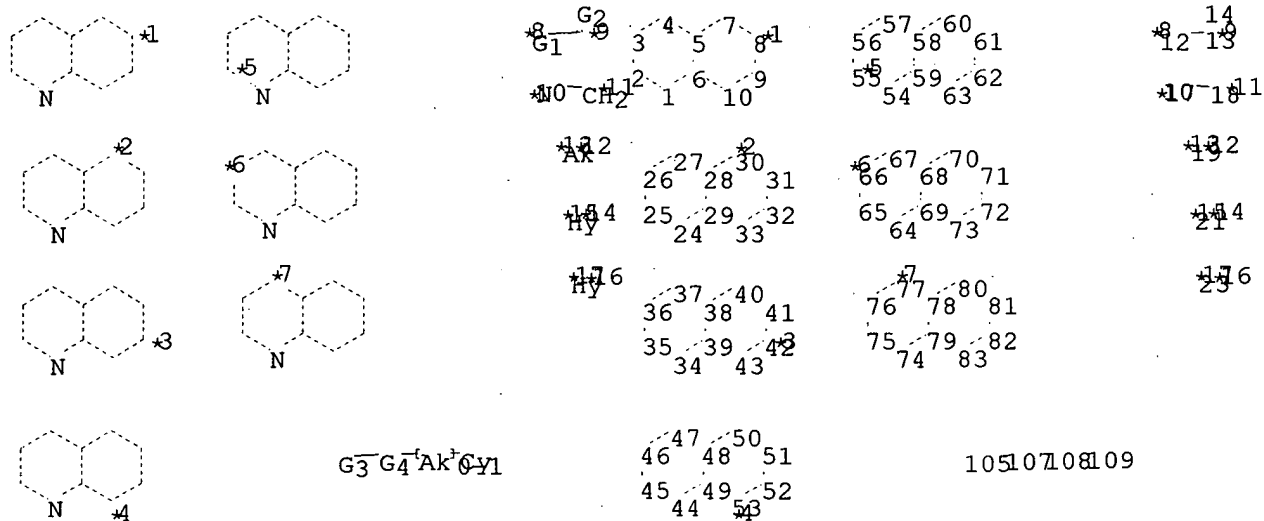
Element Count :

Node 26: Limited

C,Cl-8

=>

Uploading C:\Program Files\Stnexp\Queries\10634182.str



chain nodes :

12 13 14 17 18 19 21 23 105 107 108 109

ring nodes :

1 2 3 4 5 6 7 8 9 10 24 25 26 27 28 29 30 31 32 33 34 35 36
 37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57
 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78
 79 80 81 82 83

chain bonds :

12-13 13-14 17-18 105-107 107-108 108-109

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27
 27-28 28-29 28-30 29-33 30-31 31-32 32-33 34-35 34-39 35-36 36-37 37-38
 38-39 38-40 39-43 40-41 41-42 42-43 44-45 44-49 45-46 46-47 47-48 48-49
 48-50 49-53 50-51 51-52 52-53 54-55 54-59 55-56 56-57 57-58 58-59 58-60
 59-63 60-61 61-62 62-63 64-65 64-69 65-66 66-67 67-68 68-69 68-70 69-73
 70-71 71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 78-80 79-83 80-81
 81-82 82-83

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 13-14 24-25 24-29
 25-26 26-27 27-28 28-29 28-30 29-33 30-31 31-32 32-33 34-35 34-39 35-36
 36-37 37-38 38-39 38-40 39-43 40-41 41-42 42-43 44-45 44-49 45-46 46-47
 47-48 48-49 48-50 49-53 50-51 51-52 52-53 54-55 54-59 55-56 56-57 57-58
 58-59 58-60 59-63 60-61 61-62 62-63 64-65 64-69 65-66 66-67 67-68 68-69
 68-70 69-73 70-71 71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 78-80
 79-83 80-81 81-82 82-83 105-107 107-108 108-109

exact bonds :

17-18

isolated ring systems :

containing 1 : 24 : 34 : 44 : 54 : 64 : 74 :

G1:O,S,N,CH

G2:O,S,N

G3:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G4:[*8-*9],[*10-*11],[*12-*13],[*14-*15],[*16-*17]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom
 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom
 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:Atom 68:Atom
 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom
 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 105:CLASS 107:CLASS
 108:CLASS 109:Atom

Generic attributes :

19:

Saturation : Unsaturated
 Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated
 Number of Carbon Atoms : less than 7
 Type of Ring System : Monocyclic

23:

Saturation : Saturated
 Number of Carbon Atoms : less than 7
 Number of Hetero Atoms : less than 2
 Type of Ring System : Monocyclic

108:

Saturation : Saturated

Element Count :

Node 19: Limited
 C,C2-3

Node 21: Limited

C,C2-4
 N,N0-3
 O,O0-1
 S,S0-1

Node 23: Limited
C,C4
N,N1
O,O0
S,S0

Node 108: Limited
C,C1-8

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

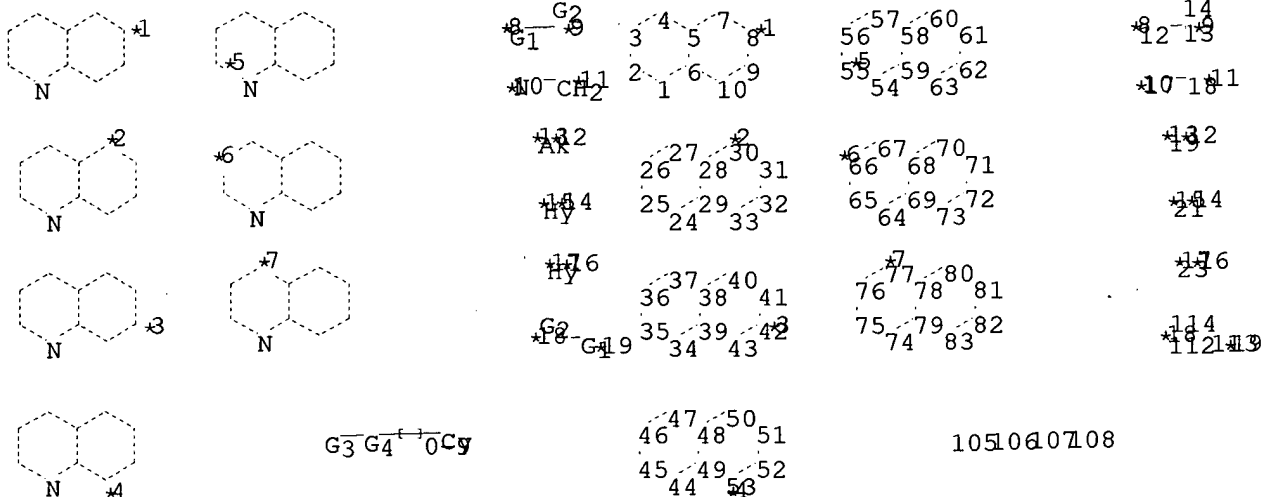
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
GENERIC GROUP NOT VALID HERE
Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>
Uploading C:\Program Files\Stnexp\Queries\10634182 (new).str



chain nodes :

12 13 14 17 18 19 21 23 105 106 107 108 112 113 114

ring nodes :

1 2 3 4 5 6 7 8 9 10 24 25 26 27 28 29 30 31 32 33 34 35 36
 37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57
 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78
 79 80 81 82 83

chain bonds :

12-13 13-14 17-18 105-106 106-107 107-108 112-113 112-114

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27
 27-28 28-29 28-30 29-33 30-31 31-32 32-33 34-35 34-39 35-36 36-37 37-38
 38-39 38-40 39-43 40-41 41-42 42-43 44-45 44-49 45-46 46-47 47-48 48-49
 48-50 49-53 50-51 51-52 52-53 54-55 54-59 55-56 56-57 57-58 58-59 58-60
 59-63 60-61 61-62 62-63 64-65 64-69 65-66 66-67 67-68 68-69 68-70 69-73
 70-71 71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 78-80 79-83 80-81
 81-82 82-83

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 13-14 24-25 24-29
 25-26 26-27 27-28 28-29 28-30 29-33 30-31 31-32 32-33 34-35 34-39 35-36
 36-37 37-38 38-39 38-40 39-43 40-41 41-42 42-43 44-45 44-49 45-46 46-47
 47-48 48-49 48-50 49-53 50-51 51-52 52-53 54-55 54-59 55-56 56-57 57-58
 58-59 58-60 59-63 60-61 61-62 62-63 64-65 64-69 65-66 66-67 67-68 68-69
 68-70 69-73 70-71 71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 78-80
 79-83 80-81 81-82 82-83 105-106 106-107 107-108 112-113 112-114

exact bonds :

17-18

isolated ring systems :

containing 1 : 24 : 34 : 44 : 54 : 64 : 74 :

G1:O,S,N,CH

G2:O,S,N

G3:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G4:[*8-*9],[*10-*11],[*12-*13],[*14-*15],[*16-*17],[*18-*19]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom
 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom
 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:Atom 68:Atom
 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom
 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 105:CLASS 106:CLASS
 107:CLASS 108:Atom 112:CLASS 113:CLASS 114:CLASS

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

23:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 19: Limited

C,C2-3

Node 21: Limited

C,C2-4

N,N0-3

O,O0-1

S,S0-1

Node 23: Limited

C,C4
N,N1
O,O0
S,S0

Node 107: Limited
C,C1-8

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

L2 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 12 sss sam

SAMPLE SEARCH INITIATED 17:04:07 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 68383 TO ITERATE

2.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

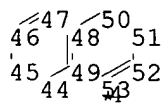
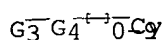
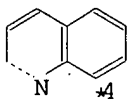
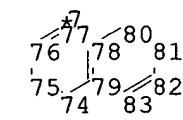
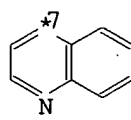
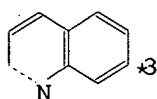
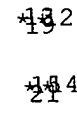
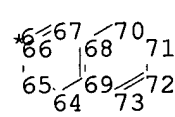
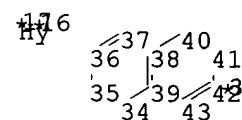
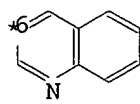
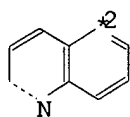
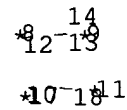
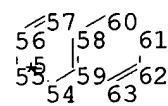
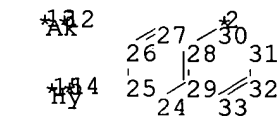
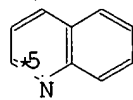
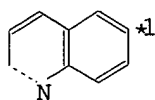
PROJECTED ITERATIONS: 1352098 TO 1383222

PROJECTED ANSWERS: 108998 TO 118032

L3 50 SEA SSS SAM L2

=> =>

Uploading C:\Program Files\Stnexp\Queries\10634182 (a).str



10510607108

chain nodes :

12	13	14	17	18	19	21	23	105	106	107	108
----	----	----	----	----	----	----	----	-----	-----	-----	-----

1 2 3 4 5 6 7 8 9 10 24 25 26 27 28 29 30 31 32 33 34 35 36

37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57

58	59	60	61	62	63	64	65	66	67	68	69	70	71	72	73	74	75	76	77	78
----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----

79 80 81 82 83

12-13 13-14 17-18 105-106 106-107 107-108

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27

27-28	28-29	28-30	29-33	30-31	31-32	32-33	34-35	34-39	35-36	36-37	37-38
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38-39 38-40 39-43 40-41 41-42 42-43 44-45 44-49 45-46 46-47 47-48 48-49

48-50 49-53 50-51 51-52 52-53 54-55 54-59 55-56 56-57 57-58 58-59 58-60

59-63 60-61 61-62 62-63 64-65 64-69 65-66 66-67 67-68 68-69 68-70 69-73

70-71 71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 78-80 79-83 80-81

81-82 82-83

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 12-13 13-14 24-25 24-29 25-26 26-27 27-28 34-35
 34-39 35-36 36-37 37-38 44-45 44-49 45-46 46-47 47-48 105-106 106-107
 107-108

exact bonds :

17-18

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10 28-29 28-30 29-33 30-31 31-32 32-33 38-39
 38-40 39-43 40-41 41-42 42-43 48-49 48-50 49-53 50-51 51-52 52-53 54-55
 54-59 55-56 56-57 57-58 58-59 58-60 59-63 60-61 61-62 62-63 64-65 64-69
 65-66 66-67 67-68 68-69 68-70 69-73 70-71 71-72 72-73 74-75 74-79 75-76
 76-77 77-78 78-79 78-80 79-83 80-81 81-82 82-83

isolated ring systems :

containing 1 : 24 : 34 : 44 : 54 : 64 : 74 :

G1:O,S,N,CH

G2:O,S,N

G3:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G4:[*8-*9],[*10-*11],[*12-*13],[*14-*15],[*16-*17]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom
 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom
 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:Atom 68:Atom
 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom
 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 105:CLASS 106:CLASS
 107:CLASS 108:Atom

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

23:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 19: Limited

C,C2-3

Node 21: Limited

C,C2-4

N,N0-3

O,O0-1

S,S0-1

Node 23: Limited
 C,C4
 N,N1
 O,O0
 S,S0

Node 107: Limited
 C,C1-8

L4 STRUCTURE UPLOADED

=> d l4
 L4 HAS NO ANSWERS
 L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam
 SAMPLE SEARCH INITIATED 17:17:02 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 71192 TO ITERATE

2.8% PROCESSED 2000 ITERATIONS (1 INCOMPLETE) 50 ANSWERS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 1407967 TO 1439713
 PROJECTED ANSWERS: 68307 TO 75499

L5 50 SEA SSS SAM L4

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

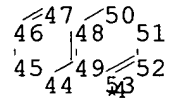
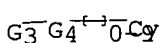
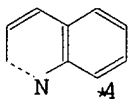
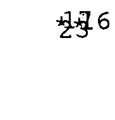
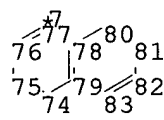
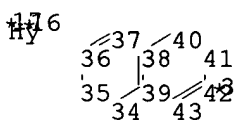
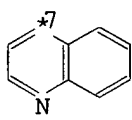
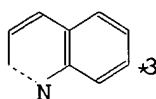
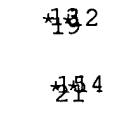
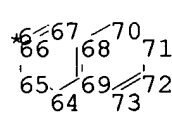
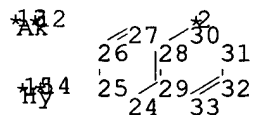
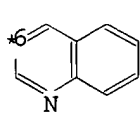
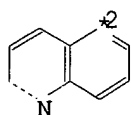
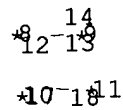
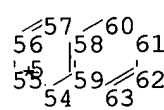
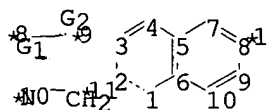
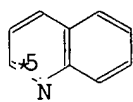
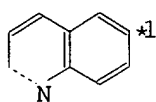
=> screen 1839

L6 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L7 SCREEN CREATED

=>
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10510607108

chain nodes :

12 13 14 17 18 19 21 23 105 106 107 108

ring nodes :

1 2 3 4 5 6 7 8 9 10 24 25 26 27 28 29 30 31 32 33 34 35 36
 37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57
 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78
 79 80 81 82 83

chain bonds :

12-13 13-14 17-18 105-106 106-107 107-108

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27
 27-28 28-29 28-30 29-33 30-31 31-32 32-33 34-35 34-39 35-36 36-37 37-38
 38-39 38-40 39-43 40-41 41-42 42-43 44-45 44-49 45-46 46-47 47-48 48-49
 48-50 49-53 50-51 51-52 52-53 54-55 54-59 55-56 56-57 57-58 58-59 58-60
 59-63 60-61 61-62 62-63 64-65 64-69 65-66 66-67 67-68 68-69 68-70 69-73
 70-71 71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 78-80 79-83 80-81
 81-82 82-83

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 12-13 13-14 24-25 24-29 25-26 26-27 27-28 34-35
 34-39 35-36 36-37 37-38 44-45 44-49 45-46 46-47 47-48 105-106 106-107
 107-108

exact bonds :

17-18

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10 28-29 28-30 29-33 30-31 31-32 32-33 38-39
 38-40 39-43 40-41 41-42 42-43 48-49 48-50 49-53 50-51 51-52 52-53 54-55
 54-59 55-56 56-57 57-58 58-59 58-60 59-63 60-61 61-62 62-63 64-65 64-69
 65-66 66-67 67-68 68-69 68-70 69-73 70-71 71-72 72-73 74-75 74-79 75-76
 76-77 77-78 78-79 78-80 79-83 80-81 81-82 82-83

isolated ring systems :

containing 1 : 24 : 34 : 44 : 54 : 64 : 74 :

G1:O,S,N,CH

G2:O,S,N

G3:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G4:[*8-*9],[*10-*11],[*12-*13],[*14-*15],[*16-*17]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom
 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom
 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:Atom 68:Atom
 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom
 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 105:CLASS 106:CLASS
 107:CLASS 108:Atom

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

23:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 19: Limited

C,C2-3

Node 21: Limited

C,C2-4

N,N0-3

O,O0-1

S,S0-1

Node 23: Limited
 C,C4
 N,N1
 O,O0
 S,S0

Node 107: Limited
 C,C1-8

L8 STRUCTURE UPLOADED

=> que L8 AND L6 NOT L7

L9 'QUE L8 AND L6 NOT L7

=> d 19

L9 HAS NO ANSWERS

L6 SCR 1839

L7 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L8 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L9 QUE L8 AND L6 NOT L7

=> s 19 sss sam

SAMPLE SEARCH INITIATED 17:19:18 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 61039 TO ITERATE

3.3% PROCESSED 2000 ITERATIONS (3 INCOMPLETE) 50 ANSWERS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 1206065 TO 1235495

PROJECTED ANSWERS: 72594 TO 80002

L10 50 SEA SSS SAM L8 AND L6 NOT L7

=> =>Testing the current file..... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

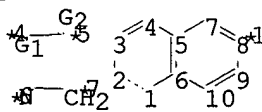
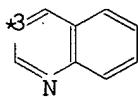
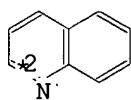
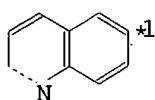
L11 SCREEN CREATED

=> screen 2016 OR 2026 OR 2040 OR 2039 OR 2045 OR 2047

L12 SCREEN CREATED

=>

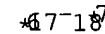
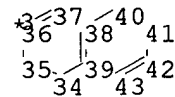
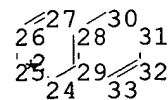
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G3 G4 0 Cy

60 61 62 63

chain nodes :

12 13 14 17 18 19 21 23 60 61 62 63

ring nodes :

1 2 3 4 5 6 7 8 9 10 24 25 26 27 28 29 30 31 32 33 34 35 36
37 38 39 40 41 42 43

chain bonds :

12-13 13-14 17-18 60-61 61-62 62-63

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27
27-28 28-29 28-30 29-33 30-31 31-32 32-33 34-35 34-39 35-36 36-37 37-38
38-39 38-40 39-43 40-41 41-42 42-43

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 12-13 13-14 60-61 61-62 62-63

exact bonds :

17-18

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27 27-28 28-29 28-30
 29-33 30-31 31-32 32-33 34-35 34-39 35-36 36-37 37-38 38-39 38-40 39-43
 40-41 41-42 42-43

isolated ring systems :

containing 1 : 24 : 34 :

G1:O,S,N,CH

G2:O,S,N

G3:[*1],[*2],[*3]

G4:[*4-*5],[*6-*7],[*8-*9],[*10-*11],[*12-*13]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
 42:Atom 43:Atom 60:CLASS 61:CLASS 62:CLASS 63:Atom

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

23:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 19: Limited

C,C2-3

Node 21: Limited

C,C2-4

N,N0-3

O,O0-1

S,S0-1

Node 23: Limited

C,C4

N,N1

O,O0

S,S0

Node 62: Limited

C,C1-8

L13 STRUCTURE UPLOADED

=> que L13 AND L11 NOT L12

L14 QUE L13 AND L11 NOT L12

=> d l14

L14 HAS NO ANSWERS

L11 SCR 1839

L12 SCR 2016 OR 2026 OR 2040 OR 2039 OR 2045 OR 2047

L13 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L14 QUE L13 AND L11 NOT L12

=> s l14 sss sam

SAMPLE SEARCH INITIATED 17:22:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 61039 TO ITERATE

3.3% PROCESSED 2000 ITERATIONS (1 INCOMPLETE) 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 1206065 TO 1235495

PROJECTED ANSWERS: 44684 TO 50536

L15 50 SEA SSS SAM L13 AND L11 NOT L12

=> =>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

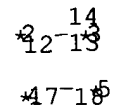
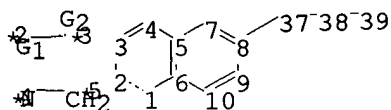
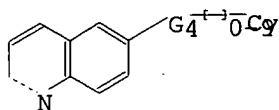
L16 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L17 SCREEN CREATED

=>

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21

110
Hy

110
23

chain nodes :
12 13 14 17 18 19 21 23 37 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
8-37 12-13 13-14 17-18 37-38 38-39
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 8-37 12-13 13-14 37-38 38-39
exact bonds :
17-18
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9],[*10-*11]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
37:CLASS 38:CLASS 39:Atom

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

23:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 19: Limited

C,C2-3

Node 21: Limited

C,C2-4

N,N0-3

O,O0-1

S,S0-1

Node 23: Limited

C,C4

N,N1

O,O0

S,S0

Node 38: Limited

C,C1-8

L18 STRUCTURE UPLOADED

=> que L18 AND L16 NOT L17

L19 QUE L18 AND L16 NOT L17

=> d l19

L19 HAS NO ANSWERS

L16 SCR 1839

L17 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L18 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L19 QUE L18 AND L16 NOT L17

=> s l19 sss sam

SAMPLE SEARCH INITIATED 17:30:01 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 58303 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS

2 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 1151673 TO 1180447
 PROJECTED ANSWERS: 708 TO 1624

L20 2 SEA SSS SAM L18 AND L16 NOT L17

=> =>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

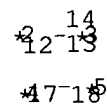
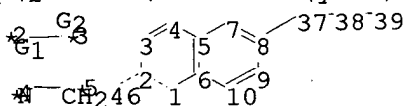
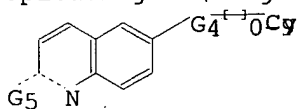
L21 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L22 SCREEN CREATED

=>

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AK

AK

AK

AK

AK

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chain nodes :

12 13 14 17 18 19 21 23 37 38 39 46

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-46 8-37 12-13 13-14 17-18 37-38 38-39

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 2-3 2-46 3-4 4-5 8-37 12-13 13-14 37-38 38-39

exact bonds :
 17-18
 normalized bonds :
 5-6 5-7 6-10 7-8 8-9 9-10
 isolated ring systems :
 containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9],[*10-*11]

G5:H,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 37:CLASS 38:CLASS 39:Atom 46:CLASS

Generic attributes :

19:

Saturation : Unsaturated
 Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated
 Number of Carbon Atoms : less than 7
 Type of Ring System : Monocyclic

23:

Saturation : Saturated
 Number of Carbon Atoms : less than 7
 Number of Hetero Atoms : less than 2
 Type of Ring System : Monocyclic

Element Count :

Node 19: Limited
 C,C2-3

Node 21: Limited

C,C2-4
 N,N0-3
 O,O0-1
 S,S0-1

Node 23: Limited

C,C4
 N,N1
 O,O0
 S,S0

Node 38: Limited

C,C1-8

L23 STRUCTURE UPLOADED

=> que L23 AND L21 NOT L22

L24 QUE L23 AND L21 NOT L22

=> d l24

L24 HAS NO ANSWERS

L21 SCR 1839

L22 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L23 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L24 QUE L23 AND L21 NOT L22

=> s l24 sss sam

SAMPLE SEARCH INITIATED 17:33:22 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 58303 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 1151673 TO 1180447

PROJECTED ANSWERS: 0 TO 0

L25 0 SEA SSS SAM L23 AND L21 NOT L22

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

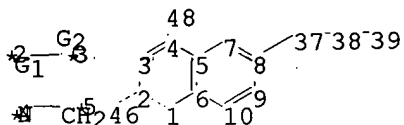
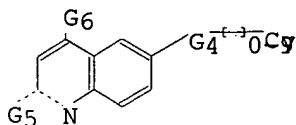
L26 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L27 SCREEN CREATED

=>

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14
12-13
17-18
19
21
23

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chain nodes :
12 13 14 17 18 19 21 23 37 38 39 46 48
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-46 4-48 8-37 12-13 13-14 17-18 37-38 38-39
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 4-48 8-37 12-13 13-14 37-38 38-39
exact bonds :
17-18
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9],[*10-*11]

G5:H,O

G6:H,OH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
37:CLASS 38:CLASS 39:Atom 46:CLASS 48:CLASS

Generic attributes :

19:

Saturation : Unsaturated
 Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated
 Number of Carbon Atoms : less than 7
 Type of Ring System : Monocyclic

23:

Saturation : Saturated
 Number of Carbon Atoms : less than 7
 Number of Hetero Atoms : less than 2
 Type of Ring System : Monocyclic

Element Count :

Node 19: Limited
 C,C2-3

Node 21: Limited

C,C2-4
 N,N0-3
 O,O0-1
 S,S0-1

Node 23: Limited

C,C4
 N,N1
 O,O0
 S,S0

Node 38: Limited

C,C1-8

L28 STRUCTURE UPLOADED

=> que L28 AND L26 NOT L27

L29 QUE L28 AND L26 NOT L27

=> d 129

L29 HAS NO ANSWERS

L26 SCR 1839

L27 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L28 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L29 QUE L28 AND L26 NOT L27

=> s 129 sss sam

SAMPLE SEARCH INITIATED 17:35:57 FILE 'REGISTRY'

10/634,182

SAMPLE SCREEN SEARCH COMPLETED - 58303 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1151673 TO 1180447
PROJECTED ANSWERS: 0 TO 0

L30 0 SEA SSS SAM L28 AND L26 NOT L27

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

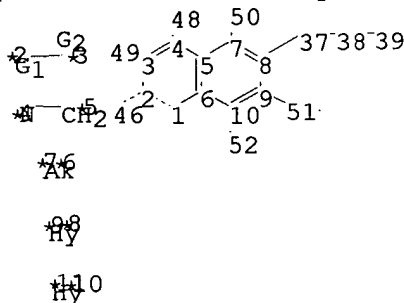
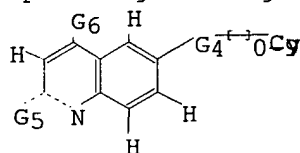
L31 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L32 SCREEN CREATED

=>

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14
12-13
17-18
19
21
23

chain nodes :
12 13 14 17 18 19 21 23 37 38 39 46 48 49 50 51 52
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :

2-46 3-49 4-48 7-50 8-37 9-51 10-52 12-13 13-14 17-18 37-38 38-39
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
 exact/norm bonds :
 1-2 1-6 2-3 2-46 3-4 4-5 4-48 8-37 12-13 13-14 37-38 38-39
 exact bonds :
 3-49 7-50 9-51 10-52 17-18
 normalized bonds :
 5-6 5-7 6-10 7-8 8-9 9-10
 isolated ring systems :
 containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9],[*10-*11]

G5:H,O

G6:H,OH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 21:Atom 23:Atom
 37:CLASS 38:CLASS 39:Atom 46:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS
 52:CLASS

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

21:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

23:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 19: Limited

C,C2-3

Node 21: Limited

C,C2-4

N,N0-3

O,O0-1

S,S0-1

Node 23: Limited

C,C4

N,N1

O,O0

S,S0

Node 38: Limited
C,C1-8

L33 STRUCTURE UPLOADED

=> que L33 AND L31 NOT L32

L34 QUE L33 AND L31 NOT L32

=> d l34

L34 HAS NO ANSWERS

L31 SCR 1839

L32 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L33 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L34 QUE L33 AND L31 NOT L32

=> s l34 sss sam

SAMPLE SEARCH INITIATED 17:38:18 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 58303 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1151673 TO 1180447

PROJECTED ANSWERS: 0 TO 0

L35 0 SEA SSS SAM L33 AND L31 NOT L32

=> file stnguide

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	30.96	32.22

FILE 'STNGUIDE' ENTERED AT 17:41:47 ON 25 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 21, 2005 (20051021/UP).

=>Testing the current file.... screen

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Please change to a suitable file and repeat your upload

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.36	32.58

FILE 'REGISTRY' ENTERED AT 17:45:07 ON 25 OCT 2005
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 OCT 2005 HIGHEST RN 865981-77-7
 DICTIONARY FILE UPDATES: 24 OCT 2005 HIGHEST RN 865981-77-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

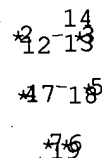
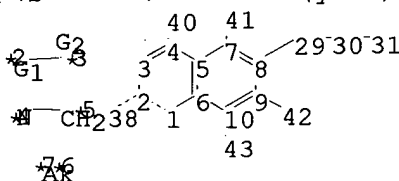
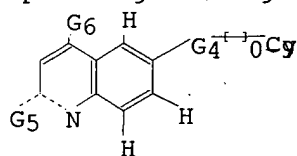
L36 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L37 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10634182 (y4=N) (d).str



chain nodes :

12 13 14 17 18 19 29 30 31 38 40 41 42 43

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-38 4-40 7-41 8-29 9-42 10-43 12-13 13-14 17-18 29-30 30-31

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 2-3 2-38 3-4 4-5 4-40 8-29 12-13 13-14 29-30 30-31

exact bonds :

7-41 9-42 10-43 17-18

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems :

containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7]

G5:H,O

G6:H,OH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 29:CLASS 30:CLASS

31:Atom 38:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS

Generic attributes :

19:
 Saturation : Unsaturated
 Number of Carbon Atoms : less than 7

Element Count :
 Node 19: Limited
 C,C2-3

Node 30: Limited
 C,C1-8

L38 STRUCTURE UPLOADED

=> que L38 AND L36 NOT L37

L39 QUE L38 AND L36 NOT L37

=> d l39

L39 HAS NO ANSWERS

L36 SCR 1839

L37 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L38 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
 L39 QUE L38 AND L36 NOT L37

=> s l39 sss sam

SAMPLE SEARCH INITIATED 17:45:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 58303 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS 0 ANSWERS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 1151673 TO 1180447

PROJECTED ANSWERS: 0 TO 0

L40 0 SEA SSS SAM L38 AND L36 NOT L37

=>Testing the current file..... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

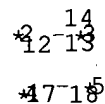
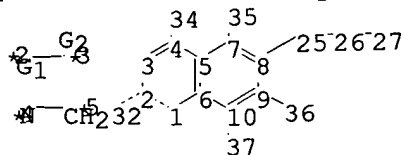
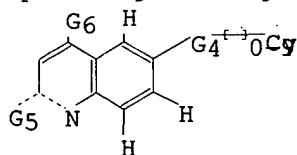
L41 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L42 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10634182 (y4=N) (g).str



chain nodes :

12 13 14 17 18 25 26 27 32 34 35 36 37

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-32 4-34 7-35 8-25 9-36 10-37 12-13 13-14 17-18 25-26 26-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 2-3 2-32 3-4 4-5 4-34 8-25 12-13 13-14 25-26 26-27

exact bonds :

7-35 9-36 10-37 17-18

normalized bonds :

5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems :

containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5]

G5:H,O

G6:H,OH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 25:CLASS 26:CLASS 27:Atom

32:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS

Element Count :

Node 26: Limited

C,C1-8

L43 STRUCTURE UPLOADED

=> que L43 AND L41 NOT L42

L44 QUE L43 AND L41 NOT L42

=> d l44

L44 HAS NO ANSWERS

L41 SCR 1839

L42 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L43 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

L44 QUE L43 AND L41 NOT L42

=> s l44 sss sam

SAMPLE SEARCH INITIATED 17:50:20 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22941 TO ITERATE

8.7% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 449757 TO 467883
PROJECTED ANSWERS: 26 TO 432

L45 1 SEA SSS SAM L43 AND L41 NOT L42

=> =>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

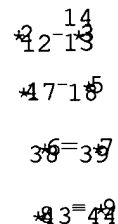
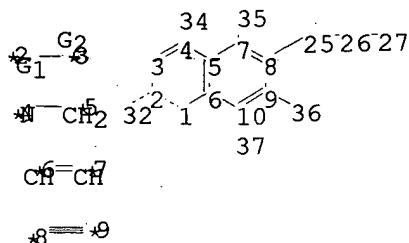
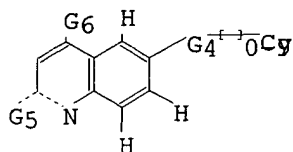
L46 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L47 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10634182 (y4=N) (h).str



chain nodes :
 12 13 14 17 18 25 26 27 32 34 35 36 37 38 39 43 44
 ring nodes :
 1 2 3 4 5 6 7 8 9 10
 chain bonds :
 2-32 4-34 7-35 8-25 9-36 10-37 12-13 13-14 17-18 25-26 26-27 38-39
 43-44
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
 exact/norm bonds :
 1-2 1-6 2-3 2-32 3-4 4-5 4-34 8-25 12-13 13-14 25-26 26-27
 exact bonds :
 7-35 9-36 10-37 17-18 38-39 43-44
 normalized bonds :
 5-6 5-7 6-10 7-8 8-9 9-10
 isolated ring systems :
 containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9]

G5:H,O

G6:H,OH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 25:CLASS 26:CLASS 27:Atom
 32:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 43:CLASS
 44:CLASS

Element Count :
 Node 26: Limited
 C,C1-8

L48 STRUCTURE UPLOADED

=> que L48 AND L46 NOT L47

L49 QUE L48 AND L46 NOT L47

=> d l49

L49 HAS NO ANSWERS

L46 SCR 1839

L47 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L48 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

L49 QUE L48 AND L46 NOT L47

=> s l49 sss sam

SAMPLE SEARCH INITIATED 17:59:18 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22941 TO ITERATE

8.7% PROCESSED 2000 ITERATIONS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 449757 TO 467883

PROJECTED ANSWERS: 26 TO 432

L50 1 SEA SSS SAM L48 AND L46 NOT L47

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

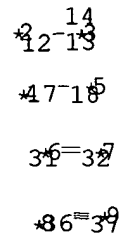
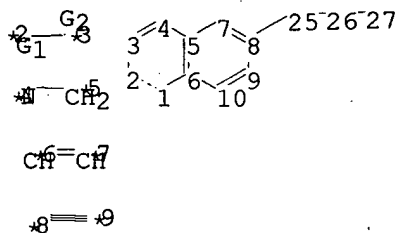
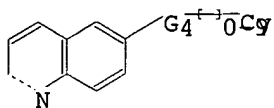
L51 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L52 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10634182 (y4=N) (i).str



chain nodes :
 12 13 14 17 18 25 26 27 31 32 36 37
 ring nodes :
 1 2 3 4 5 6 7 8 9 10
 chain bonds :
 8-25 12-13 13-14 17-18 25-26 26-27 31-32 36-37
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
 exact/norm bonds :
 1-2 1-6 2-3 3-4 4-5 8-25 12-13 13-14 25-26 26-27
 exact bonds :
 17-18 31-32 36-37
 normalized bonds :
 5-6 5-7 6-10 7-8 8-9 9-10
 isolated ring systems :
 containing 1 :

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 25:CLASS 26:CLASS 27:Atom
 31:CLASS 32:CLASS 36:CLASS 37:CLASS

Element Count :

Node 26: Limited

C,C1-8

L53 STRUCTURE UPLOADED

=> que L53 AND L51 NOT L52

L54 QUE L53 AND L51 NOT L52

=> d l54

L54 HAS NO ANSWERS

L51 SCR 1839

L52 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L53 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
L54 QUE L53 AND L51 NOT L52

=> s l54 sss sam

SAMPLE SEARCH INITIATED 18:01:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22941 TO ITERATE

8.7% PROCESSED 2000 ITERATIONS 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 449757 TO 467883

PROJECTED ANSWERS: 511 TO 1323

L55 4 SEA SSS SAM L53 AND L51 NOT L52

=> =>Testing the current file..... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

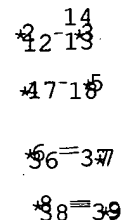
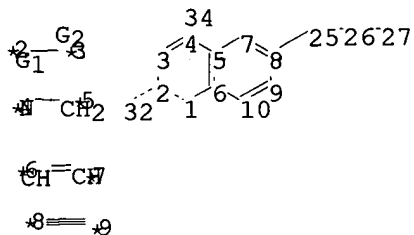
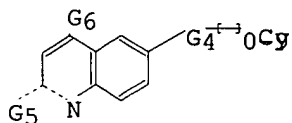
L56 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L57 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10634182 (y4=N) (ii).str



```

chain nodes :
12 13 14 17 18 25 26 27 32 34 36 37 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-32 4-34 8-25 12-13 13-14 17-18 25-26 26-27 36-37 38-39
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-32 3-4 4-5 4-34 8-25 12-13 13-14 25-26 26-27
exact bonds :
17-18 36-37 38-39
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

```

G1:O,S,N,CH

G2:O,S,N

G3

G4:[*2-*3],[*4-*5],[*6-*7],[*8-*9]

G5:H,O

G6:H,OH

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 25:CLASS 26:CLASS 27:Atom
32:CLASS 34:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS
Element Count :
Node 26: Limited
C,C1-8

```

L58 STRUCTURE UPLOADED

=> que L58 AND L56 NOT L57

L59 QUE L58 AND L56 NOT L57

=> d 159

L59 HAS NO ANSWERS

L56 SCR 1839

L57 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L58 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

L59 QUE L58 AND L56 NOT L57

=> s 159 sss sam

SAMPLE SEARCH INITIATED 18:07:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22941 TO ITERATE

8.7% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 449757 TO 467883

PROJECTED ANSWERS: 26 TO 432

L60 1 SEA SSS SAM L58 AND L56 NOT L57

=> s 159 sss ful

FULL SEARCH INITIATED 18:07:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 454599 TO ITERATE

100.0% PROCESSED 454599 ITERATIONS
SEARCH TIME: 00.00.08

679 ANSWERS

L61 679 SEA SSS FUL L58 AND L56 NOT L57

=> => s 161

L62 247 L61

=> d 162 1-99 bib,ab,hitstr

L62 ANSWER 1 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:1004730 CAPLUS

DN 143:306200

TI Preparation of hydroxy-6-heteroarylphenanthridines as PDE4 inhibitors

IN Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich

PA Altana Pharma A.-G., Germany

SO PCT Int. Appl., 176 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005085225	A1	20050915	WO 2005-EP50931	20050302
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI EP 2004-4973 A 20040303

EP 2004-106359 A 20041207

AB Title compds. I [R1, R2 = independently OH and F-substituted/cyclo/alkoxy, 2,2-difluoroethoxy, etc.; R1-R2 = alkylendioxy; R3, R31 = independently H, alkyl; R4 = H, alkyl, OR41; R5 = OR51; R41, R51 = independently H, alkoxy/hydroxy/F-substituted/alkyl, alkylcarbonyl; Har = (un)substituted 5-10 membered monocyclyl or fused bicyclyl unsatd. or partially saturated heteroaryl comprising 1-4 heteroatoms selected from O, N, S; their salts, N-oxides, and salts of N-oxides] were prepared as effective PDE4 inhibitors for treating respiratory diseases. Thus, coupling of 2,6-dimethoxynicotinic acid with amine (1RS,3RS,4RS)-II (general preparation given, no data for its intermediates), cyclization, and saponification gave phenanthridine (1RS,3RS,4RS)-III. Selected I inhibited PDE4 with -log IC50 values in the range of 6.91 to 9.4 mol/l.

IT 864741-16-2P

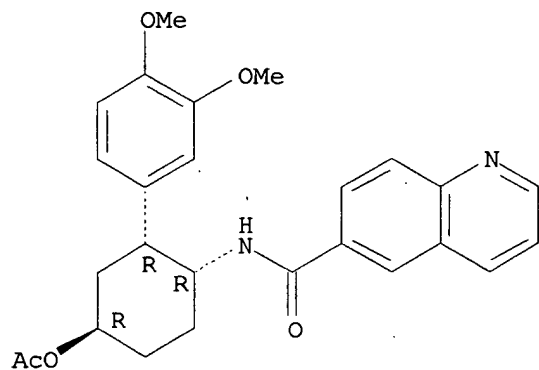
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of hydroxy-6-heteroarylphenanthridines as PDE4 inhibitors)

RN 864741-16-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 2 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:979618 CAPLUS

DN 143:286301

TI Preparation of 6-substituted 2,3,4,5-tetrahydro-1H-benzo[d]azepines as 5-HT_{2c} receptor agonists

IN Allen, John Gordon; Briner, Karin; Cohen, Michael Philip; Galka, Christopher Stanley; Hellman, Sarah Lynne; Martinez-Grau, Maria Angeles; Reinhard, Matthew Robert; Rodriguez, Michael John; Rothhaar, Roger Ryan; Tidwell, Michael Wade; Victor, Frantz; Williams, Andrew Caerwyn; Zhang, Deyi; Boyd, Steven Armen; Conway, Richard Gerard; Deo, Arundhati S.; Lee, Wai-Man; Siedem, Christopher Stephen; Singh, Ajay

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 595 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082859	A1	20050909	WO 2005-US5418	20050218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, IB, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2004-547681P P 20040225

AB The title compds. I [R₁ = H, F, alkyl; R₂-R₄ = H, Me, Et; R₅ = H, F, Me, Et; R₆ = C.tplbond.CR₁₀, OR₁₂, SR₁₄, substituted NH₂; R₇ = H, halo, CN, etc.; R₈ = H, halo, CN, SCF₃; R₉ = H, halo, CN, etc.; R₁₀ = CF₃, alkyl, fluoroalkyl, etc.; R₁₂ = pyridylalkyl, thiazolylalkyl, etc.; R₁₃ = cycloalkylalkyl, alkoxy, fluoroalkoxy, etc.; R₁₄ = tetrahydropyranyl, tetrahydrofuranyl, etc.], useful as selective 5-HT_{2c} receptor agonists for the treatment of 5-HT_{2c} associated disorders including obesity, obsessive/compulsive disorder, depression, and anxiety, were prepared Thus, reacting 3-tert-butoxycarbonyl-6-trifluoromethanesulfonyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine (preparation given) with 3-phenyl-1-propyne followed by deprotection afforded 85% II.HCl. Representative compds. I are found to have excellent affinity for the 5-HT_{2c} receptor, with K_i's typically less than or equal to about 200 nM.

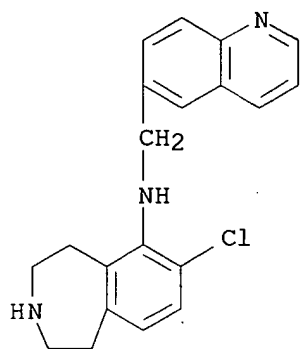
IT 864255-86-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-substituted 2,3,4,5-tetrahydro-1H-benzo[d]azepines as 5-HT_{2c} receptor agonists)

RN 864255-86-7 CAPLUS

CN 1H-3-Benzazepin-6-amine, 7-chloro-2,3,4,5-tetrahydro-N-(6-quinolinylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

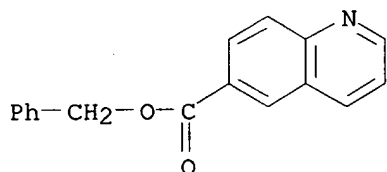
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 3 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:962242 CAPLUS
 DN 143:248301
 TI Preparation of substituted quinolines as MTP/Apo-B secretion inhibitors for treating obesity and associated conditions
 IN Bertinato, Peter; Couturier, Michel Andre; Hamanaka, Ernest Seiichi; Ewing, Marcus Douglas; Robinson, Ralph Pelton, Jr.; Tickner, Derek Lawrence
 PA Pfizer Products Inc., USA
 SO PCT Int. Appl., 162 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

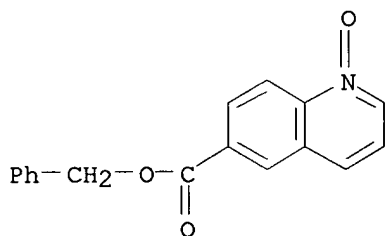
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005080373	A1	20050901	WO 2005-IB167	20050124
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005234099	A1	20051020	US 2005-49852	20050203
PRAI	US 2004-541678P	P	20040204		
	US 2004-633763P	P	20041206		

AB This invention relates to MTP/Apo-B secretion inhibitors of Formula (I) wherein R1-R7, X1, m and n are as defined below, as well as pharmaceutical compns. comprising the compds., and methods of use of the compds. and compns. The compds. of the invention are useful in treating obesity and associated diseases, conditions or disorders. For I the variables are: R1 = substituted Ph or pyridine; m = 0-2; n = 0-4; X1 = N or C(Rb) where Rb = H or R7; R2, R7, and R9 = halo, OH, CN, alkyl, alkoxy, alkoxyalkyl, halo-substituted alkyl, halo-substituted alkoxy, alkylthiobenzyloxy, hydroxyalkyl, alkenyl, alkynyl, C(O)N(Rc)(R11), N(R11)C(O)R12, N(R11)CO2R12, N(R11)S(O)sR12, C(O)R12, CO2R12, OC(O)R12, SO2N(Rc)(R11) and S(O)vR12; Rc = H or alkyl; s = 1-2; v = 0-2; R3 and R4 = H or taken together with the C to which they are attached form a carbonyl group; R5 and R10 = H, alkyl, halo-substituted alkyl, cycloalkyl, C(O)R12, alkoxyalkyl, alkylthioalkyl and SO2R12. ;. Variables for I continued: R6 = optionally substituted alkyl, pyridyl, Ph, phenylalkyl, alkenyl, alkynyl, CH2N(Rc)(R13), C(O)N(R14)(R15), CO2R2O or CH2-W-Y where W = O or S; and Y = H, alkyl, cycloalkyl, optionally substituted cycloalkylalkyl, Ph and phenylalkyl; R11 = H, alkyl, halo-substituted alkyl, cycloalkyl, alkoxyalkyl and alkylthioalkyl; R12 = optionally substituted alkyl or cycloalkyl, group; R13 = alkyl, phenylmethyl, C(O)R16 and S(O)2R16; R14 = H, optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, Ph and phenylalkyl ; R15 = H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl, pyridyl, pyridylalkyl, C(O)R12 and SO2R12; or R15 = (CH2)tN(R17)(R18) where t = 2-4 and R17 and R18 together with the N to which they are attached to form a heterocyclic ring, which is optionally substituted; or R14 and R15 together with the N to which they are attached to form a heterocyclic ring which is optionally

substituted; and R16 = optionally substituted alkyl, Ph or phenylalkyl.
 IT **863492-33-5P**, Quinoline-6-carboxylic acid benzyl ester
863492-34-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of substituted quinolines as MTP/Apo-B secretion inhibitors for
 treating obesity and associated conditions)
 RN 863492-33-5 CAPLUS
 CN 6-Quinolinecarboxylic acid, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 863492-34-6 CAPLUS
 CN 6-Quinolinecarboxylic acid, phenylmethyl ester, 1-oxide (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 4 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:962196 CAPLUS
 DN 143:266597
 TI Preparation of benzamides and nitrogen-heterocycle carboxamides as NMDA NR2B receptor antagonists with therapeutic uses
 IN Kawai, Makoto; Kawamura, Mitsuhiro; Sakurada, Isao; Morita, Asato
 PA Pfizer Japan, Inc., Japan; Pfizer Inc.
 SO PCT Int. Appl., 213 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005080317	A2	20050901	WO 2005-IB258	20050201
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2004-544258P P 20040211

AB The present invention relates to benzamides and nitrogen-heterocycle carboxamides (shown as I; variables defined below; e.g. 4-hydroxy-N-[[cis-4-(phenoxyethyl)cyclohexyl]methyl]benzamide) or a pharmaceutically acceptable salt or solvate thereof, to processes for the preparation of, intermediates used in the preparation of, compns. containing such

compds. and the uses of such compds. as antagonists of the NMDA NR2B receptor. For I: A and B = CH₂ or O, with the proviso that A and B are not simultaneously O; Cy = one of 30 ring radicals, e.g. 4-hydroxyphenyl and 1H-pyrazol-4-yl (un)substituted by 1-3 hydroxy, halogen, C1-6alkyl, C1-6alkoxy, C1-6 haloalkyl, C1-6alkylamino and amino; R1 and R2 = hydroxy, halogen, C1-6alkyl, C1-6alkoxy, C1-6 haloalkyl and C3-8 cycloalkyl; n = 0-4; X is H, hydroxy, halogen or C1-6alkoxy; Y is oxy, thio, a 1-4 membered alkylene, a 2-4 membered alkylene ether, 2-4 membered alkylene thioether or an oxyethyleneoxy group, (un)substituted by 1-4 hydroxy, halogen, C1-6alkyl, C1-6alkoxy and C1-6 haloalkyl; Z is CH or N; and p = 0-5 when Z is CH or 0-4 when Z is N; when p = ≥2, two of R2s may be taken together with the C atoms to which they are attached to form a 5-8 membered cycloalkyl ring. Although the methods of preparation are not claimed, >130 example preps. for I and >180 for intermediates are included. For example, II was prepared by condensation of 4-(benzyloxy)-N-[[cis-4-(hydroxymethyl)cyclohexyl]methyl]benzamide with phenol using DIAD and PPh₃ followed by debenzoylation via hydrogenation over 10 % Pd-C. Results for some I in NR2B and human dofenilide binding assays are tabulated.

IT **863565-14-4P**, N-[(cis-4-Benzylcyclohexyl)methyl]-2-hydroxyquinoline-6-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

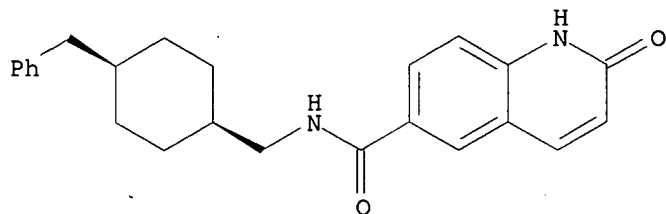
(drug candidate; preparation of benzamides and nitrogen-heterocycle carboxamides as NMDA NR2B receptor antagonists with therapeutic uses)

10/634,182

RN 863565-14-4 CAPLUS

CN 6-Quinolinecarboxamide, 1,2-dihydro-2-oxo-N-[[cis-4-(phenylmethyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L62 ANSWER 5 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:567163 CAPLUS
 DN 143:78213
 TI Preparation of cyclohexylalkyl quinolinone and quinoxalinone derivatives
 as poly(ADP-ribose) polymerase (PARP) inhibitors
 IN Mabire, Dominique Jean-Pierre; Van Dun, Jacobus Alphonsus Josephus;
 Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
 PA Janssen Pharmaceutica N. V., Belg.
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005058843	A1	20050630	WO 2004-EP13165	20041118
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
	CN, CO, CR, CU, CX, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
	AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
	EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,				
	SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,				
	NE, SN, TD, TG				

PRAI EP 2003-78918 A 20031210

OS MARPAT 143:78213

AB Title compds. I [n = 0-1; m = 0-1; X = N, CR4; Y = N, CH; Q = NH, O, CO, etc.; R1 = alkyl, thienyl; R2 = H or together with R3 may form O; R3 = H, alkyl, OH, etc. or R3 = (CH₂)_pZ; R4 = H or together with R1 may form (CH=CH)₂; p = 0-2; Z = (un)substituted heterocycle] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of poly(ADP-ribose) polymerase (PARP). Thus, e.g., II was prepared by reaction of 3-ethyl-2(1H)-quinolinone with chloro-acetyl chloride followed by coupling with piperidine and subsequent reduction. The inhibitory activity of I towards PARP-1 was evaluated in scintillation proximity assays and in filtration assays and it was revealed that compds. of the invention displayed inhibitory activity at initial test concns. of 10⁻⁶ and 10⁻⁵ M, resp. I as inhibitors of poly(ADP-ribose) polymerase should prove useful in the treatment of PARP-1 mediated disorders. Pharmaceutical compns. comprising I are disclosed.

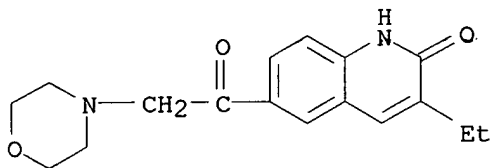
IT **855444-56-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

RN 855444-56-3 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)



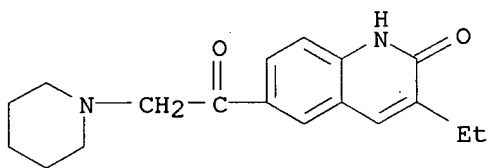
IT 855444-61-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

RN 855444-61-0 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-(1-piperidinylacetyl)- (9CI) (CA INDEX NAME)



RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 6 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:523430 CAPLUS

DN 143:60003

TI Preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors

IN Mabire, Dominique Jean-Pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold

PA Janssen Pharmaceutica N. V., Belg.

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005054210	A1	20050616	WO 2004-EP13164	20041118
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI EP 2003-78859 A 20031205

OS MARPAT 143:60003

AB The title compds. I [n = 0-2; X = N, CR5; R5 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thienyl; R2 = H, OH, or taken together with R3 or R4 may form O; R3 = OH, OR8, SR9, etc.; R8 = alkyl, alkylcarbonyl, dialkylaminoalkyl; R9 = dialkylaminoalkyl; R4 = H, alkyl, furanyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from 1-(4-amino-3-nitrophenyl)-2-methyl-1-propanone, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

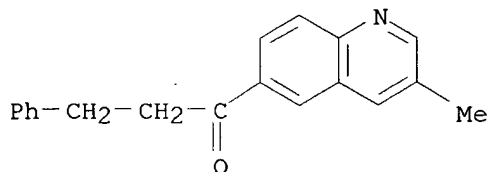
IT 854524-06-4P 854524-07-5P 854524-08-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

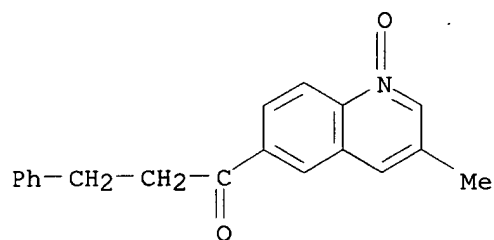
(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854524-06-4 CAPLUS

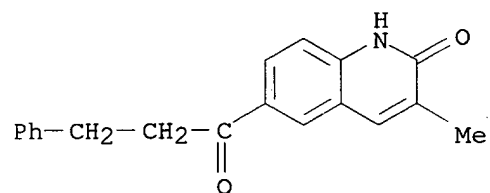
CN 1-Propanone, 1-(3-methyl-6-quinolinyl)-3-phenyl- (9CI) (CA INDEX NAME)



RN 854524-07-5 CAPLUS
CN 1-Propanone, 1-(3-methyl-1-oxido-6-quinolinyl)-3-phenyl- (9CI) (CA INDEX NAME)



RN 854524-08-6 CAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 7 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:511367 CAPLUS

DN 143:172732

TI The synthesis of substituted bipiperidine amide compounds as CCR3 ligands:
Antagonists versus agonists

AU Ting, Pauline C.; Umland, Shelby P.; Aslanian, Robert; Cao, Jianhua;
Garlisi, Charles G.; Huang, Ying; Jakway, James; Liu, Zhidan; Shah,
Himanshu; Tian, Fang; Wan, Yuntao; Shih, Neng-Yang

CS Schering Plough Research Institute, Kenilworth, NJ, 07033, USA

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(12), 3020-3023

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

AB Structure-activity relationship study of bipiperidine amide has identified
the reverse bipiperidine amide I as a CC chemokine-3 (CCR3) receptor
antagonist. Optimization of the structure-activity relationship of I has
resulted in the identification of a CCR3 antagonist as well as a CCR3
agonist.

IT 861390-11-6P 861390-22-9P 861390-23-0P

861390-24-1P 861390-25-2P 861390-26-3P

861390-27-4P 861390-28-5P 861390-29-6P

861390-30-9P 861390-31-0P 861390-32-1P

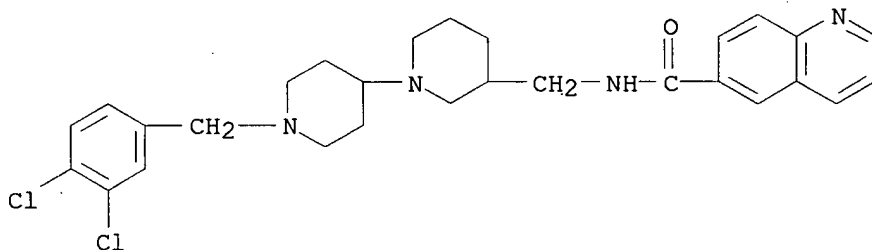
861390-38-7P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)

(preparation, CCR3 membrane binding activity, and structure-activity
relationship of substituted bipiperidinylmethyl amides using amidation
as the key step)

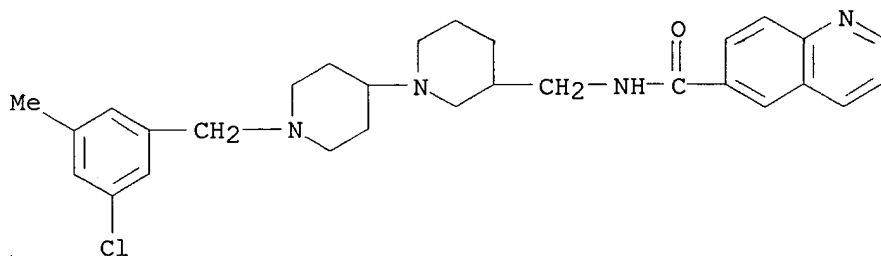
RN 861390-11-6 CAPLUS

CN 6-Quinolonecarboxamide, N-[[1'-[(3,4-dichlorophenyl)methyl][1,4'-
bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



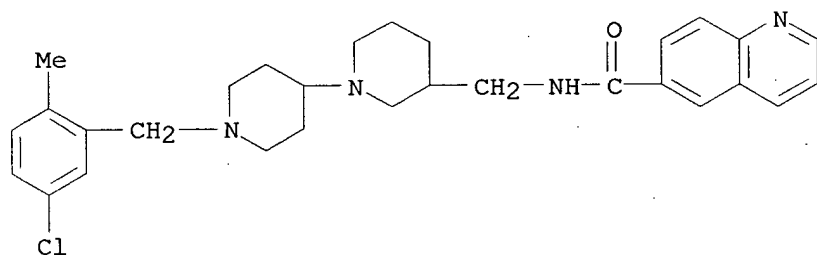
RN 861390-22-9 CAPLUS

CN 6-Quinolonecarboxamide, N-[[1'-[(3-chloro-5-methylphenyl)methyl][1,4'-
bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



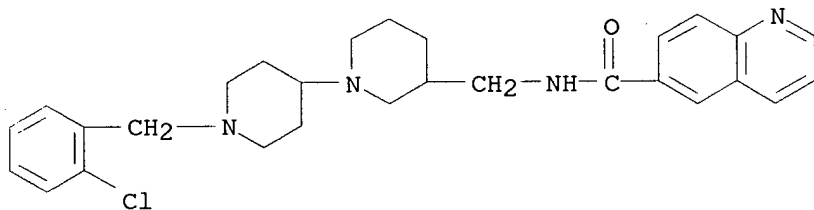
RN 861390-23-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[(5-chloro-2-methylphenyl)methyl][1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



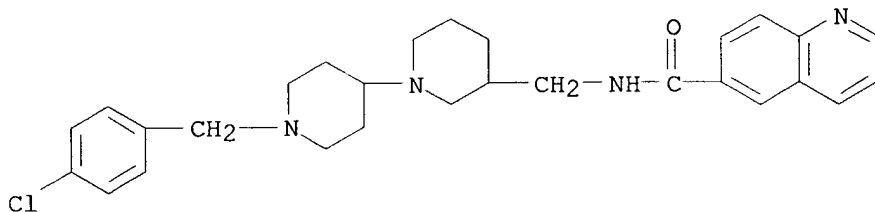
RN 861390-24-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 861390-25-2 CAPLUS

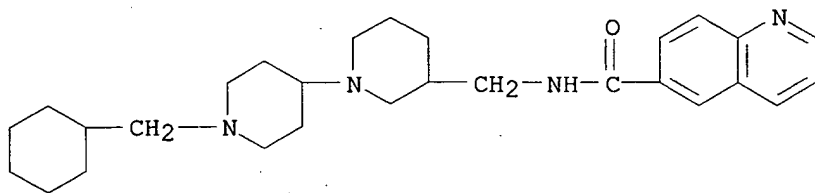
CN 6-Quinolinecarboxamide, N-[[1'-[(4-chlorophenyl)methyl][1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 861390-26-3 CAPLUS

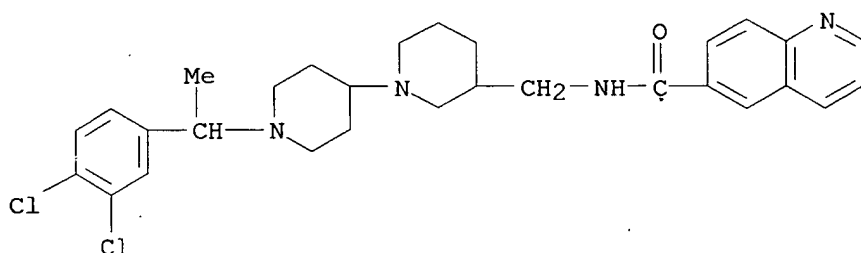
CN 6-Quinolinecarboxamide, N-[[1'-(cyclohexylmethyl)[1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)

yl)methyl]- (9CI) (CA INDEX NAME)



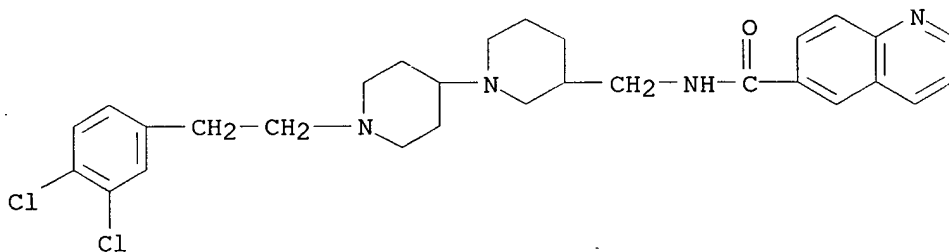
RN 861390-27-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[1-(3,4-dichlorophenyl)ethyl][1,4'-bipiperidin]-3-yl)methyl]- (9CI) (CA INDEX NAME)



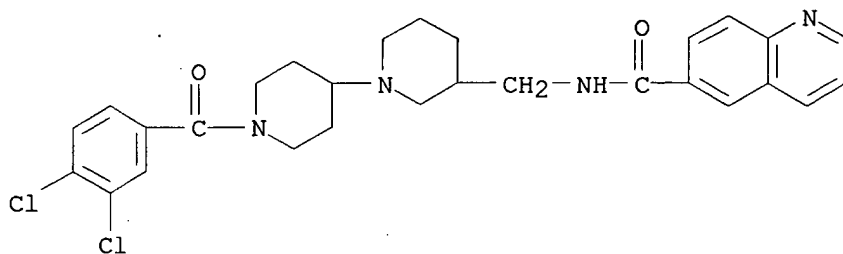
RN 861390-28-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[2-(3,4-dichlorophenyl)ethyl]piperidin]-3-yl)methyl]- (9CI) (CA INDEX NAME)



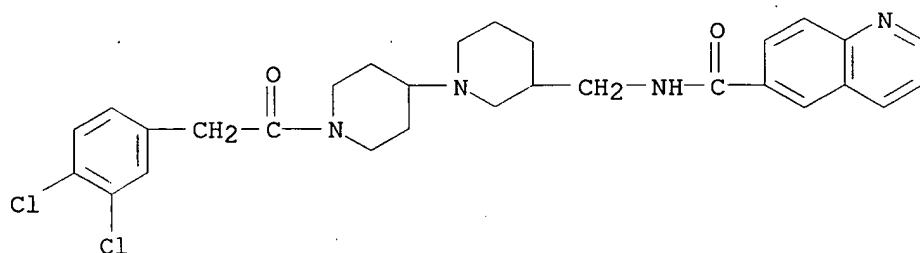
RN 861390-29-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-(3,4-dichlorobenzoyl)[1,4'-bipiperidin]-3-yl)methyl]- (9CI) (CA INDEX NAME)



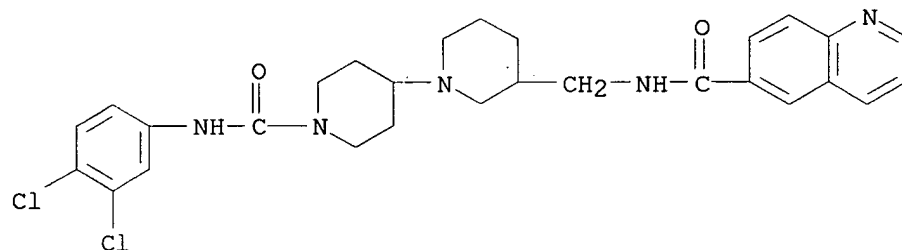
RN 861390-30-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[(3,4-dichlorophenyl)acetyl][1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



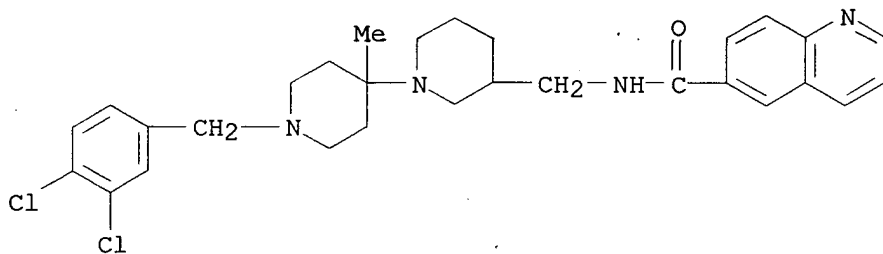
RN 861390-31-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[[[(3,4-dichlorophenyl)amino]carbonyl][1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



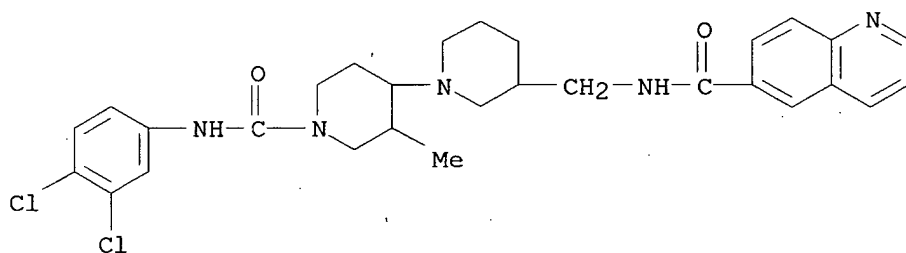
RN 861390-32-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[(3,4-dichlorophenyl)methyl]-4'-methyl[1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 861390-38-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1'-[[[(3,4-dichlorophenyl)amino]carbonyl]-3'-methyl[1,4'-bipiperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)



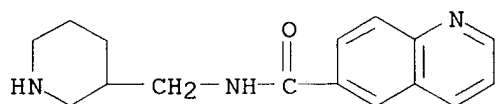
IT 861390-19-4P 861390-20-7P 861390-21-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, CCR3 membrane binding activity, and structure-activity relationship of substituted bipiperidinylmethyl amides using amidation as the key step)

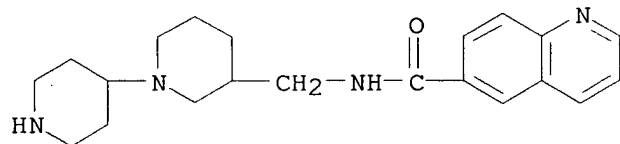
RN 861390-19-4 CAPLUS

CN 6-Quinolinecarboxamide, N-(3-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 861390-20-7 CAPLUS

CN 6-Quinolinecarboxamide, N-([1,4'-bipiperidin]-3-ylmethyl)- (9CI) (CA INDEX NAME)

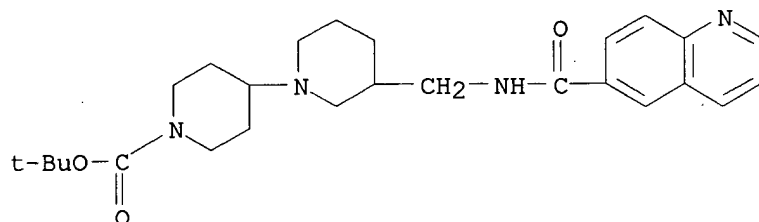


RN 861390-21-8 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 3-[[[6-

10/634,182

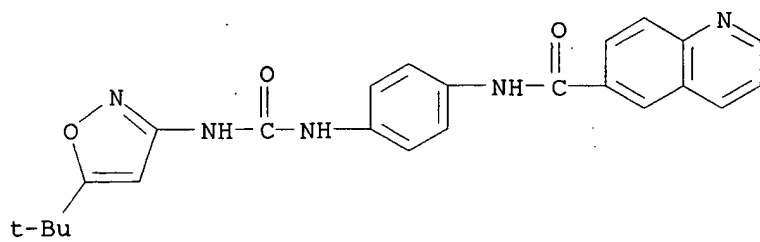
quinolinylcarbonyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 8 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:470256 CAPLUS
 DN 143:20052
 TI Urea derivatives as kinase modulators
 IN Milanov, Zdravko V.; Patel, Hitesh K.; Grotzfeld, Robert M.; Mehta, Shamal A.; Andiliy, Lai G.; Lockhart, David J.
 PA Ambit Biosciences Corporation, USA
 SO PCT Int. Appl., 350 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005048948	A2	20050602	WO 2004-US38288	20041115
	WO 2005048948	A3	20050728		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005148605	A1	20050707	US 2004-989745	20041115
	US 2005165031	A1	20050728	US 2004-989814	20041115
	US 2005165024	A1	20050728	US 2004-989824	20041115
	US 2005165074	A1	20050728	US 2004-990007	20041115
	US 2005171171	A1	20050804	US 2004-989766	20041115
	US 2005171172	A1	20050804	US 2004-989823	20041115
	US 2005192314	A1	20050901	US 2004-990195	20041115
	US 2005197371	A1	20050908	US 2004-990194	20041115
PRAI	US 2003-520273P	P	20031113		
	US 2003-527094P	P	20031203		
	US 2003-531082P	P	20031218		
	US 2003-531243P	P	20031218		
OS	MARPAT 143:20052				
AB	The invention provides methods and compns. for treating conditions mediated by various kinases wherein derivs. of urea compds. are employed. The invention also provides methods of using the compds. and/or compns. in the treatment of a variety of diseases and unwanted conditions in subjects such as cellular proliferative disorders.				
IT	852669-45-5 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (urea derivs. as kinase modulators for treatment of cellular proliferative disorders)				
RN	852669-45-5 CAPLUS				
CN	6-Quinolinecarboxamide, N-[4-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)				



L62 ANSWER 9 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:419845 CAPLUS

DN 143:115225

TI Efficient Emission from Charge Recombination during the Pulse Radiolysis of Electrochemical Luminescent Substituted Quinolines with Donor-Acceptor Character

AU Samori, Shingo; Hara, Michihiro; Tojo, Sachiko; Fujitsuka, Mamoru; Yang, Shu-Wen; Elangovan, Arumugasamy; Ho, Tong-Ing; Majima, Tetsuro

CS The Institute of Scientific and Industrial Research (SANKEN), Osaka University, Osaka, 567-0047, Japan

SO Journal of Physical Chemistry B (2005), 109(23), 11735-11742
CODEN: JPCBFK; ISSN: 1520-6106

PB American Chemical Society

DT Journal

LA English

AB Efficient emission from various donor-acceptor quinolines with an ethynyl linkage (PnQ), which are known as efficient electrogenerated chemiluminescent mols., was observed with time-resolved fluorescence measurement during the pulse radiolysis in benzene. On the basis of the transient absorption and emission measurements, and steady-state measurements, the formation of PnQ in the singlet excited state can be interpreted by charge recombination between the PnQ radical cation and the PnQ radical anion which are generated initially from the radiolytic reaction in benzene. The strong electronic coupling between the donor and acceptor through conjugation is responsible for the efficient emission during the pulse radiolysis of PnQ in benzene. It is suggested that the pos. and neg. charges are localized on the donor and acceptor moieties in the radical cation and anion, resp. This mechanism is reasonably explained by the relationship between the annihilation enthalpy changes and singlet excitation energies of PnQ. The formation of the intramol. charge transfer state is assumed for PnQ in the singlet excited state with a strong electron donating substituent. The emission from PnQ is suggested to originate from PnQ in the singlet excited state formed from the charge recombination between the PnQ radical cation and the PnQ radical anion during the pulse radiolysis. This is strong evidence for the efficient electrogenerated chemiluminescence of PnQ.

IT 857507-25-6 857507-26-7 857507-27-8

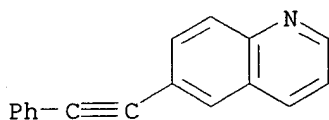
857507-28-9

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)

(efficient emission from charge recombination during pulse radiolysis of electrochem. luminescent substituted quinolines with donor-acceptor character)

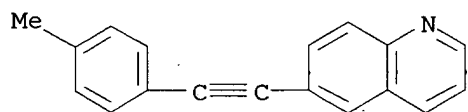
RN 857507-25-6 CAPLUS

CN Quinoline, 6-(phenylethynyl)- (9CI) (CA INDEX NAME)



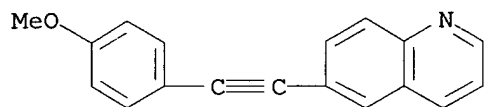
RN 857507-26-7 CAPLUS

CN Quinoline, 6-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



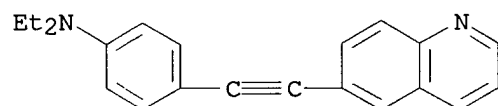
RN 857507-27-8 CAPLUS

CN Quinoline, 6-[(4-methoxyphenyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 857507-28-9 CAPLUS

CN Benzenamine, N,N-diethyl-4-(6-quinolinylethynyl)- (9CI) (CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 10 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:342685 CAPLUS

DN 143:26516

TI Synthesis and characterization of some aza[5]helicenes

AU Bazzini, Cristina; Brovelli, Sergio; Caronna, Tullio; Gambarotti, Cristian; Giannone, Matteo; Macchi, Piero; Meinardi, Francesco; Mele, Andrea; Panzeri, Walter; Recupero, Francesco; Sironi, Angelo; Tubino, Riccardo

CS Dipartimento di Ingegneria Industriale Università degli Studi di Bergamo, Dalmine, 24044, Italy

SO European Journal of Organic Chemistry (2005), (7), 1247-1257
CODEN: EJOCFK; ISSN: 1434-193X

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

AB A systematic study on the synthesis and properties of aza[5]helicenes bearing one or two nitrogen atoms in selected ring positions is reported. The photochem. approach can be conveniently applied to the preparation of either mono- or diaza[5]helicenes. The aza[5]helicenes were characterized by NMR spectroscopy, X-ray crystallog., emission spectroscopy, and luminescence lifetime. The extremely long triplet lifetime observed (in the range of seconds) makes these mols. promising candidates for practical applications in photo- and optoelectronics.

IT 73541-19-2P 852944-66-2P 852944-68-4P

852944-69-5P

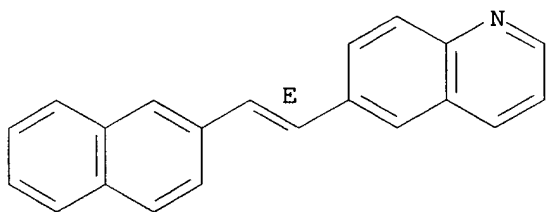
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and characterization of some aza[5]helicenes)

RN 73541-19-2 CAPLUS

CN Quinoline, 6,6'-(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

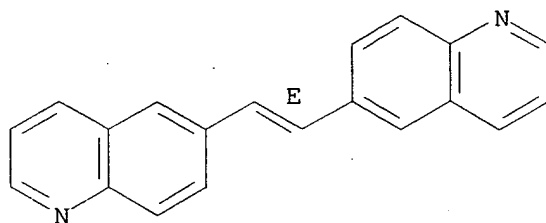
Double bond geometry as shown.



RN 852944-66-2 CAPLUS

CN Quinoline, 6,6'-(1E)-1,2-ethenediylbis- (9CI) (CA INDEX NAME)

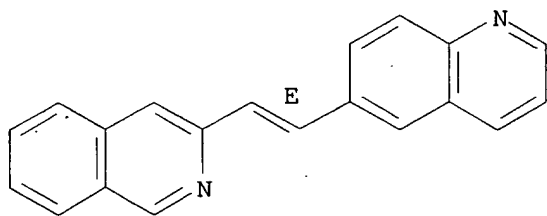
Double bond geometry as shown.



RN 852944-68-4 CAPLUS

CN Quinoline, 6-[(1E)-2-(3-isoquinoliny)ethenyl]- (9CI) (CA INDEX NAME)

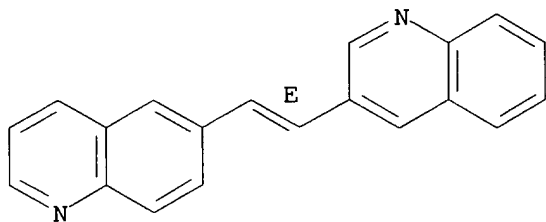
Double bond geometry as shown.



RN 852944-69-5 CAPLUS

CN Quinoline, 3-[(1E)-2-(6-quinoliny)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 11 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:324138 CAPLUS
 DN 142:392428
 TI Preparation of heterocyclic compounds as antifungal agents
 IN Nakamoto, Kazutaka; Tsukada, Itaru; Tanaka, Keigo; Matsukura, Masayuki;
 Haneda, Toru; Inoue, Satoshi; Ueda, Norihiro; Abe, Shinya; Hata, Katsura;
 Watanabe, Naoaki
 PA Eisai Co., Ltd., Japan
 SO PCT Int. Appl., 418 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005033079	A1	20050414	WO 2004-JP14063	20040927
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	JP 2003-342273	A	20030930		
	JP 2004-68186	A	20040310		
OS	MARPAT 142:392428				
AB	The title compds., e.g. I [ring A1 is optionally substituted 3-pyridyl, optionally substituted quinolyl, etc.; X1 is NHCO, etc.; and ring E is furyl, thienyl, pyrrolyl, Ph, pyridyl, tetrazolyl, thiazolyl, or pyrazolyl; provided that A1 may have one to three substituents and E has one or two substituents], are prepared 2,6-Diamino-N-(5-(4-fluorophenoxy)furan-2-ylmethyl)nicotinamide was prepared in a multistep process. Compds. of this invention in vitro showed MIC values of 0.1 µg/mL to 6.25 µg/mL against Candida.				
IT	849809-80-9P	849809-81-0P	849809-82-1P		
	849809-83-2P	849809-84-3P	849809-85-4P		
	849809-86-5P	849809-87-6P	849809-88-7P		
	849809-89-8P	849809-90-1P	849809-92-3P		
	849809-93-4P	849809-94-5P	849809-95-6P		
	849809-96-7P	849809-97-8P	849809-98-9P		
	849810-00-0P	849810-01-1P	849810-02-2P		
	849810-03-3P	849810-04-4P	849810-05-5P		
	849810-06-6P	849810-07-7P	849810-08-8P		
	849810-09-9P	849810-10-2P	849810-12-4P		
	849810-14-6P	849810-16-8P	849810-18-0P		
	849810-20-4P	849810-22-6P	849810-24-8P		
	849810-26-0P	849810-28-2P	849810-30-6P		
	849810-32-8P	849810-34-0P	849810-36-2P		
	849810-38-4P	849810-40-8P	849810-42-0P		
	849810-44-2P	849810-46-4P	849810-47-5P		
	849810-48-6P	849810-49-7P	849810-50-0P		
	849810-51-1P	849810-52-2P	849810-53-3P		
	849810-54-4P	849810-55-5P	849810-56-6P		
	849810-57-7P	849810-58-8P	849810-59-9P		

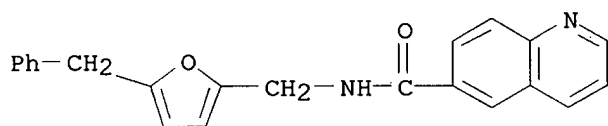
849810-60-2P 849810-61-3P 849810-62-4P
 849810-63-5P 849810-64-6P 849810-65-7P
 849810-66-8P 849810-67-9P 849810-68-0P
 849810-69-1P 849810-70-4P 849810-71-5P
 849810-72-6P 849810-73-7P 849810-75-9P
 849810-76-0P 849810-78-2P 849810-79-3P
 849810-80-6P 849810-81-7P 849811-22-9P
 849811-24-1P 849811-25-2P 849811-34-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as antifungal agents)

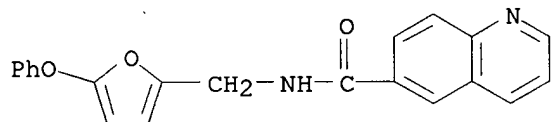
RN 849809-80-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(phenylmethyl)-2-furanyl]methyl]- (9CI) (CA INDEX NAME)



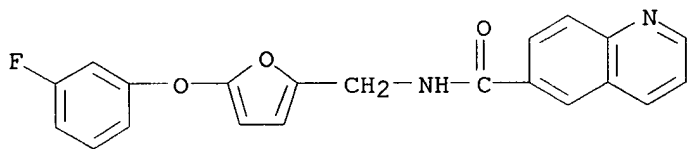
RN 849809-81-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[(5-phenoxy-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



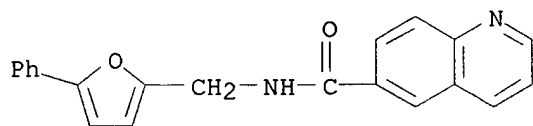
RN 849809-82-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(3-fluorophenoxy)-2-furanyl]methyl]- (9CI) (CA INDEX NAME)



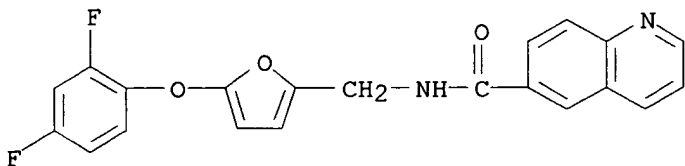
RN 849809-83-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[(5-phenyl-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



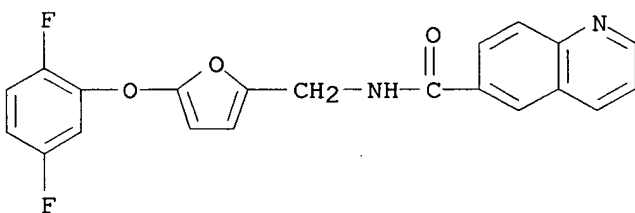
RN 849809-84-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(2,4-difluorophenoxy)-2-furanyl]methyl]-
(9CI) (CA INDEX NAME)



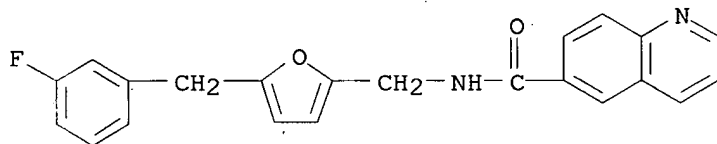
RN 849809-85-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(2,5-difluorophenoxy)-2-furanyl]methyl]-
(9CI) (CA INDEX NAME)



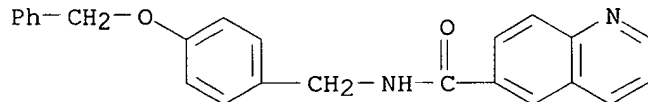
RN 849809-86-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-[(3-fluorophenyl)methyl]-2-furanyl]methyl]-
(9CI) (CA INDEX NAME)



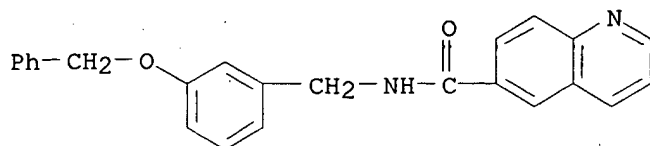
RN 849809-87-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA
INDEX NAME)



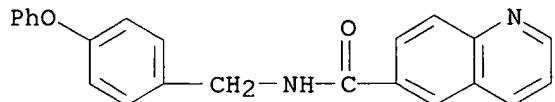
RN 849809-88-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA
INDEX NAME)



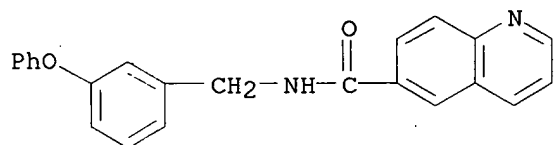
RN 849809-89-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 849809-90-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



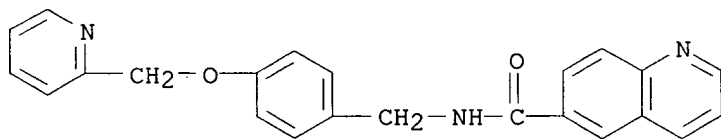
RN 849809-92-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-(2-pyridinylmethoxy)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849809-91-2

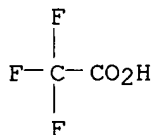
CMF C23 H19 N3 O2



CM 2

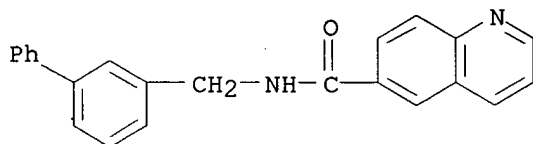
CRN 76-05-1

CMF C2 H F3 O2



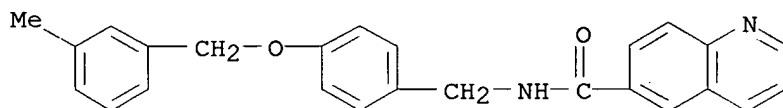
RN 849809-93-4 CAPLUS

CN 6-Quinolinecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)- (9CI) (CA INDEX NAME)



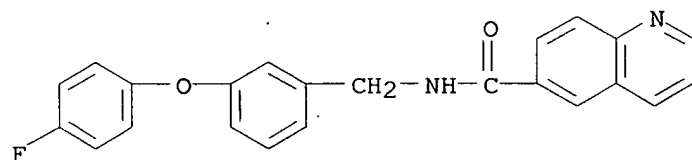
RN 849809-94-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(3-methylphenyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



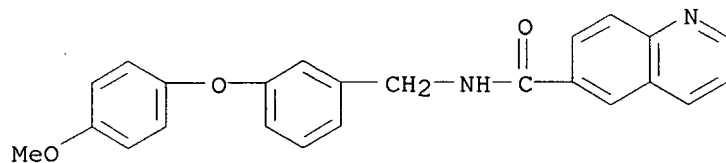
RN 849809-95-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(4-fluorophenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



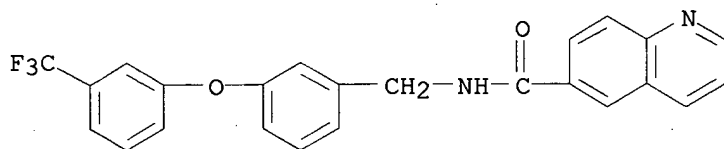
RN 849809-96-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(4-methoxyphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



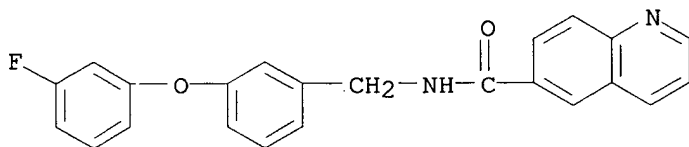
RN 849809-97-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-[3-(trifluoromethyl)phenoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



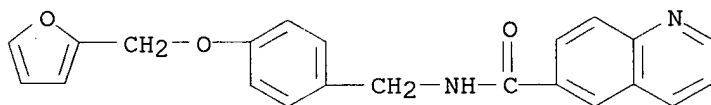
RN 849809-98-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(3-fluorophenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



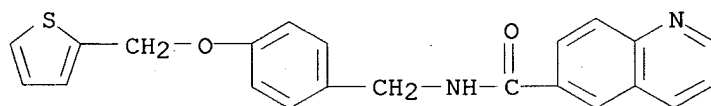
RN 849810-00-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-(2-furanylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



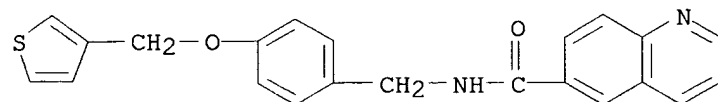
RN 849810-01-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-(2-thienylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 849810-02-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-(3-thienylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

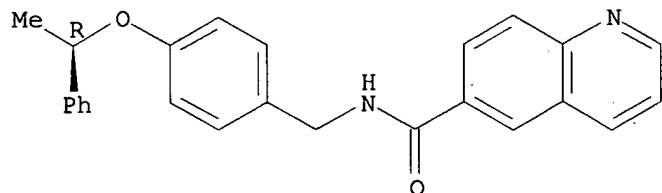


RN 849810-03-3 CAPLUS

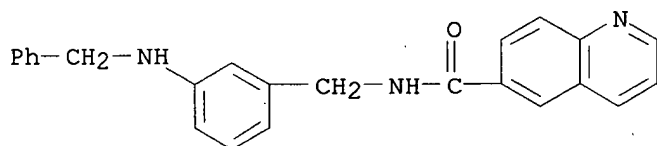
CN 6-Quinolinecarboxamide, N-[[4-[(1R)-1-phenylethoxy]phenyl]methyl]- (9CI)

(CA INDEX NAME)

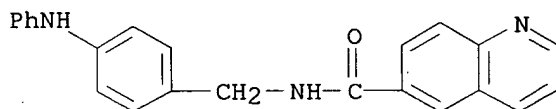
Absolute stereochemistry.



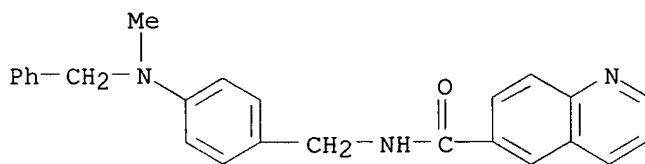
RN 849810-04-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-[(phenylmethyl)amino]phenyl]methyl]- (9CI)
(CA INDEX NAME)

RN 849810-05-5 CAPLUS

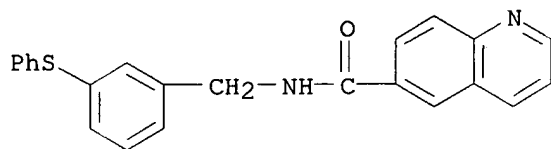
CN 6-Quinolinecarboxamide, N-[[4-(phenylamino)phenyl]methyl]- (9CI) (CA
INDEX NAME)

RN 849810-06-6 CAPLUS

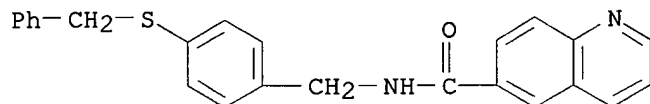
CN 6-Quinolinecarboxamide, N-[[4-[methyl(phenylmethyl)amino]phenyl]methyl]-
(9CI) (CA INDEX NAME)

RN 849810-07-7 CAPLUS

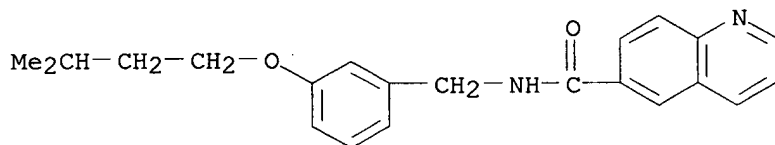
CN 6-Quinolinecarboxamide, N-[[3-(phenylthio)phenyl]methyl]- (9CI) (CA INDEX
NAME)



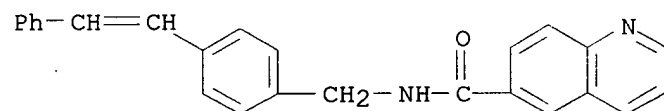
RN 849810-08-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(phenylmethyl)thio]phenyl]methyl]- (9CI)
(CA INDEX NAME)

RN 849810-09-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(3-methylbutoxy)phenyl]methyl]- (9CI) (CA
INDEX NAME)

RN 849810-10-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-(2-phenylethenyl)phenyl]methyl]- (9CI) (CA
INDEX NAME)

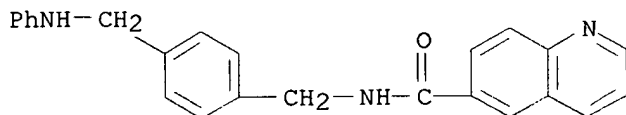
RN 849810-12-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(phenylamino)methyl]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

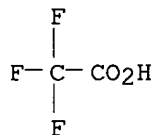
CM 1

CRN 849810-11-3

CMF C24 H21 N3 O

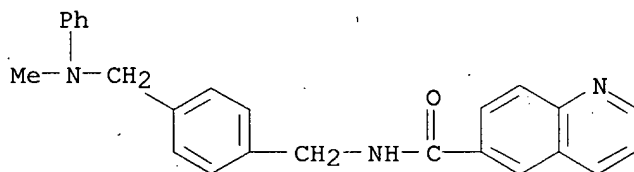


CM 2

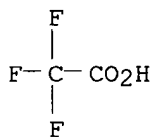
CRN 76-05-1
CMF C2 H F3 O2

RN 849810-14-6 CAPLUS
CN 6-Quinolinecarboxamide, N-[[4-[(methylphenylamino)methyl]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-13-5
CMF C25 H23 N3 O

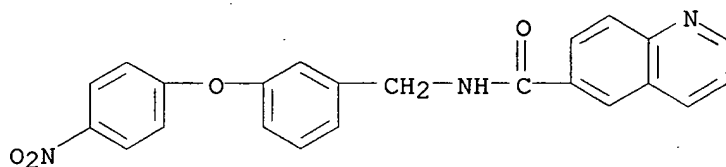
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 849810-16-8 CAPLUS
CN 6-Quinolinecarboxamide, N-[[3-(4-nitrophenoxy)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

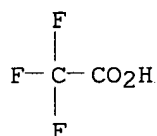
CM 1

CRN 849810-15-7
CMF C23 H17 N3 O4



CM 2

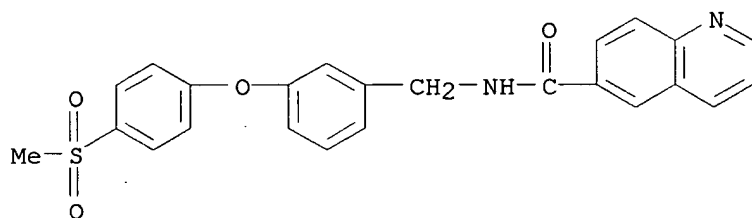
CRN 76-05-1
CMF C2 H F3 O2



RN 849810-18-0 CAPLUS
CN 6-Quinolinecarboxamide, N-[[3-[4-(methylsulfonyl)phenoxy]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

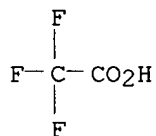
CM 1

CRN 849810-17-9
CMF C24 H20 N2 O4 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



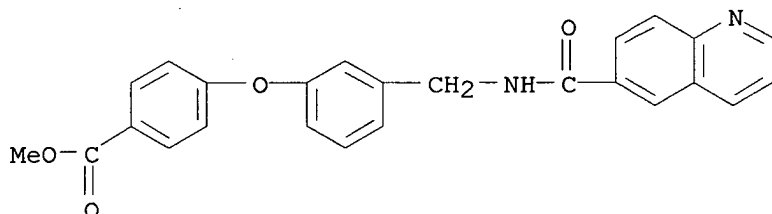
RN 849810-20-4 CAPLUS

CN Benzoic acid, 4-[3-[[[(6-quinolinylcarbonyl)amino]methyl]phenoxy]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-19-1

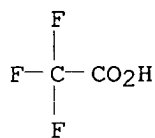
CMF C25 H20 N2 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



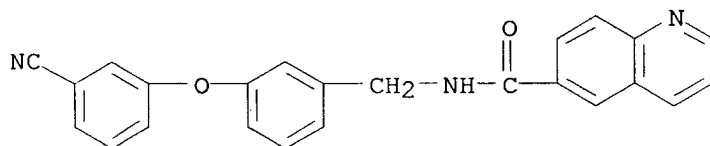
RN 849810-22-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(3-cyanophenoxy)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-21-5

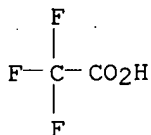
CMF C24 H17 N3 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



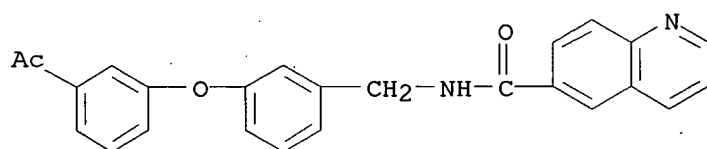
RN 849810-24-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(3-acetylphenoxy)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-23-7

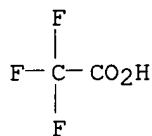
CMF C25 H20 N2 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



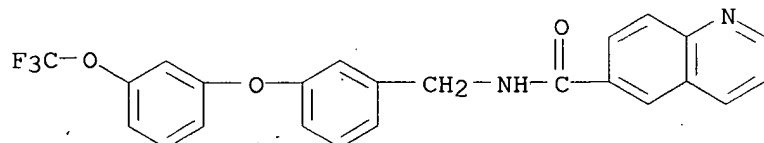
RN 849810-26-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-[3-(trifluoromethoxy)phenoxy]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

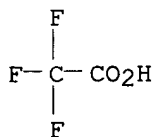
CRN 849810-25-9

CMF C24 H17 F3 N2 O3



CM 2

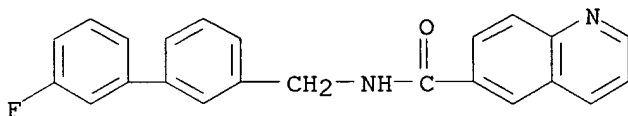
CRN 76-05-1
CMF C2 H F3 O2



RN 849810-28-2 CAPLUS
CN 6-Quinolinecarboxamide, N-[(3'-fluoro[1,1'-biphenyl]-3-yl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

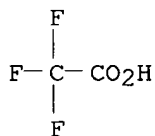
CM 1

CRN 849810-27-1
CMF C23 H17 F N2 O



CM 2

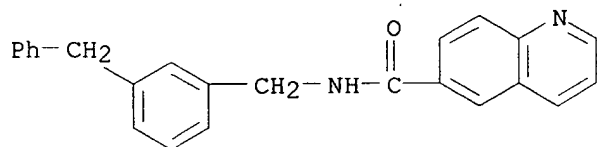
CRN 76-05-1
CMF C2 H F3 O2



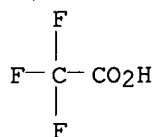
RN 849810-30-6 CAPLUS
CN 6-Quinolinecarboxamide, N-[[3-(phenylmethyl)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

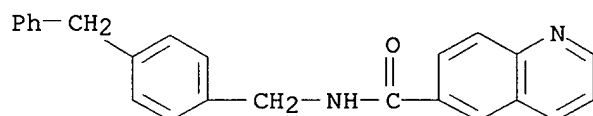
CRN 849810-29-3
CMF C24 H20 N2 O



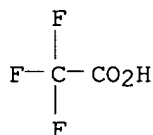
CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 849810-32-8 CAPLUS
CN 6-Quinolinecarboxamide, N-[[4-(phenylmethyl)phenyl]methyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

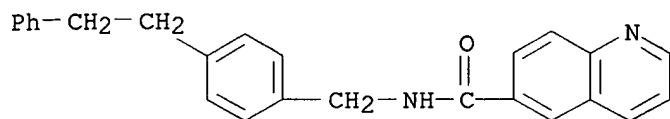
CRN 849810-31-7
CMF C24 H20 N2 O

CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 849810-34-0 CAPLUS
CN 6-Quinolinecarboxamide, N-[[4-(2-phenylethyl)phenyl]methyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

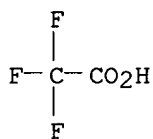
CRN 849810-33-9
CMF C25 H22 N2 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



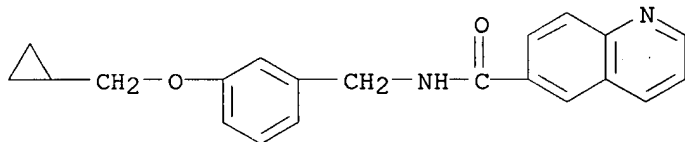
RN 849810-36-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(cyclopropylmethoxy)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-35-1

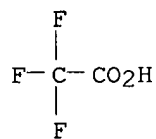
CMF C21 H20 N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2

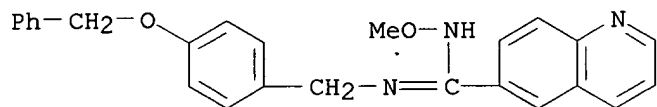


RN 849810-38-4 CAPLUS

CN 6-Quinolinecarboximidamide, N-methoxy-N'-[[4-(phenylmethoxy)phenyl]methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

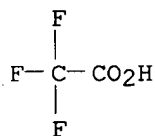
CM 1

CRN 849810-37-3
CMF C25 H23 N3 O2



CM 2

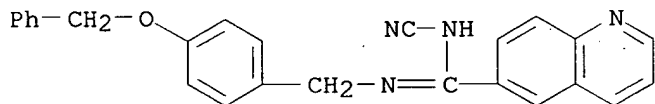
CRN 76-05-1
CMF C2 H F3 O2



RN 849810-40-8 CAPLUS
CN 6-Quinolinecarboximidamide, N-cyano-N'-[[4-(phenylmethoxy)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

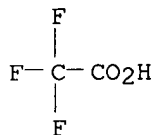
CM 1

CRN 849810-39-5
CMF C25 H20 N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

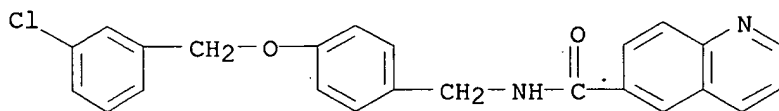


RN 849810-42-0 CAPLUS
CN 6-Quinolinecarboxamide, N-[[4-[(3-chlorophenyl)methoxy]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-41-9

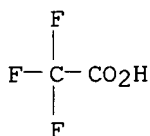
CMF C24 H19 Cl N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



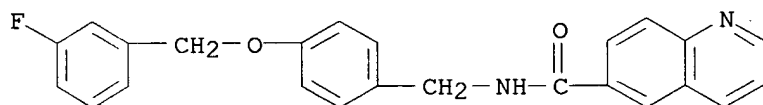
RN 849810-44-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-43-1

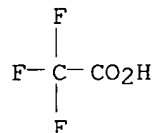
CMF C24 H19 F N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 849810-46-4 CAPLUS

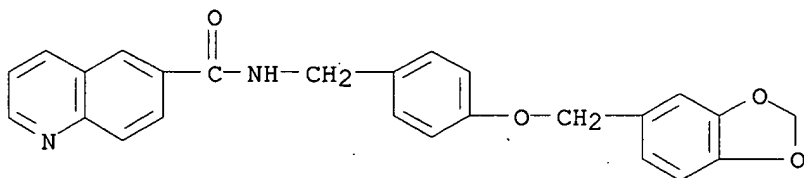
CN 6-Quinolinecarboxamide, N-[[4-(1,3-benzodioxol-5-ylmethoxy)phenyl]methyl]-

, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-45-3

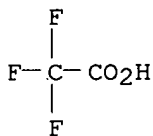
CMF C25 H20 N2 O4



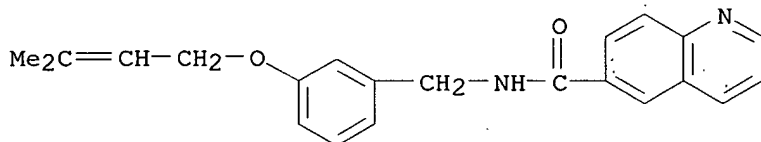
CM 2

CRN 76-05-1

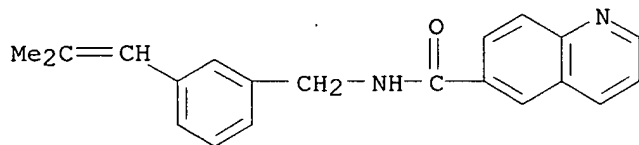
CMF C2 H F3 O2



RN 849810-47-5 CAPLUS

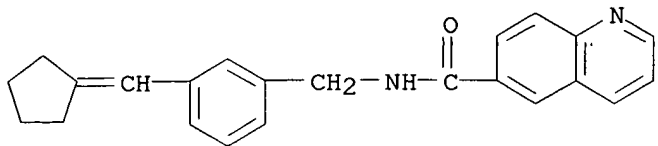
CN 6-Quinolinecarboxamide, N-[[3-[(3-methyl-2-butenyl)oxy]phenyl]methyl]-
(9CI) (CA INDEX NAME)

RN 849810-48-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(2-methyl-1-propenyl)phenyl]methyl]- (9CI)
(CA INDEX NAME)

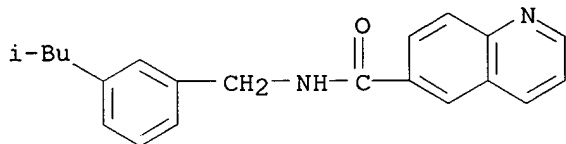
RN 849810-49-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(cyclopentylidenemethyl)phenyl]methyl]-
(9CI) (CA INDEX NAME)



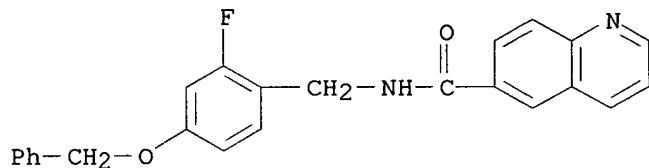
RN 849810-50-0 CAPLUS

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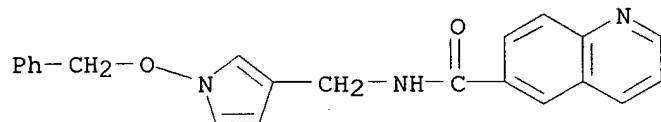
RN 849810-51-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[2-fluoro-4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



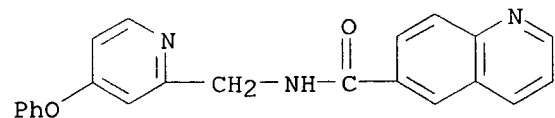
RN 849810-52-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1-(phenylmethoxy)-1H-pyrrol-3-yl]methyl]- (9CI) (CA INDEX NAME)



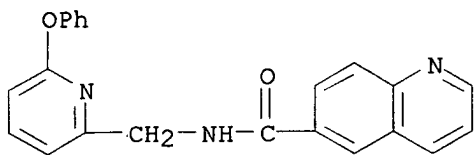
RN 849810-53-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-phenoxy-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



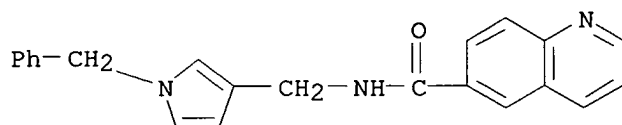
RN 849810-54-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[(6-phenoxy-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



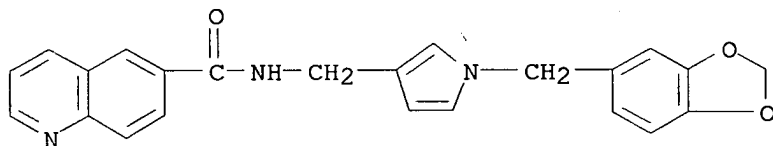
RN 849810-55-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1-(phenylmethyl)-1H-pyrrol-3-yl]methyl]- (9CI)
(CA INDEX NAME)



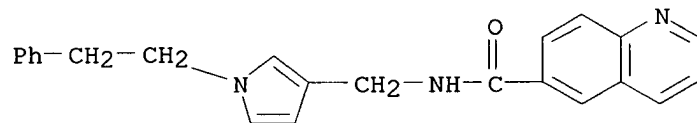
RN 849810-56-6 CAPLUS

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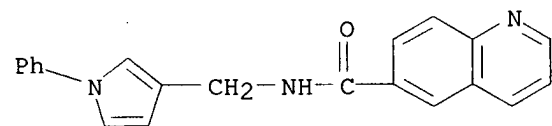
RN 849810-57-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[1-(2-phenylethyl)-1H-pyrrol-3-yl]methyl]- (9CI) (CA INDEX NAME)



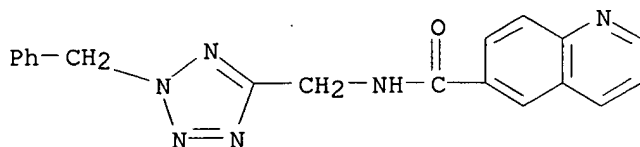
RN 849810-58-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1-phenyl-1H-pyrrol-3-yl)methyl]- (9CI) (CA INDEX NAME)



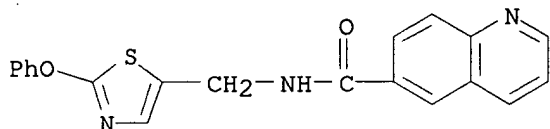
RN 849810-59-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[[2-(phenylmethyl)-2H-tetrazol-5-yl]methyl]- (9CI) (CA INDEX NAME)



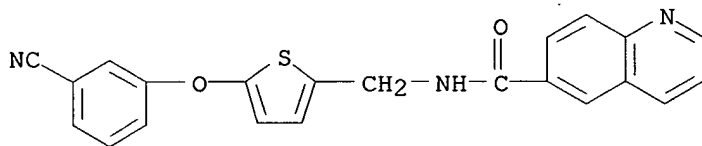
RN 849810-60-2 CAPLUS

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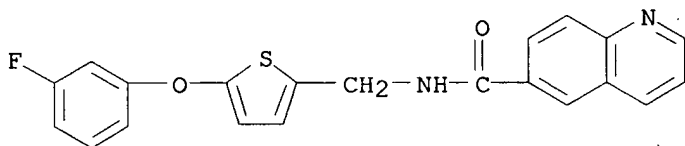
RN 849810-61-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(3-cyanophenoxy)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



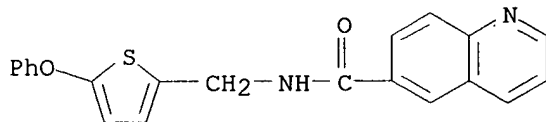
RN 849810-62-4 CAPLUS

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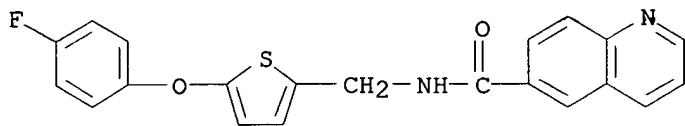
RN 849810-63-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(4-fluorophenoxy)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

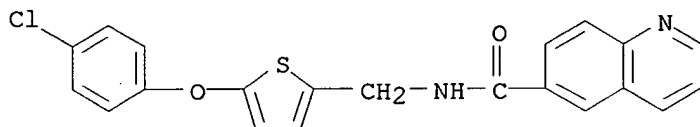


RN 849810-64-6 CAPLUS

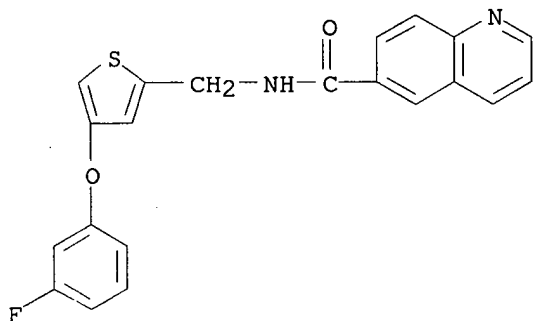
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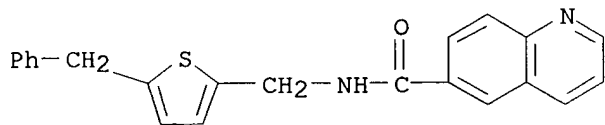
RN 849810-65-7 CAPLUS
 CN 6-Quinolinecarboxamide, N-[[5-(4-chlorophenoxy)-2-thienyl]methyl]- (9CI)
 (CA INDEX NAME)



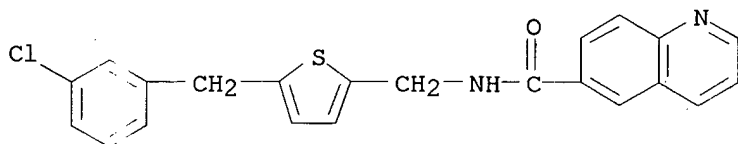
RN 849810-66-8 CAPLUS
 CN 6-Quinolinecarboxamide, N-[[4-(3-fluorophenoxy)-2-thienyl]methyl]- (9CI)
 (CA INDEX NAME)



RN 849810-67-9 CAPLUS
 CN 6-Quinolinecarboxamide, N-[[5-(phenylmethoxy)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

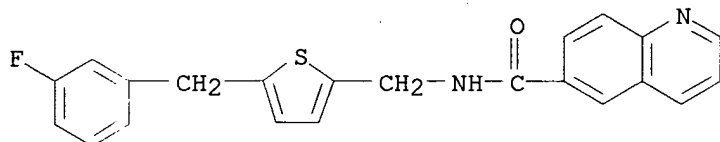


RN 849810-68-0 CAPLUS
 CN 6-Quinolinecarboxamide, N-[[5-[(3-chlorophenyl)methyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



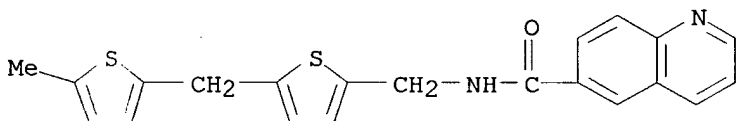
RN 849810-69-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-[(3-fluorophenyl)methyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



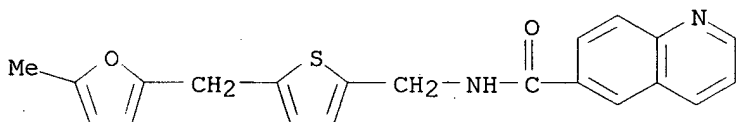
RN 849810-70-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-[(5-methyl-2-thienyl)methyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



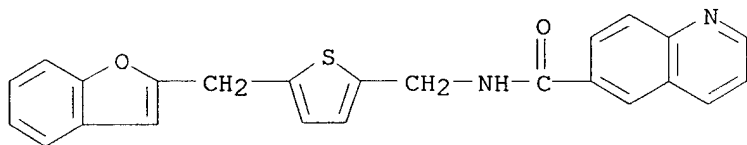
RN 849810-71-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-[(5-methyl-2-furanyl)methyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



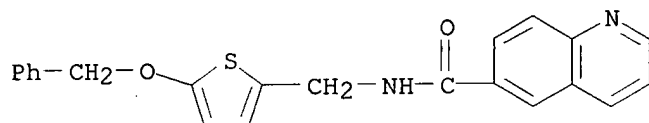
RN 849810-72-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(2-benzofuranylmethyl)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 849810-73-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(phenylmethoxy)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



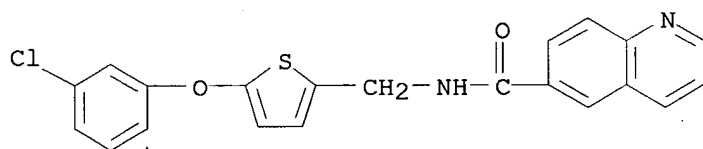
RN 849810-75-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(3-chlorophenoxy)-2-thienyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 849810-74-8

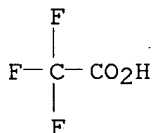
CMF C21 H15 Cl N2 O2 S



CM 2

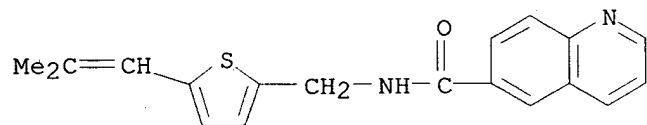
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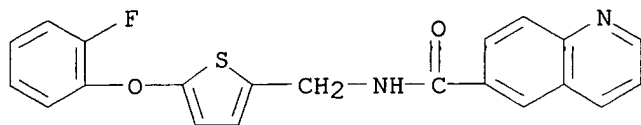
RN 849810-76-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(2-methyl-1-propenyl)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

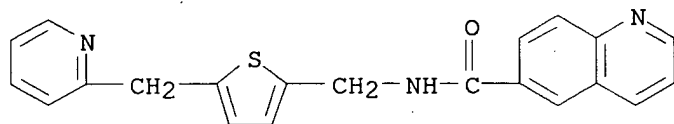


RN 849810-78-2 CAPLUS

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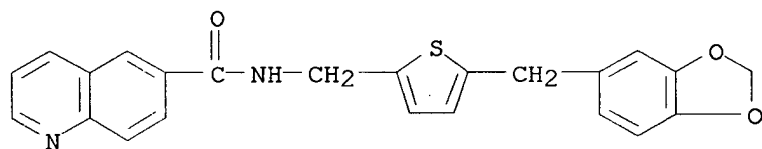


RN 849810-79-3 CAPLUS

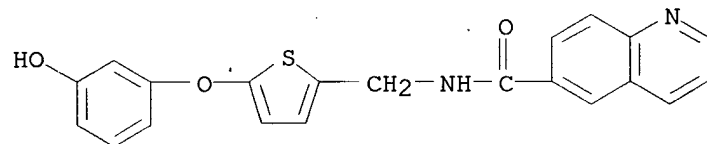
CN 6-Quinolinecarboxamide, N-[[5-(2-pyridinylmethyl)-2-thienyl]methyl]- (9CI)
(CA INDEX NAME)

RN 849810-80-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(1,3-benzodioxol-5-ylmethyl)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

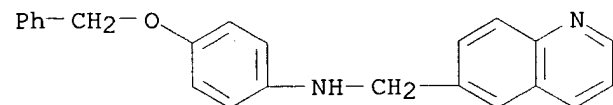


RN 849810-81-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[5-(3-hydroxyphenoxy)-2-thienyl]methyl]- (9CI)
(CA INDEX NAME)

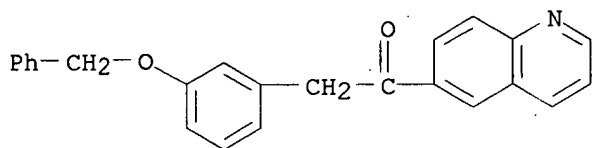
RN 849811-22-9 CAPLUS

CN 6-Quinolinemethanamine, N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

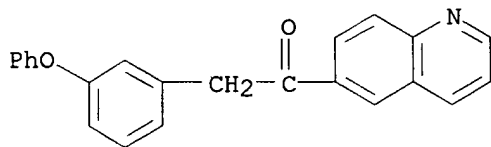


RN 849811-24-1 CAPLUS

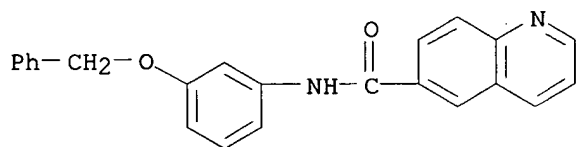
CN Ethanone, 2-[3-(phenylmethoxy)phenyl]-1-(6-quinolinyl)- (9CI) (CA INDEX NAME)



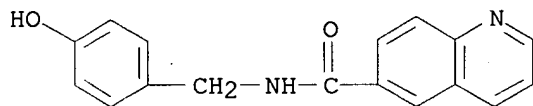
RN 849811-25-2 CAPLUS
 CN Ethanone, 2-(3-phenoxyphenyl)-1-(6-quinolinyl)- (9CI) (CA INDEX NAME)



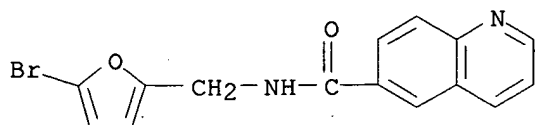
RN 849811-34-3 CAPLUS
 CN 6-Quinolinecarboxamide, N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



IT 849805-66-9P 849805-67-0P 849805-68-1P
 849805-69-2P 849805-70-5P 849805-71-6P
 849805-72-7P 849805-73-8P 849805-74-9P
 849805-75-0P 849805-93-2P 849811-67-2P
 849811-69-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of heterocyclic compds. as antifungal agents)
 RN 849805-66-9 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(4-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

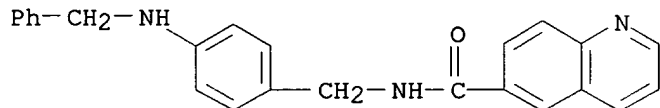


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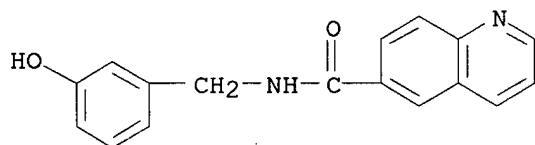
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(CA INDEX NAME)



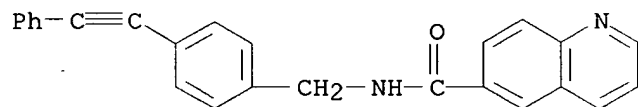
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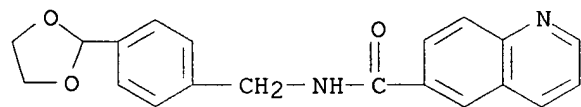
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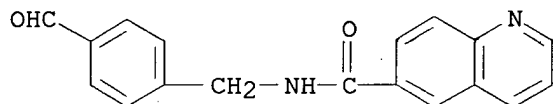
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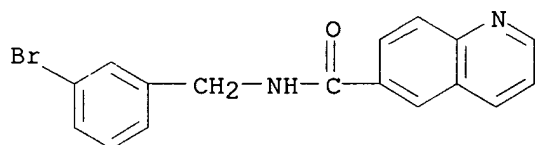
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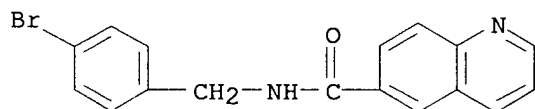
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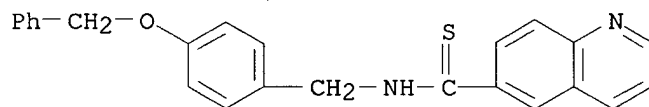
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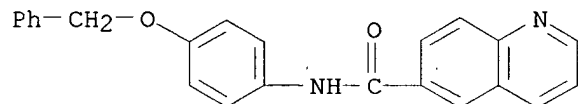
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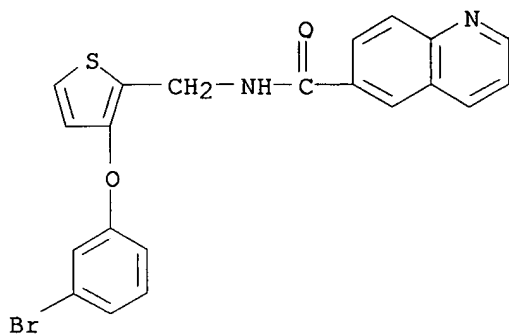
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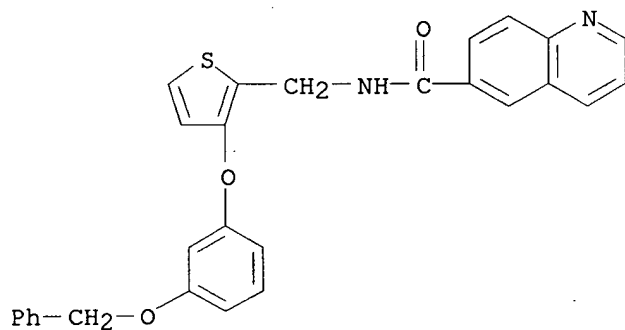
RN 849811-67-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-(3-bromophenoxy)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 849811-69-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[[3-[3-(phenylmethoxy)phenoxy]-2-thienyl]methyl]-
(9CI) (CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 12 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:324000 CAPLUS

DN 142:392407

TI Preparation of monocyclic and bicyclic lactams, in particular derivatives of pyrrolidines and pyrroloimidazoles, as Factor Xa inhibitors

IN Han, Wei; Qiao, Jennifer; Hu, Zilun

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 329 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005032468	A2	20050414	WO 2004-US31857	20040929
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	US 2005107361	A1	20050519	US 2004-952397	20040928
PRAI	US 2003-507533P	P	20031001		
	US 2004-952397	A	20040928		

OS MARPAT 142:392407

AB Title compds. [I and II; V = (CH₂)_n; n = 1-3; U = (CH₂)_m; m = 1-2; one of T1 and T2 = CO, CS, SO₂, and the other = CO, CS, SO₂, CH₂, CHOH; one of Z1 and Z2 = N, and the other = C; G = (un)substituted Ph, pyrimidyl, pyrazinyl, pyridazinyl, etc. optionally fused with a 5-6 membered ring containing 0-2 heteroatoms; G1 = SO₂NH and derivs., NHCO, NHCSNH and derivs., (un)substituted alkylene, etc.; A = (un)substituted carbocycle, heterocycle; B = alkylene, SO₂H and derivs., (un)substituted carbocycle, heterocycle, etc.; R1a at each occurrence = H, (un)substituted alkylene, alkenylene, alkynylene, etc.; or R1aCCR1a = (un)substituted 5-7 membered ring; their stereoisomers or pharmaceutically acceptable salts; with provisos], were prepared as inhibitors of trypsin-like serine proteases, specifically Factor Xa. For example, an eleven-step synthesis starting from trans-3-Hydroxy-L-proline is given for lactam III. I displayed K_i ≤ 10 μM for the inhibition of Factor Xa. I were effective thrombin inhibitors; K_i ≤ 10 μM. I are useful antithrombotics.

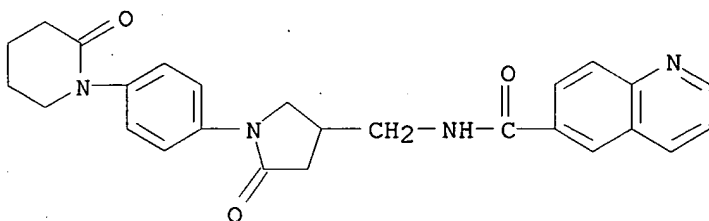
IT **849997-78-0P**, N-[[5-Oxo-1-[4-(2-oxopiperidin-1-yl)phenyl]pyrrolidin-3-yl]methyl]quinoline-6-carboxamide
849997-88-2P, 2-Methoxy-N-[[5-oxo-1-[4-(2-oxopiperidin-1-yl)phenyl]pyrrolidin-3-yl]methyl]quinoline-6-carboxamide
849998-68-1P, N-[[1-[4-[N-Methyl-2-(pyrrolidin-1-yl)acetamido]phenyl]-5-oxopyrrolidin-3-yl]methyl]quinoline-6-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of monocyclic and bicyclic lactams as Factor Xa inhibitors)

RN 849997-78-0 CAPLUS

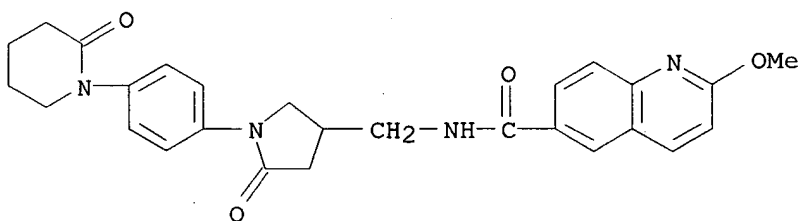
CN 6-Quinolinecarboxamide, N-[[5-oxo-1-[4-(2-oxo-1-piperidinyl)phenyl]-3-

pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



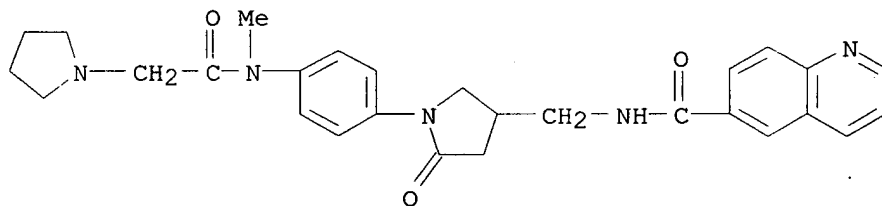
RN 849997-88-2 CAPLUS

CN 6-Quinolinecarboxamide, 2-methoxy-N-[[5-oxo-1-[4-(2-oxo-1-piperidinyl)phenyl]-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 849998-68-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[[[1-[4-[methyl(1-pyrrolidinylacetyl)amino]phenyl]-5-oxo-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 13 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:300395 CAPLUS

DN 142:355054

TI Preparation of amide derivatives as inhibitors of histone deacetylase

IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie;
Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy
C.

PA Methylgene, Inc., Can.

SO PCT Int. Appl., 559 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030705	A1	20050407	WO 2004-US31591	20040924
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2003-505884P P 20030924

US 2003-532973P P 20031229

US 2004-561082P P 20040409

OS MARPAT 142:355054

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction The inhibitory

capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μ M. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

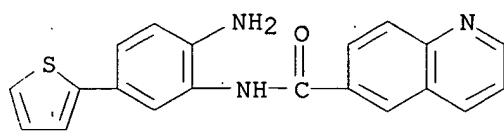
IT 849234-66-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 849234-66-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-amino-5-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 14 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:300394 CAPLUS

DN 142:373563

TI Preparation of amide derivatives as inhibitors of histone deacetylase

IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.

PA Methylgene, Inc., Can.

SO PCT Int. Appl., 389 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030704	A1	20050407	WO 2004-US31590	20040924
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2003-505884P	P	20030924		
	US 2003-532973P	P	20031229		
	US 2004-561082P	P	20040409		

OS MARPAT 142:373563

AB Title compds. I [Arl = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction The inhibitory

capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μ M. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

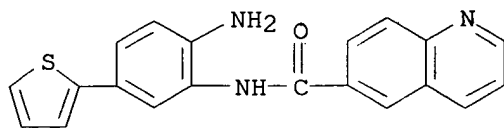
IT 849234-66-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 849234-66-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-amino-5-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 15 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:259646 CAPLUS
 DN 142:291408
 TI Method of treating obesity and metabolic disorders related to excess
 adipose tissue by administration of natriuretic peptide receptor c
 inhibitors
 IN Chada, Kiran K.; Chouinard, Roland; Ashar, Hena; Sayed, Abu
 PA USA
 SO U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S. Ser. No. 768,566.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005065092	A1	20050324	US 2004-898490	20040722
	US 2004259789	A1	20041223	US 2004-768566	20040129
PRAI	US 2002-398785P	P	20020729		
	US 2003-478206P	P	20030612		
	US 2003-630423	A1	20030729		
	US 2004-768566	A2	20040129		

AB Disclosed is a method of using synthetic analogs of natriuretic peptides
 and more particularly to synthetic linear peptide analogs as
 pro-lipolytic, as anti-obesity agents, and as intermediates for or
 modulators of such useful compds. Inhibitors to nprC are disclosed to
 treat or prevent adipose accumulation in mammals.

IT 301838-47-1P 301838-69-7P 301839-05-4P
 301839-11-2P 301839-93-0P 301839-94-1P
 301840-14-2P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

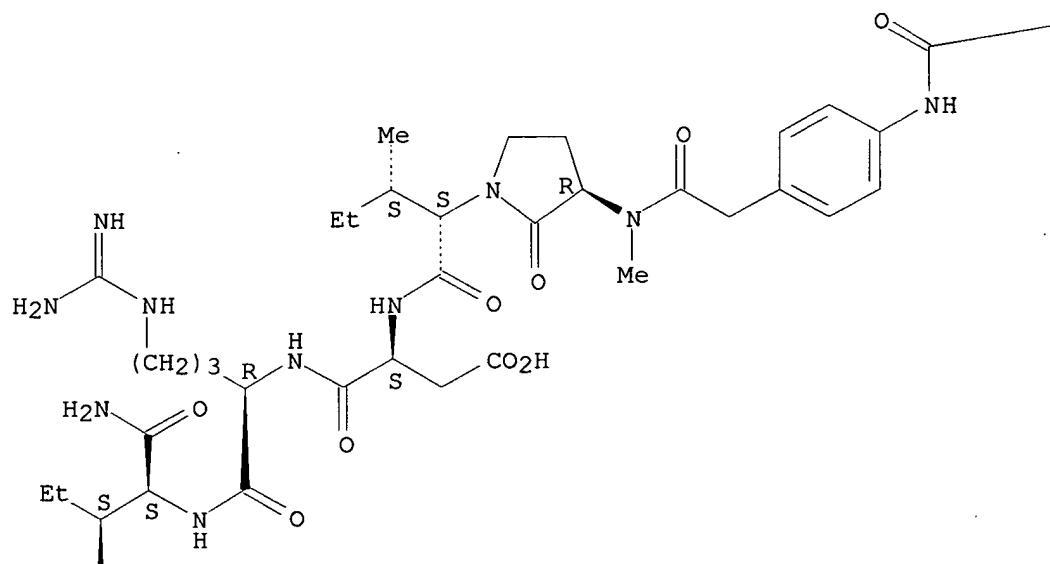
(method of treating obesity and metabolic disorders related to excess
 adipose tissue by administration of natriuretic peptide receptor c
 inhibitors)

RN 301838-47-1 CAPLUS

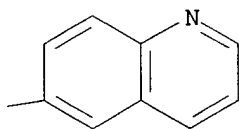
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-2-[(3R)-3-[methyl[[4-[(6-
 quinolinylcarbonyl)amino]phenyl]acetyl]amino]-2-oxo-1-pyrrolidinyl]-1-
 oxopentyl]-L- α -aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-A

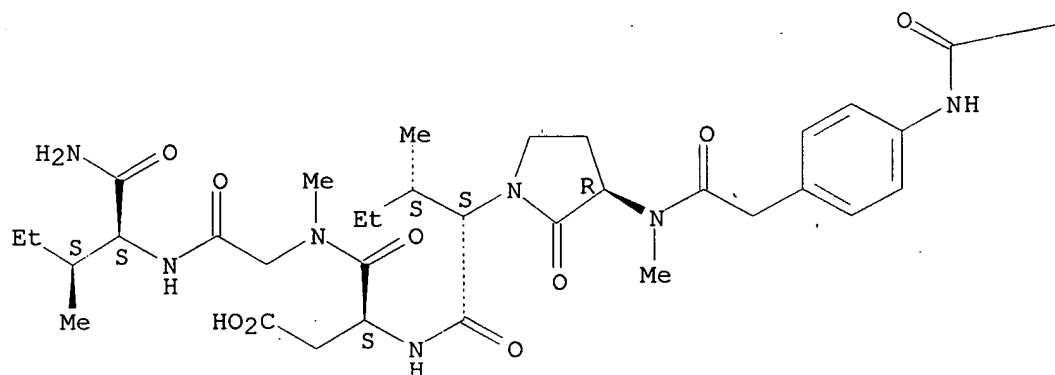


RN 301838-69-7 CAPLUS

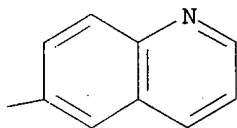
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-2-[(3R)-3-[methyl[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopentyl]-L-α-aspartyl-N-methylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

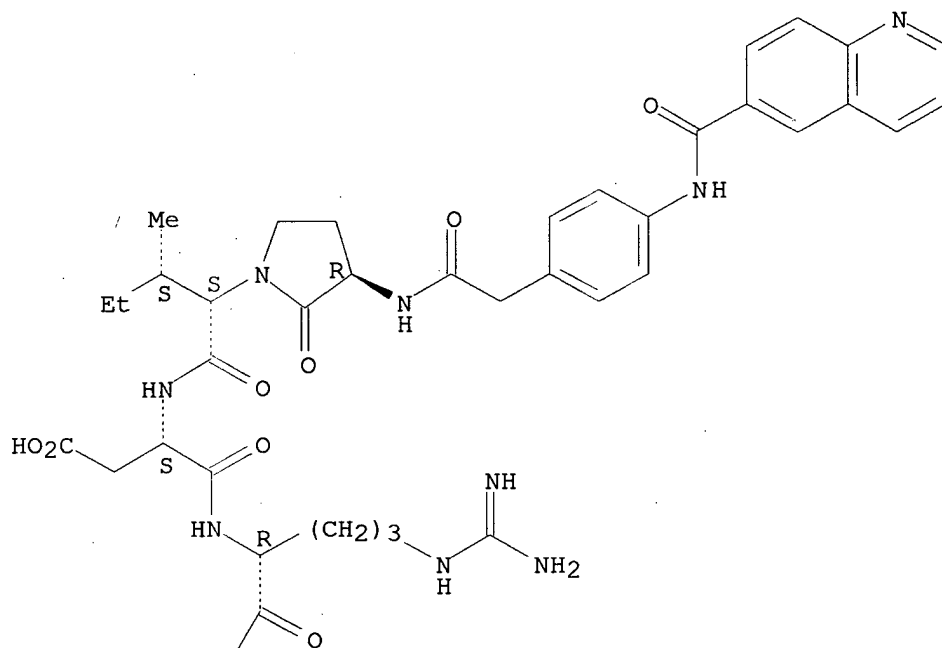


RN 301839-05-4 CAPLUS

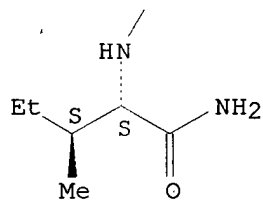
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



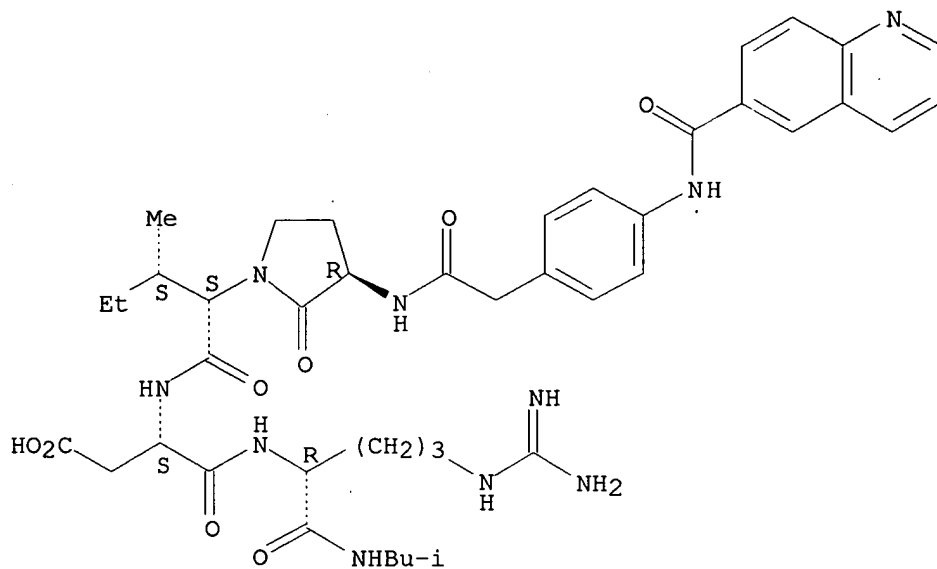
PAGE 2-A



RN 301839-11-2 CAPLUS

CN D-Argininamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

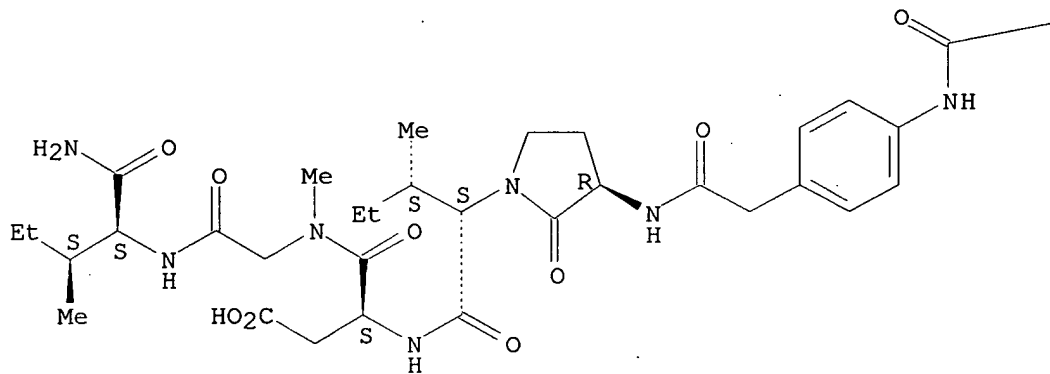


RN 301839-93-0 CAPLUS

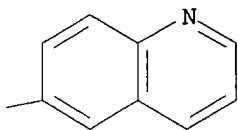
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-N-methylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

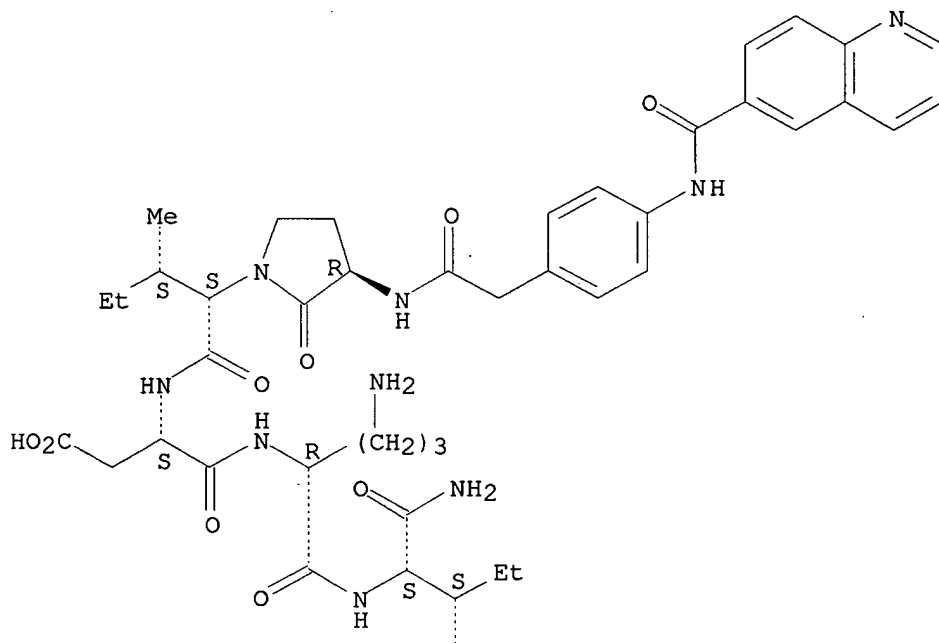


RN 301839-94-1 CAPLUS

CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-D-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

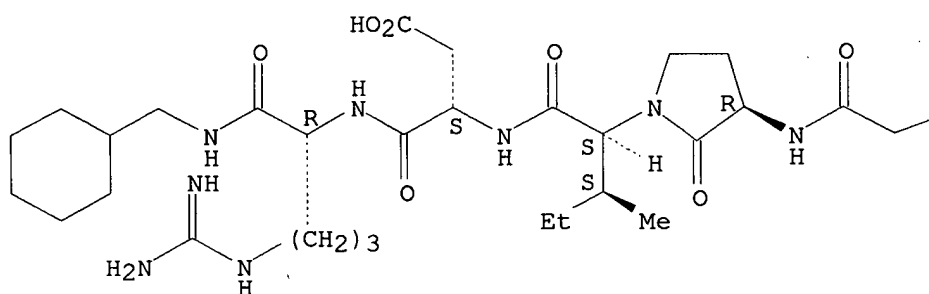
Me

RN 301840-14-2 CAPLUS

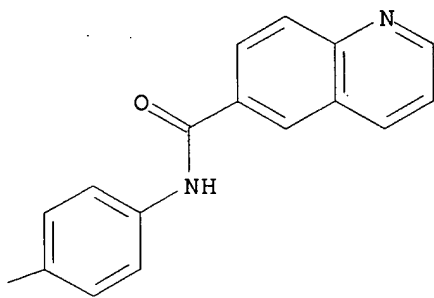
CN D-Argininamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L62 ANSWER 16 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:219789 CAPLUS

DN 142:297988

TI Preparation of substituted 2,3-dihydro-1H-isoindol-1-one derivatives as VEGF modulators and methods of use against cancer and other disorders

IN Tegley, Christopher; Adams, Jeffrey A.; Askew, Benny C., Jr.; Croghan, Michael; Elbaum, Daniel; Germain, Julie; Habgood, Gregory J.; Harried, Scott; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Tasker, Andrew; Yang, Kevin

PA Amgen Inc, USA

SO PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005021532	A1	20050310	WO 2004-US27595	20040825
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005054670	A1	20050310	US 2004-926238	20040824
PRAI	US 2003-497878P	P	20030825		
	US 2004-926238	A	20040824		

OS MARPAT 142:297988

AB Selective substituted 2,3-dihydro-1H-isoindol-1-one derivs. (shown as I; where X = CH, N; Y = alk(en)yl, O, NH and derivs., etc.; Z = CH, CHR⁵; R⁵ = H, OH and derivs., lower alkyl; R = (un)substituted 6-10-membered aryl, 4-6-membered heterocyclyl, 9-14-membered fused heterocyclyl, arylalkyl, heterocyclylalkyl, etc.; R¹ = (un)substituted 6-10-membered aryl, 5-6-membered heterocyclyl, 9-14-membered fused heterocyclyl, cycloalk(en)yl, lower alk(en)yl; R⁶ = H, halo, OH and derivs., etc.) are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving cancer and the like. For example, II was prepared by hydrogenation of 2-(4-tert-Butylphenyl)-7-nitro-2,3-dihydroisoindol-1-one (preparation given) over Pd/C, followed by reductive alkylation of amine (no data) with 4-pyridinylcarboxaldehyde. Compds. I showed inhibition of KDR at doses <50 μ M. Some of the exemplified I inhibited VEGF-stimulated HUVEC proliferation at a level below 500 nm. Compds. I are active at doses <150 mpk in a tumor model.

IT **847694-77-3P**, 4,4-Dimethyl-7-[1-oxo-7-[(quinolin-6-ylmethyl)amino]-1,3-dihydroisoindol-2-yl]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester

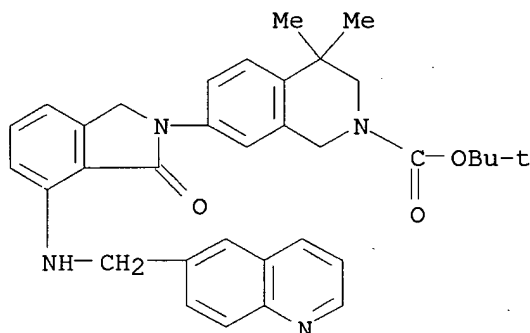
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of substituted 2,3-dihydro-1H-isoindol-1-one

derivs. as VEGF modulators and methods of use against cancer and other disorders)

RN 847694-77-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 7-[1,3-dihydro-1-oxo-7-[(6-quinolinylmethyl)amino]-2H-isoindol-2-yl]-3,4-dihydro-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

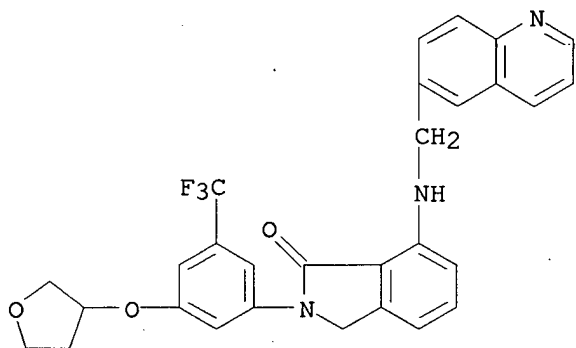


IT **847694-76-2P**, 7-[(Quinolin-6-ylmethyl)amino]-2-[3-[(tetrahydrofuran-3-yl)oxy]-5-trifluoromethylphenyl]-2,3-dihydroisoindol-1-one **847694-81-9P**, 2-(4-sec-Butylphenyl)-7-[[[(quinolin-6-yl)methyl]amino]-2,3-dihydroisoindol-1-one **847694-83-1P**, 2-[4-(2-Methoxy-1,1-dimethylethyl)phenyl]-7-[[[(quinolin-6-yl)methyl]amino]-2,3-dihydroisoindol-1-one **847694-85-3P**, 2-(4-Phenoxyphenyl)-7-[[[(quinolin-6-yl)methyl]amino]-2,3-dihydroisoindol-1-one **847694-88-6P**, 2-(4-tert-Butylphenyl)-7-[[[(quinolin-6-yl)methyl]amino]-2,3-dihydroisoindol-1-one **847695-10-7P**, 2-(3-Methoxybenzyl)-7-[[[(quinolin-6-yl)methyl]amino]-2,3-dihydroisoindol-1-one **847695-22-1P**, 7-[(Quinolin-6-ylmethyl)amino]-2-(4-trifluoromethoxyphenyl)-2,3-dihydroisoindol-1-one **847695-27-6P**, N-[2-tert-Butyl-5-[1-oxo-7-[(quinolin-6-ylmethyl)amino]-1,3-dihydroisoindol-2-yl]phenyl]-2-(piperidin-1-yl)acetamide **847695-29-8P**, N-[2-tert-Butyl-5-[1-oxo-7-[(quinolin-6-ylmethyl)amino]-1,3-dihydroisoindol-2-yl]phenyl]-2-(2-morpholin-4-yl)acetamide **847695-38-9P**, 2-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-7-[[[(quinolin-6-yl)methyl]amino]-2,3-dihydroisoindol-1-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted 2,3-dihydro-1H-isoindol-1-one derivs. as VEGF modulators and methods of use against cancer and other disorders)

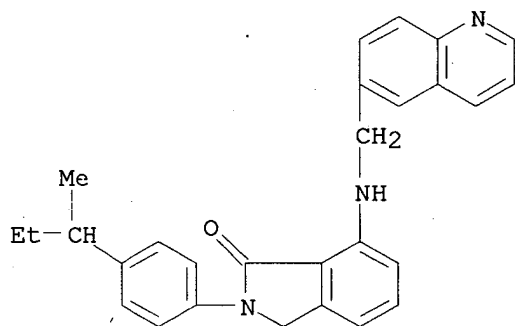
RN 847694-76-2 CAPLUS

CN 1H-Isoindol-1-one, 2,3-dihydro-7-[(6-quinolinylmethyl)amino]-2-[3-[(tetrahydro-3-furanyl)oxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



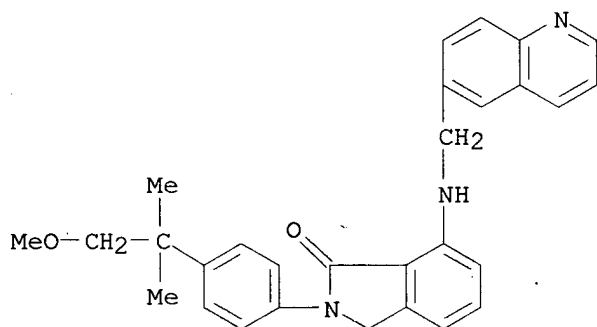
RN 847694-81-9 CAPLUS

CN 1H-Isoindol-1-one, 2,3-dihydro-2-[4-(1-methylpropyl)phenyl]-7-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



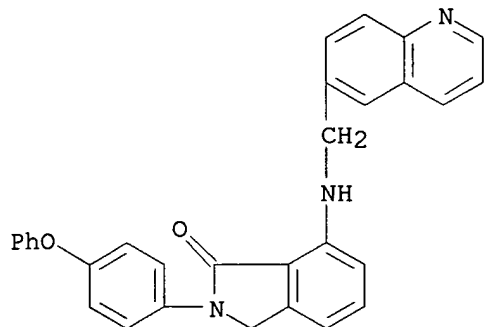
RN 847694-83-1 CAPLUS

CN 1H-Isoindol-1-one, 2,3-dihydro-2-[4-(2-methoxy-1,1-dimethylethyl)phenyl]-7-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



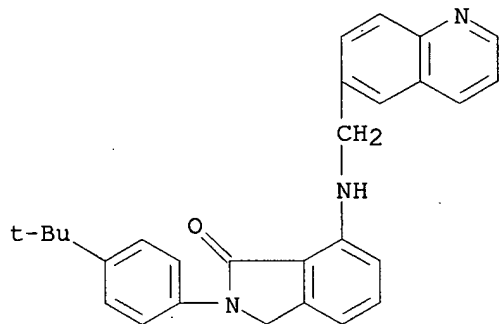
RN 847694-85-3 CAPLUS

CN 1H-Isoindol-1-one, 2,3-dihydro-2-(4-phenoxyphenyl)-7-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



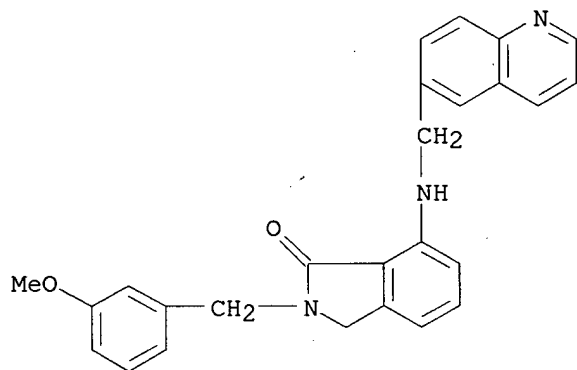
RN 847694-88-6 CAPLUS

CN 1H-Isoindol-1-one, 2-[4-(1,1-dimethylethyl)phenyl]-2,3-dihydro-7-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



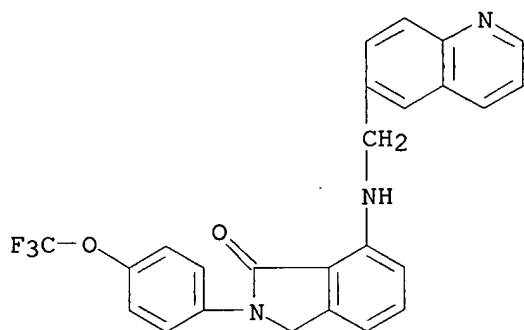
RN 847695-10-7 CAPLUS

CN 1H-Isoindol-1-one, 2-[(3-methoxyphenyl)methyl]-2,3-dihydro-7-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



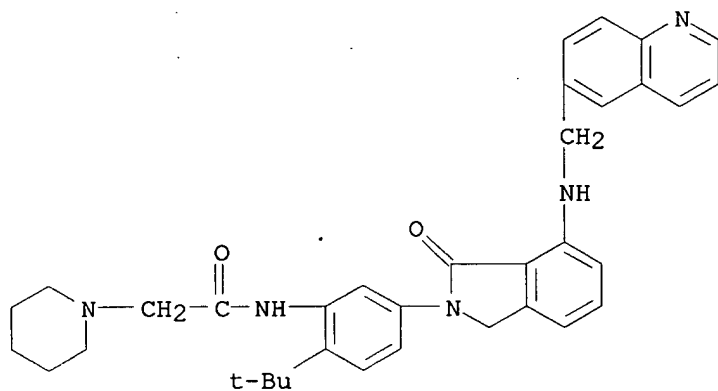
RN 847695-22-1 CAPLUS

CN 1H-Isoindol-1-one, 2-[4-(trifluoromethoxy)phenyl]-2,3-dihydro-7-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



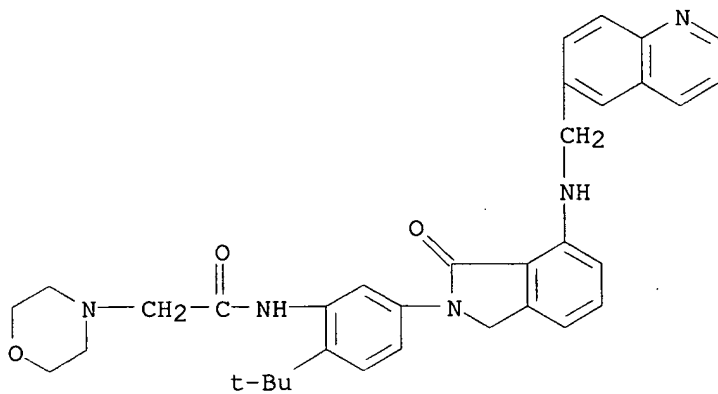
RN 847695-27-6 CAPLUS

CN 1-Piperidineacetamide, N-[5-[1,3-dihydro-1-oxo-7-[(6-quinolinylmethyl)amino]-2H-isoindol-2-yl]-2-(1,1-dimethylethyl)phenyl]-(9CI) (CA INDEX NAME)



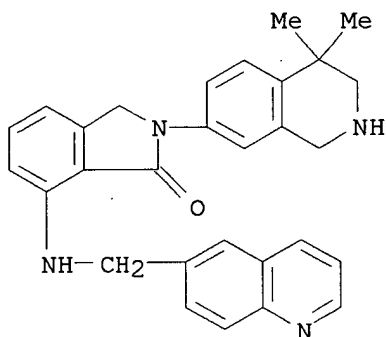
RN 847695-29-8 CAPLUS

CN 4-Morpholineacetamide, N-[5-[1,3-dihydro-1-oxo-7-[(6-quinolinylmethyl)amino]-2H-isoindol-2-yl]-2-(1,1-dimethylethyl)phenyl]-(9CI) (CA INDEX NAME)



RN 847695-38-9 CAPLUS

CN 1H-Isoindol-1-one, 2,3-dihydro-7-[(6-quinolinylmethyl)amino]-2-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 17 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:199480 CAPLUS

DN 142:429971

TI Melanocortin subtype-4 receptor agonists containing a piperazine core with substituted aryl sulfonamides

AU Fotsch, Christopher; Han, Nianhe; Arasasingham, Premilla; Bo, Yunxin; Carmouche, Michelle; Chen, Ning; Davis, James; Goldberg, Martin H.; Hale, Clarence; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin; Bannon, Anthony W.; Baumgartner, James W.

CS Departments of Chemistry Research and Discovery and Metabolic Disorders, Amgen Inc., Thousand Oaks, CA, 91320, USA

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(6), 1623-1627

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

AB The biol. activity for a set of melanocortin-4 receptor (MC4R) agonists containing a piperazine core with an ortho-substituted aryl sulfonamide is described. Compds. from this set had binding and functional activities at MC4R less than 30 nM. The most selective compound I in this series was >25,000-fold more potent at MC4R than MC3R, and 490-fold more potent at MC4R than MC5R. This compound also reduced food intake after oral dosing at 25, 50, and 100 mg kg⁻¹ in fasted mice.

IT 850998-22-0P

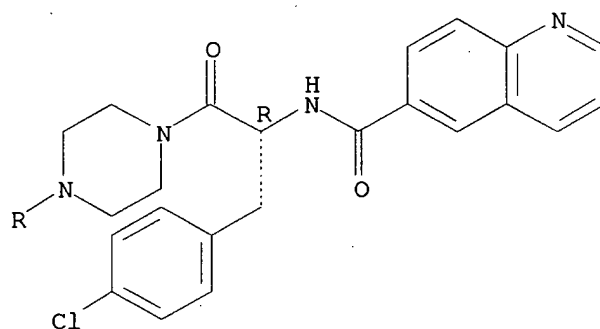
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, melanocortin receptor affinity, and structure-activity relationship of aryl sulfonamides starting from N-BOC-N-(aminophenyl)piperazine, sulfonyl chlorides, and amino acids)

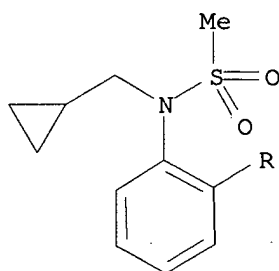
RN 850998-22-0 CAPLUS

CN 6-Quinolinesulfonamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(cyclopropylmethyl)(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 18 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:182682 CAPLUS

DN 142:280384

TI Preparation of macrocyclic azalide and azalactam derivatives as antibacterial agents and process for the production of the same

IN Miura, Tomoaki; Kanemoto, Kenichi; Natsume, Satomi; Ohkura, Naoto; Fujihira, Yumiko; Watanabe, Takashi; Fushimi, Hideki; Atsumi, Kunio; Ajito, Keiichi

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 446 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005019238	A1	20050303	WO 2004-JP12323	20040820
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2003-208407 A 20030822

OS MARPAT 142:280384

AB Compds. represented by the general formula (I) or pharmaceutically acceptable salts thereof [wherein R1 = H, straight-chain C1-6 alkylcarbonyl; R2 = H, C1-6 alkylcarbonyl; R3 = H, C1-6 alkyl, C1-6 alkylcarbonyl, C1-6 alkenyl, C2-6 alkenylcarbonyl, C2-6 alkynyl, or Ar-B-group (wherein Ar = aryl or heterocyclyl; B = C1-6 alkyl, C1-6 alkylcarbonyl, C2-6 alkenyl, C2-6 alkenylcarbonyl, C2-6 alkynyl); R5, R6, R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar-B'-group (wherein B' = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl); X = O, NR4 (wherein R4 = H, C1-6 alkyl or C1-6 alkyl which may be substituted with Ar); and R4' = H, a group represented by the general formula Q (wherein R3", R4" = H, straight-chain or branched C1-6 alkylcarbonyl)] are prepared. These compds. are effective in the prevention and/or treatment of infections with microbes. For example, compound (II) showed min. inhibitory concentration of

0.25,

0.015, 0.03, 0.25, 0.06, 0.5, and 2 µg/mL against Staphylococcus aureus 209P JC-1, Streptococcus pneumoniae DPI Type I, S. pneumoniae IP692, S. pneumoniae TH-662, S. pyogenes Cook, Moraxella catarrhalis W-0506, and Haemophilus influenzae 9334, resp., vs. 0.5, 0.25, 0.5, >128, 0.25, 2, and 2, resp., for midecamycin (midecamycin).

IT 847261-32-9P 847261-33-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of macrocyclic azalide and azalactam derivs. as antibacterial agents)

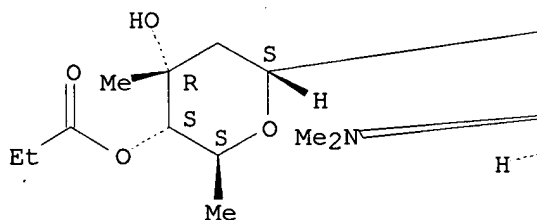
RN 847261-32-9 CAPLUS

CN 1-Oxa-6-azacyclohexadecane-11-acetaldehyde, 12-[[3,6-dideoxy-4-O-[2,6-dideoxy-3-C-methyl-4-O-(1-oxopropyl)-α-L-ribo-hexopyranosyl]-3-

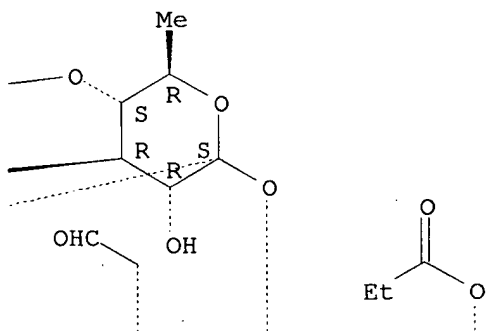
(dimethylamino)- β -D-glucopyranosyl]oxy]-8-hydroxy-13-methoxy-6,9-dimethyl-16-oxo-14-(1-oxopropoxy)-2-[(2E)-3-(6-quinolinyl)-2-propenyl]-, (2R,8R,9R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



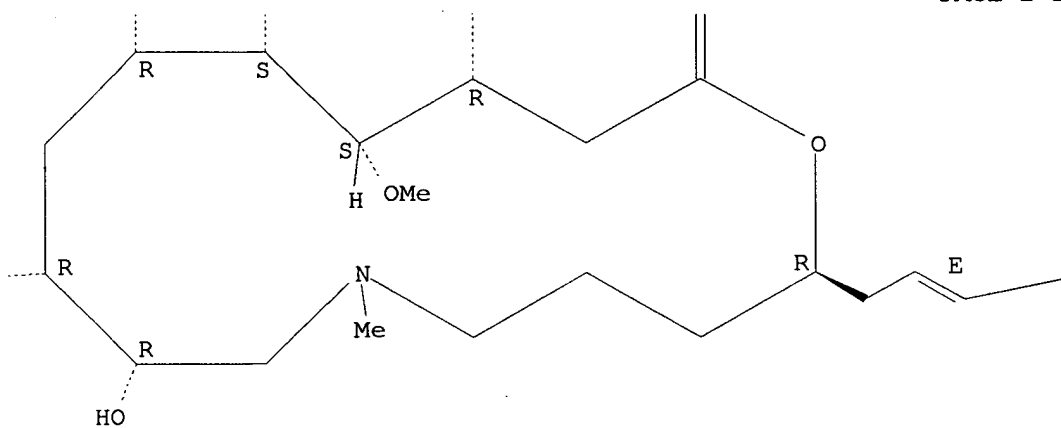
PAGE 1-B



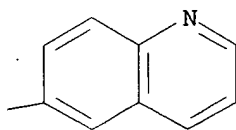
PAGE 2-A

Me

PAGE 2-B



PAGE 2-C

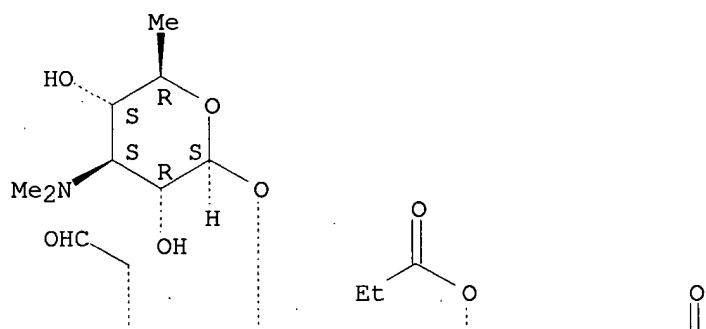


RN 847261-33-0 CAPLUS

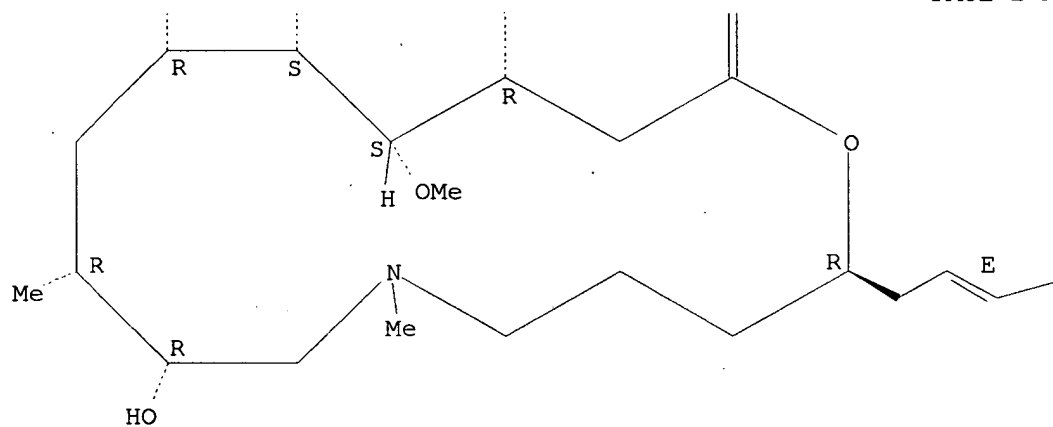
CN 1-Oxa-6-azacyclohexadecane-11-acetaldehyde, 12-[[3,6-dideoxy-3-(dimethylamino)-β-D-glucopyranosyl]oxy]-8-hydroxy-13-methoxy-6,9-dimethyl-16-oxo-14-(1-oxopropoxy)-2-[(2E)-3-(6-quinolinyl)-2-propenyl]-, (2R,8R,9R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

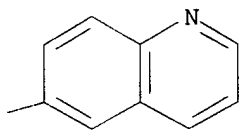
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



PAGE 2-A





IT 847263-49-4P 847263-50-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

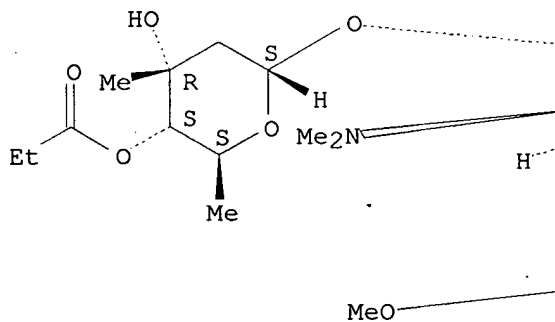
(preparation of macrocyclic azalide and azalactam derivs. as antibacterial agents)

RN 847263-49-4 CAPLUS.

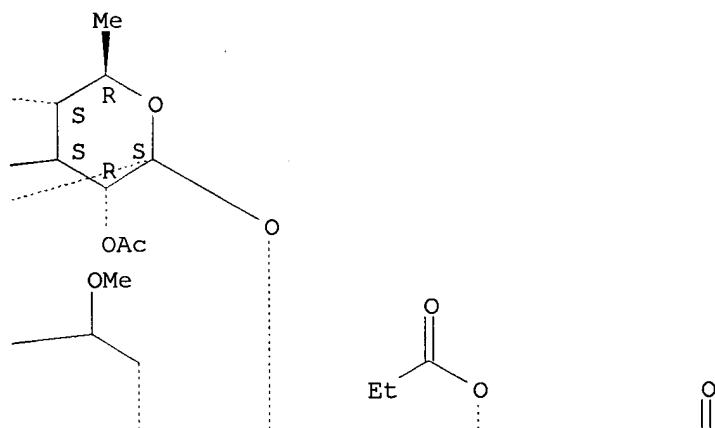
CN 1-Oxa-6-azacyclohexadecan-16-one, 12-[[2-O-acetyl-3,6-dideoxy-4-O-[2,6-dideoxy-3-C-methyl-4-O-(1-oxopropyl)- α -L-ribo-hexopyranosyl]-3-(dimethylamino)- β -D-glucopyranosyl]oxy]-8-(acetyloxy)-11-(2,2-dimethoxyethyl)-13-methoxy-6,9-dimethyl-14-(1-oxopropoxy)-2-[(2E)-3-(6-quinolinyl)-2-propenyl]-, (2R,8R,9R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



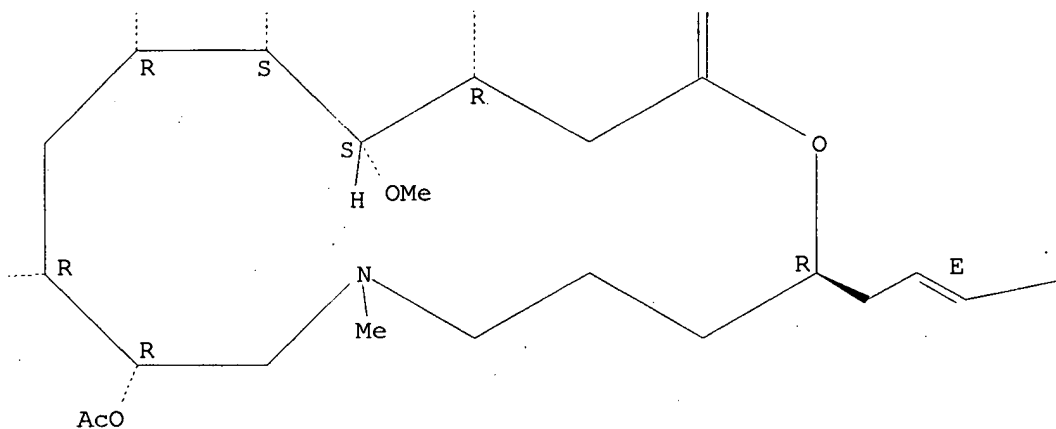
PAGE 1-B



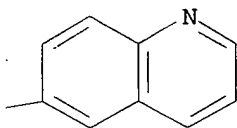
PAGE 2-A

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PAGE 2-B



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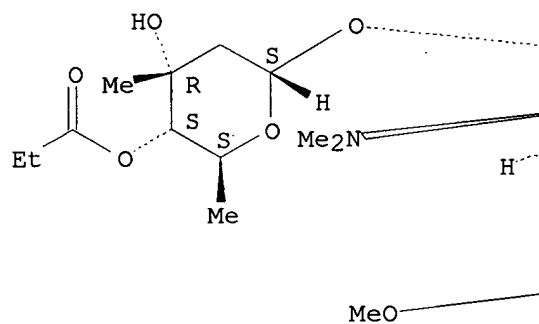


RN 847263-50-7 CAPLUS

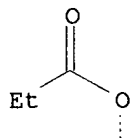
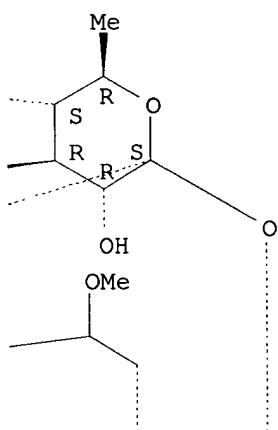
CN 1-Oxa-6-azacyclohexadecan-16-one, 12-[[3,6-dideoxy-4-O-[2,6-dideoxy-3-C-methyl-4-O-(1-oxopropyl)- α -L-ribo-hexopyranosyl]-3-(dimethylamino)- β -D-glucopyranosyl]oxy]-11-(2,2-dimethoxyethyl)-8-hydroxy-13-methoxy-6,9-dimethyl-14-(1-oxopropoxy)-2-[(2E)-3-(6-quinolinyl)-2-propenyl]-, (2R,8R,9R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



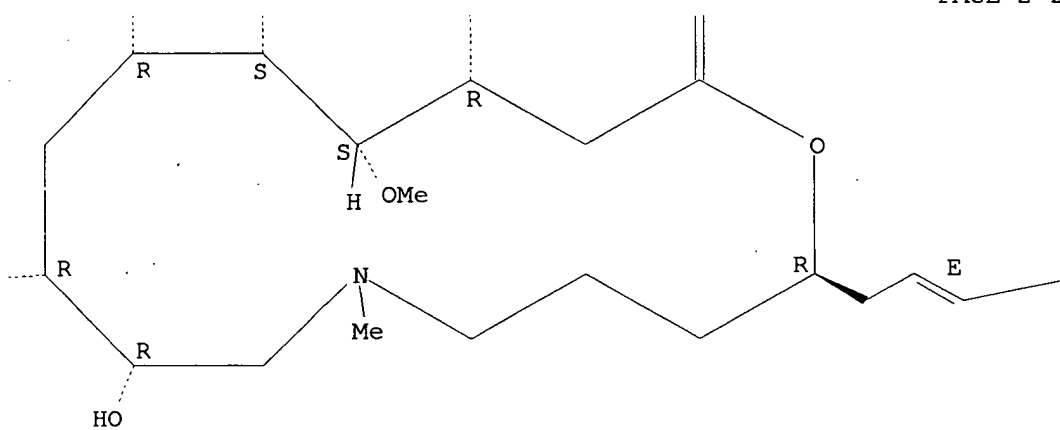
PAGE 1-B



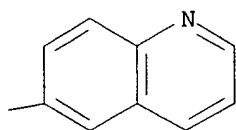
PAGE 2-A

Me

PAGE 2-B



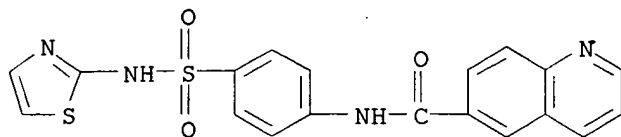
PAGE 2-C



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 19 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:136505 CAPLUS
 DN 142:240421
 TI Preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels
 IN Gonzales, Jesus E., III; Termin, Andreas P.; Martinborough, Esther; Zimmerman, Nicole
 PA Vertex Pharmaceuticals Incorporated, USA
 SO PCT Int. Appl., 332 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013914	A2	20050217	WO 2004-US25827	20040809
	WO 2005013914	A3	20050721		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005137190	A1	20050623	US 2004-914988	20040809
PRAI	US 2003-493659P	P	20030808		
	US 2004-584717P	P	20040704		
OS	MARPAT 142:240421				
AB	The title compds. I [R1 = H, (un)substituted alkyl; X1 = O, S, (un)substituted NH; p = 0-1; X2 = (un)substituted alkylene; Z = thiazolyl, imidazolyl, oxazolyl, etc.; T = (un)substituted Ph, 8-14 membered (non)aromatic bicyclic or tricyclic ring having 0-5 heteroatoms selected from O, S, N, NH, SO, SO ₂ , etc.], useful as inhibitors of voltage-gated sodium channels, were prepared E.g., a multi-step synthesis of II, starting from 2,4-dichlorophenol and Et 4-bromobutyrate, was given. The compds. I were found to inhibit voltage-gated sodium channels at 25.0 μ M or less. The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.				
IT	845260-10-8P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels)				
RN	845260-10-8 CAPLUS				
CN	6-Quinolinecarboxamide, N-[4-[(2-thiazolylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)				



L62 ANSWER 20 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:122800 CAPLUS
 DN 142:191201
 TI Antimicrobial biaryl compounds
 IN Jefferson, Elizabeth Anne; Swayze, Eric E.; Seth, Punit P.; Robinson, Dale E.
 PA USA
 SO U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 630,122.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005032805	A1	20050210	US 2004-914256	20040809
	US 6849660	B1	20050201	US 2000-630122	20000801
PRAI	US 2000-630122	A2	20000801		
OS	MARPAT 142:191201				

AB Provided are antibacterial biaryl compds. having micromolar MIC activity against Gram-neg. and Gram-pos. pathogens, including a methicillin-resistant *S. aureus* strain. Other embodiments of invention are methods of treating bacterial infection in a mammal by administering to the mammal an effective amount of a compound described herein. The inhibitory effect of some of the compds. on bacterial translation was determined

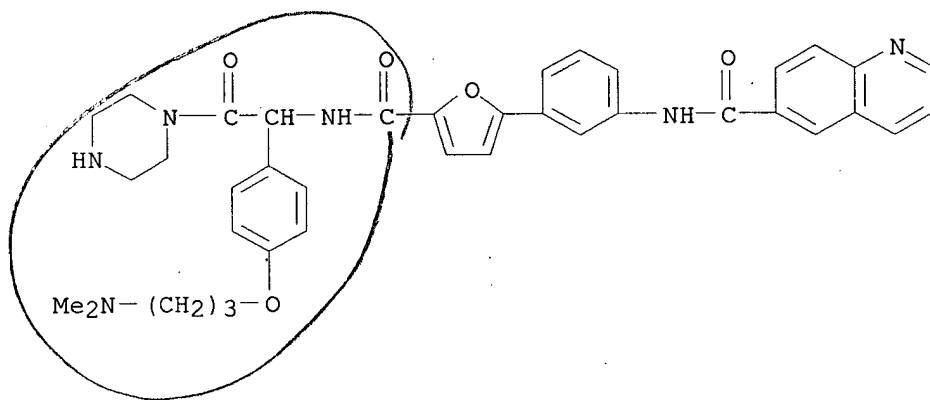
IT **838819-97-9**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antibacterial biaryl compds. in relation to inhibiting bacterial translation and overcoming methicillin resistance)

RN 838819-97-9 CAPLUS

CN 6-Quinolinescarboxamide, N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 21 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:120918 CAPLUS

DN 142:219284

TI A preparation of bicyclic imidazole derivatives, useful for the treatment of viral infections mediated by Flaviviridae family of viruses

IN Schmitz, Franz Ulrich; Roberts, Christopher Don; Griffith, Ronald Conrad; Botyanszki, Janos; Gezginci, Mikail Hakan; Gralapp, Joshua Michael; Shi, Dong Fang; Liehr, Sebastian J. R.

PA Genelabs Technologies, Inc, USA

SO PCT Int. Appl., 327 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012288	A1	20050210	WO 2004-US24755	20040730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005187390	A1	20050825	US 2004-909758	20040730

PRAI US 2003-492108P

P 20030801

OS MARPAT 142:219284

AB The invention relates to a preparation of bicyclic imidazole derivs. of formula I [wherein: W is CH or N; R is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; X is a fused 6,6-bicycle; Y is halogen, CN, NO₂, alkyl, or acyl, etc.; Z is C(O)O-(H/alkyl/alk(en/yn)yl), C(O)NH(alkyl), or C(O)NH(aryl), etc.], useful for the treatment of viral infections mediated by Flaviviridae family of viruses. For instance, benzimidazole derivative II (HCV-NS5b enzyme assay, inhibition data: at 100 μ M - 98.22%, at 33 μ M - 92.74%) was prepared via amidation of III by amino acid IV with a yield of 32% (example 4).

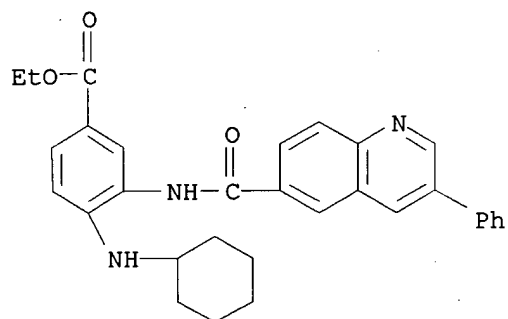
IT 841296-56-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic imidazole derivs. for treatment of viral infections mediated by Flaviviridae family of viruses)

RN 841296-56-8 CAPLUS

CN Benzoic acid, 4-(cyclohexylamino)-3-[[(3-phenyl-6-quinolinyl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 22 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:106684 CAPLUS

DN 142:369163

TI Probabilistic Neural Network Multiple Classifier System for Predicting the Genotoxicity of Quinolone and Quinoline Derivatives

AU He, Linnan; Jurs, Peter C.; Kreatsoulas, Constantine; Custer, Laura L.; Durham, Stephen K.; Pearl, Greg M.

CS Department of Chemistry, Penn State University, University Park, PA, 16802, USA

SO Chemical Research in Toxicology (2005), 18(3), 428-440

CODEN: CRTOEC; ISSN: 0893-228X

PB American Chemical Society

DT Journal

LA English

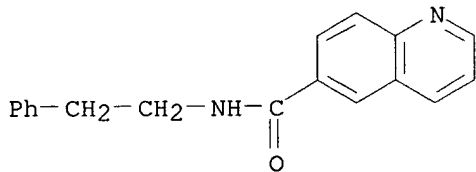
AB Quinolone and quinoline are known to be liver carcinogens in rodents, and a number of their derivs. have been shown to exhibit mutagenicity in the Ames test, using Salmonella typhimurium strain TA 100 in the presence of S9. Both the carcinogenicity and the mutagenicity of quinolone and quinoline derivs., as determined by SAS, can be attributed to their genotoxicity potential. This potential, which is measured by genotoxicity tests, is a good indication of carcinogenicity and mutagenicity because compds. that are pos. in these tests have the potential to be human carcinogens and/or mutagens. In this study, a collection of quinolone and quinoline derivs.' carcinogenicity is determined by qual. predicting their genotoxicity potential with predictive PNN (probabilistic neural network) classification models. In addition, a multiple classifier system is also developed to improve the predictability of genotoxicity. Superior results are seen with the multiple classifier system over the individual PNN classification models. With the multiple classifier system, 89.4% of the quinolone derivs. were predicted correctly, and higher predictability is seen with the quinoline derivs. at 92.2% correct. The multiple classifier system not only is able to accurately predict the genotoxicity but also provides an insight about the main determinants of genotoxicity of the quinolone and quinoline derivs. Thus, the PNN multiple classifier system generated in this study is a beneficial contributor toward predictive toxicol. in the design of less carcinogenic bioactive compds.

IT 849336-34-1

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(probabilistic neural network multiple classifier system for predicting genotoxicity of quinolone and quinoline derivs.)

RN 849336-34-1 CAPLUS

CN 6-Quinolinecarboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 23 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:55033 CAPLUS

DN 142:155956

TI Heterocyclic compounds, including [3-(4H-1,2,4-triazol-3-yl)pyridin-2-yl]amines and analogs, and their preparations, pharmaceutical compositions, and use as anticancer agents

IN Ouyang, Xiaohu; Kiselyov, Alexander; Chen, Xiaoling; He, Hai-Ying; Kawakami, Joel; Pattaropong, Vatee; Piatnitski, Evgueni; Tuma, Maria Carolina; Kincaid, John

PA Imclone Systems Incorporated, USA

SO PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005004818	A2	20050120	WO 2004-US22226	20040709
	WO 2005004818	A3	20050506		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2003-485963P P 20030709

OS MARPAT 142:155956

AB The invention relates to heterocyclic compds. that have anticancer activity, pharmaceutical compns. that contain such compds., methods of treating diseases and conditions in mammals using the compds. and compns. and methods for their manufacture In particular, the invention concerns compds. I and their pharmaceutically acceptable salts, stereoisomers, hydrates, and prodrugs [wherein: U/V/T = N/N/N, N/N/O, or C(R5)/N/O; X = N, CH; Z = O, S, NO2, NR4; R1, R2, R5 = H, OH, halo, NO2, cyano, alk(en/yn)yl, alkoxy, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), aryloxy, (un)substituted NH2, SO2H or derivs.; R1R2 may form a ring; R3 = H, alk(en/yn)yl, alkoxy, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), carbonyl, SO2H or derivs., CO2H or derivs., SH or derivs., S(O)H or derivs.; R4 = H, alk(en/yn)yl, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), carbonyl, SO2H or derivs., S(O)H or derivs.; or R3R4 forms a heterocyclic ring; R6 = alk(en/yn)yl, alkoxy, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), (un)substituted NH2]. Approx. 260 compds. were prepared and biol. tested. Bioassays included an in vitro tubulin polymerization assay, a cell cycle anal., and a colorimetric (sulforhodamine B) cytotoxicity assay. For instance, invention compound II was prepared in 7 steps: (1) conversion of 2-chloropyridine-3-carboxylic acid to the Et ester, (2) aminolysis of the chloride with 3,5-dimethoxyaniline, (3) conversion of the ester to the hydrazide, (4,5) sep. preparation of N-(3,5-dimethoxyphenyl)thiourea, (6) S-methylation of the latter, and (7) cyclocondensation of the above hydrazide with the resultant isothioureia derivative to give II. The similarly prepared compound

III

gave complete inhibition of bovine tubulin polymerization in vitro at 10 μ M,

and gave 50% inhibition of tumor cell growth at 0.3 μ M in the sulforhodamine B assay.

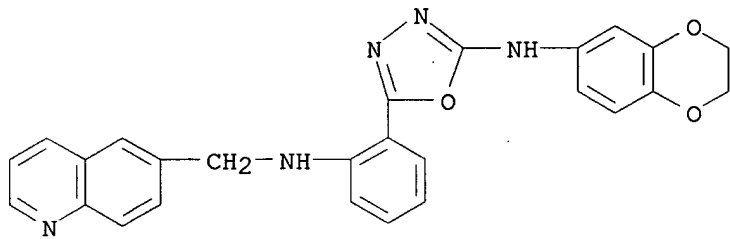
IT **830333-65-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triazolylpyridinylamines and analogs as tubulin polymerization inhibitors for use as anticancer agents)

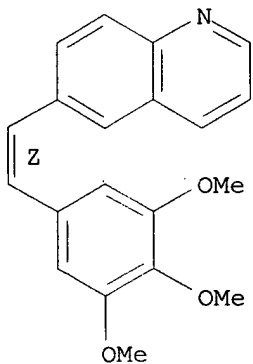
RN 830333-65-8 CAPLUS

CN 6-Quinolinemethanamine, N-[2-[5-[(2,3-dihydro-1,4-benzodioxin-6-yl)amino]-1,3,4-oxadiazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 24 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2005:52590 CAPLUS
 DN 143:133198
 TI Naphthalene combretastatin analogs: Synthesis, cytotoxicity and antitubulin activity
 AU Medarde, Manuel; Maya, Ana B. S.; Perez-Melero, Concepcion
 CS Laboratorio de Quimica Organica y Farmaceutica, Facultad de Farmacia, Universidad de Salamanca, Salamanca, E-37007, Spain
 SO Journal of Enzyme Inhibition and Medicinal Chemistry (2004), 19(6), 521-540
 CODEN: JEIMAZ; ISSN: 1475-6366
 PB Taylor & Francis Ltd.
 DT Journal; General Review
 LA English
 AB A review. Synthesis and evaluation of new combretastatin analogs with varied modifications on the bridge and the aromatic rings, have shown that the 2-naphthyl moiety is a good surrogate for the 3-hydroxy-4-methoxyphenyl (B-ring) of combretastatin A-4. Other bicyclic systems, such as 6(7)-quinolyl and 5-indolyl, can replace the B-ring, but they produce less potent analogs in the cytotoxicity and tubulin polymerization inhibition assays. Other modifications are detrimental for the potency of the studied analogs. The combretastatin 2-naphthyl derivative, 2-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]naphthalene, and the related 6-quinolyl combretastatin analog are the most potent among the derivs. of this type. Previous and new results in this family of combretastatin analogs are reviewed.
 IT **728911-41-9DP**, analogs
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (review of synthesis, antitumor cytotoxicity and antitubulin activity of naphthalene combretastatin analogs)
 RN 728911-41-9 CAPLUS
 CN Quinoline, 6-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 25 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:14374 CAPLUS

DN 142:114055

TI Heteroaryl aminophenyl ketone derivatives and their preparation and use as kinase inhibitors, e.g., in the treatment of neoplastic diseases

IN Piatnitski, Evgueni; Kiselyov, Alexander

PA Imclone Systems Incorporated, USA

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005000813	A1	20050106	WO 2004-US17248	20040601
	W:				
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	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-474206P P 20030530

OS MARPAT 142:114055

AB The invention relates to compds. that inhibit VEGF receptor tyrosine kinases, especially KDR, pharmaceutical compns. that contain such compds., methods of treating VEGF receptor kinase-dependent diseases and conditions in mammals using such compds., and compns. and methods for their manufacture. In particular, compds. I, their pharmaceutically acceptable salts, stereoisomers, and hydrates are claimed [wherein: Z is CH or N; Y is C-R or N; X is O, S, or N-R; R1 is H, lower alk(en/yn)yl or aralkyl optionally substituted with one or more substituents R2; R3 is H, lower alkoxy, alkyl, alkenyl, aralkyl, alkynyl, or Ph, all optionally substituted with R2, halogen, nitro, cyano, CO2R, SR, SO2R, NH2, NHR, NRR (where R is H, lower alkyl, aralkyl, aryl, or heteroaryl), pyridine, pyrazine, pyrimidine, or various 5-membered-ring heterocycles, all optionally substituted with R2; R2 is halogen, lower alk(en)yl (optionally substituted with one or more halogen, OH, or alkoxy), nitro, cyano, CO2R, OR, SR, SO2R, NH2, NHR, or NRR; or adjacent (R2)2 can form a fused 5- or 6-membered carbocyclic or heterocyclic (1 or 2 N/O/S) ring, which may be substituted with R3; R is H, halogen, lower alkyl, lower alkoxy, aralkyl, aryl or heteroaryl]. Approx. 40 example compds. were prepared. For instance, diazomethane was acylated with 2-nitrobenzoyl chloride to give 2-nitrodiazophenone, which was cyclized with benzyne (prepared in situ by diazotization of anthranilic acid) to give (1H-indazol-3-yl)(2-nitrophenyl)methanone. This compound underwent N-Boc protection, and reduction of nitro to amino, followed by reductive alkylation of the amine with 4-pyridinecarboxaldehyde, and removal of Boc, to give invention compound II. In an in vitro assay for VEGFR-2 (KDR) kinase inhibition, the similarly prepared invention compound III had an IC50 of 0.14 μ M. In a cell-based assay for the same kinase, III had an IC50 of 0.16 μ M.

IT **821767-27-5P**, (5-Methyl-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone hydrochloride **821767-29-7P**, [2-[(Quinolin-6-ylmethyl)amino]phenyl](5-methoxy-1H-indol-3-yl)methanone

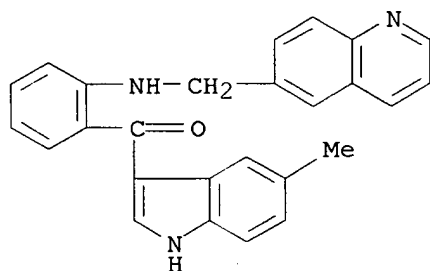
821767-30-0P, (1H-Indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone **821767-32-2P**,
 (5-Fluoro-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone
821767-34-4P, (5-Chloro-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone **821767-35-5P**,
 (2-Methyl-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone
821767-39-9P, (6-Methoxy-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone **821767-40-2P**,
 (6-Fluoro-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone
821767-42-4P, (7-Methyl-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone **821767-48-0P**,
 (1H-Indazol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone
821767-52-6P, (6-Methyl-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone **821767-54-8P**,
 (1,5-Dimethyl-1H-indol-3-yl)[2-[(quinolin-6-ylmethyl)amino]phenyl]methanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heteroaryl aminophenyl ketone derivs. as kinase inhibitors for treatment of neoplastic diseases)

RN 821767-27-5 CAPLUS

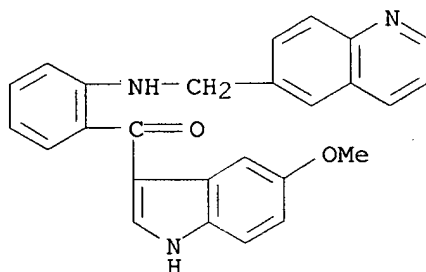
CN Methanone, (5-methyl-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

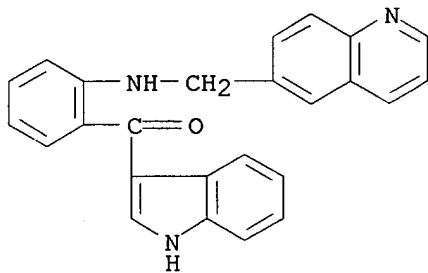
RN 821767-29-7 CAPLUS

CN Methanone, (5-methoxy-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



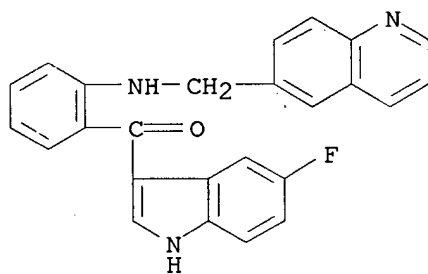
RN 821767-30-0 CAPLUS

CN Methanone, 1H-indol-3-yl[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



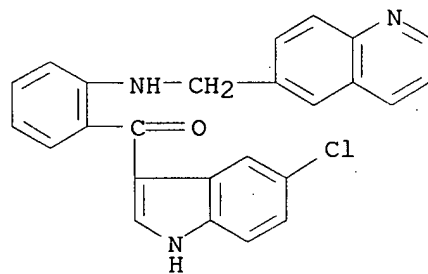
RN 821767-32-2 CAPLUS

CN Methanone, (5-fluoro-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



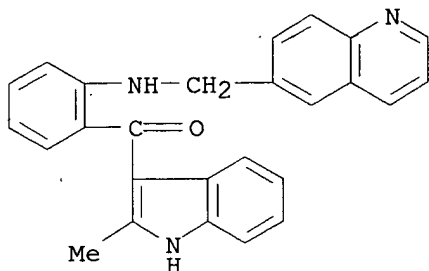
RN 821767-34-4 CAPLUS

CN Methanone, (5-chloro-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



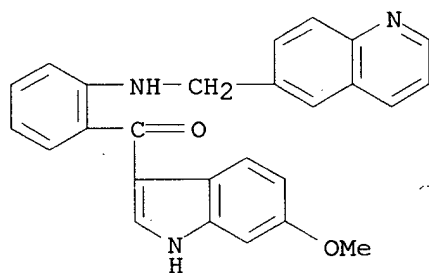
RN 821767-35-5 CAPLUS

CN Methanone, (2-methyl-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



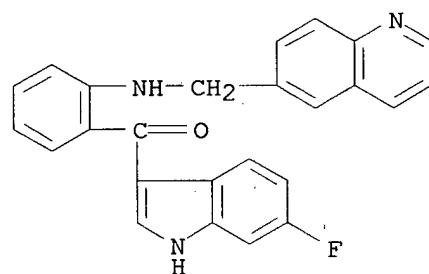
RN 821767-39-9 CAPLUS

CN Methanone, (6-methoxy-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]-
(9CI) (CA INDEX NAME)



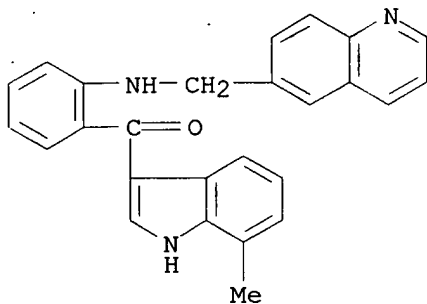
RN 821767-40-2 CAPLUS

CN Methanone, (6-fluoro-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]-
(9CI) (CA INDEX NAME)



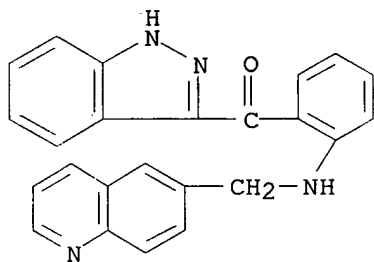
RN 821767-42-4 CAPLUS

CN Methanone, (7-methyl-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]-
(9CI) (CA INDEX NAME)



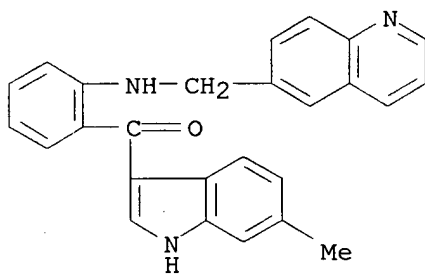
RN 821767-48-0 CAPLUS

CN Methanone, 1H-indazol-3-yl[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI)
(CA INDEX NAME)



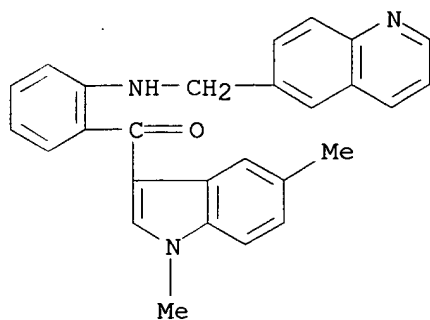
RN 821767-52-6 CAPLUS

CN Methanone, (6-methyl-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]-
(9CI) (CA INDEX NAME)



RN 821767-54-8 CAPLUS

CN Methanone, (1,5-dimethyl-1H-indol-3-yl)[2-[(6-quinolinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 26 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:1127377 CAPLUS
 DN 142:74556
 TI Preparation of novel aminothiazoles as inhibitors of the transforming growth factor (TGF- β) signaling pathway
 IN Dodic, Nerina; Donche, Frederic; Gellibert, Francoise Jeanne
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004111046	A2	20041223	WO 2004-EP6425	20040614
	WO 2004111046	A3	20050120		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI GB 2003-13914 A 20030616

OS MARPAT 142:74556

AB The title compds. I [either A = S and D = N; or A = N and D = S; ring E = (un)substituted (un)saturated or aromatic 5-6 membered heterocycle; X = N, CH;

R2

= H, alkyl, halo, CN, perfluoroalkyl; R3 = H, halo] which are inhibitors of the transforming growth factor, ("TGF")- β signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF- β type I or activin-like kinase ("ALK")-5 receptor, were prepared E.g., a multi-step synthesis of 5-(1-methylbenzimidazol-6-yl)-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine, which showed an ALK5 receptor modulator activity of 16 nM and TGF- β cellular activity of 11 nM, was given. The invention also relates to the use of compds. I in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway. The pharmaceutical compns. comprising the compound I is disclosed.

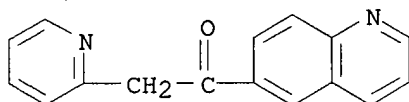
IT **813449-21-7P**, 1-(Quinolin-6-yl)-2-(pyridin-2-yl)ethanone

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel aminothiazoles as inhibitors of transforming growth factor β for treatment of disorders mediated by the ALK5 receptor)

RN 813449-21-7 CAPLUS

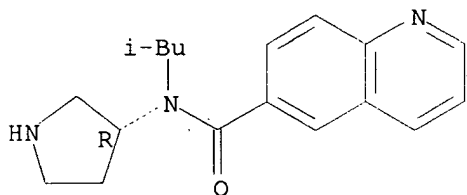
CN Ethanone, 2-(2-pyridinyl)-1-(6-quinolinyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 27 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:1127338 CAPLUS
 DN 142:74460
 TI Preparation of piperidinyl and pyrrolidinyl aryl amide derivatives as selective serotonin re-uptake inhibitors
 IN Andrews, Mark David; Brown, Alan Daniel; Fradet, David Sebastien; Gordon, David William; Lansdell, Mark Ian; MacKenny, Malcolm Christian
 PA Pfizer Limited, UK; Pfizer Inc.
 SO PCT Int. Appl., 94 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004111003	A1	20041223	WO 2004-IB1915	20040604
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:		BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	US 2005014789	A1	20050120	US 2004-865408	20040610
PRAI	GB 2003-14054	A	20030617		
OS	MARPAT 142:74460				
AB	The title compds. I [R1 = alkyl, optionally substituted by 1-3 substituents selected from CF3, OH, (cyclo)alkyl, alkoxy, halo, (substituted)Ph, etc, or (substituted)cycloalkyl, optionally fused with (substituted)cycloalkyl; R2 = (substituted)Ph, optionally fused to cycloalkyl, (substituted)Ph, or pyridyl; n = 1 or 2 with proviso] were prepared for the treatment or prevention of a disorder in which the regulation of monoamine transporter function is implicated. For example, treatment of N-(2-methylbutyl)-N-[(3R)-1-(trifluoroacetyl)pyrrolidin-3-yl]-2-naphthamide with potassium carbonate in methanol-water yielded compound II. The later has a serotonin re-uptake inhibition IC50 of 4.7 nM.				
IT	812690-02-1P 812690-03-2P 812690-82-7P 812690-83-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperidinyl and pyrrolidinyl aryl amide derivs. as selective serotonin re-uptake inhibitors)				
RN	812690-02-1 CAPLUS				
CN	6-Quinolinecarboxamide, N-(2-methylpropyl)-N-(3R)-3-pyrrolidinyl-, monohydrochloride (9CI) (CA INDEX NAME)				

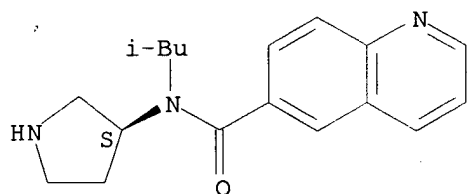
Absolute stereochemistry.



● HCl

RN 812690-03-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-(2-methylpropyl)-N-(3S)-3-pyrrolidinyl-,
 monohydrochloride (9CI) (CA INDEX NAME)

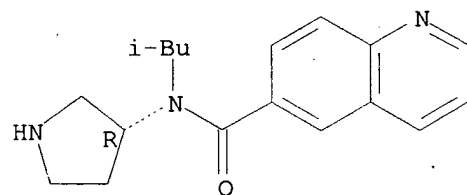
Absolute stereochemistry.



● HCl

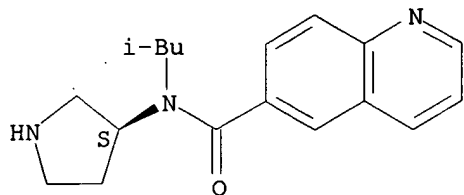
RN 812690-82-7 CAPLUS
 CN 6-Quinolinecarboxamide, N-(2-methylpropyl)-N-(3R)-3-pyrrolidinyl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 812690-83-8 CAPLUS
 CN 6-Quinolinecarboxamide, N-(2-methylpropyl)-N-(3S)-3-pyrrolidinyl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



IT 812690-71-4P 812690-72-5P

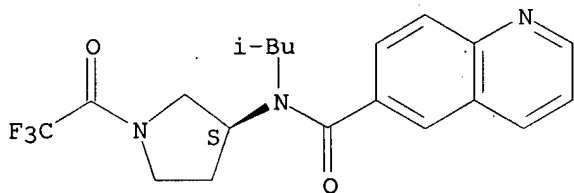
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinyl and pyrrolidinyl aryl amide derivs. as selective serotonin re-uptake inhibitors)

RN 812690-71-4 CAPLUS

CN 6-Quinolinecarboxamide, N-(2-methylpropyl)-N-[(3S)-1-(trifluoroacetyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

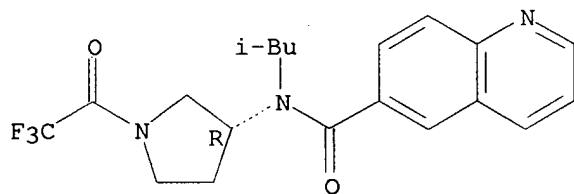
Absolute stereochemistry.



RN 812690-72-5 CAPLUS

CN 6-Quinolinecarboxamide, N-(2-methylpropyl)-N-[(3R)-1-(trifluoroacetyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 28 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:965063 CAPLUS
 DN 141:410960
 TI Preparation of 8-(3-biaryl)phenylquinoline phosphodiesterase-4 inhibitors
 IN Dube, Daniel; Dube, Laurence; Gallant, Michel; Lacombe, Patrick;
 Deschenes, Denis; MacDonald, Dwight
 PA Merck Frosst Canada & Co., Can.
 SO PCT Int. Appl., 129 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004096220	A1	20041111	WO 2004-CA622	20040427
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-466542P P 20030430

OS MARPAT 141:410960

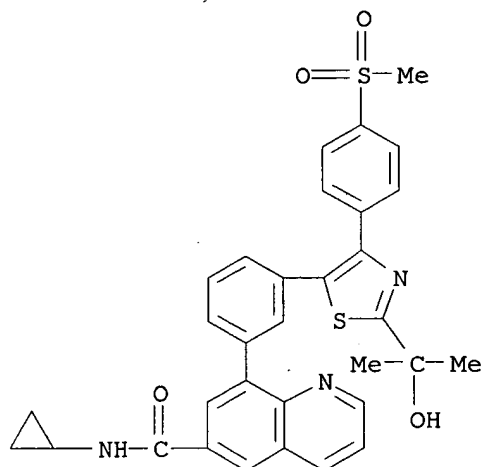
AB The title 8-phenylquinolines I [S1-S3 = H, OH, halo, alkyl, etc.; R1 = CO2aryl, CONHaryl, CONHheteroaryl, etc.; Ar1, Ar2 = (hetero)aryl or an N-oxide thereof; R2 = H, aryl, haloaryl, heterocyclyl, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, halo, CN, alkyl, etc.] which are PDE4 inhibitors, were prepared E.g., a multi-step synthesis of II (no characterization data given for intermediates), which showed IC50 of 0.155 μ M in LPS and FMLP-induced TNF- α and LTB4 assays in human whole blood, was given. The pharmaceutical compns. comprising the compound I are claimed.

IT 791632-17-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 8-(3-biaryl)phenylquinoline phosphodiesterase-4 inhibitors)

RN 791632-17-2 CAPLUS

CN 6-Quinolincarboxamide, N-cyclopropyl-8-[3-[2-(1-hydroxy-1-methylethyl)-4-[4-(methylsulfonyl)phenyl]-5-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 29 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:963181 CAPLUS

DN 141:379941

TI Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists

IN Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold

PA Taisho Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 988 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004315511	A2	20041111	JP 2004-95046	20040329
PRAI	JP 2003-93418	A	20030331		

OS MARPAT 141:379941

AB The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNH2Boc, (un)substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3 alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are prepared. These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50° under H atmospheric for 3 days gave a solution of

cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purification using HPLC and treatment with 4 N HCl/EtOAc, compound (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca2+ flux with IC50 of 6 µg/mL.

IT 509132-93-8P 509140-56-1P 509140-57-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as melanin-concentrating hormone (MCH) receptor

antagonists for prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression)

RN 509132-93-8 CAPLUS

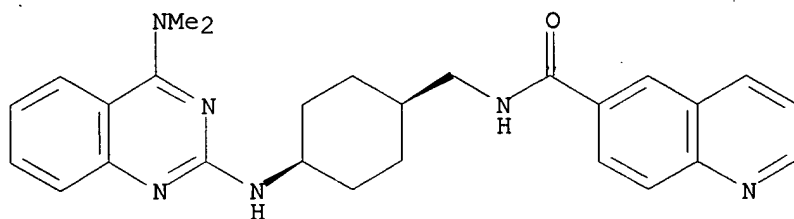
CN 6-Quinolinecarboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 509132-92-7

CMF C27 H30 N6 O

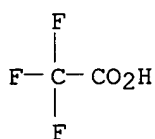
Relative stereochemistry.



CM 2

CRN 76-05-1

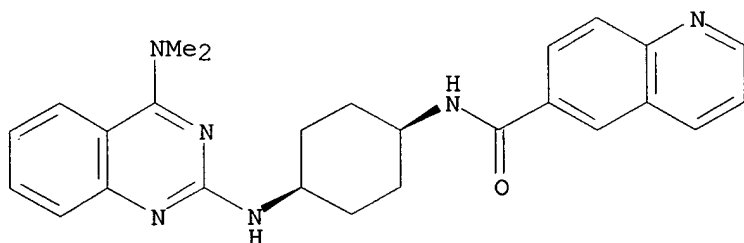
CMF C2 H F3 O2



RN 509140-56-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 509140-57-2 CAPLUS

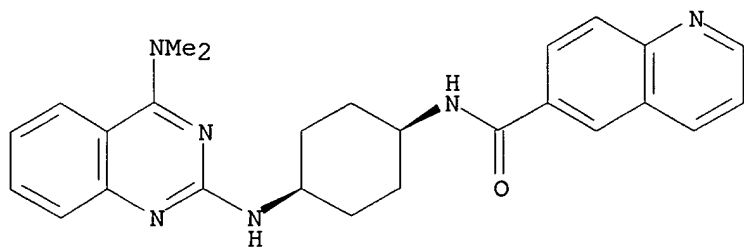
CN 6-Quinolinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 509140-56-1

CMF C26 H28 N6 O

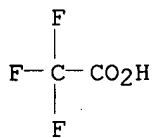
Relative stereochemistry.



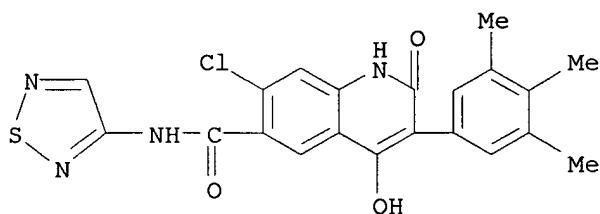
CM 2

CRN 76-05-1

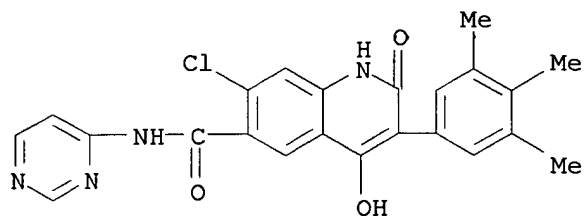
CMF C2 H F3 O2



L62 ANSWER 30 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:863112 CAPLUS
 DN 142:56142
 TI Identification of neutral 4-O-alkyl quinolone nonpeptide GnRH receptor antagonists
 AU DeVita, Robert J.; Parikh, Mamta; Jiang, Jinlong; Fair, Jason A.; Young, Jonathan R.; Walsh, Thomas F.; Goulet, Mark T.; Lo, Jane-L.; Ren, Ning; Yudkovitz, Joel B.; Cui, Jisong; Yang, Yi T.; Cheng, Kang; Rohrer, Susan P.; Wyvratt, Matthew J.
 CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(22), 5599-5603
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English
 OS CASREACT 142:56142
 AB A series of neutral, nonbasic quinolone GnRH antagonists were prepared via Mitsunobu alkylation of protected and unprotected 4-hydroxyquinolone intermediates. The synthetic route was improved by utilization of unique reactivity and convergency afforded by the use of mono and bis-trimethylsilylethyl protected quinolones. Potent neutral GnRH antagonists were identified, including ether and lactam derivs., that show similar in vitro binding affinity and functional activity as compared to the earlier basic 4-aminoalkyl quinolone series of nonpeptide GnRH antagonists.
 IT **362604-28-2P 691857-93-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of alkoxyquinolinonecarboxamides as GnRH receptor antagonists)
 RN 362604-28-2 CAPLUS
 CN 6-Quinolinecarboxamide, 7-chloro-1,2-dihydro-4-hydroxy-2-oxo-N-1,2,5-thiadiazol-3-yl-3-(3,4,5-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 691857-93-9 CAPLUS
 CN 6-Quinolinecarboxamide, 7-chloro-1,2-dihydro-4-hydroxy-2-oxo-N-4-pyrimidinyl-3-(3,4,5-trimethylphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 31 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:803931 CAPLUS
 DN 141:295878
 TI Preparation of aminoazepanones as Cathepsin L inhibitors
 IN Marquis, Robert W.
 PA USA
 SO U.S. Pat. Appl. Publ., 13 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004192674	A1	20040930	US 2004-772817	20040205
PRAI	US 2003-447558P	P	20030214		
OS	MARPAT 141:295878				

AB The title compds. I [R1 = substituted aminoalkylcarbonyl; R2 = H, alkyl, arylalkyl, etc.; R3 = H, alkyl, cycloalkyl, arylalkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as inhibitors of Cathepsin L. Thus, e.g., II was prepared in a multistep synthesis employing N-Boc-phenylalanine. Consequently they are useful for preventing or treating diseases in which cathepsin L is implicated, such as rheumatoid arthritis or inhibition of pos. selection of CD4 + T-cells by cortical thymic epithelial cells.

IT **350796-38-2P 350796-41-7P 764650-55-7P**

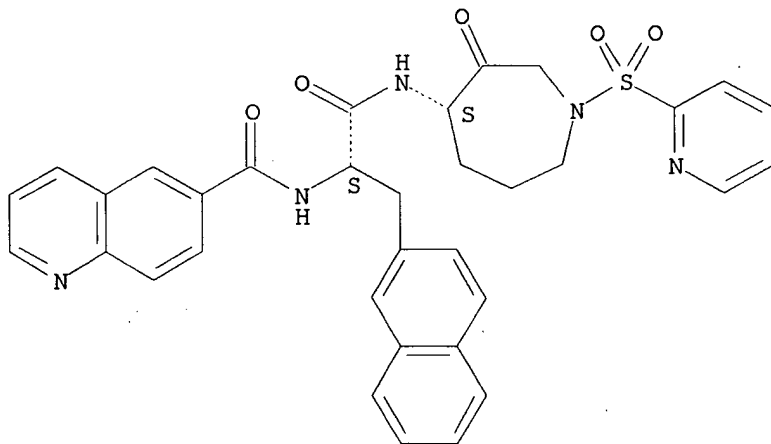
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminoazepanones as inhibitors of Cathepsin L)

RN 350796-38-2 CAPLUS

CN 6-Quinolinesulfonylcarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

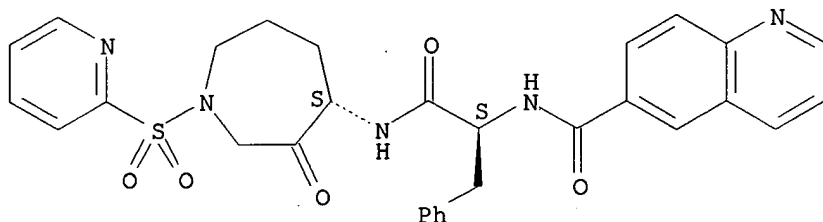


RN 350796-41-7 CAPLUS

CN 6-Quinolinesulfonylcarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-

(9CI) (CA INDEX NAME)

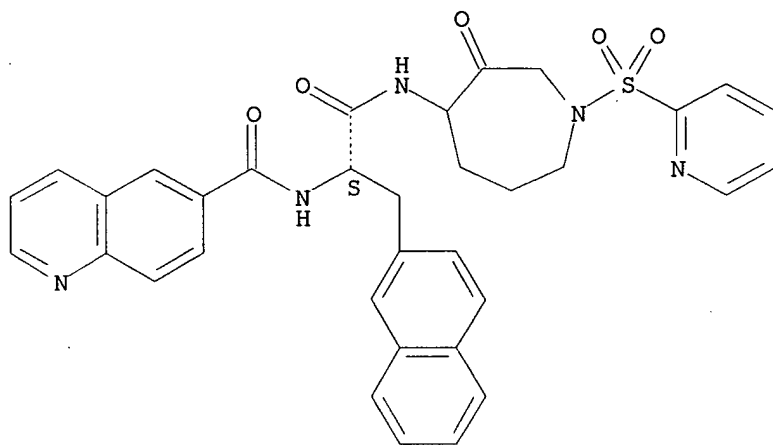
Absolute stereochemistry.



RN 764650-55-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S)-2-[[hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



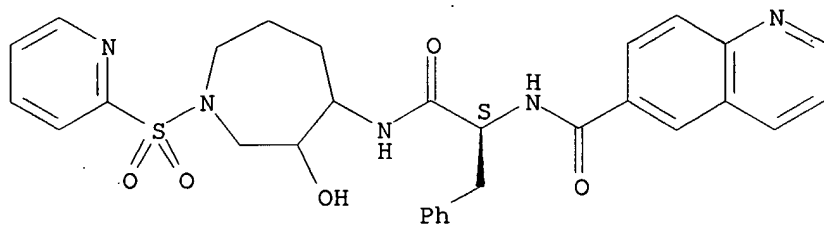
IT 764650-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminoazepanones as inhibitors of Cathepsin L)

RN 764650-57-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S)-2-[[hexahydro-3-hydroxy-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 32 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:802688 CAPLUS
 DN 141:314330
 TI Preparation of spirohydantoin carboxamides as calcitonin gene-related peptide (CGRP) receptor antagonists
 IN Bell, Ian M.; Gallicchio, Steven N.; Stump, Craig A.; Zartman, Blair C.
 PA Merck & Co. Inc., USA
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004082602	A2	20040930	WO 2004-US7226	20040310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-455608P P 20030314

OS MARPAT 141:314330

AB Title compds. [I; B = (substituted) cycloalkyl, Ph, naphthyl, indanyl, indolyl, biphenyl, phenanthryl, anthryl, chromanyl, isochromanyl, oxadiazolyl, azetidiny, azepiny, imidazolyl, piperaziny, pyraziny, benzoxazolyl, isoquinolinyl, dibenzofuryl, isoindolyl, pyrrolyl, benzofuryl, benzothiazolyl, furyl, tetrahydrofuryl, thiazolyl, pyrazolyl, pyrimidinyl, triazolyl, tetrazolyl, etc.; A1, A2 = bond, CR13R14, CH2CR13R14, null; R13, R14 = H, (substituted) alkyl, Ph, OH, etc.; R4 = H, (fluoro)alkyl, cycloalkyl, Ph, PhCH2; R4B = atoms to form (substituted) piperidinyl, pyrrolidinyl, piperaziny, azetidiny, azepiny, morpholinyl rings; R5a, R5b, R5c = H, alkyl, alkoxy, OCF3, CF3, halo, OH, cyano; R6 = H, (substituted) alkyl, cycloalkyl, Ph, pyridyl, pyrimidinyl, pyraziny, thienyl, morpholinyl; m, n = 1, 2], were prepared Thus, 8-(aminomethyl)quinoline, 6'-carboxy-3',4'-dihydro-1'H-spiro[imidazolidine-4,2'-naphthalene]-2,5-dione (preparation given), EDC, and HOBT were stirred together for 18 h in DMF to give 2,5-dioxo-N-(quinolin-8-ylmethyl)-3',4'-dihydro-1'H-spiro[imidazolidine-4,2'-naphthalene]-6'-carboxamide. I generally had Ki or IC50 values of ≤50 μM as antagonists of CGRP.

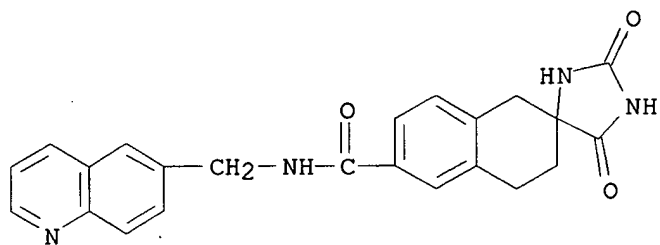
IT 766538-90-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of spirohydantoin carboxamides as calcitonin gene-related peptide receptor antagonists)

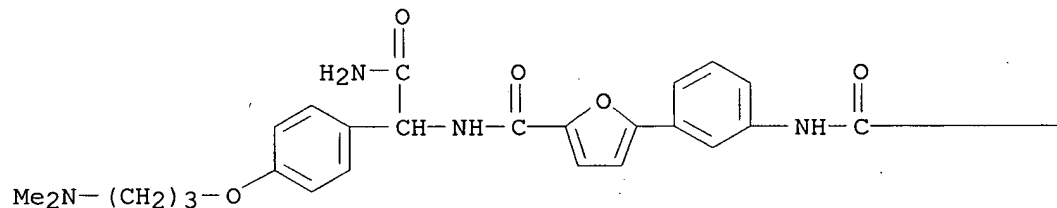
RN 766538-90-3 CAPLUS

CN Spiro[imidazolidine-4,2'-(1'H)-naphthalene]-6'-carboxamide, 3',4'-dihydro-2,5-dioxo-N-(6-quinolinylmethyl)- (9CI) (CA INDEX NAME)

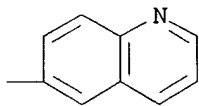


L62 ANSWER 33 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:791916 CAPLUS
 DN 142:3310
 TI Optimizing the antibacterial activity of a lead structure discovered by SAR by MS technology
 AU Jefferson, Elizabeth A.; Seth, Punit P.; Robinson, Dale E.; Winter, Dana K.; Miyaji, Alycia; Risen, Lisa M.; Osgood, Stephen A.; Bertrand, Myra; Swayze, Eric E.
 CS Ibis Therapeutics, Isis Pharmaceuticals, Inc., Carlsbad, CA, 92008, USA
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(21), 5257-5261
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English
 AB We report on lead optimization of a compound that was originally discovered to bind bacterial 23S rRNA near the L11 binding site and inhibit translation in vitro, but lacked detectable antibacterial activity. In this study, we were able to generate compds. with antibacterial activity against Gram-neg. and Gram-pos. pathogens, including a methicillin-resistant Staphylococcus aureus strain.
 IT **797770-98-0P**
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (optimizing the antibacterial activity of a lead structure)
 RN 797770-98-0 CAPLUS
 CN 6-Quinolinecarboxamide, N-[3-[5-[[[2-amino-1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxoethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



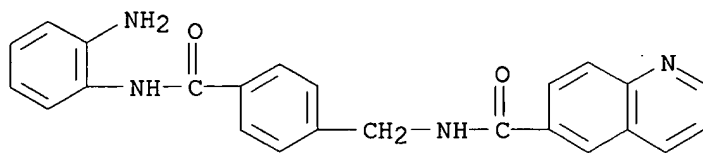
PAGE 1-B



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 34 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:566563 CAPLUS
 DN 141:123479
 TI Preparation of N-aryl benzamides as histone deacetylase inhibitors
 IN Schuppan, Detlef; Herold, Christoph; Gansmayer, Marion; Ocker, Matthias;
 Thierauch, Karl-Heinz
 PA Schering Aktiengesellschaft, Germany
 SO PCT Int. Appl., 249 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058234	A2	20040715	WO 2003-EP14071	20031211
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:		BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	US 2005054647	A1	20050310	US 2003-746266	20031229
PRAI	EP 2002-90431	A	20021227		
	EP 2003-90061	A	20030312		
	US 2003-453955P	P	20030313		
	US 2003-455565P	P	20030319		
OS	MARPAT 141:123479				
AB	Histone deacetylase inhibitors I [A = (un)substituted Ph or heterocycle; X = bond, alkyl, alkyloxyalkyl, alkylthioalkyl, etc.; Q = amide, urea, amidoester, etc.; n = 0-4 provided that when X = bond, n is not 0; R1 and R2 independently = H, halo, OH, amino, alkyl, etc.; R3 = OH or amino] and their pharmaceutically acceptable salts are prepared and disclosed as agents to be used in pharmaceutical combinations with phthalazine or pyridazine derivs. (disclosed in prior patent WO 98/35958) which are known angiogenesis inhibitors. Thus, e.g., II was prepared via coupling reaction of 3-pyridinemethanol, N,N'-carbonyldiimidazole and 4-aminomethyl-N-[2-(N-tertbutoxycarbonyl)aminophenyl]benzamide with subsequent removal of N-BOC group. II was combined with tamoxifen and the VEGF receptor antagonist 1-(4-chloroanilino)-4-(pyridylmethyl)phthalazine hydrochloride and this pharmaceutical composition was evaluated in a colorectal carcinoma model in Wag rats. The results of the model study indicated the combination therapy significantly restricted tumor growth relative to the reference (2 ± 0.5% tumor volume) and the individual application of each compound				
IT	209783-69-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-arylbenzamides as histone deacetylase inhibitors)				
RN	209783-69-7 CAPLUS				
CN	6-Quinolinecarboxamide, N-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl]methy 1]- (9CI) (CA INDEX NAME)				



L62 ANSWER 35 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:498581 CAPLUS

DN 141:150467

TI A new family of quinoline and quinoxaline analogues of combretastatins

AU Perez-Melero, Concepcion; Maya, Ana B. S.; Del Rey, Benedicto; Pelaez, Rafael; Caballero, Esther; Medarde, Manuel

CS Laboratorio de Quimica Organica y Farmaceutica, Facultad de Farmacia, Universidad de Salamanca, Salamanca, 37007, Spain

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(14), 3771-3774

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 141:150467

AB The 3-hydroxy-4-methoxyphenyl ring of combretastatin A-4 can be replaced by a 2-naphthyl moiety without significant loss of cytotoxicity and inhibition of tubulin polymerization potency. In this paper we show that the

6- or 7-quinolyl systems can in turn replace both cyclic moieties, keeping in the first case most of the potency as cytotoxic agent and in the second case as inhibitor of tubulin polymerization, related to the activities displayed by model compds.

IT 728911-41-9P 728911-42-0P

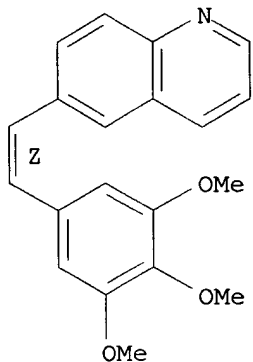
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antitumor quinoline and quinoxaline analogs of combretastatins)

RN 728911-41-9 CAPLUS

CN Quinoline, 6-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)

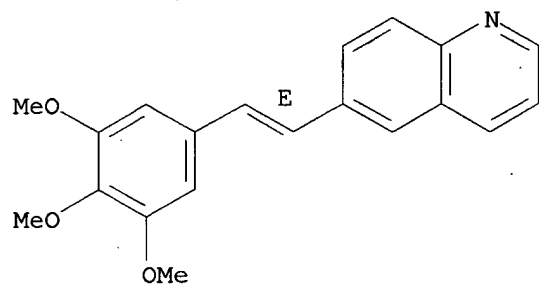
Double bond geometry as shown.



RN 728911-42-0 CAPLUS

CN Quinoline, 6-[(1E)-2-(3,4,5-trimethoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 36 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:453186 CAPLUS

DN 141:23426

TI Preparation of piperidines and related compounds as melanin-concentrating hormone receptor antagonist for treatment of obesity

IN Kaku, Hidetaka; Kondoh, Yutaka; Hayashibe, Satoshi; Kamikubo, Takashi; Iwasaki, Fumiyoshi; Matsumoto, Shunichiro; Kimura, Yasuharu; Kurama, Takeshi

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046110	A1	20040603	WO 2003-JP14534	20031114
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2002-332950 A 20021115

OS MARPAT 141:23426

AB Title compds. I [R1, R2 = (un)substituted alkyl, heterocycle; ALK1, ALK2 = (un)substituted secondary alkyl; L1 = linker; L2 = bond, linker; HET1 = (un)substituted saturated heterocycle containing N; m, n = 0, 1] and their pharmaceutically acceptable salts were prepared. For example, coupling reaction of {1-[(2E)-3-phenylprop-2-en-1-yl]piperidin-4-yl}acetic acid with 1,1-diphenylmethaneamine followed by treatment with fumaric acid, afforded compound I [R1 = benzhydryl; R2 = Ph; L2-(ALK2)n = CH2CH:CH2; HET1 = piperidine; L1-(ALK)m = 4-NHCOCH2] fumaric acid salt in 65% yield. In human melanin-concentrating hormone (MCH) inhibition assays, the IC50 value of compound I [R1 = benzhydryl; R2 = Ph; L2-(ALK2)n = CH2CH:CH2; HET1 = piperidine; L1-(ALK)m = 4-NHCOCH2] was 430 nM. Compds. I are claimed useful for treatment of obesity.

IT 696595-56-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidines and related compds. as melanin-concentrating hormone receptor antagonist for treatment of obesity)

RN 696595-56-9 CAPLUS

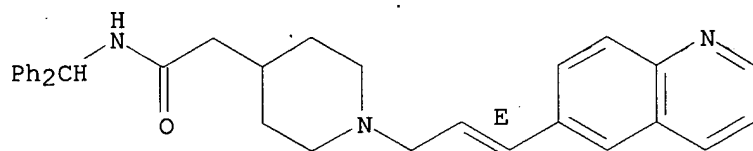
CN 4-Piperidineacetamide, N-(diphenylmethyl)-1-[(2E)-3-(6-quinolinyl)-2-propenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 696595-55-8

CMF C32 H33 N3 O

Double bond geometry as shown.

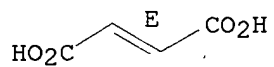


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 37 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:372867 CAPLUS

DN 140:375191

TI Preparation of heteroaryl-hexanoic acid amides which are CCR1 antagonists useful as immunomodulatory agents

IN Brown, Matthew F.; Gaweco, Anderson S.; Gladue, Ronald P.; Kath, John C.; Poss, Christopher S.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 63 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004087571	A1	20040506	US 2003-687015	20031016
	WO 2004039375	A1	20040513	WO 2003-IB4614	20031020
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2002-422579P P 20021030

OS MARPAT 140:375191

AB The title compds. [I; R1 = (un)substituted heteroaryl; R2 = (un)substituted phenyl-(CH₂)_m-, naphthyl-(CH₂)_m-, cycloalkyl-(CH₂)_m-, alkyl or heteroaryl-(CH₂)_m-, m = 0-4; R3 = H, (un)substituted alkyl, cycloalkyl-(CH₂)_n-, heterocycloalkyl-(CH₂)_n-, heteroaryl-(CH₂)_n-, aryl-(CH₂)_n-, n = 0-6; R3 and the carbon to which it is attached form (un)substituted and/or fused 5-7 membered carbocyclic ring; Y = heteroaryl, heterocycloalkyl, (un)substituted H₂N-sulfonyl, C(:X)NH₂; X = O, S, (un)substituted NH; R4 = H, alkyl, OH, alkoxy, hydroxyalkyl, alkoxyCO, cycloalkyl-(CH₂)_p-, (un)substituted heterocycloalkyl-(CH₂)_p-, heteroaryl-(CH₂)_p-, phenyl-(CH₂)_p- or naphthyl-(CH₂)_p-, p = 0-4] which are CCR1 antagonists useful as immunomodulatory agents, were prepared E.g., a multi-step synthesis of quinoxaline-2-carboxylic acid [1(S)-benzyl-4(R)-benzylcarbamoyl-7-fluoro-2(S)-hydroxy-7-methyloctyl]amide, was given. All of the compds. I that were tested showed IC₅₀ of <25 μM in the chemotaxis assay.

IT 212787-88-7P 212789-84-9P

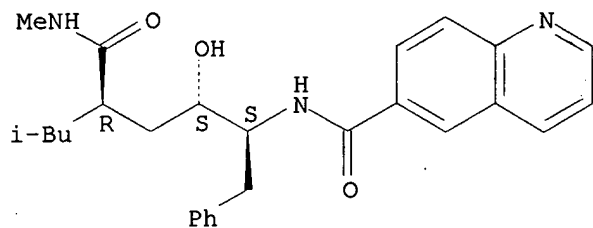
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl-substituted hexanamides as CCR1 antagonists useful as immunomodulatory agents)

RN 212787-88-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

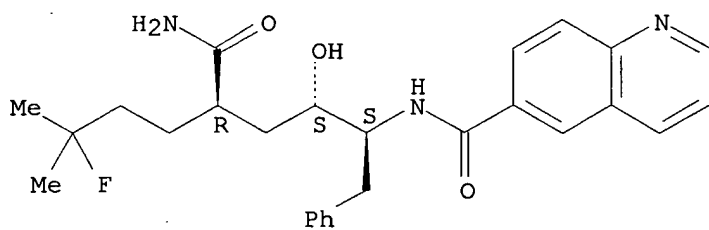
Absolute stereochemistry.



RN 212789-84-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 38 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:370911 CAPLUS
 DN 140:391295
 TI Preparation of 1-(4-benzylpiperazin-1-yl)-3-phenylpropenones as chemokine receptor 1 antagonists for treatment of inflammatory and autoimmune diseases
 IN Bollbuck, Birgit; Eder, Joerg; Heng, Richard; Revesz, Laszlo; Schlapbach, Achim; Waelchli, Rudolf
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SO PCT Int. Appl., 163 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037796	A2	20040506	WO 2003-EP11848	20031024
	WO 2004037796	A3	20040617		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW:				
	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2502633	AA	20040506	CA 2003-2502633	20031024
	EP 1558594	A2	20050803	EP 2003-809328	20031024
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015662	A	20050830	BR 2003-15662	20031024
PRAI	GB 2002-24917	A	20021025		
	WO 2003-EP11848	W	20031024		

OS MARPAT 140:391295

AB Title compds. I [wherein R1 = XR10, X(R10)2, or NR11R12; X = a linker comprising 1-4 (un)substituted N, C, O, and/or S atoms; R2 and R7 = independently H, CN, halo, NO2, or (un)substituted OH, CHO, SH, NH2, (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl, or (hetero)aryl; R3 and R4 = independently H, CN, halo, (cyclo)alkyl, alkenyl, alkynyl, CO, heterocyclyl, or aryl; R5 and R6 = independently H, CN, (cyclo)alkyl, alkenyl, alkynyl, CO, heterocyclyl, or aryl; R10 = H, CN, halo, NO2, or (un)substituted OH, CHO, SH, NH2, alkyl, alkenyl, or alkynyl; NR11R12 = (un)substituted heterocyclyl or heteroaryl; and pharmaceutically acceptable salts or esters thereof] were prepared as chemokine receptor 1 (CCR-1) antagonists. For example, N-protection of (E)-3-(2-amino-4-chlorophenyl)acrylic acid Me ester with (BOC)2O in THF (94%), followed by saponification using NaOH in MeOH gave (E)-3-(2-tert-butoxycarbonylamino-4-chlorophenyl)acrylic acid (87%). Condensation of the acid with (R)-1-(4-fluorobenzyl)-3-methylpiperazine provided the amide (81%). Deprotection with concentrate HCl in EtOH afforded the amine (80%), which was refluxed with NaN(CN)2 in ethoxyethanol and 2N HCl to give the guanidine II (30%). Compds. of the invention demonstrated inhibition of binding of MIP1 α to the human CCR-1 receptor with IC50 values ranging from 0.1 nM to 1000 nM and inhibition of Ca2+ mobilization in response to MIP1 α with IC50 values ranging from 0.1 nM to 1000 nM. Thus, I and their pharmaceutical compns. are useful for treatment of diseases and conditions in which CCR-1 is implicated, e.g. inflammatory and autoimmune

diseases (no data).

IT **685534-76-3P 685534-82-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

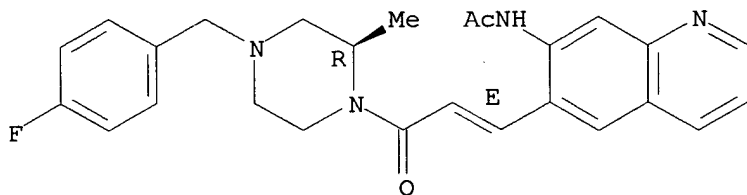
(CCR-1 antagonist; preparation of (benzylpiperazinyl)phenylpropenones as CCR-1 antagonists for treatment of inflammatory and autoimmune diseases)

RN 685534-76-3 CAPLUS

CN Acetamide, N-[6-[(1E)-3-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-3-oxo-1-propenyl]-7-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

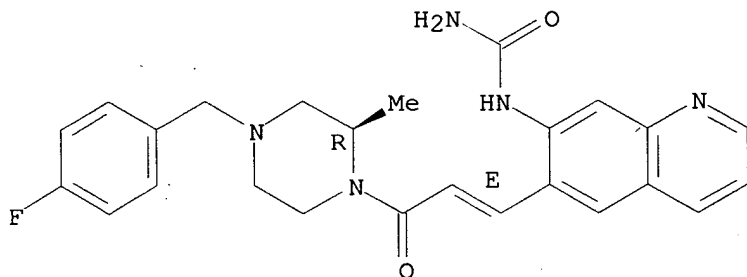


RN 685534-82-1 CAPLUS

CN Piperazine, 1-[(2E)-3-[7-[(aminocarbonyl)amino]-6-quinolinyl]-1-oxo-2-propenyl]-4-[(4-fluorophenyl)methyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT **685534-80-9P 685534-81-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

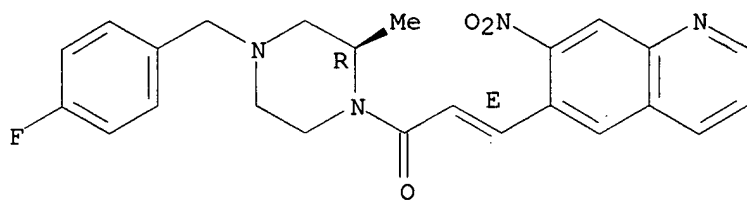
(intermediate; preparation of (benzylpiperazinyl)phenylpropenones as CCR-1 antagonists for treatment of inflammatory and autoimmune diseases)

RN 685534-80-9 CAPLUS

CN Piperazine, 4-[(4-fluorophenyl)methyl]-2-methyl-1-[(2E)-3-(7-nitro-6-quinolinyl)-1-oxo-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

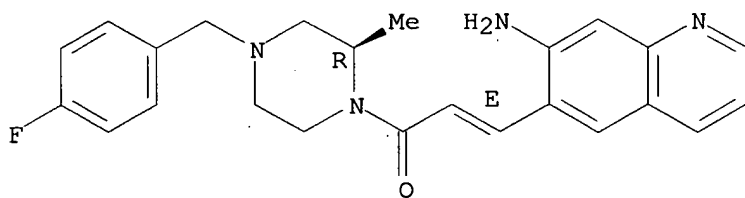
Double bond geometry as shown.



RN 685534-81-0 CAPLUS

CN Piperazine, 1-[(2E)-3-(7-amino-6-quinolinyl)-1-oxo-2-propenyl]-4-[(4-fluorophenyl)methyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L62 ANSWER 39 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:331784 CAPLUS
 DN 140:339193
 TI Preparation of indole nitriles as cysteine protease, in particular
 Cathepsin K inhibitors
 IN Bamberg, Joe Timothy; Gabriel, Tobias; Krauss, Nancy Elisabeth;
 Mirzadegan, Taraneh; Palmer, Wylie Solang; Smith, David Bernard
 PA Roche Palo Alto, LLC, USA
 SO U.S. Pat. Appl. Publ., 141 pp., Cont.-in-part of U.S. Ser. No. 308,963.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004077646	A1	20040422	US 2003-453112	20030602
	US 6759428	B2	20040706		
	US 2003212097	A1	20031113	US 2002-308963	20021203
	US 6747053	B2	20040608		
PRAI	US 2001-336750P	P	20011204		
	US 2002-308963	A2	20021203		

OS MARPAT 140:339193

AB Title compds. I [wherein n = 0-2; R1 = (un)substituted indolyl, indazolyl, benzothiazolyl, indoliziny, tetrahydropyridoindolyl; benzopyrrolothiazolyl; X = [CH(R5R6)]q; q = 1-2; R2, R3, R4, R5 = independently H, alkyl; R6 = H, cyclo/alkyl, (CRaRb)oA; Ra, Rb = independently H, alkyl; o = 0-4; A = OH and derivs., (un)substituted Ph, pyridyl, imidazolyl, morpholinyl, CO2H and derivs., etc.; Y = (CH2)m; m = 1-3; their pharmaceutically acceptable salts, solvates and prodrugs] were prepared as cysteine protease, in particular Cathepsin K inhibitors. The compds. are useful for the treatment of diseases which are associated with cysteine proteases such as osteoporosis, tumor metastasis, unstable angina pectoris and/or plaque rupture. Thus, Et (1R,2S)-2-aminocyclohexanecarboxylate-HBr was treated with indole-2-carboxylic acid, followed by ester hydrolysis and amidation with (R,S)-amino(cyclopropyl)acetonitrile to give the amide II. I selectively inhibited Cathepsin K (no data).

IT **541524-20-3P**

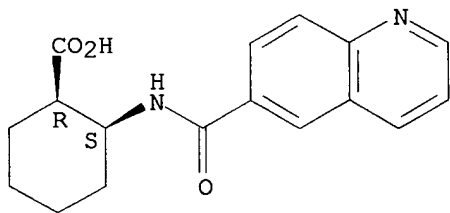
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole nitriles as cysteine protease, in particular Cathepsin K inhibitors)

RN 541524-20-3 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[(6-quinolinylcarbonyl)amino]-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



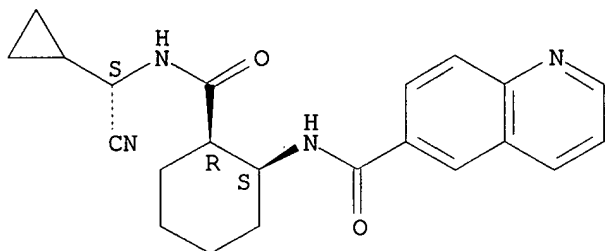
IT 541523-64-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole nitriles as cysteine protease, in particular Cathepsin K inhibitors)

RN 541523-64-2 CAPLUS

CN 6-Quinolinescarboxamide, N-[(1S,2R)-2-[[[(S)-cyanocyclopropylmethyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 40 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:327187 CAPLUS

DN 140:321364

TI Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators

IN Thurkauf, Andrew; He, Xiao-shu; Zhao, He; Peterson, John; Zhang, Xiaoyan; Brodbeck, Robbin; Krause, James; Maynard, George; Hutchison, Alan

PA Neurogen Corporation, USA

SO U.S., 592 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6723743	B1	20040420	US 2000-672071	20000928
	US 6884815	B1	20050426	US 2003-461311	20030612
PRAI	US 1999-156390P	P	19990928		
	US 2000-202749P	P	20000508		
	US 2000-212499P	P	20000616		
	US 2000-221787P	P	20000731		
	US 2000-224036P	P	20000809		
	US 2000-212449P	P	20000616		
	US 2000-672071	A3	20000928		

OS MARPAT 140:321364

AB The invention includes low mol. weight, non-peptidic, non-peptidommetic, organic

mols. that can act as modulators of mammalian complement C5a receptors, preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess some or all of the following properties in that they are: (1) multi-aryl in structure; (2) heteroaryl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable in vivo effect; (4) comprise fewer than four or preferably no amide bonds, and (5) capable of habiting leukocyte chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include mainly substituted arylimidazoles I [m = 0-2; R1 = H, OH, halo, NH2, etc.; R2 = alkyl, cycloalkyl, haloalkyl, etc.; R31, R32, R5, R6 = H, OH, halo, NH2, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; R7 = 0-3 groups selected from halo, NO2, CN, CF3, etc.], and also pyrazoles, amides, etc. Detailed preparation of some of the title compds. was given. E.g., a multi-step synthesis of I [Ar1 = Ph; R1, R31, R32, R7 = H; R2 = Bu; R4 = 3,4-methylenedioxyphenyl] was presented. The invention also includes pharmaceutical composition comprising the title compds. and the use of such compds. in treating a variety disorders.

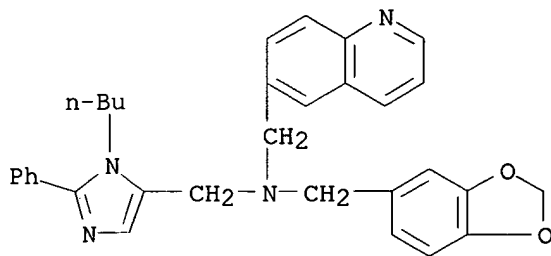
IT 439558-06-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators)

RN 439558-06-2 CAPLUS

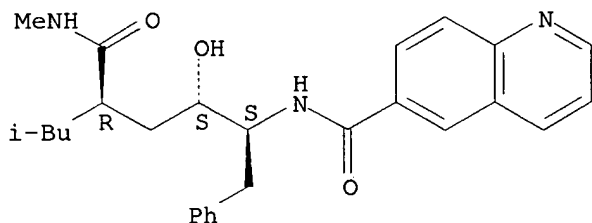
CN 6-Quinolinemethanamine, N-(1,3-benzodioxol-5-ylmethyl)-N-[(1-butyl-2-phenyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 41 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:303284 CAPLUS
 DN 141:64381
 TI Potent small molecule CCR1 antagonists
 AU Kath, John C.; Brissette, William H.; Brown, Matthew F.; Conklyn, Maryrose; DiRico, Amy P.; Dorff, Peter; Gladue, Ronald P.; Lillie, Brett M.; Lira, Paul D.; Mairs, Erin N.; Martin, William H.; McElroy, Eric B.; McGlynn, Molly A.; Paradis, Timothy J.; Poss, Christopher S.; Stock, Ingrid A.; Tylaska, Laurie A.; Zheng, Deyu
 CS Pfizer Global Research and Development, Groton, CT, 06340, USA
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2169-2173
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science B.V.
 DT Journal
 LA English
 AB The present manuscript details structure-activity relationship studies of lead structure 1, which led to the discovery of CCR1 antagonists >100-fold more potent than 1.
 IT 212787-88-7
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (structure activity relationships of potent small mol. CCR1 antagonists)
 RN 212787-88-7 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 42 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:220301 CAPLUS

DN 140:270550

TI A preparation of 1,3-diamino-2-hydroxypropane derivatives as beta-secretase enzyme inhibitors

IN Fobian, Yvette M.; Freskos, John N.; Jagodzinska, Barbara

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SO PCT Int. Appl., 535 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022523	A2	20040318	WO 2003-US28116	20030908
	WO 2004022523	A3	20040910		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2497979	AA	20040318	CA 2003-2497979	20030908
	US 2004214890	A1	20041028	US 2003-657567	20030908
	EP 1534693	A2	20050601	EP 2003-749520	20030908
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014071	A	20050705	BR 2003-14071	20030908
PRAI	US 2002-408783P	P	20020906		
	WO 2003-US28116	W	20030908		

OS MARPAT 140:270550

AB The invention relates to diamino(hydroxy)propane derivs. of formula I [wherein: R1 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl) or (un)substituted (cyclo)alkyl, alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, C2-6 alk(en/yn)yl, etc.; R3 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, etc.; R4 = C1-10 alkyl optionally substituted with 1-3 substituents, -(CH2)0-3-cycloalkyl, -(CR7R8)0-4-(hetero)aryl, etc.; one of R5 and R6 is H and the other is -C(O)(CR9R10)1-6-X-R11, etc.; R7 and R8 are independently selected from H, alkyl, hydroxyalkyl, alk(en/yn)yl, etc.; R9 and R10 are independently selected from H or C1-10 alkyl; R11 = (hetero)aryl, optionally substituted C1-10 alkyl, or C3-8 cycloalkyl, etc.; X = O, S, SO2, etc.]. Compds. I include inhibitors of beta-secretase enzyme useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta-peptide in a mammal. Biol. examples include beta-secretase inhibition, assays using synthetic oligopeptide-substrates, inhibition of A beta production in human patients, etc. For instance, compound II (preparation 8) was prepared via amidation of benzoic acid derivative III by diamino(hydroxy)propane derivative IV and subsequent Boc-cleavage (no yield data). Using 19F-NMR an intramol. acyl-migration was observed when compound II was dissolved in DMSO-d6 and pH 4 buffer solution was added.

IT 674321-90-5P 674323-11-6P

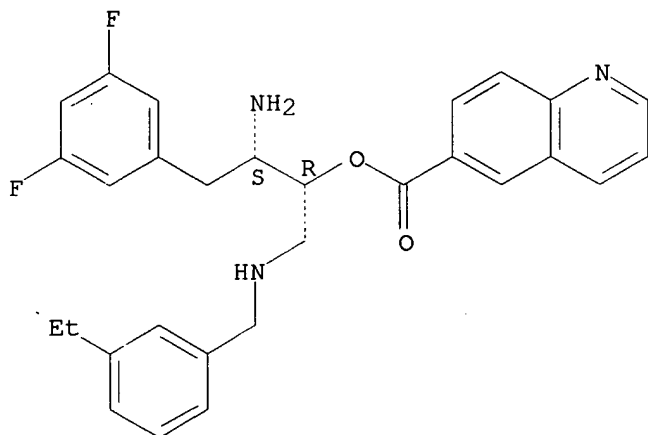
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

RN 674321-90-5 CAPLUS

CN 6-Quinolinecarboxylic acid, (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[[[(3-ethylphenyl)methyl]amino]methyl]propyl ester (9CI) (CA INDEX NAME)

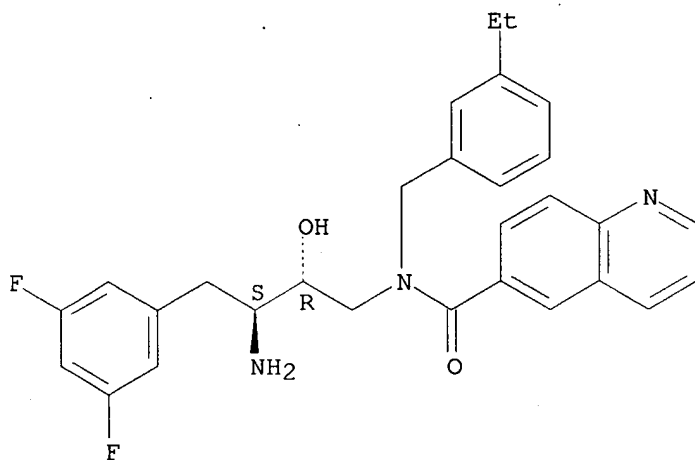
Absolute stereochemistry.



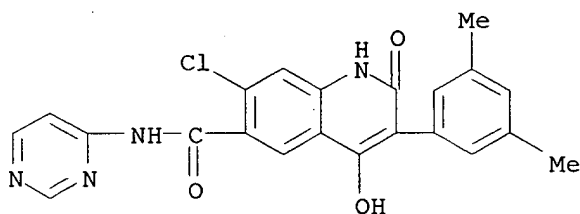
RN 674323-11-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(3-ethylphenyl)methyl]- (9CI) (CA INDEX NAME)

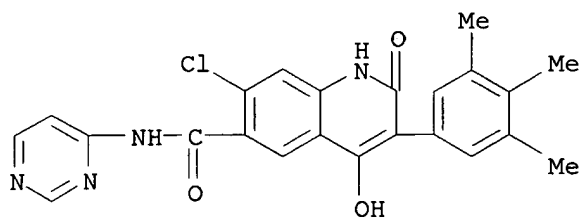
Absolute stereochemistry.



L62 ANSWER 43 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:214132 CAPLUS
 DN 140:423565
 TI Syntheses and structure-activity relationship studies of
 piperidine-substituted quinolones as nonpeptide gonadotropin releasing
 hormone antagonists
 AU Jiang, Jinlong; DeVita, Robert J.; Goulet, Mark T.; Wyvratt, Matthew J.;
 Lo, Jane-L.; Ren, Ning; Yudkovitz, Joel B.; Cui, Jisong; Yang, Yi T.;
 Cheng, Kang; Rohrer, Susan P.
 CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway,
 NJ, 07065, USA
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1795-1798
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science B.V.
 DT Journal
 LA English
 OS CASREACT 140:423565
 AB Syntheses and structure-activity relationships of piperidine-substituted
 quinolones, e.g. I, as nonpeptide gonadotropin releasing hormone
 antagonists are described. Some of substituents on the piperidine ring
 that were investigated included a fused Ph group, a (6R)-trifluoromethyl
 group, (6S) and (6R)-Me group. This study showed that GnRH binding
 potency was tolerated by a small group at the 6-position of the
 piperidine, and blocking the 6-position by a trifluoromethyl group such as
 in I reduced clearance rate and increased oral bioavailability.
 IT 199862-10-7 691857-93-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and structure-activity relationship studies of
 piperidine-substituted quinolones as nonpeptide gonadotropin releasing
 hormone antagonists)
 RN 199862-10-7 CAPLUS
 CN 6-Quinolinecarboxamide, 7-chloro-3-(3,5-dimethylphenyl)-1,2-dihydro-4-
 hydroxy-2-oxo-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 691857-93-9 CAPLUS
 CN 6-Quinolinecarboxamide, 7-chloro-1,2-dihydro-4-hydroxy-2-oxo-N-4-
 pyrimidinyl-3-(3,4,5-trimethylphenyl)- (9CI) (CA INDEX NAME)

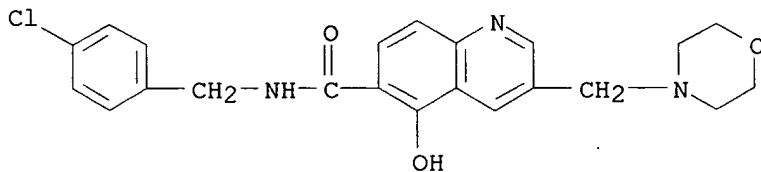


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

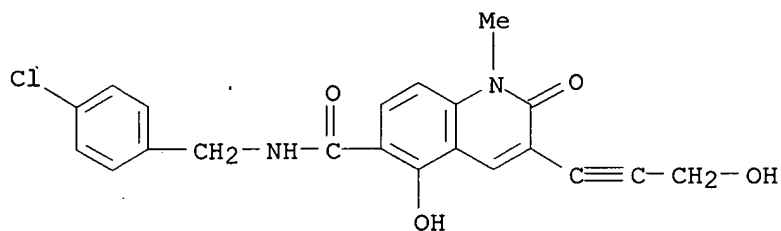
L62 ANSWER 44 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:203660 CAPLUS
 DN 140:229445
 TI Method using heterocyclic carboxamides for preventing or treating
 atherosclerosis or restenosis
 IN Wathen, Michael W.; Wathen, Lynne K.
 PA Pharmacia & Upjohn Company, USA
 SO PCT Int. Appl., 190 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004019933	A1	20040311	WO 2003-US26963	20030828
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2004176366	A1	20040909	US 2003-651290	20030828
PRAI	US 2002-407563P	P	20020830		
	US 2003-469630P	P	20030509		

OS MARPAT 140:229445
 AB The invention provides a method of preventing or treating atherosclerosis or restenosis in mammals, which comprises administering an effective amount of a heterocyclic carboxamide.
 IT **389571-10-2 390359-24-7 390359-25-8 390359-26-9**
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (heterocyclic carboxamides for preventing or treating atherosclerosis or restenosis)
 RN 389571-10-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-5-hydroxy-3-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)

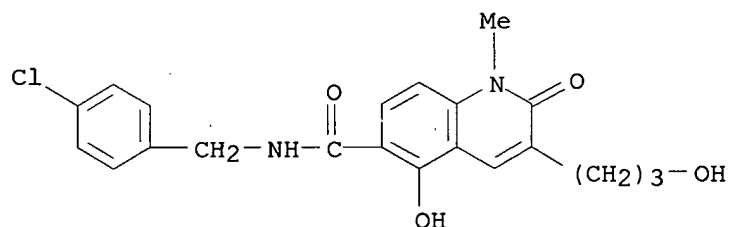


RN 390359-24-7 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-1,2-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



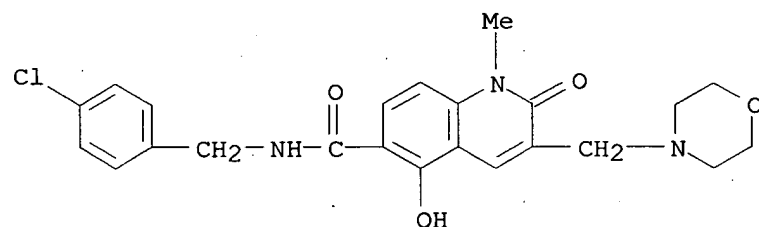
RN 390359-25-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-1,2-dihydro-5-hydroxy-3-(3-hydroxypropyl)-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 390359-26-9 CAPLUS

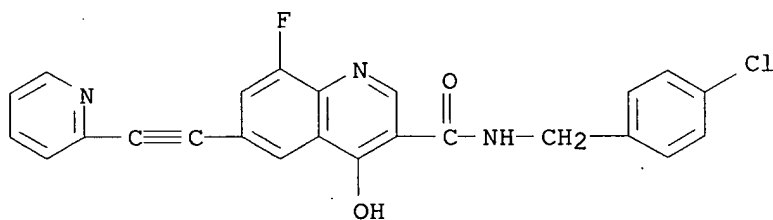
CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-1,2-dihydro-5-hydroxy-1-methyl-3-(4-morpholinylmethyl)-2-oxo- (9CI) (CA INDEX NAME)



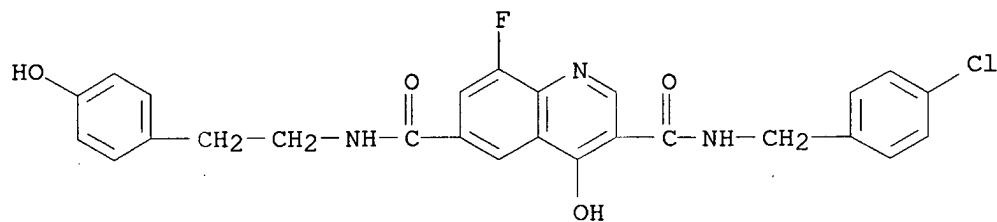
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 45 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:203659 CAPLUS
 DN 140:247064
 TI Method using quinolinecarboxamides and other heterocyclic compounds for preventing or treating atherosclerosis or restenosis
 IN Wathen, Michael W.; Wathen, Lynne K.
 PA Pharmacia & Upjohn Company, USA
 SO PCT Int. Appl., 299 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004019932	A1	20040311	WO 2003-US26962	20030828
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004186131	A1	20040923	US 2003-651309	20030828
PRAI	US 2002-407563P	P	20020830		
	US 2003-467497P	P	20030502		
OS	MARPAT 140:247064				
AB	The invention provides a method for preventing or treating atherosclerosis or restenosis in mammals, which comprises administering an effective amount of a quinolinecarboxamide or other heterocyclic compound				
IT	228726-17-8 228727-10-4 228727-12-6 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (quinolinecarboxamides and other heterocyclic compds. for preventing or treating atherosclerosis or restenosis)				
RN	228726-17-8 CAPLUS				
CN	3-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-8-fluoro-4-hydroxy-6-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)				

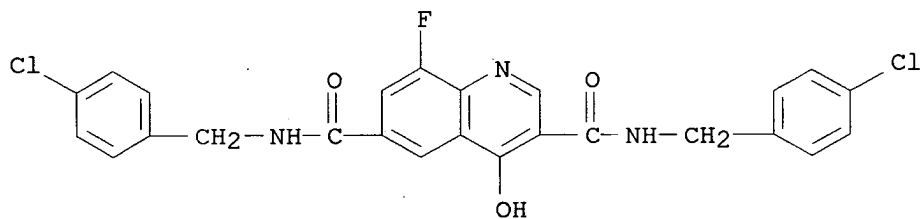


RN 228727-10-4 CAPLUS
 CN 3,6-Quinolinedicarboxamide, N3-[(4-chlorophenyl)methyl]-8-fluoro-4-hydroxy-N6-[2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 228727-12-6 CAPLUS

CN 3,6-Quinolinedicarboxamide, N,N'-bis[(4-chlorophenyl)methyl]-8-fluoro-4-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 46 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:182368 CAPLUS
 DN 140:229401
 TI Three hybrid assay system for isolating ligand-binding polypeptides and
 for isolating small mol. ligands
 IN Come, Jon H.; Becker, Frank; Kley, Nikolai A.; Reichel, Christoph
 PA USA
 SO U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004043388	A1	20040304	US 2002-234985	20020903
	US 2003165873	A1	20030904	US 2002-91177	20020304
	US 2004266854	A1	20041230	US 2004-820453	20040407
PRAI	US 2001-272932P	P	20010302		
	US 2001-278233P	P	20010323		
	US 2001-329437P	P	20011015		
	US 2002-91177	A2	20020304		
	US 2001-336962P	P	20011203		
	WO 2002-US6677	A2	20020304		
	US 2002-234985	A2	20020903		
	WO 2002-US33052	A2	20021015		
	US 2003-460921P	P	20030407		
	US 2003-531872P	P	20031223		

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene glycol moiety to dexamethasone, is described.

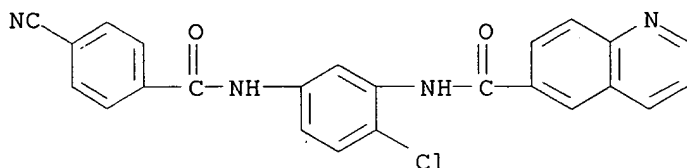
IT **258502-99-7D**, conjugates

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 258502-99-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-chloro-5-[(4-cyanobenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 47 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:142960 CAPLUS
 DN 140:175187
 TI Naphthalene analogs and derivatives as matrix metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use
 IN Li, Jie Jack
 PA Warner-Lambert Company LLC, USA
 SO PCT Int. Appl., 259 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

Appl PCT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014377	A1	20040219	WO 2003-IB3482	20030803
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2494048	AA	20040219	CA 2003-2494048	20030803
	EP 1539163	A1	20050615	EP 2003-784387	20030803
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013460	A	20050705	BR 2003-13460	20030803
	US 2004043983	A1	20040304	US 2003-634182	20030805
PRAI	US 2002-403242P	P	20020813		
	WO 2003-IB3482	W	20030803		

OS MARPAT 140:175187

AB The invention provides naphthalene analogs and derivs. (Markush included), or a pharmaceutically acceptable salt thereof, as well as pharmaceutical compns. containing them. Compds. of the invention include 4-hydroxyquinoline derivs. The invention also provides methods of inhibiting a matrix metalloproteinase 13 (MMP-13) enzyme in an animal, comprising administering to the animal a compound of the invention. The invention further provides methods of treating a disease mediated by an MMP-13 enzyme in a patient, comprising administering to the patient a compound of the invention, either alone or in a pharmaceutical composition. The invention also provides methods for treating diseases such as heart disease, multiple sclerosis, osteo- and rheumatoid arthritis, arthritis other than osteo- or rheumatoid arthritis, cardiac insufficiency, inflammatory bowel disease, heart failure, age-related macular degeneration, chronic obstructive pulmonary disease, asthma, periodontal diseases, psoriasis, atherosclerosis, and osteoporosis in a patient, comprising administering to the patient a compound of the invention, either alone or in a pharmaceutical composition. The invention further provides combinations comprising a compound of the invention together with another pharmaceutically active component.

IT 658037-13-9 658037-14-0 658037-15-1
 658037-16-2 658037-17-3 658037-18-4
 658037-19-5 658037-20-8 658037-21-9
 658037-22-0 658037-23-1 658037-24-2
 658037-25-3 658037-26-4 658037-27-5

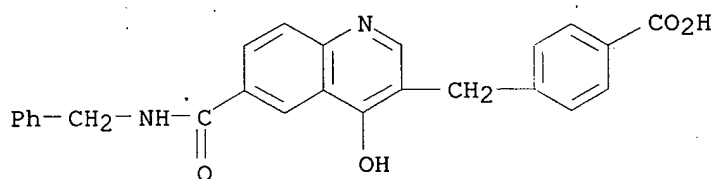
658037-28-6 658037-29-7 658037-30-0
 658037-31-1 658037-32-2 658037-33-3
 658037-34-4 658037-35-5 658037-36-6
 658037-37-7 658037-38-8 658037-39-9
 658037-40-2 658037-41-3 658037-42-4
 658037-43-5 658037-44-6 658037-45-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(naphthalene analogs and derivs. as matrix metalloproteinase
 inhibitors, pharmaceutical compns., and therapeutic use)

RN 658037-13-9 CAPLUS

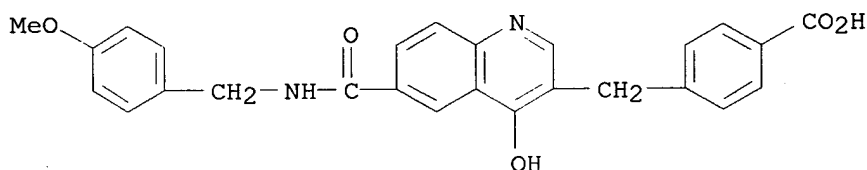
CN Benzoic acid, 4-[[[4-hydroxy-6-[(phenylmethyl)amino]carbonyl]-3-
 quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(1)

RN 658037-14-0 CAPLUS

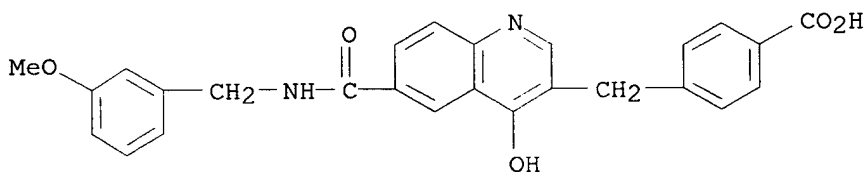
CN Benzoic acid, 4-[[[4-hydroxy-6-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-3-
 quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(2)

RN 658037-15-1 CAPLUS

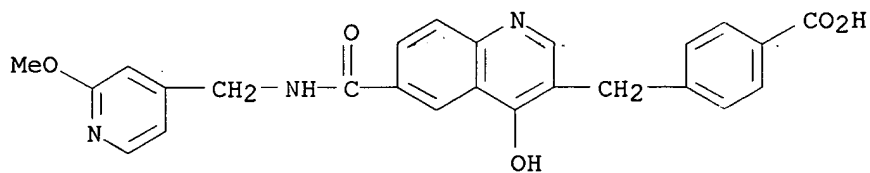
CN Benzoic acid, 4-[[[4-hydroxy-6-[[[(3-methoxyphenyl)methyl]amino]carbonyl]-3-
 quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(3)

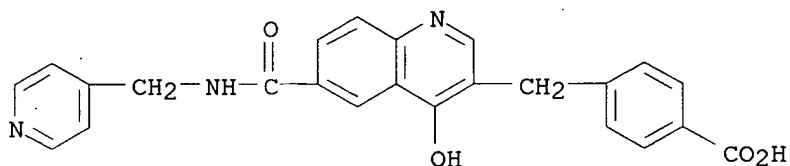
RN 658037-16-2 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[(2-methoxy-4-
 pyridinyl)methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX
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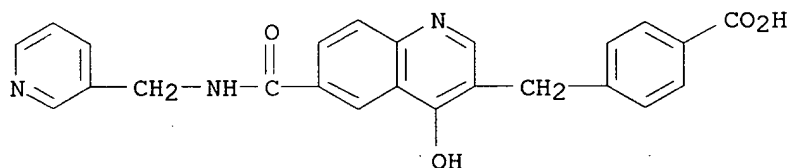
RN 658037-17-3 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4-pyridinylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



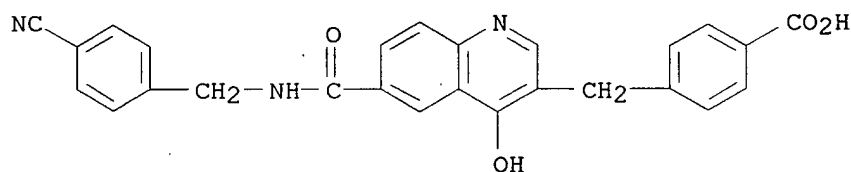
RN 658037-18-4 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[3-pyridinylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



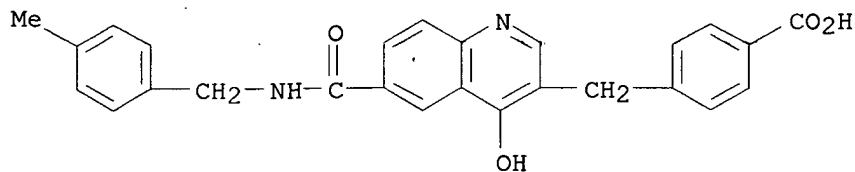
RN 658037-19-5 CAPLUS

CN Benzoic acid, 4-[[[6-[[[4-cyanophenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



RN 658037-20-8 CAPLUS

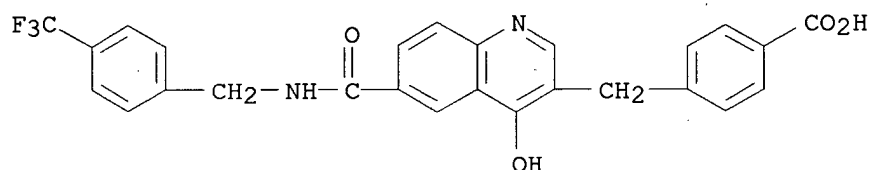
CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4-methylphenyl]methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(8)

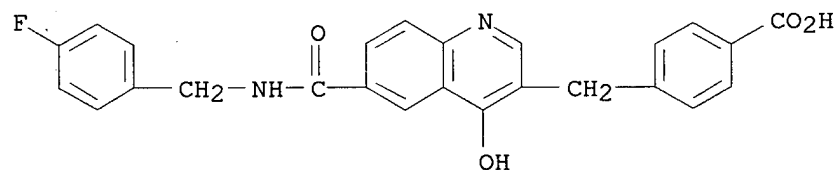
RN 658037-21-9 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)

12(9)
Elected
species.

RN 658037-22-0 CAPLUS

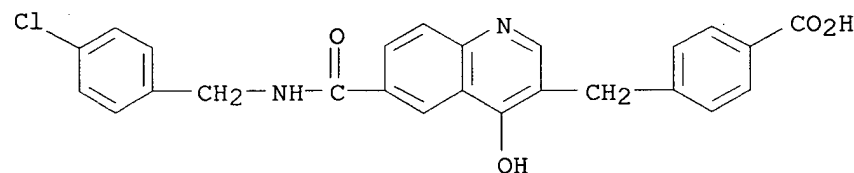
CN Benzoic acid, 4-[[[6-[[[4-fluorophenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(10)

RN 658037-23-1 CAPLUS

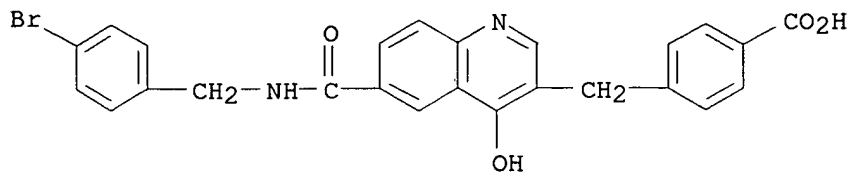
CN Benzoic acid, 4-[[[6-[[[4-chlorophenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(11)

RN 658037-24-2 CAPLUS

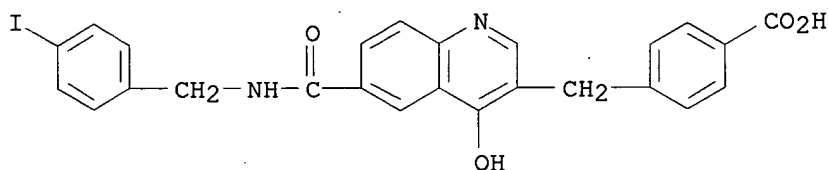
CN Benzoic acid, 4-[[[6-[[[4-bromophenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(12)

RN 658037-25-3 CAPLUS

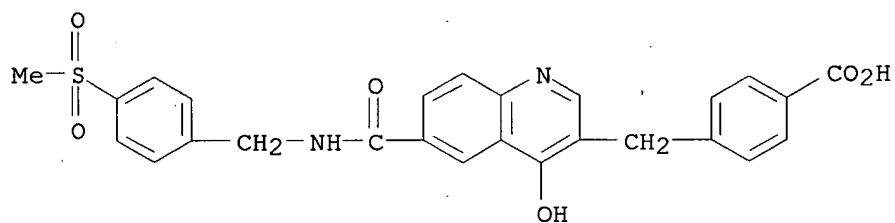
CN Benzoic acid, 4-[[[4-hydroxy-6-[[[(4-iodophenyl)methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(13)

RN 658037-26-4 CAPLUS

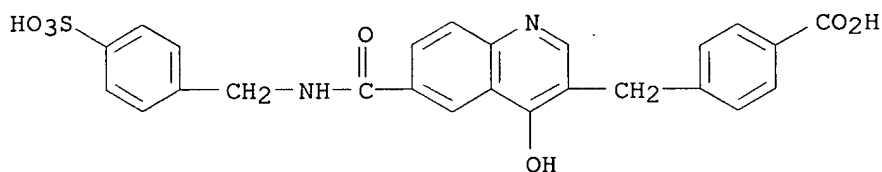
CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(14)

RN 658037-27-5 CAPLUS

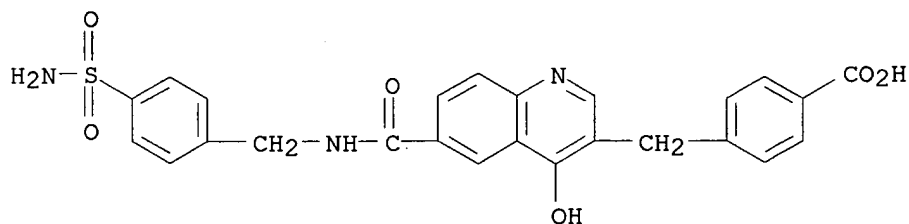
CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4-(sulfophenyl)methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(15)

RN 658037-28-6 CAPLUS

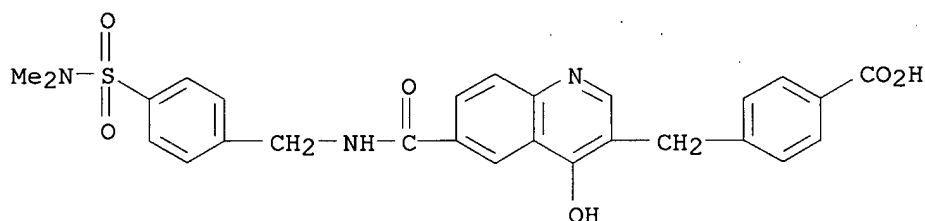
CN Benzoic acid, 4-[[[6-[[[4-(aminosulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(16)

RN 658037-29-7 CAPLUS

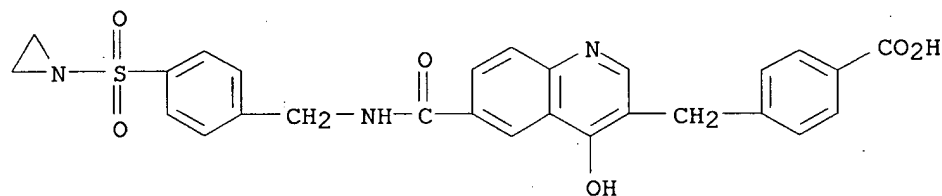
CN Benzoic acid, 4-[[6-[[[4-[(dimethylamino)sulfonyl]phenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(17)

RN 658037-30-0 CAPLUS

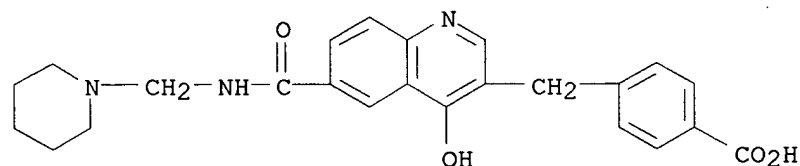
CN Benzoic acid, 4-[[6-[[[4-(1-aziridinylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(18)

RN 658037-31-1 CAPLUS

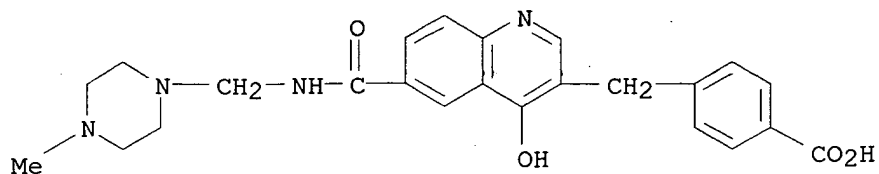
CN Benzoic acid, 4-[[4-hydroxy-6-[[[(1-piperidinylmethyl)amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



12(19)

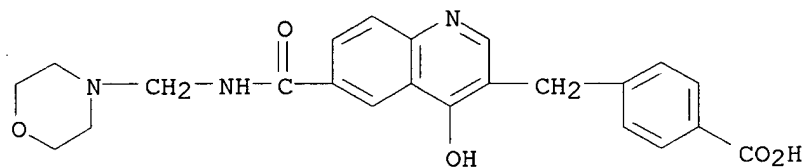
RN 658037-32-2 CAPLUS

CN Benzoic acid, 4-[[4-hydroxy-6-[[[(4-methyl-1-piperazinyl)methyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



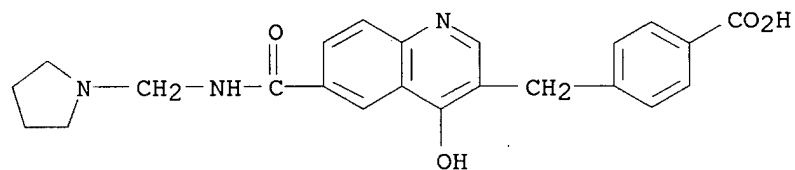
RN 658037-33-3 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4-morpholinylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



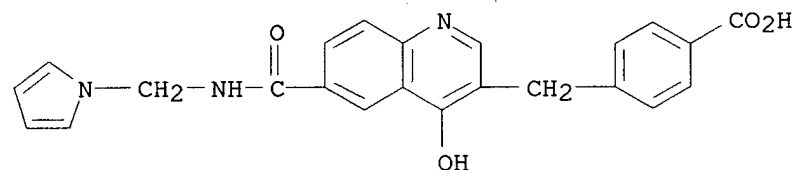
RN 658037-34-4 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[1-pyrrolidinylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



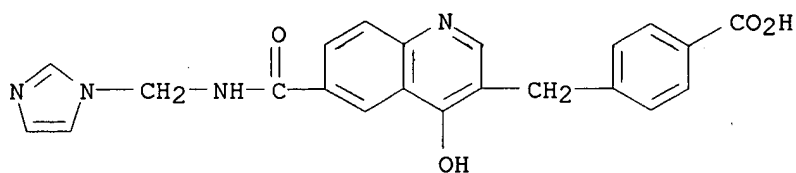
RN 658037-35-5 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[1H-pyrrol-1-ylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



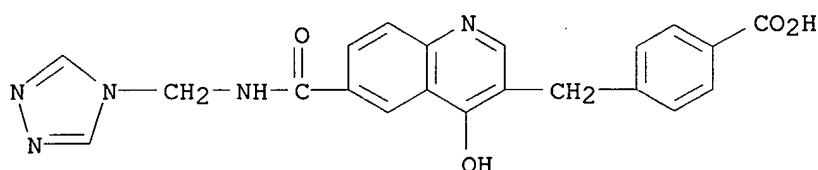
RN 658037-36-6 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[1H-imidazol-1-ylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



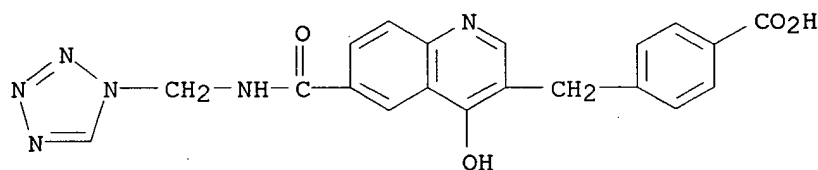
RN 658037-37-7 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[4H-1,2,4-triazol-4-ylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



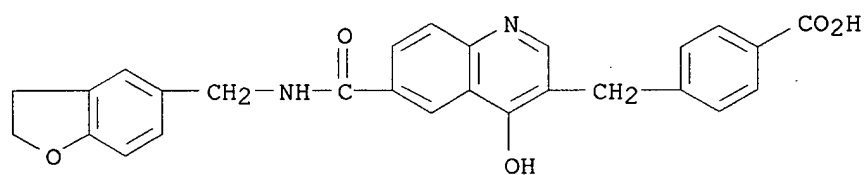
RN 658037-38-8 CAPLUS

CN Benzoic acid, 4-[[[4-hydroxy-6-[[[1H-tetrazol-1-ylmethyl]amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



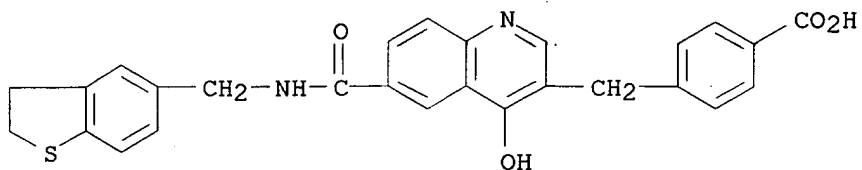
RN 658037-39-9 CAPLUS

CN Benzoic acid, 4-[[[6-[[[(2,3-dihydro-5-benzofuranyl)methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



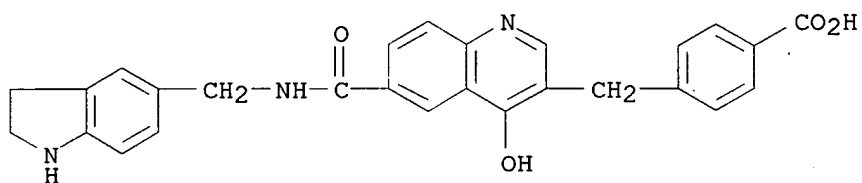
RN 658037-40-2 CAPLUS

CN Benzoic acid, 4-[[[6-[[[(2,3-dihydrobenzo[b]thien-5-yl)methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



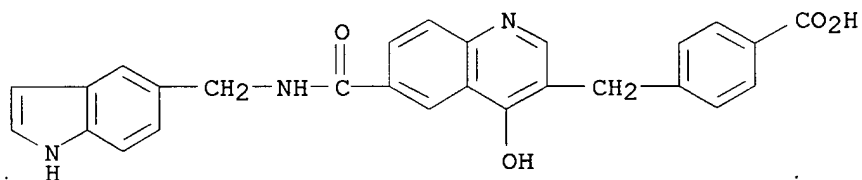
RN 658037-41-3 CAPLUS

CN Benzoic acid, 4-[[6-[[[(2,3-dihydro-1H-indol-5-yl)methyl]amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



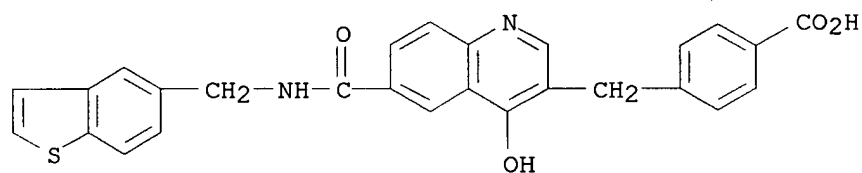
RN 658037-42-4 CAPLUS

CN Benzoic acid, 4-[[4-hydroxy-6-[[[(1H-indol-5-ylmethyl)amino]carbonyl]-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



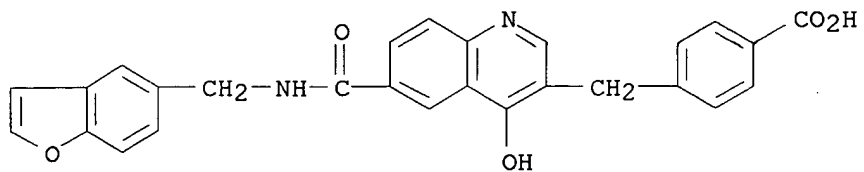
RN 658037-43-5 CAPLUS

CN Benzoic acid, 4-[[6-[[[(benzo[b]thien-5-ylmethyl)amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



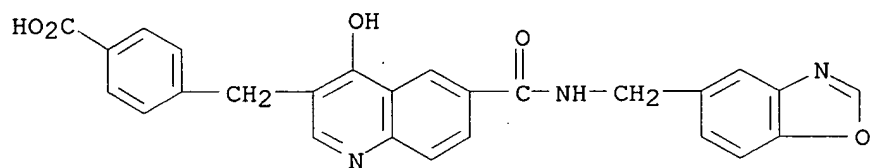
RN 658037-44-6 CAPLUS

CN Benzoic acid, 4-[[6-[[[(5-benzofuranylmethyl)amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



RN 658037-45-7 CAPLUS

CN Benzoic acid, 4-[[[6-[[[5-benzoxazolylmethyl)amino]carbonyl]-4-hydroxy-3-quinolinyl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 48 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:60513 CAPLUS
 DN 140:128681
 TI Preparation of pyrrolo[3,2-b]pyrrolyl amino acid derivatives as cysteine protease inhibitors
 IN Quibell, Martin; Ray, Peter Christopher; Watts, John Paul
 PA Amura Therapeutics Limited, UK
 SO PCT Int. Appl., 711 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004007501	A1	20040122	WO 2003-GB2957	20030715
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499465	AA	20040122	CA 2003-2499465	20030715
	BR 2003012662	A	20050503	BR 2003-12662	20030715
	EP 1546150	A1	20050629	EP 2003-763972	20030715
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	GB 2002-16525	A	20020716		
	GB 2002-17239	A	20020725		
	US 2002-418524P	P	20021015		
	WO 2003-GB2957	W	20030715		

OS MARPAT 140:128681

AB Title compds. I [wherein Z = CR3R4; P1 = CR5R6; P2 = O, CR7R8, NR9; Y = CR10R11CO, CR10R11CS, CR10R11SO, CR10R11SO2, etc.; X = CR16R17; W = O, S, CO, SO, SO2, NR18; V = CO, CS, SO, SO2, SO2NH, OCO, NHCO, NHCO, NHCO2, OCONH, CONH, CR19R20, C=NCO2R19, C=NCONHR19; U = (un)saturated monocyclic or bicyclic ring which includes 0-4 heteroatoms; R3, R4, R7, R8, R9, R10, R11, R16, R17, R18, R19, R20 = independently H, (cyclo)alkyl, aralkyl; R5 and R6 = independently H, OH, SH, NH2, (cyclo)alkyl(oxy), aryl(alkyl), aryl(alkyl)oxy, (cyclo)alkylthio, aryl(alkyl)thio, (cyclo)alkylamino, aryl(alkyl)amino, etc.; m = 0-3; n = 0-1; p = 0-3; and their salts, hydrates, solvates, complexes, and prodrugs] were prepared via solid phase and solution phase synthetic methods as inhibitors of cathepsin K and other cysteine proteases. For example, (3aS,6aR)-3-oxohexahydropyrrolo[3,2-b]pyrrole-1,4-dicarboxylic acid 1-tert-Bu ester 4-(9H-fluoren-9-ylmethyl) ester (several alternate multi-step solution phase preps. given) was converted to the building block-linker construct and loaded to the solid phase. Reaction with Fmoc-Leu-OH (HBTU, HOBT, NMM in DMF), followed by standard Fmoc deprotection, sequential rounds of coupling with 4-tert-butylbenzoic acid (HBTU, HOBT, NMM in DMF) and benzoic anhydride (NMM in DMF), and washing with appropriate reagents provided II (R = Bu-t). The related compound II (R = 2-thienyl) inhibited human cathepsin K, cruizipain, bovine cathepsin S, human cathepsin L, and cysteine protease B peptidase activity with Ki values of <0.01 μ M, >0.3 μ M, >1 μ M, >3 μ M, and >0.2 μ M, resp. Selected compds. of the invention suppressed

bone resorption stimulated by human peripheral blood monocytes by >70% at a concentration of 100 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of osteoporosis, Paget's disease, gingival diseases, such as gingivitis and periodontitis, hypercalcemia of malignancy, metabolic bone disease, diseases involving matrix or cartilage degradation, in particular osteoarthritis and rheumatoid arthritis, and neoplastic diseases (no data). The compds. are also useful for validating therapeutic target compds. (no data).

IT 648931-79-7P 648936-44-1P 648936-78-1P
648937-12-6P 648937-46-6P 648937-81-9P
648938-15-2P 648938-49-2P 648938-84-5P
648939-19-9P 648939-53-1P 648939-87-1P
648940-21-0P 648940-56-1P 648940-90-3P
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648942-27-2P 648942-69-2P 648943-03-7P

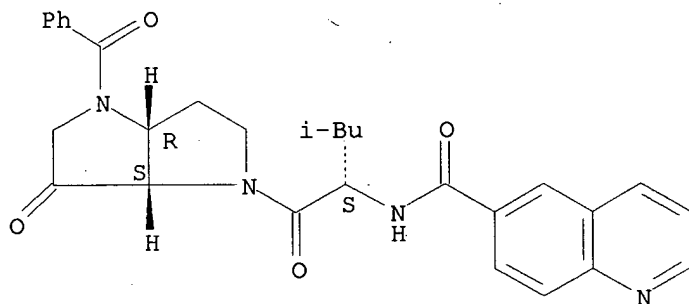
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(cysteine protease inhibitor; preparation of pyrrolo[3,2-b]pyrrolyl amino acid derivs. as cysteine protease inhibitors for treatment of bone diseases, arthritis, and other disorders)

RN 648931-79-7 CAPLUS

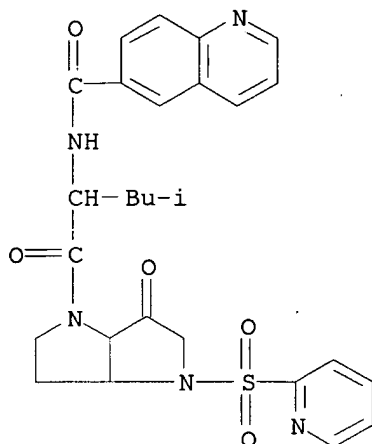
CN 6-Quinolinecarboxamide, N-[(1S)-1-[[[(3aR,6aS)-4-benzoylhexahydro-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



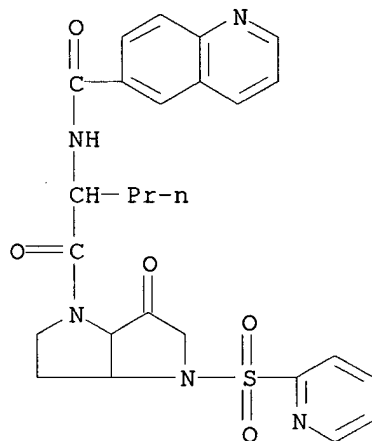
RN 648936-44-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[[hexahydro-6-oxo-4-(2-pyridinylsulfonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



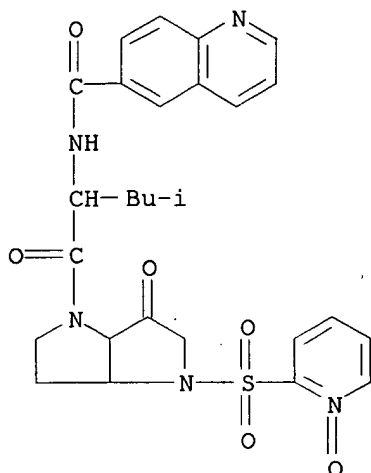
RN 648936-78-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(2-pyridinylsulfonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI)
(CA INDEX NAME)



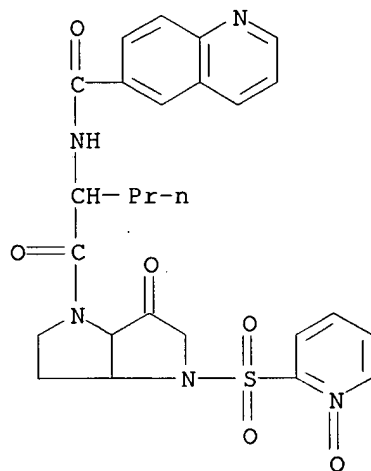
RN 648937-12-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[(1-oxido-2-pyridinyl)sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



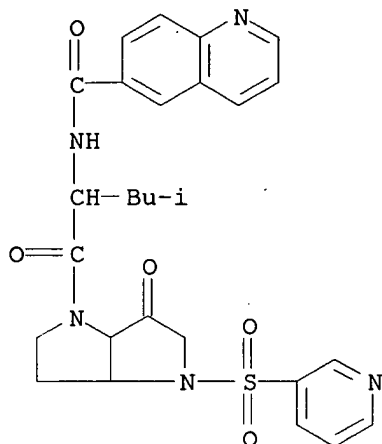
RN 648937-46-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[(1-oxido-2-pyridinyl)sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



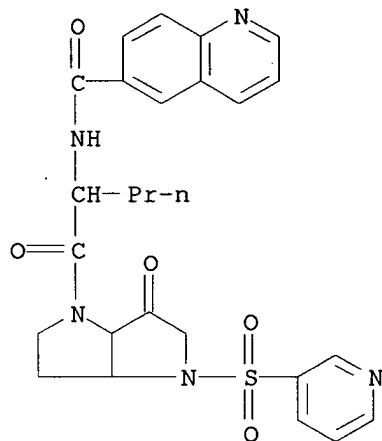
RN 648937-81-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(3-pyridinylsulfonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



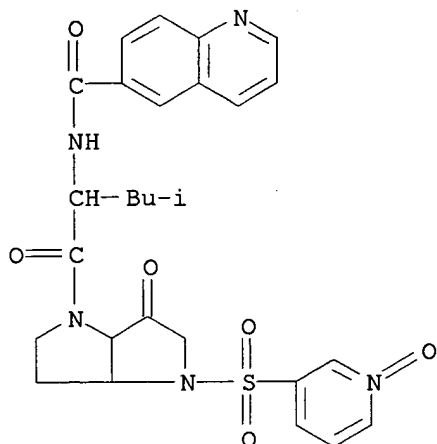
RN 648938-15-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(3-pyridinylsulfonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI)
(CA INDEX NAME)



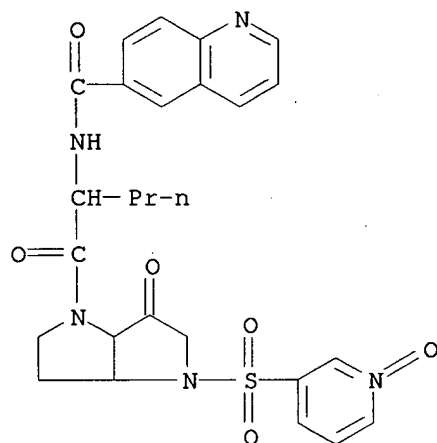
RN 648938-49-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[(1-oxido-3-pyridinyl)sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



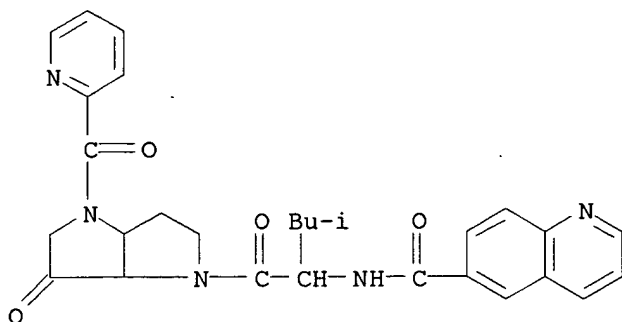
RN 648938-84-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[(1-oxido-3-pyridinyl)sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



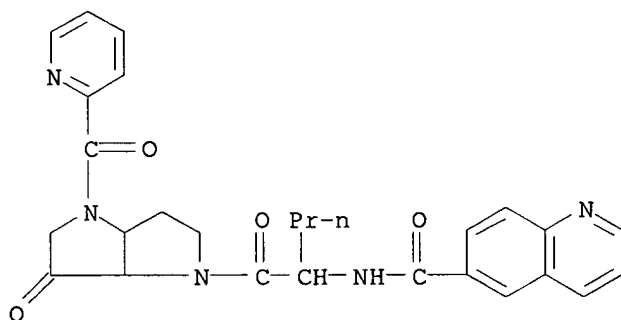
RN 648939-19-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(2-pyridinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



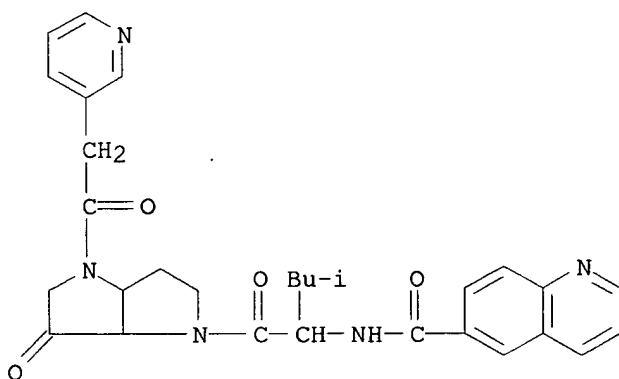
RN 648939-53-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(2-pyridinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI)
(CA INDEX NAME)



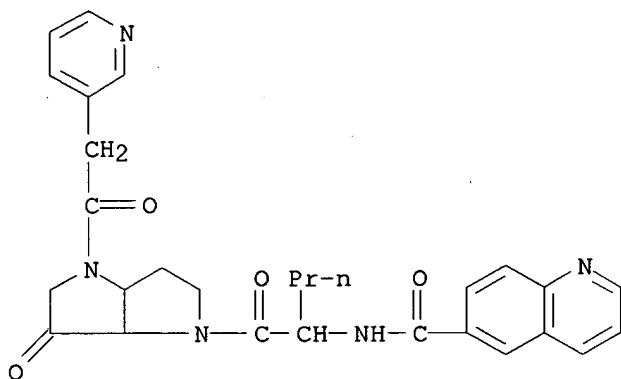
RN 648939-87-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(3-pyridinylacetyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



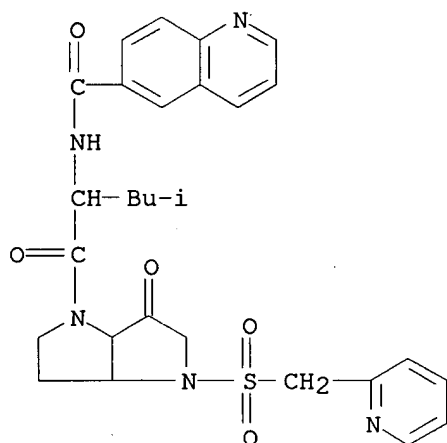
RN 648940-21-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-(3-pyridinylacetyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



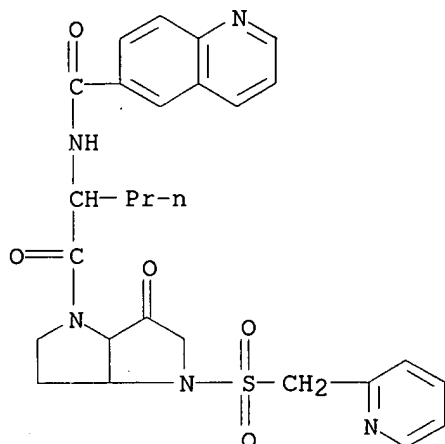
RN 648940-56-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-[(2-pyridinylmethyl)sulfonyl]pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



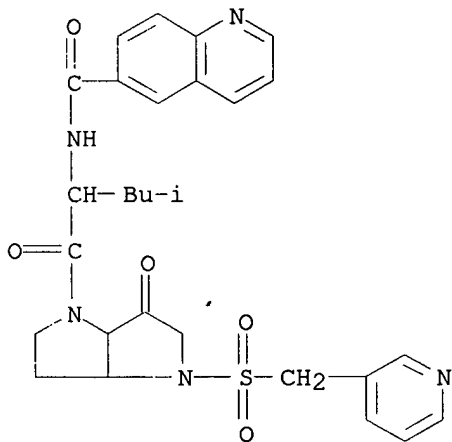
RN 648940-90-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-[(2-pyridinylmethyl)sulfonyl]pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



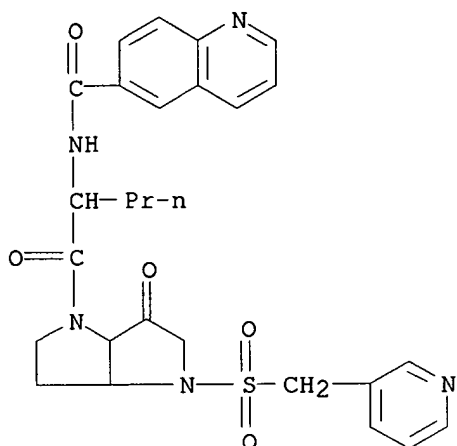
RN 648941-25-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-[(3-pyridinylmethyl)sulfonyl]pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



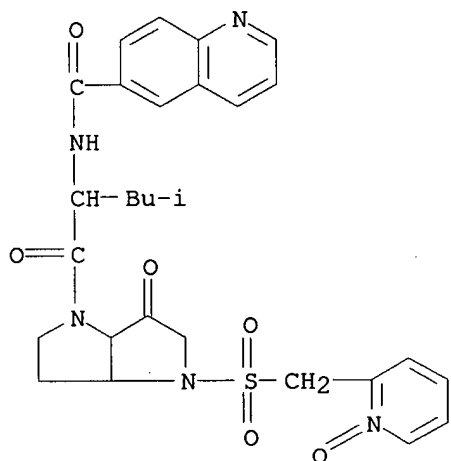
RN 648941-59-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-6-oxo-4-[(3-pyridinylmethyl)sulfonyl]pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



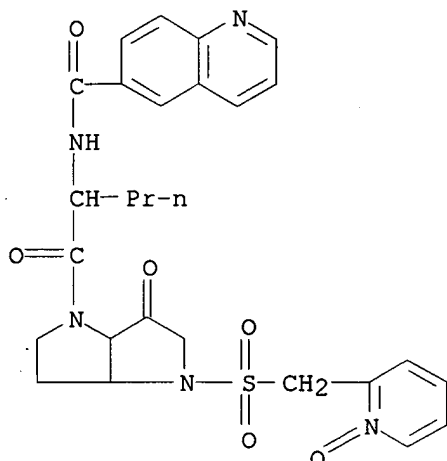
RN 648941-93-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[[[(1-oxido-2-pyridinyl)methyl]sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



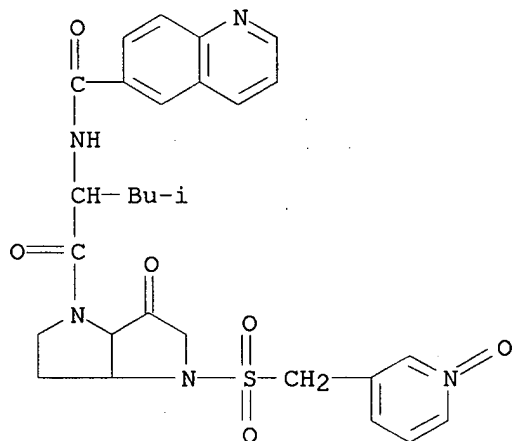
RN 648942-27-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[[[(1-oxido-2-pyridinyl)methyl]sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



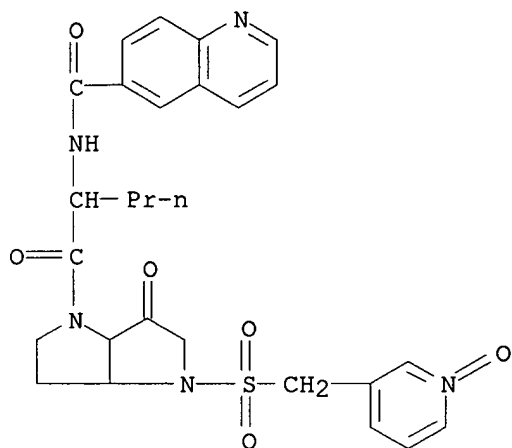
RN 648942-69-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[[[(1-oxido-3-pyridinyl)methyl]sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



RN 648943-03-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[[hexahydro-4-[[[(1-oxido-3-pyridinyl)methyl]sulfonyl]-6-oxopyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 49 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:950057 CAPLUS
 DN 140:16647
 TI Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases
 IN Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang
 PA Amgen Inc., USA
 SO U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S. Ser. No. 46,681.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

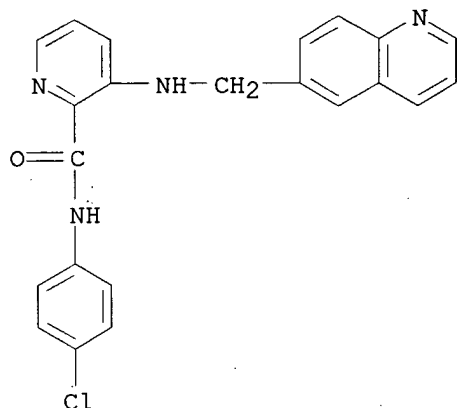
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003225106	A1	20031204	US 2002-197974	20020717
	US 6878714	B2	20050412		
	US 2003125339	A1	20030703	US 2002-46681	20020110
	ZA 2003005197	A	20040319	ZA 2003-5197	20030704
	CA 2492100	AA	20040122	CA 2003-2492100	20030715
	WO 2004007458	A1	20040122	WO 2003-US22417	20030715
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1537084	A1	20050608	EP 2003-764794	20030715
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BG 108012	A	20041130	BG 2003-108012	20030721
PRAI	US 2001-261339P	P	20010112		
	US 2001-323764P	P	20010919		
	US 2002-46681	A2	20020110		
	US 2002-197974	A	20020717		
	WO 2003-US22417	W	20030715		
OS	MARPAT 140:16647				
AB	The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like, were prepared. Thus, the title compound II was prepared from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 µM. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical composition comprising the compound I is claimed.				
IT	453561-07-4P 453561-08-5P 453561-23-4P 453563-25-2P 453563-26-3P 629650-64-2P 629650-65-3P 629650-69-7P 629650-71-1P 629650-72-2P 629650-73-3P 629650-74-4P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis
mediated diseases)

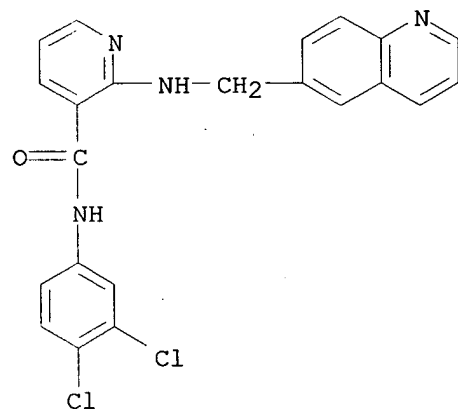
RN 453561-07-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-chlorophenyl)-3-[(6-quinolinylmethyl)amino]-
(9CI) (CA INDEX NAME)



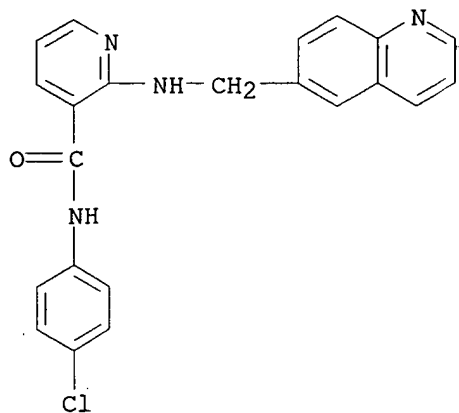
RN 453561-08-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,4-dichlorophenyl)-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



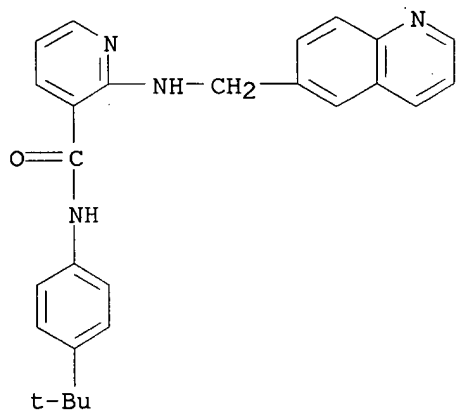
RN 453561-23-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[(6-quinolinylmethyl)amino]-
(9CI) (CA INDEX NAME)



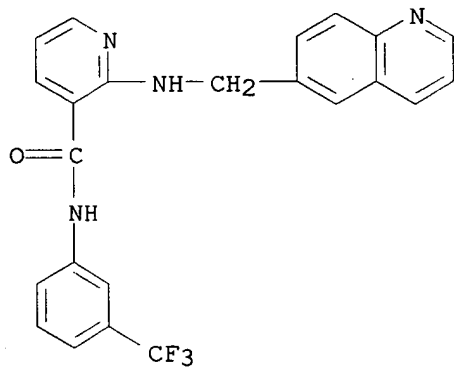
RN 453563-25-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 453563-26-3 CAPLUS

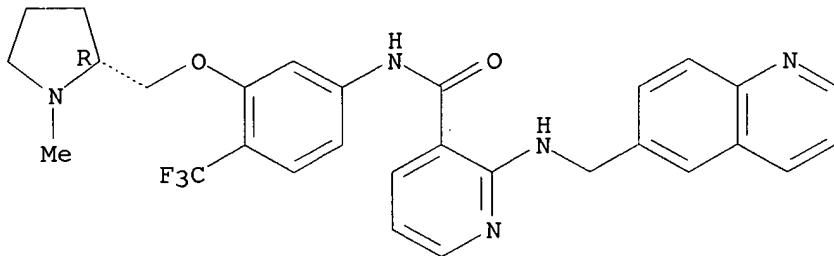
CN 3-Pyridinecarboxamide, 2-[(6-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 629650-64-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-4-(trifluoromethyl)phenyl]-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

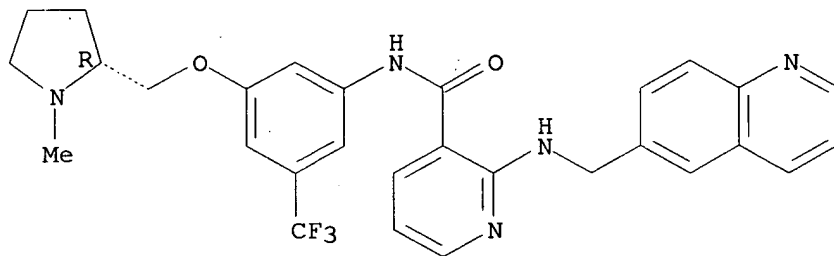
Absolute stereochemistry.



RN 629650-65-3 CAPLUS

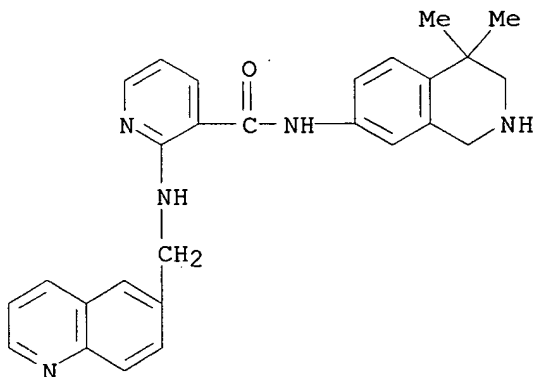
CN 3-Pyridinecarboxamide, N-[3-[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-5-(trifluoromethyl)phenyl]-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 629650-69-7 CAPLUS

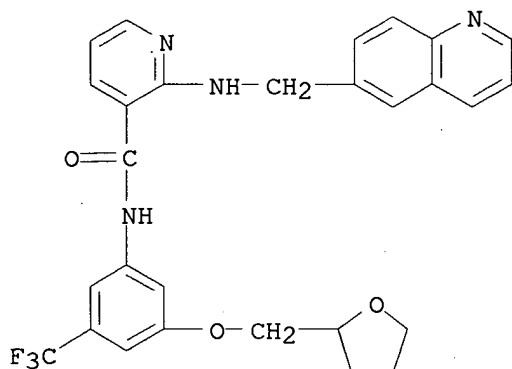
CN 3-Pyridinecarboxamide, 2-[(6-quinolinylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



RN 629650-71-1 CAPLUS

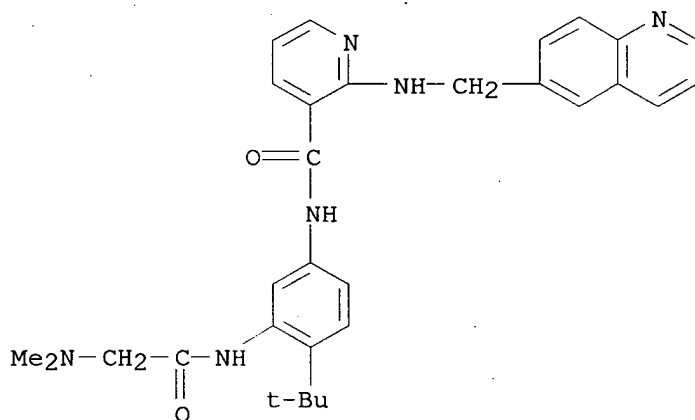
CN 3-Pyridinecarboxamide, 2-[(6-quinolinylmethyl)amino]-N-[3-[(tetrahydro-2-

furanyl)methoxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 629650-72-2 CAPLUS

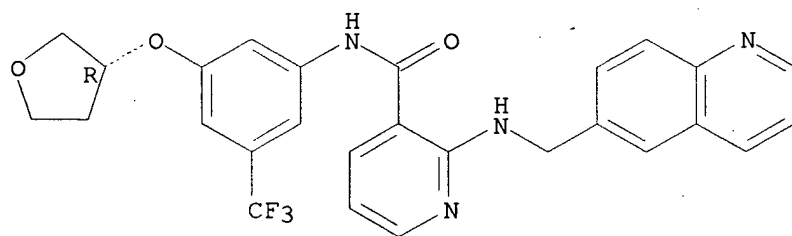
CN 3-Pyridinecarboxamide, N-[3-[[[(dimethylamino)acetyl]amino]-4-(1,1-dimethylethyl)phenyl]-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



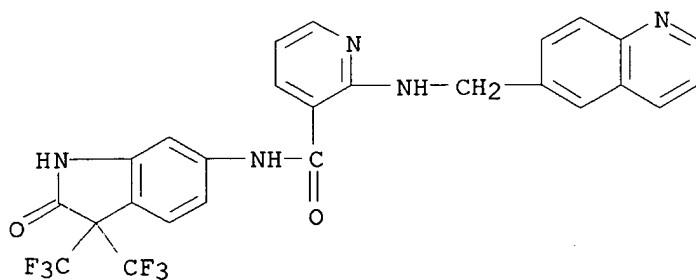
RN 629650-73-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(6-quinolinylmethyl)amino]-N-[3-[[[(3R)-tetrahydro-3-furanyl]oxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 629650-74-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dihydro-2-oxo-3,3-bis(trifluoromethyl)-1H-indol-6-yl]-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 50 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:928857 CAPLUS

DN 140:156725

TI Rational design, synthesis and structure-Activity relationships of a cyclic succinate series of TNF- α converting enzyme inhibitors. Part 1: lead identification

AU Xue, Chu-Biao; He, Xiaohua; Roderick, John; Corbett, Ronald L.; Duan, James J.-W.; Liu, Rui-Qin; Covington, Maryanne B.; Newton, Robert C.; Trzaskos, James M.; Magolda, Ronald L.; Wexler, Ruth R.; Decicco, Carl P.
CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(24), 4293-4297
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:156725

AB Rational design based on the broad spectrum MMP inhibitor CGS 27023A led to the identification of a novel series of cyclic succinate TNF- α converting enzyme (TACE) inhibitors. As a mixture of two enantiomers, the lead compound (the (4-quinolinyl)oxymethyl cyclohexanedicarboxylic acid derivative) exhibited potent enzyme activity (IC₅₀=8 nM) in the inhibition of porcine TACE and excellent selectivity over aggrecanase and MMP-1, -2 and -9.

IT 656820-82-5P

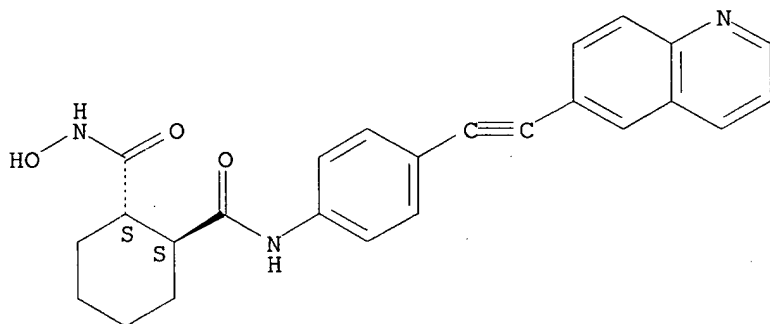
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(rational design and synthesis and structure-activity relationships of a cyclic succinate series of TNF- α converting enzyme inhibitors with high selectivity in relation to metalloproteinases)

RN 656820-82-5 CAPLUS

CN 1,2-Cyclohexanedicarboxamide, N-hydroxy-N'-[4-(6-quinolinylethynyl)phenyl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



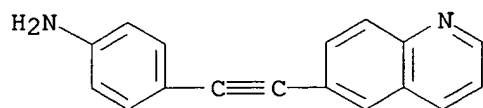
IT 656820-80-3P 863493-06-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(rational design and synthesis and structure-activity relationships of a cyclic succinate series of TNF- α converting enzyme inhibitors with high selectivity in relation to metalloproteinases)

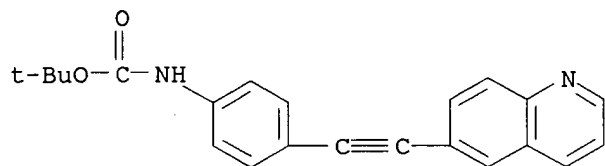
RN 656820-80-3 CAPLUS

CN Benzenamine, 4-(6-quinolinylethynyl)- (9CI) (CA INDEX NAME)



RN 863493-06-5 CAPLUS

CN Carbamic acid, [4-(6-quinolinylethynyl)phenyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 51 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:875260 CAPLUS

DN 139:364951

TI Preparation of quinazoline derivatives as antipruritic agents

IN Okano, Masahiko; Oyama, Tatsuya

PA Nippon Shinyaku Co., Ltd., Japan

SO PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091224	A1	20031106	WO 2003-JP5432	20030428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2483530 AA 20031106 CA 2003-2483530 20030428 EP 1500652 A1 20050126 EP 2003-723226 20030428 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003009558 A 20050301 BR 2003-9558 20030428 US 2005176741 A1 20050811 US 2003-512954 20030428 PRAI JP 2002-125452 A 20020426 JP 2002-272314 A 20020918 JP 2002-373400 A 20021225 WO 2003-JP5432 W 20030428				

OS MARPAT 139:364951

AB The title compds. I [R1 represents hydrogen or alkyl; ring Q represents cyclohexylene or phenylene; A1 and A2 each represents a single bond or alkylene; E represents NHCO, etc.; A3 represents a single bond, a divalent (un)saturated aliphatic hydrocarbon group, etc.; R3 represents a noncyclic aliphatic

hydrocarbon group, etc.; and R4 and R5 are the same or different and each represents hydrogen, alkyl, etc.] are prepared In an in vitro test for binding to the nociceptin receptors, compds. of this invention showed the Ki values of 0.00014 μ M to 0.00067 μ M. Formulations are given.

IT 620952-33-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as antipruritic agents)

RN 620952-33-2 CAPLUS

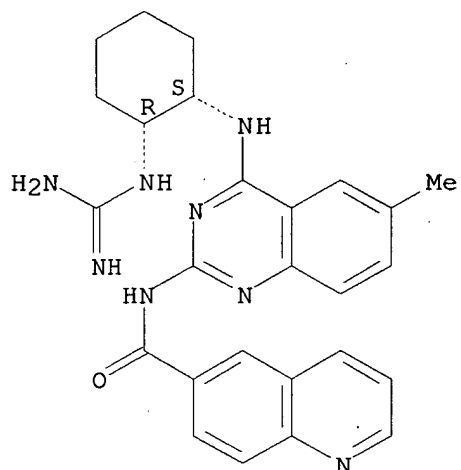
CN 6-Quinolinecarboxamide, N-[4-[[[(1R,2S)-2-[(aminoiminomethyl)amino]cyclohexyl]amino]-6-methyl-2-quinazolinyl]-, rel-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 620952-32-1

CMF C26 H28 N8 O

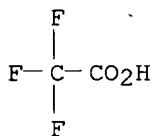
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 52 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:837028 CAPLUS

DN 139:337785

TI Preparation of substituted arylamides as cannabinoid-1 receptor antagonists and/or inverse agonists for use as psychotropic drugs

IN Hagmann, William K.; Lin, Linus S.; Shah, Shrenik K.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 191 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087037	A1	20031023	WO 2003-US9800	20030401
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	CA 2480856	AA	20031023	CA 2003-2480856	20030401
	EP 1494997	A1	20050112	EP 2003-746565	20030401
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
	US 2005154202	A1	20050714	US 2003-509277	20030401
	JP 2005527586	T2	20050915	JP 2003-583993	20030401
PRAI	US 2002-370553P	P	20020405		
	WO 2003-US9800	W	20030401		

OS MARPAT 139:337785

AB Title compds. I [wherein R1 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R2 = (un)substituted (hetero)cycloalkyl, (hetero)aryl, ORd, NRcRd, or CO2Rd; R3 = H or (un)substituted alkyl; R6 = H, halo, CN, NRcRd, or (un)substituted alkyl, alkenyl, or alkynyl; Ar = (un)substituted (hetero)aryl; Rc and Rd = independently H or (un)substituted alkyl, alkenyl, alkynyl, (hetero)cycloalkyl(alkyl), or (hetero)aryl(alkyl); or NRcRd = (un)substituted heterocyclyl; or two ORc groups together with the atoms to which they are attached = (un)substituted heterocyclyl; with provisos; and pharmaceutically acceptable salts thereof] were prepared by conventional and automated synthesis methods as antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor (no data). For example, 2,3-bis(4-chlorophenyl)-1-methylpropylamine•HCl was acylated with 2-benzofurancarboxylic acid in the presence of PyBop and TEA in CH2Cl2 to give the desired amide II. I and their pharmaceutical compns. are useful as psychotropic drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuroinflammatory disorders, including multiple sclerosis and Guillain-Barre syndrome, and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia (no data). In addition, I and their pharmaceutical compns. are useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver (no data).

IT 616244-33-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CB1 receptor modulator; preparation of substituted arylamides as CB1 receptor antagonists and/or inverse agonists for use as psychotropic drugs)

RN 616244-33-8 CAPLUS

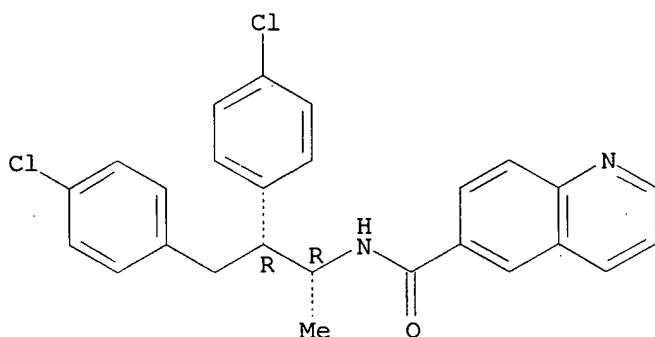
CN 6-Quinolinecarboxamide, N-[(1R,2R)-2,3-bis(4-chlorophenyl)-1-methylpropyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 616244-32-7

CMF C26 H22 Cl2 N2 O

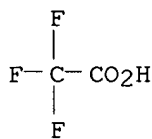
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 53 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:818275 CAPLUS

DN 139:286343

TI Combination therapy using a C5a antagonist and a C5a receptor-inactive therapeutic agent for the treatment of conditions with pathogenic inflammatory components

IN Krause, James

PA Neurogen Corporation, USA

SO PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003084524	A1	20031016	WO 2003-US9424	20030327
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2480082	AA	20031016	CA 2003-2480082	20030327
	US 2004014782	A1	20040122	US 2003-401113	20030327
	EP 1490044	A1	20041229	EP 2003-716867	20030327
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	JP 2005530719	T2	20051013	JP 2003-581764	20030327
PRAI	US 2002-368925P	P	20020329		
	WO 2003-US9424	W	20030327		

OS MARPAT 139:286343

AB Compsns. and methods for treating diseases that are associated with inflammation are provided. Such diseases include arthritis (particularly rheumatoid arthritis) and other autoimmune disorders, asthma, cardio-and cerebrovascular disease, burns, psoriasis, reperfusion injury, and traumatic CNS and spinal cord injury. The compsns. generally comprise at least one C5a antagonist and at least one C5a receptor-inactive therapeutic agent. The methods involve co-administration of at least one C5a antagonist and at least one C5a receptor-inactive therapeutic agent to a patient. The C5a antagonist and C5a receptor-inactive therapeutic agent may be present within the same composition, or may be administered sep. to the patient.

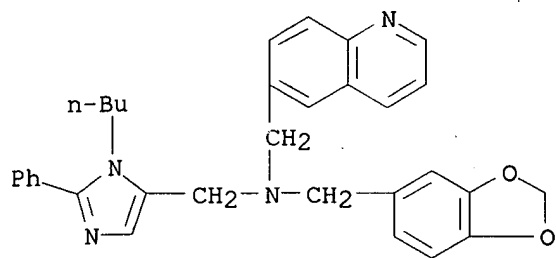
IT 439558-06-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(C5a antagonist-C5a receptor-inactive therapeutic agent combination for treatment of condition with pathogenic inflammatory component)

RN 439558-06-2 CAPLUS

CN 6-Quinolinemethanamine, N-(1,3-benzodioxol-5-ylmethyl)-N-[(1-butyl-2-phenyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 54 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:796538 CAPLUS

DN 139:323440

TI Preparation of radiolabeled quinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomography.

IN Lesage, Anne Simone Josephine; Bischoff, Francois Paul; Janssen, Cornelus Gerardus Maria; Lavreysen, Hilde

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082350	A2	20031009	WO 2003-EP3240	20030326
	WO 2003082350	A3	20040304		
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479109	AA	20031009	CA 2003-2479109	20030326
	BR 2003008945	A	20050104	BR 2003-8945	20030326
	EP 1492571	A2	20050105	EP 2003-745282	20030326
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005524679	T2	20050818	JP 2003-579882	20030326
PRAI	EP 2002-76254	A	20020329		
	WO 2003-EP3240	W	20030326		

OS MARPAT 139:323440

AB Radiolabeled title compds. [I, II; X = O, S, C(R6)2, NR7; Y = O, S; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, thienyl, quinolinyl, etc.; R2 = H, halo, cyano, alkyl, amino, heterocyclyl, etc.; R3, R4 = H, halo, OH, cyano, alkyl, alkoxy, etc.; R2R3 = (CH2)3-6, Z4CH2CH2CH2, Z4CH2CH2, etc.; Z4 = O, S, SO2, NR11; R11 = H, alkyl, PhCH2, alkoxycarbonyl; R3R4 = (CH2)4, CH:CHCH:CH; R5 = H, cycloalkyl, piperidinyl, oxothienyl, tetrahydrothienyl, aralkyl, alkoxyalkyl, etc.; R6 = H, aryl, alkyl, aminoalkyl; R7 = amino, OH], were prepared. Most preferred are radiolabeled compds. in which the radioactive isotope is selected from 3H, 11C and 18F. The invention also relates to their use in a diagnostic method, in particular for marking and identifying a mGluR1 receptor in biol. material, as well as to their use for imaging an organ, in particular using positron emission tomog. (PET). Thus, title compound (III) was prepared by tritiation of the corresponding bromide in THF using tritium gas and Pd/C catalyst. The purified product showed specific activity of 25 Ci/mmol.

IT 409340-98-3P 409342-90-1P 409342-95-6P

409344-41-8P 409344-42-9P 409344-43-0P

409344-44-1P 409344-47-4P 409344-48-5P

409344-56-5P 409344-68-9P 409344-70-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

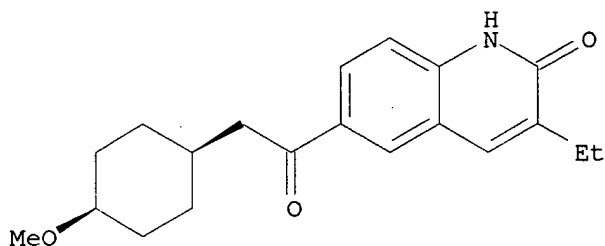
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of radiolabeled quinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomog.)

RN 409340-98-3 CAPLUS

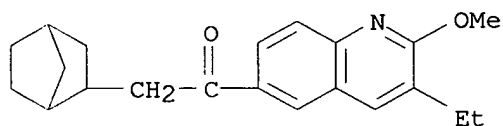
CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-methoxycyclohexyl)acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



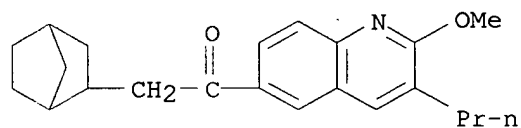
RN 409342-90-1 CAPLUS

CN Ethanone, 2-bicyclo[2.2.1]hept-2-yl-1-(3-ethyl-2-methoxy-6-quinolinyl)- (9CI) (CA INDEX NAME)



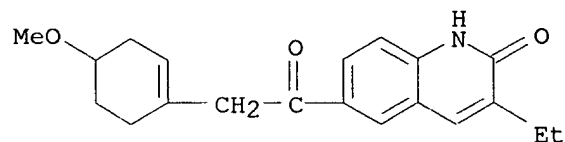
RN 409342-95-6 CAPLUS

CN Ethanone, 2-bicyclo[2.2.1]hept-2-yl-1-(2-methoxy-3-propyl-6-quinolinyl)- (9CI) (CA INDEX NAME)



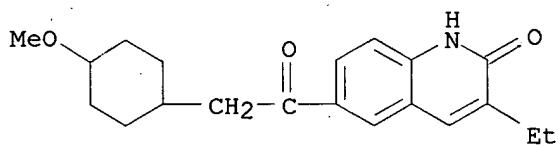
RN 409344-41-8 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-methoxy-1-cyclohexen-1-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 409344-42-9 CAPLUS

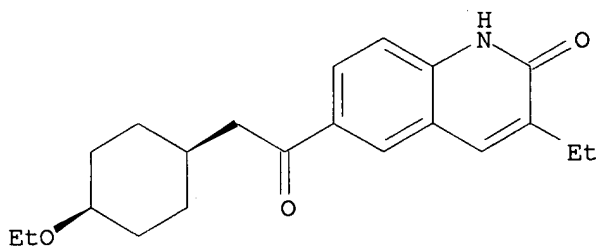
CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-methoxycyclohexyl)acetyl]- (9CI) (CA INDEX NAME)



RN 409344-43-0 CAPLUS

CN 2(1H)-Quinolinone, 6-[(cis-4-ethoxycyclohexyl)acetyl]-3-ethyl- (9CI) (CA INDEX NAME)

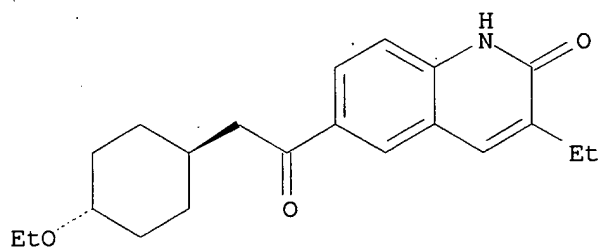
Relative stereochemistry.



RN 409344-44-1 CAPLUS

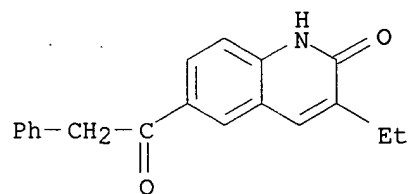
CN 2(1H)-Quinolinone, 6-[(trans-4-ethoxycyclohexyl)acetyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

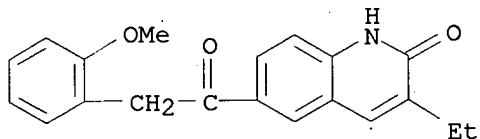


RN 409344-47-4 CAPLUS

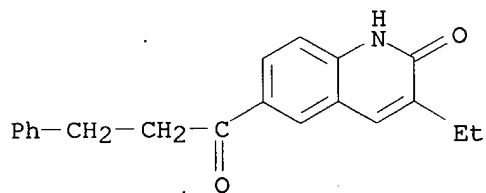
CN 2(1H)-Quinolinone, 3-ethyl-6-(phenylacetyl)- (9CI) (CA INDEX NAME)



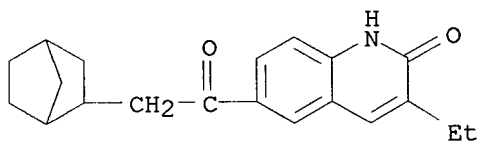
RN 409344-48-5 CAPLUS
 CN 2(1H)-Quinolinone, 3-ethyl-6-[(2-methoxyphenyl)acetyl]- (9CI) (CA INDEX NAME)



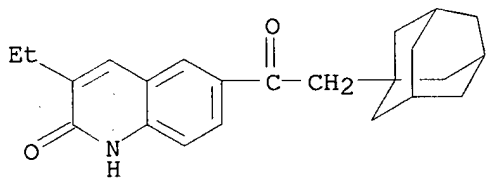
RN 409344-56-5 CAPLUS
 CN 2(1H)-Quinolinone, 3-ethyl-6-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 409344-68-9 CAPLUS
 CN 2(1H)-Quinolinone, 6-(bicyclo[2.2.1]hept-2-ylacetyl)-3-ethyl- (9CI) (CA INDEX NAME)



RN 409344-70-3 CAPLUS
 CN 2(1H)-Quinolinone, 3-ethyl-6-(tricyclo[3.3.1.3⁰.1⁰.1⁰.1⁰]dec-1-ylacetyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 55 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:779090 CAPLUS
 DN 139:292103
 TI Preparation of new podophyllotoxin derivatives and their therapeutic application
 IN Potier, Pierre; Kerkar, Brahim
 PA Fr.
 SO Fr. Demande, 40 pp.
 CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2837824	A1	20031003	FR 2002-3903	20020328
	WO 2003082875	A2	20031009	WO 2003-FR983	20030328
	WO 2003082875	A3	20040401		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI FR 2002-3903 A 20020328

OS CASREACT 139:292103; MARPAT 139:292103

AB The invention relates to podophyllotoxin derivs. I [R = CH₂NHC(:O)R₂, CH(OH)CHR₁7NHC(:O)R₃, CH(OH)CHPhNHC(:O)R₃, pyrrolyl-, pyridyl-, imidazolyl-, pyrazinylalkylene or -vinyl, N-oxopyridyl, quinolinyl, oxodihydroquinolinyl, etc.; R₂ = (un)substituted pyrrole, imidazole, pyridine, pyrazine, indole, Ph, naphthalene, quinoline or thiazole groups; R₃ = O-(C1-4-alkyl), (un)substituted Ph (substituted with halogen or OMe); R₁7 = pyridyl, C1-4-alkyl, (un)substituted Ph (substituted with halogen, NO₂, OH or OMe)], their bases or addition salts with pharmaceutically acceptable acids, in the form of enantiomers, diastereoisomers, or their mixts. (including racemic mixts.). The method of preparation and its therapeutic application, particularly against cancer, is described. Thus, I (R = 2-pyridyl) was prepared from podophyllotoxin via reaction with pyridine-2-carboxylic acid in CH₂Cl₂ containing DMAP and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride. The cytotoxicity of I (@ 10-100 nM) vs. human tumor cell lines (A549, HT-29, KB, KB-VMH, KB-VP2, MDA-MB-231, SK-N-SH) was tested (no data).

IT 608524-89-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

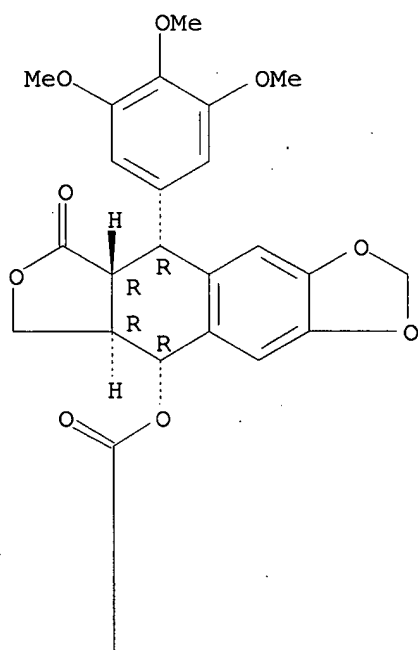
(preparation of new podophyllotoxin derivs. as antitumor therapeutics)

RN 608524-89-6 CAPLUS

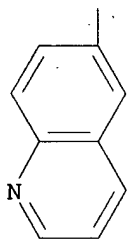
CN 6-Quinolinecarboxylic acid, (5R,5aR,8aR,9R)-5,5a,6,8,8a,9-hexahydro-8-oxo-9-(3,4,5-trimethoxyphenyl)furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L62 ANSWER 56 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:696897 CAPLUS

DN 139:214614

TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

IN Jacobsen, Eric Jon; Myers, Jason K.; Walker, Daniel P.; Wishka, Donn G.; Reitz, Steven C.; Piotrowski, David W.; Acker, Brad A.; Groppi, Vincent E., Jr.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003072578	A1	20030904	WO 2003-US2688	20030214
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2475773	AA	20030904	CA 2003-2475773	20030214
	US 2003236270	A1	20031225	US 2003-366894	20030214
	EP 1478646	A1	20041124	EP 2003-710784	20030214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007874	A	20041228	BR 2003-7874	20030214
	JP 2005525357	T2	20050825	JP 2003-571284	20030214
PRAI	US 2002-358146P	P	20020220		
	WO 2003-US2688	W	20030214		

OS MARPAT 139:214614

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with

Lewy

Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which concluded with an

amidation reaction of the corresponding (2S,3R)-azabicyclic amine dihydrochloride with 2-naphthoic acid using diphenylphosphinic chloride and Et₃N in THF. The prepared amides were assayed for human α 7-5HT₃ receptor binding activity.

IT 590369-83-8P 590371-02-1P 590371-67-8P
590372-61-5P 590373-23-2P 590373-86-7P
590374-33-7P

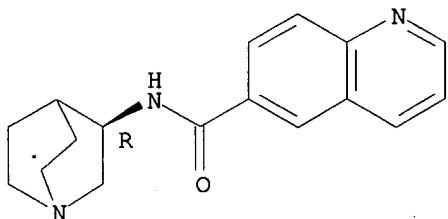
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 590369-83-8 CAPLUS

CN 6-Quinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

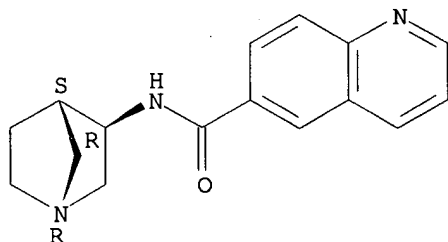
Absolute stereochemistry.



RN 590371-02-1 CAPLUS

CN 6-Quinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl- (9CI) (CA INDEX NAME)

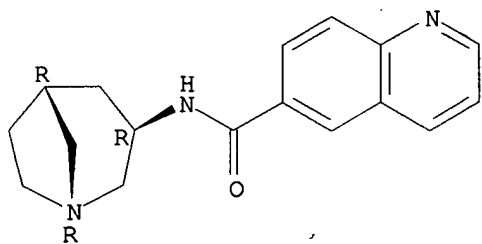
Absolute stereochemistry.



RN 590371-67-8 CAPLUS

CN 6-Quinolinecarboxamide, N-(1R,3R,5R)-1-azabicyclo[3.2.1]oct-3-yl- (9CI) (CA INDEX NAME)

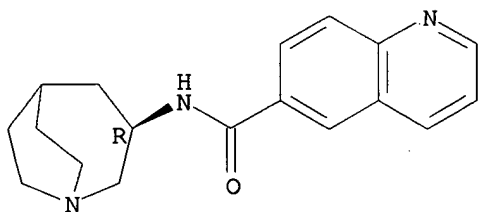
Absolute stereochemistry.



RN 590372-61-5 CAPLUS

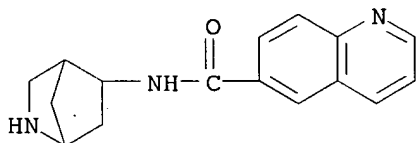
CN 6-Quinolinecarboxamide, N-(3R)-1-azabicyclo[3.2.2]non-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



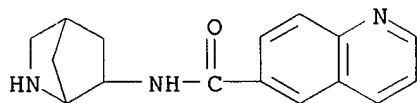
RN 590373-23-2 CAPLUS

CN 6-Quinolinecarboxamide, N-2-azabicyclo[2.2.1]hept-5-yl- (9CI) (CA INDEX NAME)



RN 590373-86-7 CAPLUS

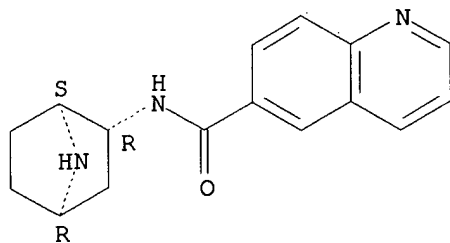
CN 6-Quinolinecarboxamide, N-2-azabicyclo[2.2.1]hept-6-yl- (9CI) (CA INDEX NAME)



RN 590374-33-7 CAPLUS

CN 6-Quinolinecarboxamide, N-(1S,2R,4R)-7-azabicyclo[2.2.1]hept-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

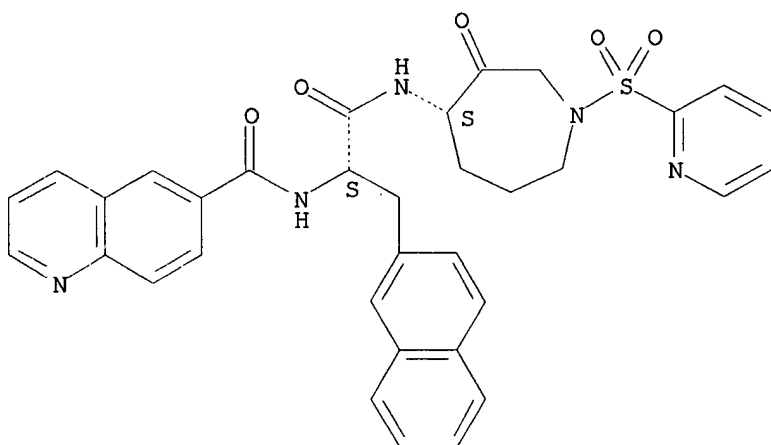


RE.CNT 19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

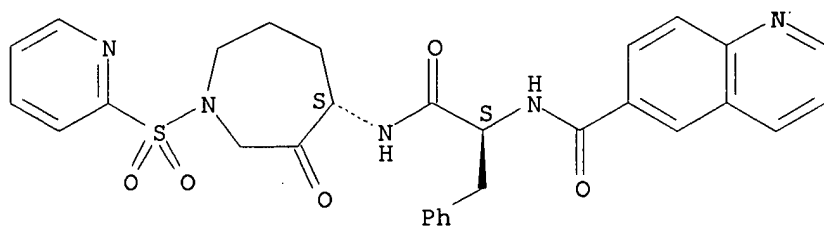
L62 ANSWER 57 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:665404 CAPLUS
 DN 141:103796
 TI Potent and selective cathepsin L inhibitors do not inhibit human osteoclast resorption in vitro. [Erratum to document cited in CA135:120165]
 AU James, Ian E.; Marquis, Robert W.; Blake, Simon M.; Hwang, Shing Mei; Gress, Catherine J.; Ru, Yu; Zembryki, Denise; Yamashita, Dennis S.; McQueney, Michael S.; Tomaszek, Thaddeus A.; Oh, Hye-Ja; Gowen, Maxine; Veber, Daniel F.; Lark, Michael W.
 CS Departments of Bone and Cartilage Biology, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
 SO Journal of Biological Chemistry (2003), 278(34), 32484
 CODEN: JBCHA3; ISSN: 0021-9258
 PB American Society for Biochemistry and Molecular Biology
 DT Journal
 LA English
 AB In Tables I and II, analogs SB-468430 and SB-468433 were originally reported to contain the quinoline-8-carboxamide moiety. Subsequent resynthesis revealed that in actuality these analogs contain the isomeric quinoline-6-carboxamide moiety. The modified structures are given in revised Tables I and II. Corrected Ki values for cathepsin L and cathepsin K in Table I are also given.
 IT **350796-38-2**, SB 468430 **350796-41-7**, SB 468433
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (potent and selective cathepsin L inhibitors do not inhibit human osteoclast resorption in vitro (Erratum))
 RN 350796-38-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 350796-41-7 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 58 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:656742 CAPLUS
 DN 139:197375
 TI Preparation of piperidinyl alcohols as chemokine receptor modulators for treatment of diseases such as asthma
 IN Alcaraz, Lilian; Furber, Mark; Purdie, Mark; Springthorpe, Brian
 PA Astrazeneca A.B., Swed.
 SO PCT Int. Appl., 166 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068743	A1	20030821	WO 2003-SE258	20030217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2472822	AA	20030821	CA 2003-2472822	20030217
BR 2003007477	A	20041109	BR 2003-7477	20030217
EP 1478624	A1	20041124	EP 2003-705600	20030217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107428	A1	20050519	US 2003-504936	20030217
JP 2005525341	T2	20050825	JP 2003-567874	20030217
PRAI SE 2002-465	A	20020218		
SE 2002-2673	A	20020909		
WO 2003-SE258	W	20030217		

OS CASREACT 139:197375; MARPAT 139:197375

AB The invention provides piperidinyl alcs. (shown as I; variables defined below; e.g. N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide) for use as modulators of chemokine receptor (especially CCR3) activity for use in, for example, treating asthma. For I: X is CH₂, O, S(O)2 or NR10; Y is a bond, CH₂, NR35, CH₂NH, CH₂NHC(O), CH(OH), CH(NHCOR33), CH(NHSO₂R34), CH₂O or CH₂S; Z is C(O), or when Y is a bond Z can also be S(O)2; R1 is (un)substituted aryl, (un)substituted heterocyclyl or C4-6 cycloalkyl fused to a benzene ring; addnl. details are given in the claims. Percent inhibition at 3 nM eotaxin of eotaxin-mediated human eosinophil chemotaxis is tabulated for 16 examples of I, e.g. 106 % for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. Histamine H1 receptor binding activity was determined for the same compds., e.g. pK_i = 8.4 for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. 49 Example preps. of intermediates and 234 of I are included. For example, to prepare N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide (0.055 g), a mixture of 2-(methylsulfonyl)benzoic acid (0.063 g), (2R)-1-amino-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]propan-2-ol (0.1 g) and N,N-diisopropylethylamine (0.1 mL) in dry DMF (3 mL) was cooled to 0° with stirring; 2-(1H-9-azabenzotriazol-1-

yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (0.13 g) was added and the mixture was stirred at 0° for 1-2 h. The invention also provides a process for making 4-(3,4-dichlorophenoxy)piperidine, which is useful as an intermediate for making certain compds. of the invention. The process comprises (a) reacting 4-hydroxypiperidine with a suitable base in a suitable solvent at room temperature; and (b) heating the mixture so produced

and

1,2-dichloro-4-fluorobenzene at 50-90°, or at reflux of the solvent used.

IT **583881-17-8P**; N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]quinoline-6-carboxamide

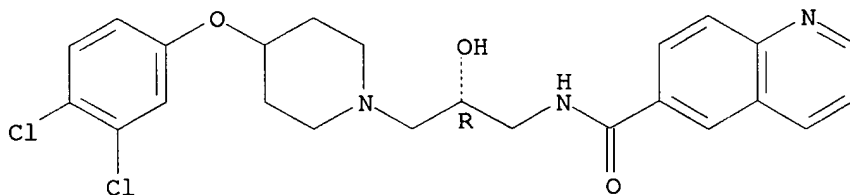
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidinyl alcs. as chemokine receptor modulators for treatment of diseases such as asthma)

RN 583881-17-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 59 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:591177 CAPLUS
 DN 139:149652
 TI Preparation of 2-acylaminothiazole derivatives or salts thereof as c-Mpl
 receptor ligands
 IN Sugasawa, Keizo; Watanuki, Susumu; Koga, Yuji; Nagata, Hiroshi; Obitsu,
 Kazuyoshi; Wakayama, Ryutaro; Hirayama, Fukushi; Suzuki, Ken-ichi
 PA Yamanouchi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 110 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062233	A1	20030731	WO 2003-JP270	20030115
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2472711	AA	20030731	CA 2003-2472711	20030115
	EP 1466912	A1	20041013	EP 2003-700571	20030115
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005153977	A1	20050714	US 2003-500964	20030115
PRAI	JP 2002-10413	A	20020118		
	JP 2002-10447	A	20020118		
	WO 2003-JP270	W	20030115		

OS MARPAT 139:149652

AB 2-Acylaminothiazole derivs. or pharmaceutically acceptable salts thereof
 [I; Ar1 = each (un)substituted aryl, monocyclic aromatic heterocyclyl, or
 bicyclic condensed heterocyclyl; R1 = each (un)substituted aryl or
 monocyclic aromatic heterocyclyl; R2 = Q, Q1, R24R25N; wherein n, m = an
 integer of 1-3; when n or m is an integer of ≥ 2 , CR20R21 and
 CR22R23 may represent a different group; X = O, S, NR26, C(R27)R28; E, G,
 J, L = N, CR29; R20-R23, R26-R29 = H, OH, lower alkoxy, each
 (un)substituted lower alkyl, cycloalkyl, aryl, arylalkyl, aromatic
 heterocyclyl, aromatic heterocyclylalkyl, nonarom. heterocyclyl, lower
 alkenyl, lower alkylidene, NH2, or CONH2, CO2H, lower alkoxycarbonyl,
 lower alkenyloxycarbonyl, aryl-lower alkoxycarbonyl, aromatic
 heterocyclyl-lower alkoxycarbonyl, lower alkylcarbonylamino, oxo; R24, R25
 = H, each (un)substituted lower alkyl, cycloalkyl, or nonarom.
 heterocyclyl] are prepared These compds. have an excellent effect of
 proliferating human c-Mpl-Ba/F3 cells and an activity of increasing
 platelets (thrombocytosis) based on the effect of promoting the formation
 of megakaryocytic colonies and are useful in treating thrombopenia. Thus,
 2.1 mL Et isonipecotinate was added to a solution of 750 mg
 5,6-dichloro-N-[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]nicotinamide in 10 mL THF, heated to 50°, and
 stirred for 5 h to give, after workup and silica gel chromatog., 881 mg
 1-[3-chloro-5-[[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]carbamoyl]-2-pyridyl]piperidine-4-carboxylic acid Et ester

which (30 mg) was dissolved in 1 mL MeOH, treated with 0.12 mL 1 M aqueous NaOH solution at room temperature, stirred for 24 h, distilled under reduced pressure,

dissolved in EtOAc, treated with 0.2 mL 1 M aqueous HCl solution, stirred, and distilled under reduced pressure, followed by washing the residue with Et₂O to give 20 mg 1-[3-chloro-5-[[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]carbamoyl]-2-pyridyl]piperidine-4-carboxylic acid hydrochloride (II). II and recombinant human thrombopoietin (rhTPO) at 2.4 and 0.012 nM, resp., showed 30% of the maximum cell proliferating effect of each compound tested on human c-Mpl-Ba/F3 cell.

IT 570403-67-7P 570403-72-4P 570403-76-8P

570403-88-2P 570403-89-3P 570404-42-1P

570405-01-5P 570405-03-7P 570405-04-8P

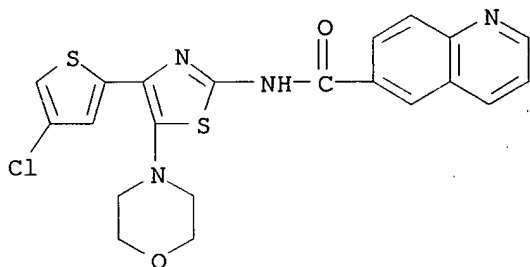
570405-17-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-acylaminothiazole derivs. or salts thereof as c-Mpl receptor ligands for proliferating human c-Mpl-Ba/F3 cells and increasing platelets via promoting the formation of megakaryocytic colony)

RN 570403-67-7 CAPLUS

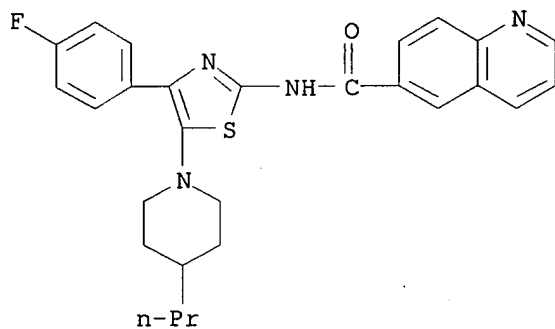
CN 6-Quinolinecarboxamide, N-[4-(4-chloro-2-thienyl)-5-(4-morpholinyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 570403-72-4 CAPLUS

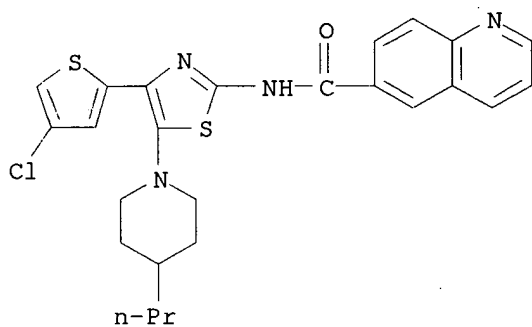
CN 6-Quinolinecarboxamide, N-[4-(4-fluorophenyl)-5-(4-propyl-1-piperidinyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 570403-76-8 CAPLUS

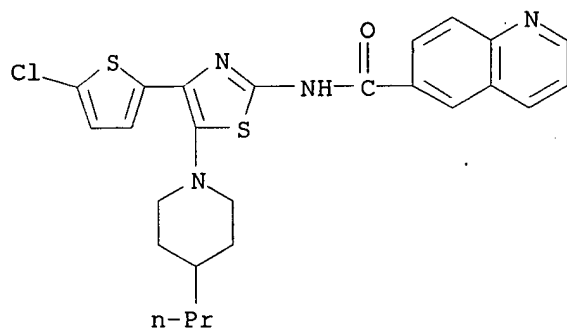
CN 6-Quinolinecarboxamide, N-[4-(4-chloro-2-thienyl)-5-(4-propyl-1-piperidinyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 570403-88-2 CAPLUS

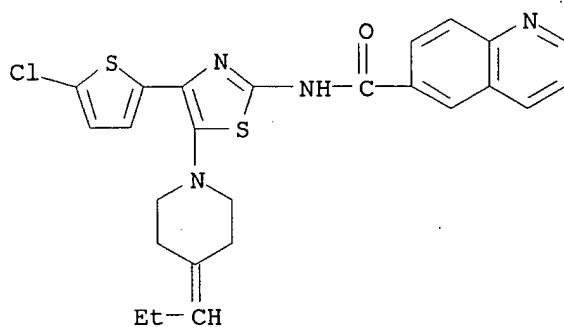
CN 6-Quinolinecarboxamide, N-[4-(5-chloro-2-thienyl)-5-(4-propyl-1-piperidinyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 570403-89-3 CAPLUS

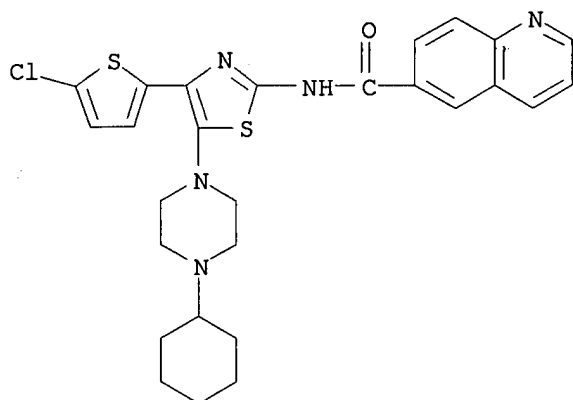
CN 6-Quinolinecarboxamide, N-[4-(5-chloro-2-thienyl)-5-(4-propylidene-1-piperidiny)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 570404-42-1 CAPLUS

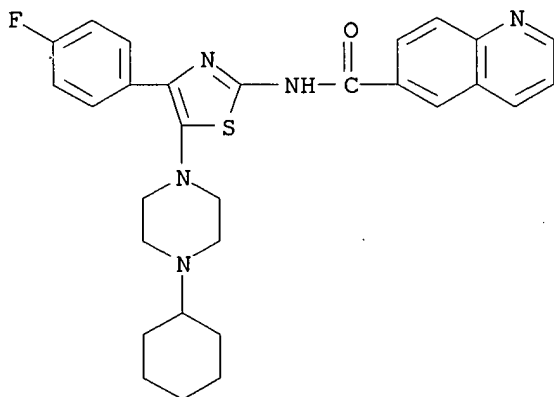
CN 6-Quinolinecarboxamide, N-[4-(5-chloro-2-thienyl)-5-(4-cyclohexyl-1-piperazinyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 570405-01-5 CAPLUS

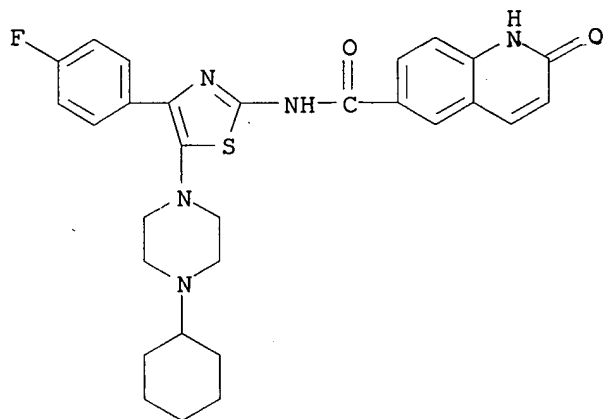
CN 6-Quinolinecarboxamide, N-[5-(4-cyclohexyl-1-piperazinyl)-4-(4-fluorophenyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 570405-03-7 CAPLUS

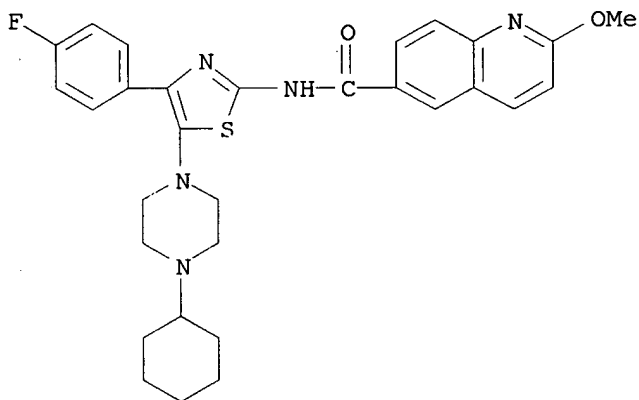
CN 6-Quinolinecarboxamide, N-[5-(4-cyclohexyl-1-piperazinyl)-4-(4-fluorophenyl)-2-thiazolyl]-1,2-dihydro-2-oxo-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 570405-04-8 CAPLUS

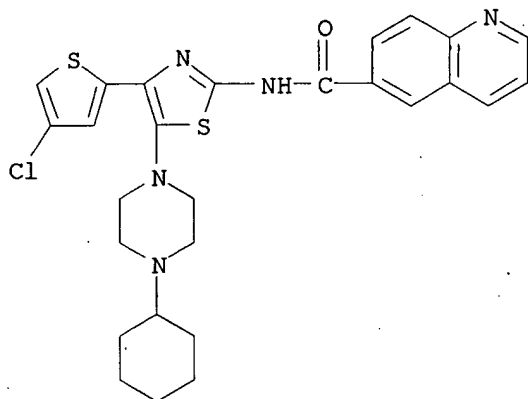
CN 6-Quinolinecarboxamide, N-[5-(4-cyclohexyl-1-piperazinyl)-4-(4-fluorophenyl)-2-thiazolyl]-2-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 570405-17-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-(4-chloro-2-thienyl)-5-(4-cyclohexyl-1-piperazinyl)-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 60 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:591015 CAPLUS

DN 139:133786

TI Preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compositions for treatment of bacterial infections

IN Ashley, Gary; Shaw, Simon James; Li, Yandong

PA Kosan Biosciences, Inc., USA

SO PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003061671	A1	20030731	WO 2003-US1398	20030117
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2471383	AA	20030731	CA 2003-2471383	20030117
	US 2003199458	A1	20031023	US 2003-347512	20030117
	US 6939861	B2	20050906		
	EP 1471923	A1	20041103	EP 2003-713257	20030117
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRAI	US 2002-350153P	P	20020117		
	WO 2003-US1398	W	20030117		

OS MARPAT 139:133786

AB Erythromycin A derived amides, such as I [R1 = alkyl, alkenyl, alkynyl, aryl, arylalkyl, biarylalkyl, etc.; R2 = H, alkyl, alkenyl, alkynyl; R3 = H, C(=O)Ph, alkanoyl; R4 = H, OH; R5 = H, OH, alkoxy, alkenyloxy, alkynyloxy; R6 = H, OMe; X = (CH₂)_m; m = 0-2], were prepared for therapeutic use as antibacterial agents. These erythromycin A derivs. are useful for treating bacterial infections resulting from bacteria selected from the group consisting of Gram pos. bacteria, Gram neg. bacteria and anaerobic bacteria, such as *Staphylococcus aureus*, *Streptococcus epidermidis*, *Streptococcus pneumoniae*, *Streptococcus pyogenes*, enterococci, *Moraxella catarrhalis*, and *Haemophilus influenzae*. Infections and diseases that may be treated using the agents include community-acquired pneumonia, acute exacerbated chronic bronchitis, acute sinusitis, tonsillitis, pharyngitis, upper respiratory tract infection, lower respiratory tract infection, skin infection, soft tissue infection, meningitis, hospital-acquired infection, bone infection, joint infection and gastric motility diseases, such as gastro-esophageal reflux disease (GERD), postoperative ileus, diabetes, and gastroparesis. Thus, 15-(6-quinolinecarboxamido)erythromycin A I [R1 = 6-quinolinyl, R2 = R3 = H, R4 = R5 = OH, R6 = OMe, X = (CH₂)₂] was prepared via a series of steps which included bio-mediated conversion of (±)-(2S*,3R*)-5-chloro-3-hydroxy-2-methylpentanoate N-propionylcysteamine thioester to 15-chloro-6-deoxyerythronolide B using *Streptomyces coelicolor*, conversion of the 15-chloro-macrolide to 15-azido-6-deoxyerythronolide B, a second bio-mediated conversion of the 15-azido-macrolide to 15-azidoerythromycin A using *Saccharopolyspora*

erythraea, and a subsequent amidation reaction of 2'-O-acetyl-15-azidoerythromycin A with 6-quinolinecarboxylic acid. The prepared erythromycin A derivs. were tested for anti-microbial activity against organisms, such as *S. aureus* OC4172 and *H. influenzae* ATCC49766.

IT 568627-63-4P

RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

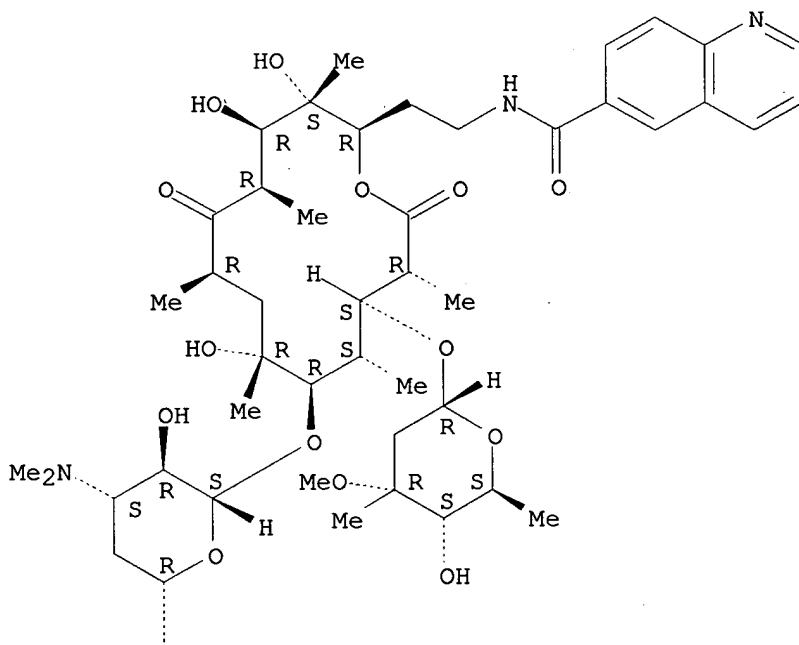
(preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compns. for treatment of bacterial infections)

RN 568627-63-4 CAPLUS

CN Erythromycin, 15-[(6-quinolinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

Me

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 61 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:590833 CAPLUS
 DN 139:149629
 TI Preparation of amidoimidazo[4,5-c]quinolines as immune response modifiers
 IN Coleman, Patrick L.; Crooks, Stephen L.; Griesgraber, George W.;
 Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.
 PA 3M Innovative Properties Co., USA
 SO U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. 6,451,810.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003144283	A1	20030731	US 2001-27218	20011221
	US 6756382	B2	20040629		
	US 6451810	B1	20020917	US 2000-589580	20000607
	TR 200103574	T2	20020821	TR 2001-200103574	20000608
	EP 1438958	A1	20040721	EP 2004-4588	20000608
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
	ZA 2001009854	A	20030228	ZA 2001-9854	20011129
	ZA 2001009857	A	20030228	ZA 2001-9857	20011129
	ZA 2001009861	A	20030228	ZA 2001-9861	20011129
	US 2004029877	A1	20040212	US 2001-27272	20011221
	US 6800624	B2	20041005		
	US 2004204438	A1	20041014	US 2004-826836	20040416
	US 2004229897	A1	20041118	US 2004-848893	20040519
PRAI	US 1999-138365P	P	19990610		
	US 2000-589580	A2	20000607		
	US 2000-589216	A1	20000607		
	US 2000-589236	A	20000607		
	EP 2000-938205	A3	20000608		
	US 2001-166321	A1	20010615		
	US 2001-27218	A1	20011221		
	US 2001-27272	A1	20011221		

OS MARPAT 139:149629

AB Title compds. I [wherein R1 = alkyl-NR3COR4; R3 = independently H, alkyl or (un)substituted alkyl(hetero)aryl; R4 = alkyl or (un)substituted (hetero)aryl; R2 = H, alkenyl, (un)substituted alkyl or (hetero)aryl, etc.; R = independently alkyl, alkoxy, halo, CF3; n = 0-4; and their pharmaceutically acceptable salts] were prepared as immune response modifiers. For example, II was prepared by acylation of 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine. II induced interferon α and TNF α at concns. of 0.37 μ M and 10 μ M, resp., in human cells. Thus, I and their pharmaceutical compns. are useful for the treatment of a variety of conditions including viral diseases and neoplastic diseases (no data).

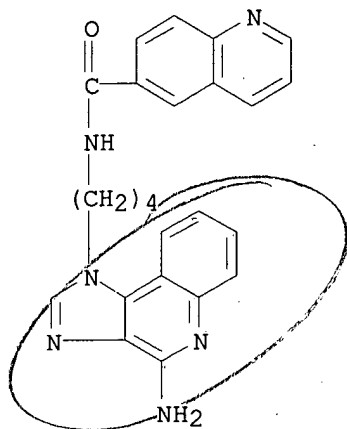
IT 313347-59-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(immune response modifier; preparation of (amido)imidazo[4,5-c]quinolines as immune response modifiers)

RN 313347-59-0 CAPLUS

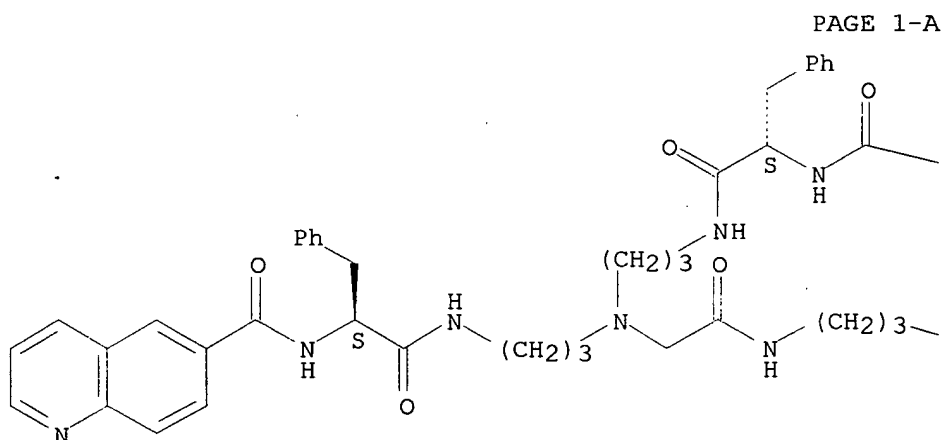
CN 6-Quinolinecarboxamide, N-[4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)

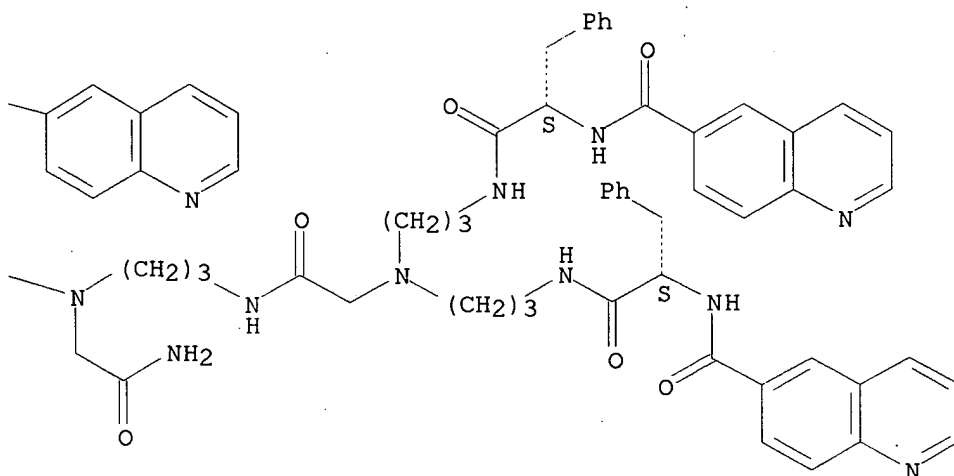


RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 62 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:509842 CAPLUS
 DN 140:218058
 TI Solid supported parallel synthesis of dimer libraries
 AU Subra, Gilles; Amblard, Muriel; Durand, Philippe; Komesli, Sylvianne;
 Renaut, Patrice; Martinez, Jean
 CS Laboratoire des Aminoacides, Peptides et Proteines, Faculte de Pharmacie,
 UMR 5810, Montpellier, 34060, Fr.
 SO Peptides 2000, Proceedings of the European Peptide Symposium, 26th,
 Montpellier, France, Sept. 10-15, 2000 (2001), Meeting Date 2000, 973-974.
 Editor(s): Martinez, Jean; Fehrentz, Jean-Alain. Publisher: Editions EDK,
 Paris, Fr.
 CODEN: 69EDWK; ISBN: 2-84254-048-4
 DT Conference
 LA English
 AB A symposium report. Dimer libraries, particularly the JMV 1783 dimer
 library, were synthesized using lysine as a central template via the
 Multipin technol. The core of the compds. in the dimer library synthesis
 is a diamino acid template which is linked to the Synphase crown by a Rink
 amide type linker. Eleven libraries generated a family of 650 members, of
 which 10 showed a growth hormone binding inhibition of > 80% at 10⁻⁵ M.
 IT **664335-91-5P**, JMV 1946
 RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP
 (Preparation)
 (solid supported parallel synthesis of peptide dimer libraries and
 their growth factor hormone agonist activity)
 RN 664335-91-5 CAPLUS
 CN 6-Quinolonecarboxamide, N,N',N'',N'''-[[(2-amino-2-oxoethyl)imino]bis[3,1-
 propanediylimino(2-oxo-2,1-ethanediyl)nitrilobis[3,1-propanediylimino[(1S)-
 2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]]tetrakis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





RE.CNT 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 63 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:454318 CAPLUS

DN 139:36450

TI Preparation of 4-[(piperidylalkyl)ureido]quinolines, 4-[(pyrrolidylalkyl)ureido]quinolines, and analogs as urotensin II receptor antagonists

IN Aissaoui, Hamed; Binkert, Christoph; Clozel, Martine; Mathys, Boris; Mueller, Claus; Nayler, Oliver; Scherz, Michael; Velker, Joerg; Weller, Thomas

PA Actelion Pharmaceuticals Ltd., Switz.

SO PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DT Patent

LA English

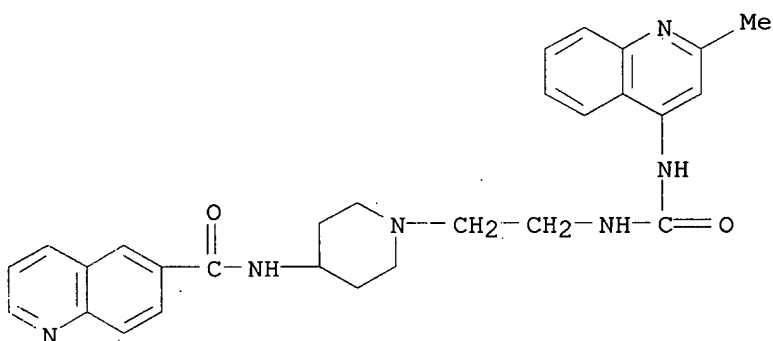
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003048154	A1	20030612	WO 2002-EP13577	20021202
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2473892	AA	20030612	CA 2002-2473892	20021202
	EP 1499607	A1	20050126	EP 2002-791749	20021202
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005043535	A1	20050224	US 2004-501054	20040915
PRAI	WO 2001-EP14195	A	20011204		
	WO 2002-EP13577	W	20021202		

OS MARPAT 139:36450

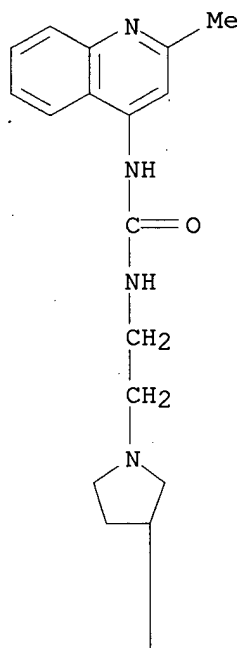
AB Title (pyridin-4-yl)urea derivs. and related compds. I [wherein Py = (un)substituted 2-NR2R3-pyridin-4-yl, quinolin-4-yl, (5,6,7,8-tetrahydro)[1,8]naphthyridin-4-yl, or 2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl; X = aryl(oxy), arylalkyl, (aryl)alkyl-SO2NR2, aryl-SO2NR2, (aryl)alkyl-CONR2, aryl-CONR2, (aryl)alkyl-NR3CONR2, aryl-NR3CONR2, aroyl, arylalkanoyl, (aryl)alkyl-NR2CO, aryl-NR2CO, etc.; Y = CR4R5(CH2)m or (CH2)mCR4R5; Z = H; or when X = aryl(alkyl), Z = H, OH, CO2H, aryl-CONR2, alkyl-NR2CO, or (aryl)alkyl-NR2CO; m = 1-2; n = 0-1; R1 = H or alkyl; R2 and R3 = independently H or (aryl)alkyl; or NR2R3 = piperidyl, pyrrolidinyl, or morpholinyl; R4 = H, (aryl)alkyl, or aryl; R5 = H or Me; or CR4R5 = carbocyclyl; and enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvates, or morphol. forms thereof] were prepared as urotensin II receptor antagonists. For example, reaction of 4-amino-2-methylquinoline with 2-chloroethylisocyanate gave the urea. Substitution with piperidin-4-ylcarbamic acid tert-Bu ester, deprotection of the amine, and coupling with 4-trifluoromethylbenzenesulfonyl chloride provided II. Compds. of the invention inhibited binding of human [125I]-urotensin II to human-derived rhabdomyosarcoma cells in vitro with IC50 values ranging from 0.1 nM to 1000 nM. Thus, I are useful as active ingredients in pharmaceutical compns. for the treatment of vasoconstriction, proliferation, and a wide variety of other disease states associated with urotensin II regulation (no data).

IT **540768-88-5P**, Quinoline-6-carboxylic acid N-[1-[2-[3-(2-methylquinolin-4-yl)ureido]ethyl]piperidin-4-yl]amide **540768-89-6P**, Quinoline-6-carboxylic acid N-[1-[2-[3-(2-methylquinolin-4-yl)ureido]ethyl]pyrrolidin-3-yl]amide **540768-90-9P**, Quinoline-6-carboxylic acid N-methyl-N-[1-[2-[3-(2-methylquinolin-4-yl)ureido]ethyl]pyrrolidin-3-yl]amide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (urotensin antagonist; preparation of ureidoquinolines and analogs as urotensin II receptor antagonists for treatment of vasoconstriction, proliferation, and other disorders)
 RN 540768-88-5 CAPLUS
 CN 6-Quinolinecarboxamide, N-[1-[2-[[[(2-methyl-4-quinolinyl)amino]carbonyl]amino]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

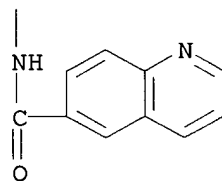


RN 540768-89-6 CAPLUS
 CN 6-Quinolinecarboxamide, N-[1-[2-[[[(2-methyl-4-quinolinyl)amino]carbonyl]amino]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

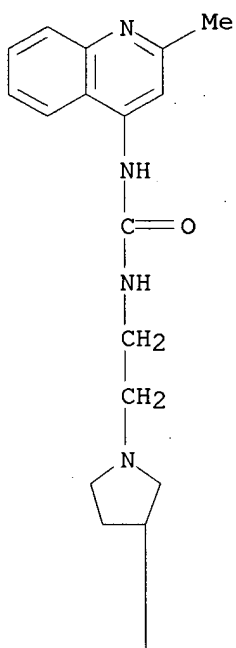


PAGE 2-A

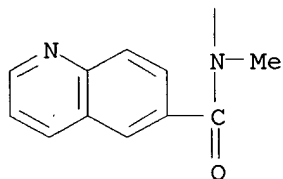


RN 540768-90-9 CAPLUS
 CN 6-Quinolinecarboxamide, N-methyl-N-[1-[2-[[[(2-methyl-4-quinolinyl)amino]carbonyl]amino]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 64 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:454289 CAPLUS
 DN 139:36449
 TI Substituted 2-aminocycloalkanecarboxamides for use as cysteine protease inhibitors
 IN Gabriel, Thomas; Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Palmer, Wylie Solang; Smith, David Bernard
 PA F. Hoffmann-La Roche Ag, Switz.
 SO PCT Int. Appl., 84 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003048123	A1	20030612	WO 2002-EP13221	20021125
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2467435	AA	20030612	CA 2002-2467435	20021125
	EP 1453801	A1	20040908	EP 2002-787799	20021125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002014642	A	20041103	BR 2002-14642	20021125
	JP 2005517640	T2	20050616	JP 2003-549315	20021125
PRAI	US 2001-336750P	P	20011204		
	WO 2002-EP13221	W	20021125		

OS MARPAT 139:36449

AB Title compds. I [R1 = heteroaryl, (CR7R8)mCOR9, S(O)pR9; R2-R4, R6-R8 = H, alkyl; R5 = H, alkyl, heterocyclic, cycloalkyl, cycloalkylalkyl, alkoxy carbonylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl; R9 = heteroaryl, heteroarylalkyl, heteroarylalkoxy; m = 0, 1; n = 1-3; p = 1, 2] were prepared for use as cysteine protease inhibitors. The compds. are useful for the treatment of diseases which are associated with cysteine proteases such as osteoporosis, osteoarthritis, rheumatoid arthritis, tumor metastasis, glomerulonephritis, atherosclerosis, myocardial infarction, angina pectoris, instable angina pectoris, stroke, plaque rupture, transient ischemic attacks, amaurosis fugax, peripheral arterial occlusive disease, restenosis after angioplasty and stint placement, abdominal aortic aneurysm formation, inflammation, autoimmune disease, malaria, ocular fundus tissue cytopathy and respiratory disease. Thus, Et (1R,2S)-2-aminocyclohexanecarboxylate-HBr was treated with indole-2-carboxylic acid, followed by ester hydrolysis and amidation with (R,S)-amino(cyclopropyl)acetonitrile to give the amide II which had IC50 for inhibition of cathepsin K of 0.018 mM.

IT 541524-20-3P

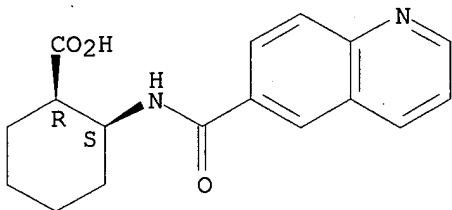
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted 2-aminocycloalkanecarboxamides for use as cysteine protease inhibitors)

RN 541524-20-3 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[(6-quinolinylcarbonyl)amino]-, (1R,2S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



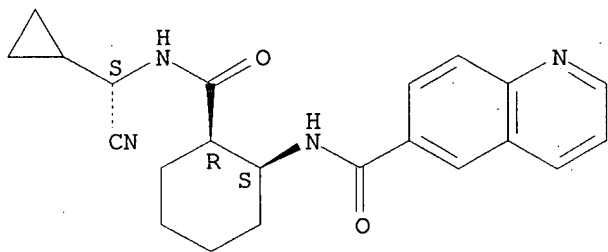
IT 541523-64-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 2-aminocycloalkanecarboxamides for use as cysteine protease inhibitors)

RN 541523-64-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S,2R)-2-[[[(S)-cyanocyclopropylmethyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 65 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:406580 CAPLUS

DN 138:401616

TI Preparation of quinolinecarboxamides as $\alpha 7$ -nicotinic acetylcholine receptor (nAChR) agonist for the improvement of mental perception and concentration

IN Hendrix, Martin; Boess, Frank-Gerhard; Erb, Christina; Krueger, Joachim; Luithle, Joachim; Methfessel, Christoph; Schreiber, Rudy; Wiese, Welf-Burkhard

PA Bayer Aktiengesellschaft, Germany

SO Ger. Offen., 16 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10156719	A1	20030528	DE 2001-10156719	20011119
	CA 2467367	AA	20030530	CA 2002-2467367	20021106
	WO 2003043991	A1	20030530	WO 2002-EP12375	20021106
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1448530	A1	20040825	EP 2002-781305	20021106
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005209236	A1	20050922	US 2005-496404	20050506
PRAI	DE 2001-10156719	A	20011119		
	WO 2002-EP12375	W	20021106		

OS MARPAT 138:401616

AB Title compds. I [R1 = azabicyclo[m.n.o]alkyl with 7-11 ring atoms; m, n, o = 0-3; R2, R3 = H, halo, formyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, palladium (II) acetate mediated cyclization of iodobenzamide II, e.g., prepared from 4-iodo-3-nitrobenzoyl chloride in 2-steps, and 1-penten-3-ol afforded quinolinecarboxamide III in 12% yield. Compds. I are claimed useful for the improvement of perception, concentration, learning and/or memory achievement.

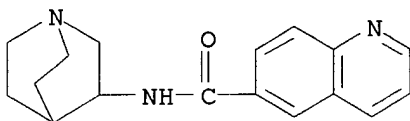
IT 532406-00-1P 532406-10-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinolinecarboxamides as nicotinic acetylcholine receptor (nAChR) agonist for the improvement of mental perception and concentration)

RN 532406-00-1 CAPLUS

CN 6-Quinolinecarboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-, monohydrochloride (9CI) (CA INDEX NAME)

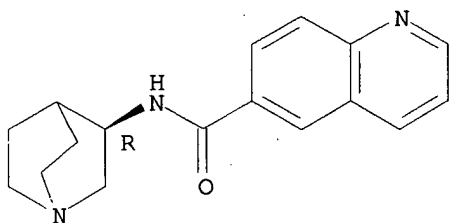


● HCl

RN 532406-10-3 CAPLUS

CN 6-Quinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L62 ANSWER 66 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:396864 CAPLUS

DN 138:401733

TI Preparation of triazole derivatives as fungicides

IN Setsu, Fumihito; Umemura, Eijirou; Sasaki, Kazue; Tadauchi, Kaori;
Okutomi, Takafumi; Ohtsuka, Keiko; Takahata, Shou

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 345 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003042188	A1	20030522	WO 2002-JP11960	20021115
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI JP 2001-349783 A 20011115

OS MARPAT 138:401733

AB The title compds. I [A represents substituted Ph or a 5- to 7-membered, (un)saturated, optionally substituted heterocyclic group; said Ph may be substituted by substituted C1-6 alkyl, optionally substituted sulfonyl, a 5- to 7-membered, (un)saturated, carbocyclic or heterocyclic group, etc.; A1 is (CH₂)_p; and p is 0 or 1] are prepared Compds. of this invention in vitro showed IC₈₀ of ≤ 0.0625 µg/mL against C. albicans TIMM1768.

IT 530079-31-3P 530080-06-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

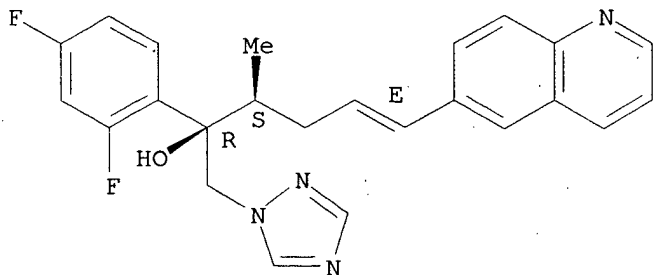
(preparation of triazole derivs. as fungicides)

RN 530079-31-3 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-[(1S,3E)-1-methyl-4-(6-quinolinyl)-3-butenyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

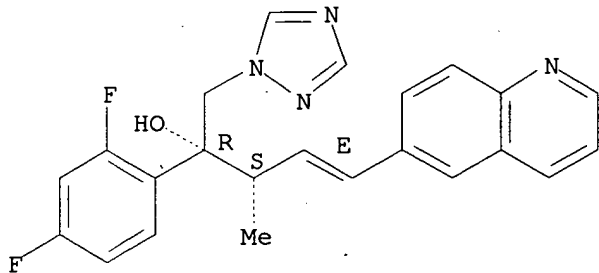
Double bond geometry as shown.



RN 530080-06-9 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α -(2,4-difluorophenyl)- α -[(1S,2E)-1-methyl-3-(6-quinolinyl)-2-propenyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 67 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:376819 CAPLUS
 DN 138:385173
 TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
 IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
 PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SO PCT Int. Appl., 1243 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040096	A2	20030515	WO 2002-US36072	20021108
	WO 2003040096	A3	20040506		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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	CA 2466284	AA	20030515	CA 2002-2466284	20021108
	WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004171881	A1	20040902	US 2002-291318	20021108
	EP 1453789	A2	20040908	EP 2002-793909	20021108
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002014035	A	20050426	BR 2002-14035	20021108
	JP 2005520791	T2	20050714	JP 2003-542142	20021108
PRAI	US 2001-337122P	P	20011108		
	US 2001-344086P	P	20011228		
	US 2002-345635P	P	20020103		
	WO 2002-US36072	W	20021108		

OS MARPAT 138:385173

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl,

hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β -secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μ M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **527720-62-3P**

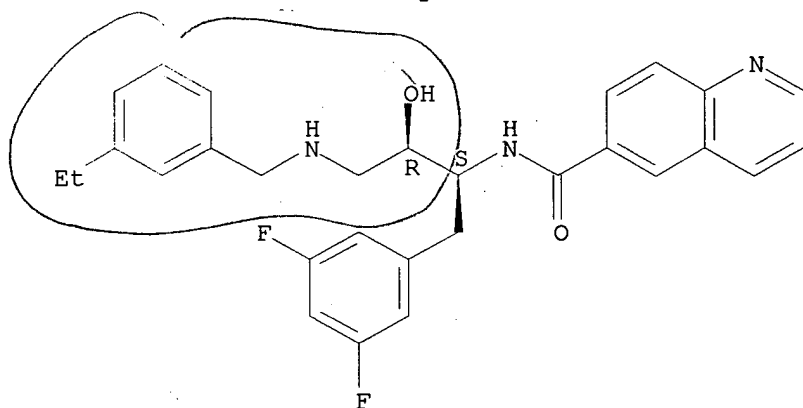
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527720-62-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 68 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:282325 CAPLUS
 DN 138:321285
 TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
 IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh;
 Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
 PA Taisho Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 1171 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,				
	CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2460594	AA	20030410	CA 2002-2460594	20020930
	EP 1432693	A2	20040630	EP 2002-800388	20020930
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005523237	T2	20050804	JP 2003-531977	20020930
PRAI	US 2001-326463P	P	20011001		
	US 2001-326758P	P	20011002		
	WO 2002-US31059	W	20020930		

OS MARPAT 138:321285

AB The title compds. QLYR1[Q = I, C(:NH)NH₂; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO₂, CO, (CH₂)_m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepared. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)₃ and AcOH in CH₂Cl₂, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC₅₀ of 6 nM against MCH receptor.

IT 509132-93-8P 509140-56-1P 509140-57-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)

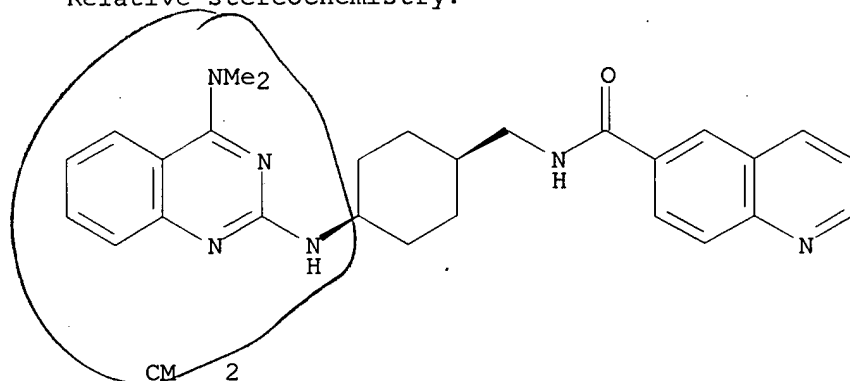
RN 509132-93-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

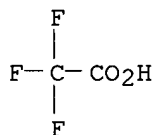
CM 1

CRN 509132-92-7
CMF C27 H30 N6 O

Relative stereochemistry.

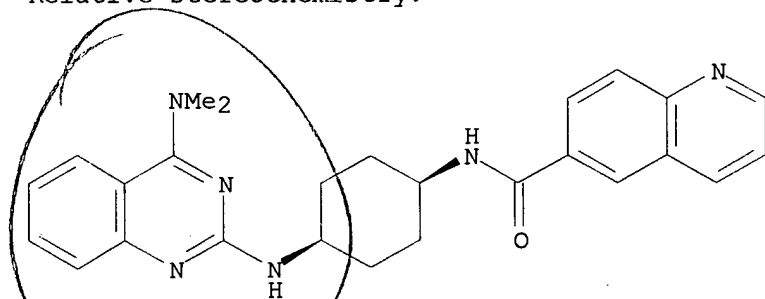


CRN 76-05-1
CMF C2 H F3 O2



RN 509140-56-1 CAPLUS
CN 6-Quinolinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



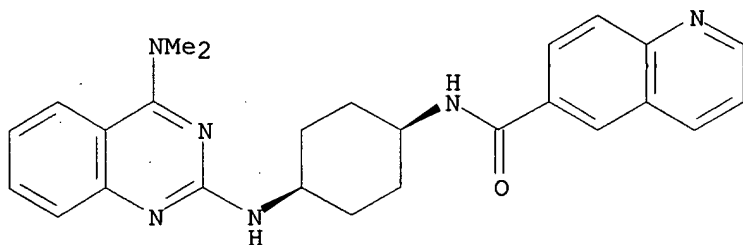
RN 509140-57-2 CAPLUS
CN 6-Quinolinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 509140-56-1
CMF C26 H28 N6 O

Relative stereochemistry.

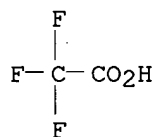
10/634,182



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L62 ANSWER 69 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:154392 CAPLUS
 DN 138:205074
 TI Preparation of β -ketoamide compounds as HIV integrase inhibitors
 IN Katoh, Susumu; Miyazaki, Susumu; Habuka, Noriyuki
 PA Japan Tobacco Inc., Japan
 SO PCT Int. Appl., 252 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003016266	A1	20030227	WO 2002-JP8211	20020812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004043416	A2	20040212	JP 2002-236737	20020815
PRAI JP 2001-247346	A	20010816		
JP 2001-372066	A	20011205		
JP 2002-151232	A	20020524		

OS MARPAT 138:205074

AB β -Ketoamide compds. represented by the following general formula (I) or pharmaceutically acceptable salts thereof [the ring A = (un)substituted C3-10 carbocyclic group, (un)substituted heterocyclyl optionally containing at least one heteroatoms selected from N, O, and S; X = a bond, C1-6 alkylene, C2-6 alkenylene, (CH₂)_m-Z-(CH₂)_n-* [wherein Z = O, (un)substituted NH, CO, SO, SO₂; m = an integer of 0-4; n = an integer of 1-4; * denotes an ending which is bonded to the N atom of β -ketoamide]; R₁ = C1-10 alkyl, C2-10 alkenyl, Q (wherein Y and the ring B are same or different groups defined in X and the ring A, resp.); R₂ = CO₂R₅, CONR₆R₇, COR₈, (un)substituted heterocyclyl [wherein R₅-R₈ = H, (un)substituted C1-10 alkyl, C3-10 carbocyclyl, or heterocyclyl]; R₃ = H, halo, C1-4 alkyl, C1-4 alkoxy, COR₉, O-COR₉, CONR₁₀R₁₁ [R₉-R₁₁ = H, (un)substituted C1-10 alkyl or C3-10 carbocyclyl]; provided that β -oxo-N,N-bis(phenylmethyl)-2-thiophenepropanamide is excluded] are prepared and anti-HIV agents containing these compds. I are claimed. Because

of

having an HIV integrase inhibitory activity, the above compds. I are useful as anti-HIV agents to be used in remedies or preventives for AIDS. Further efficacious anti-HIV agents can be obtained by combining the compds. with other anti-HIV agents such as a protease inhibitor or a reverse transcriptase inhibitor. Because of showing a specifically high inhibitory activity on integrase, these compds. I are usable as safe drugs with little side effects on the human body. Thus, 3.5 g N-(3-carboxyphenyl)-N-(3,4-dichlorobenzyl)acetamide (preparation given) was dissolved in 105 mL THF, cooled in a dry ice-ethanol bath, treated dropwise with 5.2 mL 1.5 M lithium diisopropylamide/cyclohexane, stirred for 15 min at the same temperature, treated dropwise with a solution of 2.6 g

Me

2,2,5,5-tetramethylcyclopentyl oxalate in 10 mL THF, stirred for 15 min at

the same temperature, and warmed to room temperature and stirred at room temperature for 3 h

to give 1.42 g 2,2,5,5-tetramethylcyclopentyl 4-[N-(3-carboxyphenyl)-N-(3,4-dichlorobenzyl)amino]-2,4-dioxobutanoate (II). II, 2,2,5,5-tetramethylcyclopentyl 4-[N-(3-carboxy-3-methoxyphenyl)-N-(3,4-dichlorobenzyl)amino]-2,4-dioxobutanoate, and N-(3,4-dichlorobenzyl)-N-(3-chloro-4-carboxyphenyl)-3-(4-methoxypyrimidin-2-yl)-3-oxopropanamide showed IC₅₀ of 0.0092, 0.0041, and 0.0072 μ M, resp., against recombinant HIV integrase.

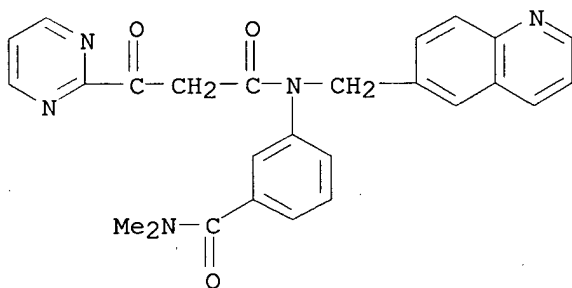
IT **500158-00-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β -ketoamide compds. as HIV integrase inhibitors and anti-HIV agents for treatment or prevention of AIDS)

RN 500158-00-9 CAPLUS

CN 2-Pyrimidinepropanamide, N-[3-[(dimethylamino)carbonyl]phenyl]- β -oxo-N-(6-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 70 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN.

AN 2003:97304 CAPLUS

DN 138:137330

TI Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes

IN Fotsch, Christopher H.; Arasasingham, Premilla; Bo, Yunxin; Chen, Ning; Goldberg, Martin H.; Han, Nianhe; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin

PA Amgen Inc., USA

SO PCT Int. Appl., 331 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003009850	A1	20030206	WO 2002-US23926	20020725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003220324	A1	20031127	US 2002-202823	20020724
	CA 2454903	AA	20030206	CA 2002-2454903	20020725
	EP 1417190	A1	20040512	EP 2002-761189	20020725
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005503369	T2	20050203	JP 2003-515242	20020725
PRAI	US 2001-307831P	P	20010725		
	US 2002-202823	A	20020724		
	WO 2002-US23926	W	20020725		

OS MARPAT 138:137330

AB Selected substituted piperazine compds. (shown as I; variables defined below; e.g. (3S)-N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide) are effective for prophylaxis and treatment of diseases, such as obesity and the like. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving activation of the melanocortin receptor. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For I: Y is -NH-, -CH2-, or -O-; R = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, and -(CH2)n-heterocyclyl; R1a, R1b, R1c, R1d, R1e, and R1f = R4; or R1a and R1b or R1d and R1c form oxo; or wherein R1e and R1c form an alkylene or alkenylene bridge; or R1a, R1b, R1c, R1d together with the piperazine ring forms an optionally substituted 1,2,3,4-tetrahydroquinoxaliny ring. R2 = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, -SO2R8, -C(O)R8; R4 = H, alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, halo, -(CH2)n-OR9, -NR9SO2R7, -[C(R7)2]pNR9SO2R7, -[C(R7)2]pNR9C(O)R7, -N(R9)2, -C(O)NR9R9, -NR9C(O)R7, -NR9CO2R7, cyano, -COOR9, -(CH2)n-C:OR7,

-(CH₂)_n-C(S)R₇, -(CH₂)_n-C(:NR₉)R₇, -NR₉C(:NR₇)N(R₉)₂, -[C(R₇)₂]pN(R₉)₂, nitro, -SO₂N(R₉)₂, -S(O)mR₇, -C(R₇)₂SO₂CF₃, hydroxyalkyl, haloalkyl and haloalkoxy. R₆ = aryl and heteroaryl; R_a = H, and alkyl or the two R_a's together form cycloalkyl; k is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2, 3 or 4; p is 1 or 2; and q is 1 or 2; provisos and addnl. definitions are provided. In measurements of fast-induced food intake in mice, 6 examples of I caused a reduction in feeding at concns. ≤30 mg/kg. Although the methods of preparation are not claimed, 24 example preps. of intermediates and >400 of I are included.

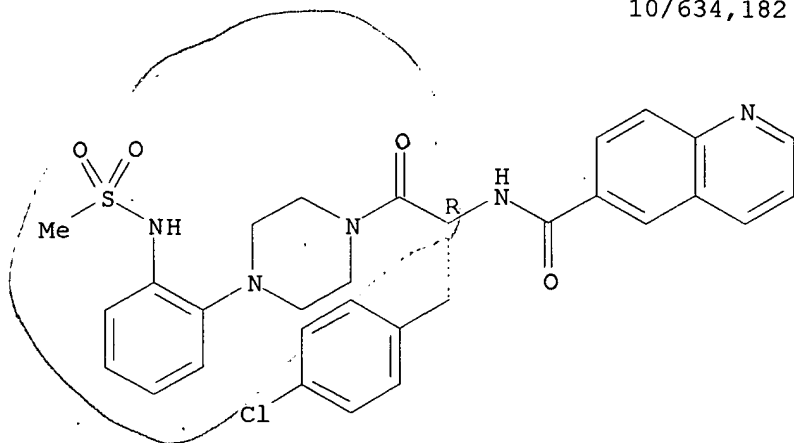
IT **494781-87-2P**, N-[(R)-1-[(4-Chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazin-1-yl]-2-oxoethyl]quinoline-6-carboxamide **494781-88-3P**, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazin-1-yl]-2-oxoethyl]quinoline-6-carboxamide hemiacetate **494783-56-1P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[1-[(cyclopropylmethyl)amino]ethyl]phenyl]piperazin-1-yl]-2-oxoethyl]amide **494783-58-3P**, Quinoline-6-carboxylic acid [2-[4-[2-[1-[bis(cyclopropylmethyl)amino]ethyl]phenyl]piperazin-1-yl]-1-(4-chlorobenzyl)-2-oxoethyl]amide **494783-60-7P**, Quinoline-6-carboxylic acid [2-[4-[2-[bis(cyclopropylmethyl)amino]methyl]phenyl]piperazin-1-yl]-1-(4-chlorobenzyl)-2-oxoethyl]amide **494783-61-8P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[[(cyclopropylmethyl)propylamino]methyl]phenyl]piperazin-1-yl]-2-oxoethyl]amide **494783-68-5P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[1-[cyclopropylmethyl(3-methylbutyl)amino]ethyl]phenyl]piperazin-1-yl]-2-oxoethyl]amide **494783-69-6P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[1-[(cyclohexylmethyl)(cyclopropylmethyl)amino]ethyl]phenyl]piperazin-1-yl]-2-oxoethyl]amide **494783-70-9P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[1-[cyclopropylmethyl(3-methylsulfonylpropyl)amino]ethyl]phenyl]piperazin-1-yl]-2-oxoethyl]amide **494783-72-1P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[1-[(cyclopropylmethyl)(thiophen-3-ylmethyl)amino]ethyl]phenyl]piperazin-1-yl]-2-oxoethyl]amide **494783-73-2P**, Quinoline-6-carboxylic acid [1-(4-chlorobenzyl)-2-[4-[2-[(cyclopropylmethyl)(methylsulfonyl)amino]phenyl]piperazin-1-yl]-2-oxoethyl]amide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)

RN 494781-87-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 494781-88-3 CAPLUS

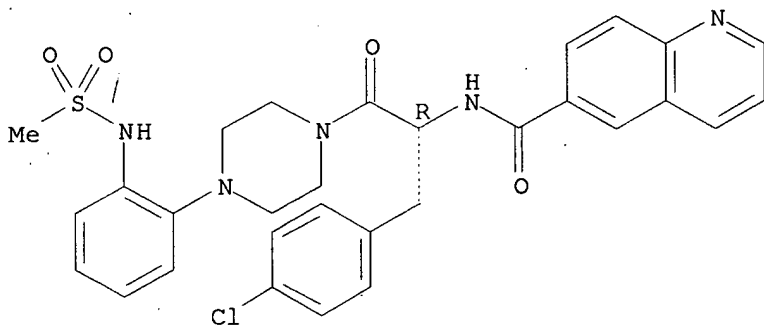
CN 6-Quinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]-, acetate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 494781-87-2

CMF C30 H30 Cl N5 O4 S

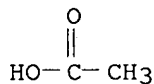
Absolute stereochemistry.



CM 2

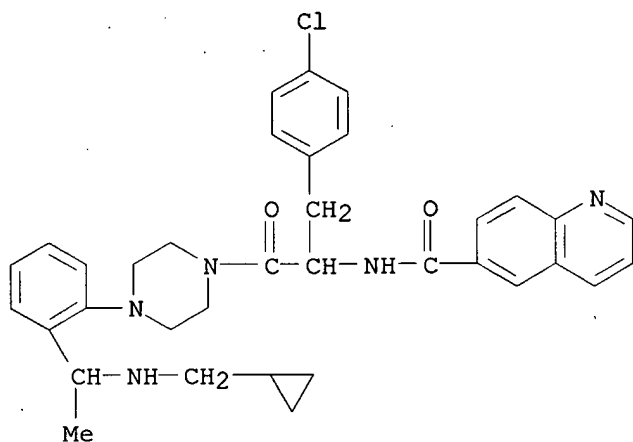
CRN 64-19-7

CMF C2 H4 O2



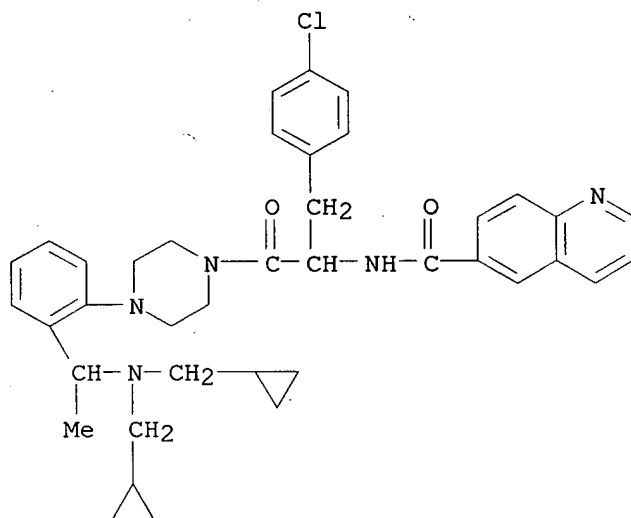
RN 494783-56-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-[1-[(cyclopropylmethyl)amino]ethyl]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



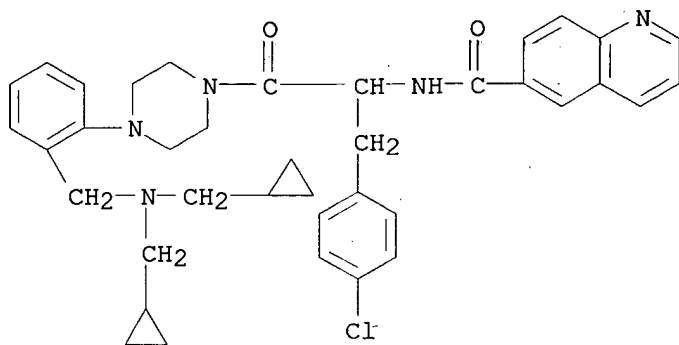
RN 494783-58-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[4-[2-[1-[bis(cyclopropylmethyl)amino]ethyl]phenyl]-1-piperazinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



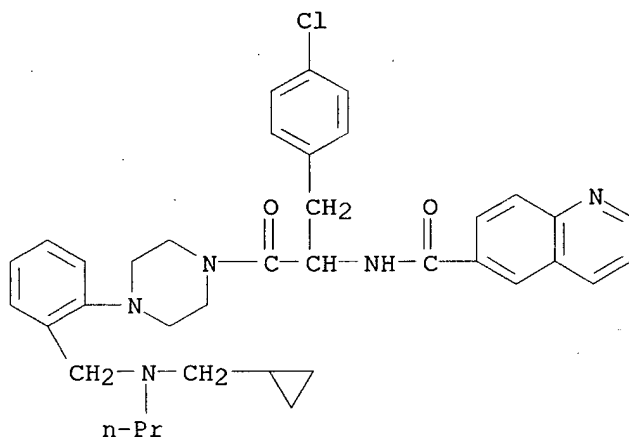
RN 494783-60-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[4-[2-[1-[bis(cyclopropylmethyl)amino]methyl]phenyl]-1-piperazinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



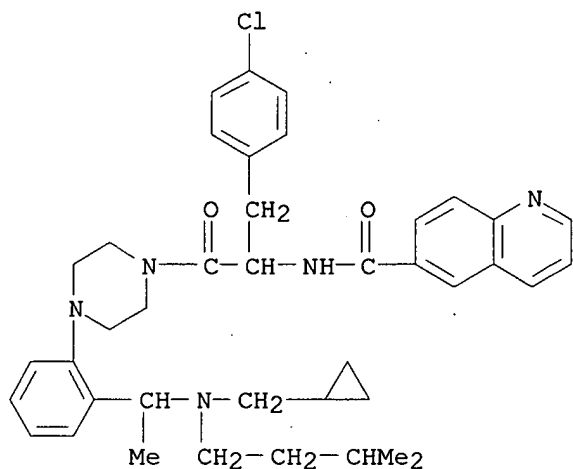
RN 494783-61-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-
[[(cyclopropylmethyl)propylamino]methyl]phenyl]-1-piperazinyl]-2-oxoethyl]-
(9CI) (CA INDEX NAME)



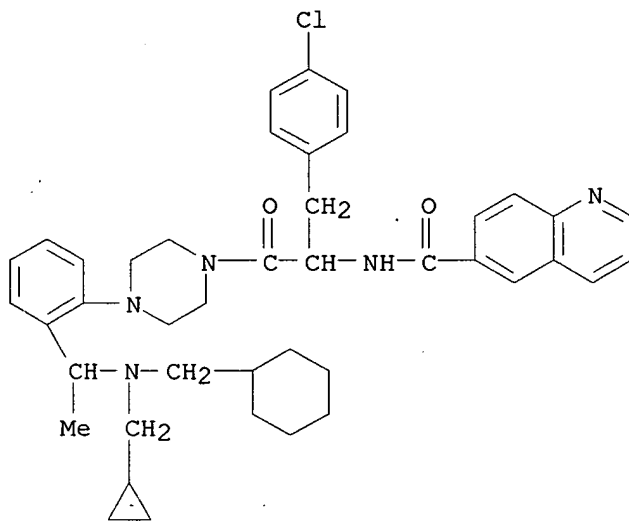
RN 494783-68-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-[1-
[(cyclopropylmethyl)(3-methylbutyl)amino]ethyl]phenyl]-1-piperazinyl]-2-
oxoethyl]- (9CI) (CA INDEX NAME)



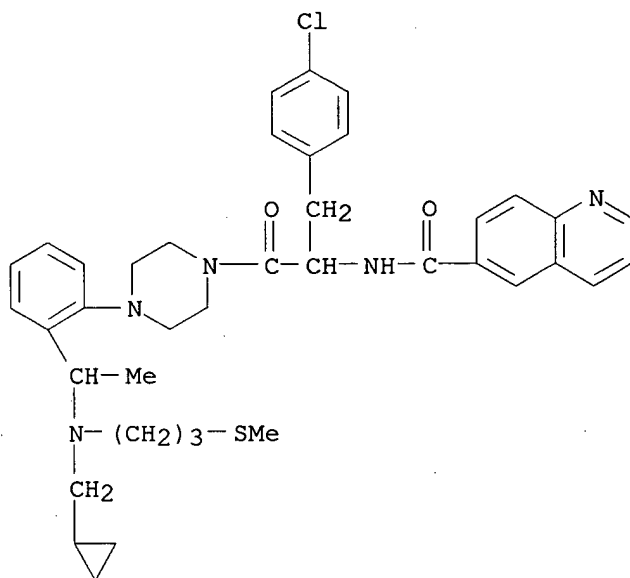
RN 494783-69-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-[1-[(cyclohexylmethyl)(cyclopropylmethyl)amino]ethyl]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



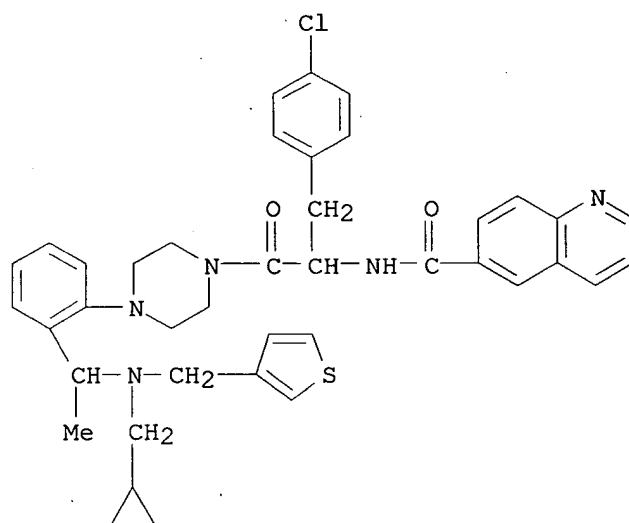
RN 494783-70-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-[1-[(cyclopropylmethyl)[3-(methylthio)propyl]amino]ethyl]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



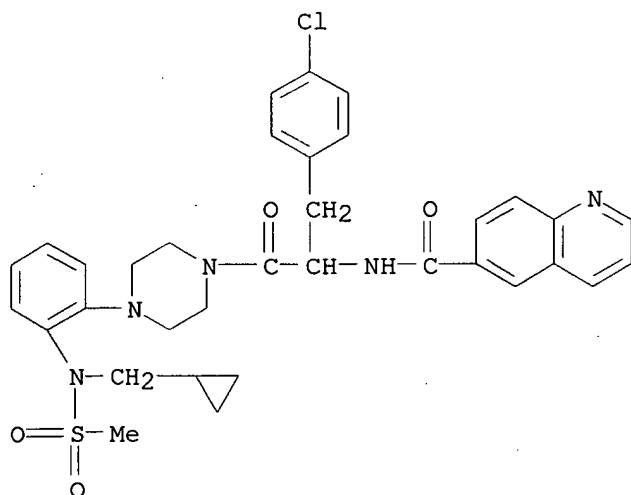
RN 494783-72-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-[1-[(cyclopropylmethyl)(3-thienylmethyl)amino]ethyl]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 494783-73-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-[4-[2-[(cyclopropylmethyl)(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 71 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:44145 CAPLUS

DN 138:55881

TI Preparation of 2-oxo-4-hydroxypyrroles and quinolines as inhibitors of plasminogen activator inhibitor (PAI-1) for treatment of hemostatic and thrombotic disorders.

IN Folkes, Adrian; Wang, Shouming; Golec, Julian; Vicker, Nigel; Prisbylla, Michael Paul; Mac, Morrison B.; Epshteyn, Sergey Peter; Webb, Robert Remme

PA Xenova Limited, UK

SO Brit. UK Pat. Appl., 61 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2372986	A1	20020911	GB 2001-1227	20010117
PRAI	GB 2001-1227		20010117		

OS MARPAT 138:55881

AB Title compds. [I; X = CHR2, C(R3):C(R4); R2 = H, alkyl, Ar; R3R4C = atoms to form a (substituted) benzene ring; R1 = H, alkyl, (CH2)nAr, unsatd. (substituted) carbocyclyl; n = 1-10; Ar = (substituted) unsatd. carbocyclyl, heterocyclyl; Z = tetrazolyl, CO2R5; R5 = H, alkyl], were prepared Thus, 6-benzo[b]thiophen-3-yl-4-hydroxy-2-oxo-1,2-dihydroquinoline-3-carboxylic acid Me ester and 8-(4-aminophenoxy)octanoic acid Me ester were refluxed 3 h in m-xylene to give 8-[4-[(6-Benzo[b]thiophen-3-yl-4-hydroxy-2-oxo-1,2-dihydroquinoline-3-carbonyl)amino]phenoxy]octanoic acid Me ester. The latter showed IC50 = 0.270 μ M in a fibrin plate assay.

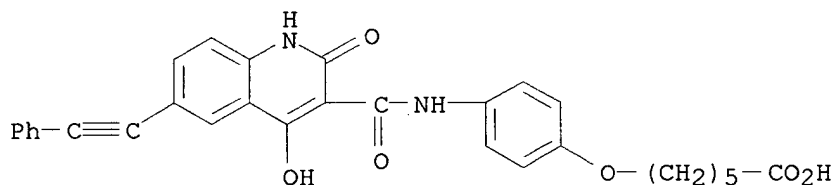
IT 479621-80-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-oxo-4-hydroxypyrroles and quinolines as inhibitors of plasminogen activator inhibitor (PAI-1) for treatment of hemostatic and thrombotic disorders)

RN 479621-80-2 CAPLUS

CN Hexanoic acid, 6-[4-[[[1,2-dihydro-4-hydroxy-2-oxo-6-(phenylethynyl)-3-quinolinyl]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



L62 ANSWER 72 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:965135 CAPLUS
 DN 138:39298
 TI Preparation of substituted (aminoiminomethyl or
 aminomethyl)dihydrobenzofurans and benzopyrans as factor Xa and factor IIa
 inhibitors
 IN Burns, Christopher J.; Dankulich, William P.; McGarry, Daniel G.; Volz,
 Francis A.
 PA Aventis Pharmaceuticals Inc., USA
 SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of Appl. No. PCT/IB00/01562.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002193410	A1	20021219	US 2002-81113	20020222
	US 6599918	B2	20030729		
	WO 2001014358	A2	20010301	WO 2000-IB1562	20000812
	WO 2001014358	A3	20010517		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-150767P P 19990826
 GB 1999-24155 A 19991012
 WO 2000-IB1562 A2 20000812

OS MARPAT 138:39298

AB The title compds. [I; n = 1 or 2; W is H or a ring system substituent; R
 is hydrogen, cyano, cycloalkyl, cycloalkenyl, heterocyclyl, fused
 arylcycloalkyl, fused heteroaryl, cycloalkyl, etc.; R1 is hydrogen, alkyl,
 aralkyl, heteroaralkyl, acyl, aroyl, heteroaroyl, alkoxycarbonyl,
 aryloxycarbonyl or heteroaryloxycarbonyl; R2 and R3 are each hydrogen, or,
 taken together are :NR4; R4 is hydrogen, R5O2C, HO, cyano, R5CO, HCO,
 lower alkyl, nitro, etc.; R5 is alkyl, aryl, heteroaryl, aralkyl, or
 heteroaralkyl; L1 is alkylene, alkenylene or alkynylene; L2 is absent,
 alkylene, alkenylene, alkynylene, alkylene-O, alkenylene-O, etc., provided
 that when L2 is absent, then R is not hydrogen, and Q is attached to R
 through a carbon atom thereof; Q is NR8', O, CO, CO2, O2C, NR8'(X1),
 C(X)NR8', NR8C(X1)O, etc.; provided that a nitrogen atom or oxygen atom of
 Q is not directly bonded to a carbon atom of L1 or L2 having a double bond
 or triple bond, or Q-L2-R is cycloalkyl, cycloalkenyl, heterocyclyl, fused
 arylcycloalkyl, fused heteroaryl, cycloalkyl, etc., provided that a nitrogen
 atom or oxygen atom of Q is not directly bonded to a carbon atom of L1
 having a double bond or triple bond; X1 is O or S; R8' is hydrogen, alkyl,
 aralkyl, heteroaralkyl, acyl, aroyl, heteroaroyl or alkoxycarbonyl; R8 is
 hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl or heteroaroyl; and m
 is 0, 1 or 2], oxides thereof, and pharmaceutically acceptable salts were
 prepared These compds. inhibit the formation of simultaneously directly
 inhibiting both Factor Xa and Factor IIa (thrombin) and are useful for
 treating pathol. conditions in a patient that may be ameliorated by
 administration of such compds. The pathol. conditions include venous
 vasculature, arterial vasculature, abnormal thrombus formation, acute

myocardial infarction, unstable angina, thromboembolism, acute vessel closure associated with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post coronary or venous angioplasty, maintenance of vascular access patency in longterm hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections or cancer (no data). Thus, To a cooled (0°) solution of 5-(pyrid-2-yl)thiophene-2-carboxylic acid and 4-methylmorpholine in CH₂Cl₂ is added dropwise a solution of iso-Pr chloroformate in toluene, stirred 30 min, treated with 2-[5-(N-tert-butoxycarbonyl)carbamimidoyl-2,3-dihydrobenzofuran-3-yl]ethylamine in DMF, and the reaction mixture was allowed to warm to room temperature overnight to give

5-pyridin-2-ylthiophene-2-

carboxylic acid [2-[5-(N-tert-butoxycarbonyl)carbamimidoyl-2,3-dihydrobenzofuran-3-yl]ethyl]amide which was stirred with H₂O and CF₃CO₂H in CH₂Cl₂ for 3 h to give 5-(pyridin-2-yl)thiophene-2-carboxylic acid [2-(5-carbamimidoyl-2,3-dihydrobenzofuran-3-yl)ethyl]amide.

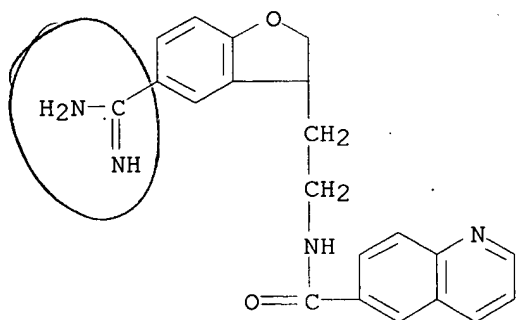
IT **328124-38-5P**, 6-Quinolinecarboxamide, N-[2-[5-(aminoiminomethyl)-2,3-dihydro-3-benzofuranyl]ethyl]-

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and -benzopyrans as inhibitors of factor Xa and factor IIa)

RN 328124-38-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[5-(aminoiminomethyl)-2,3-dihydro-3-benzofuranyl]ethyl]- (9CI) (CA INDEX NAME)



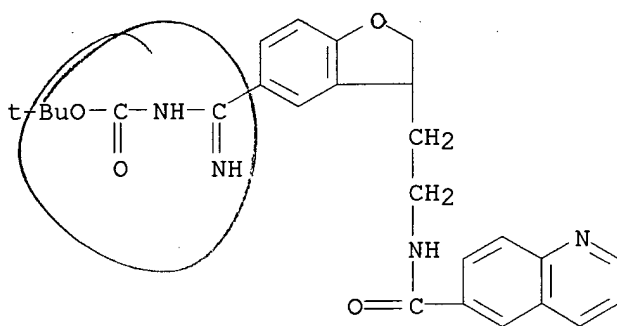
IT **328124-60-3P**, Carbamic acid, [[2,3-dihydro-3-[2-[(6-quinolinylcarbonyl)amino]ethyl]-5-benzofuranyl]iminomethyl]-, 1,1-dimethylethyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and -benzopyrans as inhibitors of factor Xa and factor IIa)

RN 328124-60-3 CAPLUS

CN Carbamic acid, [[2,3-dihydro-3-[2-[(6-quinolinylcarbonyl)amino]ethyl]-5-benzofuranyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 73 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:947011 CAPLUS
 DN 138:19461
 TI Heterocycle carboxamides as antiviral agents
 IN Schnute, Mark E.
 PA USA
 SO U.S. Pat. Appl. Publ., 11 pp.
 CODEN: USXXCO

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002188004	A1	20021212	US 2001-887226	20010622
	US 6562822	B2	20030513		
PRAI	US 2000-218116P	P	20000713		

OS MARPAT 138:19461

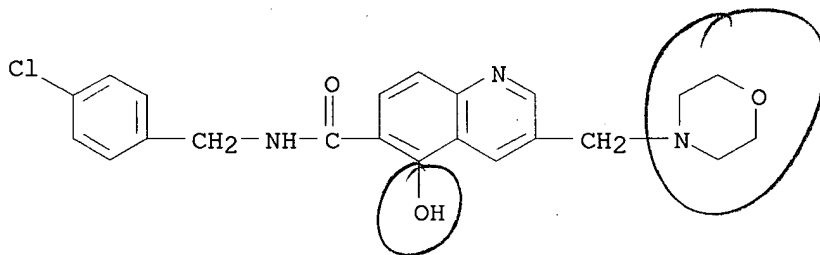
AB The invention provides heterocycle carboxamides, e.g. N-(4-chlorobenzyl)-5-hydroxy-3-(4-morpholinylmethyl)-6-isoquinoline carboxamide, which are useful as antiviral agents, in particular, as agents against viruses of the herpes family.

IT 389571-10-2

RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (heterocycle carboxamides as antiviral agents)

RN 389571-10-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-5-hydroxy-3-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 74 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:793427 CAPLUS

DN 137:310932

TI Preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain

IN Liverton, Nigel J.; Butcher, John W.; McIntyre, Charles J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, James A.; Romano, Joseph J.; Thompson, Wayne; Munson, Peter M.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002080928	A1	20021017	WO 2002-US10269	20020402
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2443108	AA	20021017	CA 2002-2443108	20020402
	US 2003119811	A1	20030626	US 2002-114685	20020402
	EP 1390034	A1	20040225	EP 2002-763896	20020402
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005511478	T2	20050428	JP 2002-578967	20020402
PRAI	US 2001-281166P	P	20010403		
	WO 2002-US10269	W	20020402		

OS MARPAT 137:310932

AB The title compds. [I; NonAr = nonarom. 5-7 membered containing heteroatoms; A = (un)substituted Ph, pyrrolyl, imidazolyl, etc.; B = aryl(CH₂)₀₋₃(CH₂)₀₋₂CO, heteroaryl(CH₂)₁₋₃(CH₂)₀₋₂CO, etc.; X = H, OH, F, etc.] which are effective as NMDA NR2B antagonists useful for relieving pain, were prepared E.g., a 2-step synthesis of II, starting with 4-aminomethylpiperidine, was given. The compds. I exhibit IC₅₀'s of less than 50 μ M in the FLIPR and binding assays, and thus they have been found to exhibit biol. activity as NMDA NR2B antagonists.

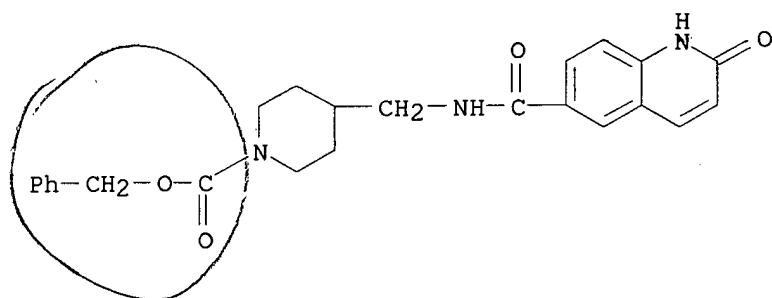
IT 471252-17-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain)

RN 471252-17-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 75 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:793403 CAPLUS

DN 137:310931

TI Preparation of phenylalkanoic acid derivatives as preventive or remedial agents for digestive tract diseases

IN Horizoe, Tatsuo; Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hihara, Taro; Seiki, Takashi; Clark, Richard; Harada, Hitoshi

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 344 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002080899	A1	20021017	WO 2002-JP3006	20020327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	JP 2001-101465	A	20010330		
	JP 2001-105131	A	20010403		

OS MARPAT 137:310931

AB Disclosed is a preventive/remedy for digestive tract or inflammatory diseases, which contains as the active ingredient a novel carboxylic acid derivative represented by the following formula [I; R1 = H, OH, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 hydroxyalkyl, C1-6 hydroxyalkoxy, C1-6 hydroxyalkylthio, C1-6 aminoalkyl, C1-6 aminoalkoxy, C1-6 aminoalkylthio, C2-12 alkoxyalkyl, C3-7 cycloalkyl, C3-7 cycloalkyloxy, C3-7 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, or C2-6 alkenylthio, etc.; L = a single or double bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = 2,4-dioxothiazolidin-5-yl, 2,4-dioxothiazolidin-5-ylidené, carboxy, (un)substituted CONH2; X = O, (un)substituted C2-6 alkenylene, hydroxymethylene, CO, CS, N-(un)substituted CQN, NHCQ, SO2NH, NHSO2, or NHCQN (Q = O, S); Y = (un)substituted C5-12 aromatic hydrocarbyl or C3-7 aliphatic hydrocarbyl optionally containing ≥1 heteroatoms; ring Z = C5-6 aromatic hydrocarbyl; Y = (un)substituted aromatic hydrocarbon group optionally containing ≥1 heteroatoms; some provisos given], a salt of the derivative, or a hydrate of either. The above digestive tract diseases include (1) inflammatory digestive tract diseases such as ulcerous colitis, Crohn's disease, pancreatitis, and gastritis, (2) digestive tract proliferative diseases such as digestive tract benign tumors, digestive tract polyp, hereditary (genetic) polyposis syndromes, colon cancer, rectum cancer, and stomach cancer, and (3) digestive tract ulcerous diseases such as duodenal ulcer, stomach ulcer, esophagus ulcer, regurgitant esophagitis, stress ulcer or erosion, erosion caused by drugs, and Zollinger-Ellison syndromes. The above inflammatory diseases include

arthritic rheumatism, multiple sclerosis, immunodeficiency, cachexia, osteoarthritis, osteoporosis, asthma, and allergy. The compds. I are triple agonists for PPAR (peroxisome proliferator-activated receptor) α , β , and γ subtype. Thus, 2-isopropoxy-3-[4-methoxy-3-[[[4-(trifluoromethyl)benzyl]amino]carbonyl]phenyl]propanoic acid in vitro showed the transcription activity for PPAR α , β , and γ with EC50 of 0.08, 2.513, and 0.382 μ M, resp., in CV-1 cell. (2S)-3-[3-[[[2,4-dichlorobenzoyl]amino]methyl]-4-methoxyphenyl]-2-isopropoxypropanoic acid at 1 mg/kg/day p.o. for 3 days showed a disease activity index based on diarrhea, bloody excrement, and weight loss (DAI) of 2.0 ± 0.3 in mice suffering from colitis induced by dextran sulfate sodium salt vs. 2.8 ± 0.2 for the control group and 2.1 ± 0.3 for the mice treated with rosiglitazone at 30 mg/kg/day. Many compds. prepared do not possess the thiazolidine skeleton and thereby may completely avoid toxicity such as liver disorder which was noted in the past as a problem for compds. having PPAR γ agonist activity.

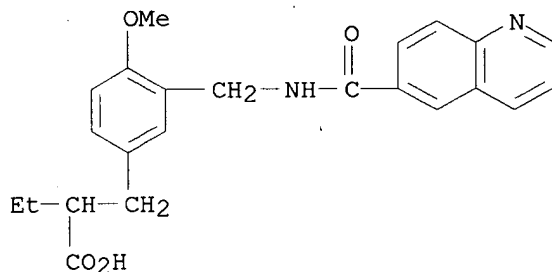
IT **334014-03-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor agonists and remedial or preventive agents for digestive tract or inflammatory diseases)

RN 334014-03-8 CAPLUS

CN Benzenepropanoic acid, α -ethyl-4-methoxy-3-[[[6-quinolinylcarbonyl]amino]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 76 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:700014 CAPLUS

DN 137:216963

TI Preparation of piperazinylalkyl- and piperidinylalkylcarbostyryl derivatives with antihistaminic, anti-aggressive, and adrenaline antagonist activity for treatment of CNS disorders

IN Banno, Kazuo; Fujioka, Takafumi; Osaki, Masaaki; Nakagawa, Kazuyuki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO U.S., 64 pp., Division of U.S. Ser. No. 240,306.

CODEN: USXXAM

DT Patent

LA English

FAN. CNT 2

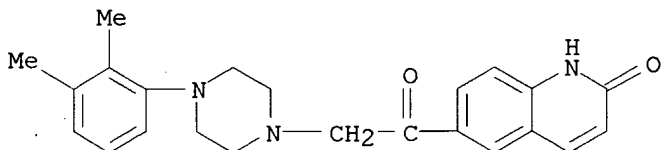
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4455422	A	19840619	US 1982-366335	19820407
	JP 56125370	A2	19811001	JP 1980-28805	19800306
	JP 63025585	B4	19880526		
	JP 57038772	A2	19820303	JP 1980-115022	19800820
	JP 63020430	B4	19880427		
	ZA 8101438	A	19820331	ZA 1981-1438	19810304
	US 4460593	A	19840717	US 1982-366337	19820407
	US 4567187	A	19860128	US 1982-366336	19820407
	US 4619932	A	19861028	US 1983-473641	19830309
	AT 8400541	A	19880515	AT 1984-541	19840217
	AT 387215	B	19881227		
	NL 8802223	A	19890102	NL 1988-2223	19880909
	NL 187209	B	19910201		
	NL 187209	C	19910701		
PRAI	JP 1980-28805	A	19800306		
	JP 1980-115022	A	19800820		
	US 1981-240306	A3	19810304		
	AT 1981-984	A	19810303		
	NL 1981-1099	A3	19810306		

AB Substituted quinolinones I [R1 = H, alkyl, phenylalkyl, alkenyl, or alkynyl; R2 = H or alkyl; R3 = (un)substituted Ph or tetrahydronaphthyl; R4 = substituted Ph, tetrahydronaphthyl, or oxobenzimidazolyl; R5 = H, OH, or alkanoyl; A = CO, CHO, CH:CR2, or CH2CHR2; B = alkylene; Z = NR3 or CR4R5; n = 0 or 1; with provisos; or salts thereof] were prepared I exhibited antihistaminic, anti-aggressive, and adrenaline antagonist activity and showed usefulness as central nervous system agents. For example, 6-(1-oxo-4-chlorobutyl)-3,4-dihydrocarbostyryl was treated with 1-phenylpiperazine in Me2CO containing NaI and Et3N to give 6-[1-oxo-4-(4-phenyl-1-piperazinyl)butyl]-3,4-dihydrocarbostyryl, which was characterized as the HCl salt. The latter inhibited jumping behavior induced by methamphetamine and L-DOPA in ddy strain mice with ED50 of 1.35 mg/kg, antagonized epinephrine in ddy strain mice with ED50 of 3.03 mg/kg, and produced acute toxicity in male rats with LD50 of 500 mg/kg. Formulation of tablets comprising I are also disclosed.

IT **80835-17-2P**, 6-[1-Oxo-2-[4-(2,3-dimethylphenyl)-1-piperazinyl]ethyl]carbostyryl **80835-18-3P**, 6-[1-Oxo-2-[4-(3-chlorophenyl)-1-piperazinyl]butyl]carbostyryl monohydrochloride
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (CNS agent; preparation of piperazinylalkyl- and piperidinylalkyldihydrocarbostyryls with antihistaminic, anti-aggressive, and adrenaline antagonist activity for treatment of

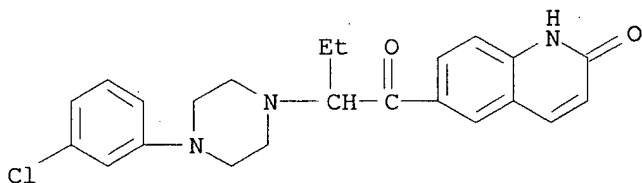
central nervous system disorders)

RN 80835-17-2 CAPLUS

CN 2(1H)-Quinolinone, 6-[[4-(2,3-dimethylphenyl)-1-piperazinyl]acetyl]- (9CI)
(CA INDEX NAME)

RN 80835-18-3 CAPLUS

CN 2(1H)-Quinolinone, 6-[2-[4-(3-chlorophenyl)-1-piperazinyl]-1-oxobutyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

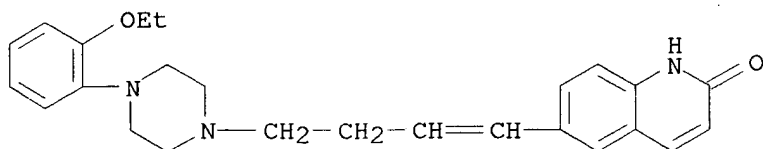
IT **80834-80-6P**, 6-[4-[4-(2-Ethoxyphenyl)-1-piperazinyl]-1-butenyl]-carbostyryl **80836-74-4P**, 6-[1-Oxo-2-(4-phenyl-1-piperidyl)butyl]carbostyryl monohydrochloride **80836-75-5P**, 6-[1-Oxo-2-(4-phenyl-1,2,5,6-tetrahydro-1-pyridyl)butyl]carbostyryl monohydrochloride **80851-46-3P**, 6-[4-[4-(3-Methylphenyl)-1-piperazinyl]-1-butenyl]carbostyryl monohydrochloride **80851-47-4P**, 6-[4-(4-Phenyl-1-piperazinyl)-1-butenyl]carbostyryl monohydrochloride **85158-16-3P**, 6-[1-Oxo-2-(4-benzyl-1-piperidyl)butyl]carbostyryl monohydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

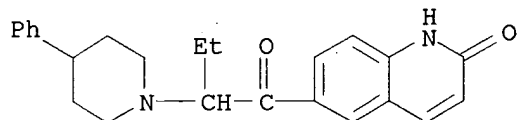
(CNS agent; preparation of piperazinylalkyl- and piperidinylalkyldihydrocarbostyrils with antihistaminic, anti-aggressive, and adrenaline antagonist activity for treatment of central nervous system disorders)

RN 80834-80-6 CAPLUS

CN 2(1H)-Quinolinone, 6-[4-[4-(2-ethoxyphenyl)-1-piperazinyl]-1-butenyl]- (9CI) (CA INDEX NAME)

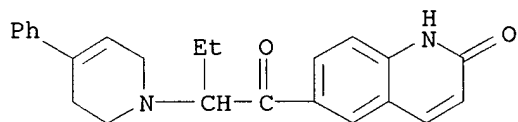


RN 80836-74-4 CAPLUS

CN 2(1H)-Quinolinone, 6-[1-oxo-2-(4-phenyl-1-piperidiny)butyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

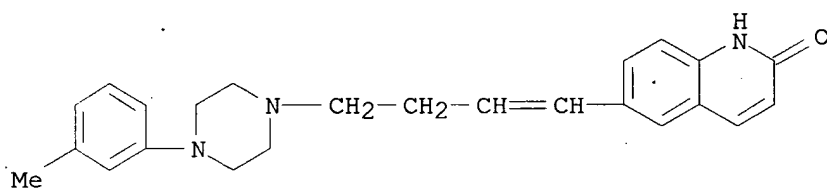
● HCl

RN 80836-75-5 CAPLUS

CN 2(1H)-Quinolinone, 6-[2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-1-oxobutyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

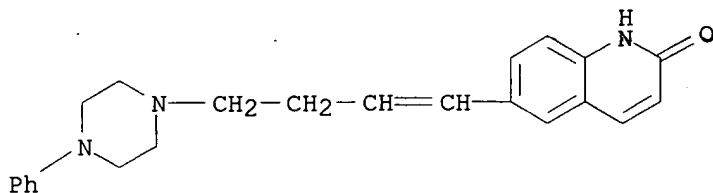
RN 80851-46-3 CAPLUS

CN 2(1H)-Quinolinone, 6-[4-[4-(3-methylphenyl)-1-piperazinyl]-1-butenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 80851-47-4 CAPLUS

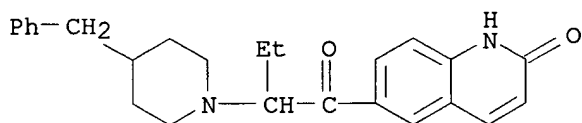
CN 2(1H)-Quinolinone, 6-[4-(4-phenyl-1-piperazinyl)-1-butenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 85158-16-3 CAPLUS

CN 2(1H)-Quinolinone, 6-[1-oxo-2-[4-(phenylmethyl)-1-piperidiny]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

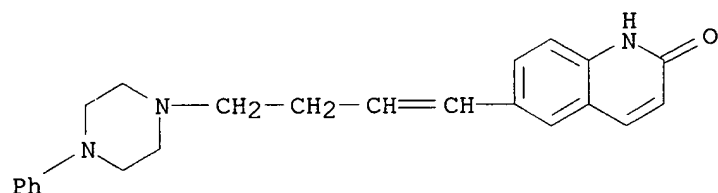
IT 80851-45-2, 6-[4-(4-Phenyl-1-piperazinyl)-1-butenyl]carbo-2-ethylstyryl

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of piperazinylalkyl- and piperidinyldihydrocarbo-2-ethylstyryls with antihistaminic, anti-aggressive, and adrenaline antagonist activity for treatment of central nervous system disorders)

RN 80851-45-2 CAPLUS

CN 2(1H)-Quinolinone, 6-[4-(4-phenyl-1-piperazinyl)-1-butenyl]- (9CI) (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 77 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:658116 CAPLUS

DN 137:201332

TI Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases

IN Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang

PA Amgen Inc., USA

SO PCT Int. Appl., 502 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002066470	A1	20020829	WO 2002-US743	20020111
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003125339	A1	20030703	US 2002-46681	20020110
	CA 2434277	AA	20020829	CA 2002-2434277	20020111
	BR 2002006435	A	20030923	BR 2002-6435	20020111
	EP 1358184	A1	20031105	EP 2002-717325	20020111
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200300324	A	20031215	EE 2003-324	20020111
	JP 2004531484	T2	20041014	JP 2002-565984	20020111
	NZ 526868	A	20050429	NZ 2002-526868	20020111
	ZA 2003005197	A	20040319	ZA 2003-5197	20030704
	NO 2003003181	A	20030911	NO 2003-3181	20030711
	BG 108012	A	20041130	BG 2003-108012	20030721
PRAI	US 2001-261339P	P	20010112		
	US 2001-323764P	P	20010919		
	US 2002-46681	A	20020110		
	WO 2002-US743	W	20020111		

OS MARPAT 137:201332

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl;

etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compound II was prepared from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

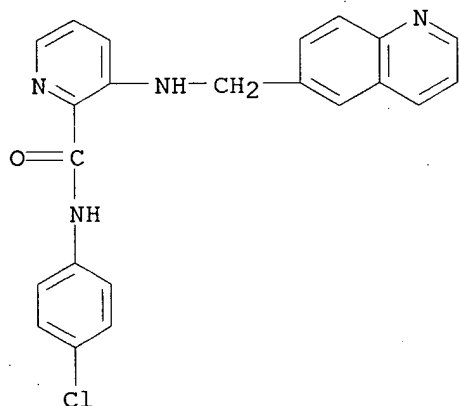
IT **453561-07-4P 453561-08-5P 453561-23-4P**
453563-25-2P 453563-26-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclalalkylamine derivs. as remedies for angiogenesis mediated diseases)

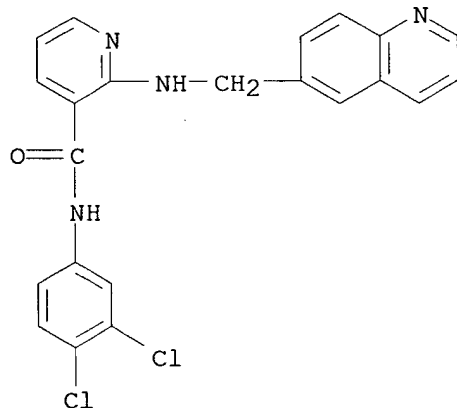
RN 453561-07-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-chlorophenyl)-3-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

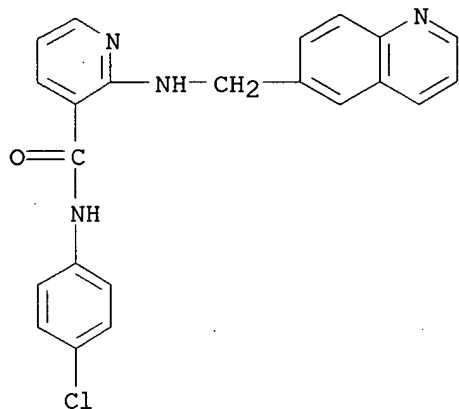


RN 453561-08-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,4-dichlorophenyl)-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

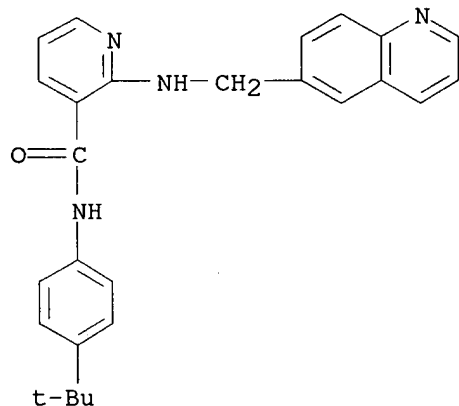


RN 453561-23-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[(6-quinolinylmethyl)amino]-
(9CI) (CA INDEX NAME)

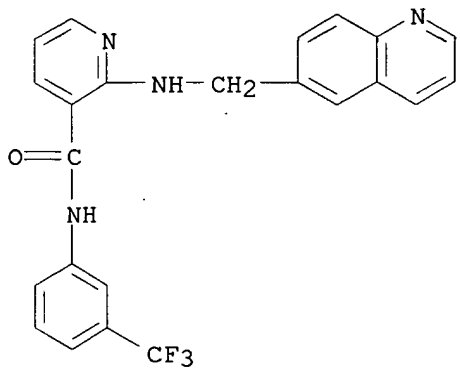
RN 453563-25-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 453563-26-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(6-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 78 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:658115 CAPLUS

DN 137:201342

TI Preparation of heterocyclic amides as dopamine D3 receptors for treating central nervous system disorders

IN Hendrix, James A.; Strupczewski, Joseph T.; Bordeau, Kenneth J.; Urmann, Matthias; Shutske, Gregory; Hemmerle, Horst; Jurcak, John G.; Gill, Harpal; Weiberth, Franz, Jr.; Nieduzak, Thaddeus; Jackson, Sharon A.; Zhao, Xu-Yang; Mueller, Paul J.

PA Aventis Pharmaceuticals Inc., USA

SO PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002066469	A2	20020829	WO 2002-US4917	20020215
	WO 2002066469	A3	20030313		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2438318	AA	20020829	CA 2002-2438318	20020215
	EP 1361875	A2	20031119	EP 2002-721057	20020215
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004518745	T2	20040624	JP 2002-565983	20020215
	US 2004030137	A1	20040212	US 2002-78206	20020219
	US 2005107389	A1	20050519	US 2004-960399	20041007
PRAI	US 2001-269253P	P	20010216		
	GB 2001-17531	A	20010719		
	WO 2002-US4917	W	20020215		
	US 2002-78206	B1	20020219		

OS MARPAT 137:201342

AB The title benzothiophenes, diazepines, piperazines, and thienoisoxazoles with general formula I [wherein Y = CO, SO₂, or a bond; A = CH or N; n = 1-2; p = 0 or 2; m = 0-2; R₃ = H or (phenyl)alkyl; R = specified (un)substituted (hetero)aryl; B = (un)substituted (cyclo)alkylene, alkenylene, etc.; R₁ = H, (un)substituted alkyl, or (un)substituted arylalkyl; R₂ = alkyl, CF₃, specified (un)substituted (hetero)aryl(alkyl), (hetero)cycl(alkyl), etc.; and pharmaceutically acceptable salts thereof] were prepared. For example, reaction of 4-[4-(6-fluorobenzo[b]thiophen-3-yl)-[1,4]diazepan-1-yl]butylamine (preparation given) and indole-2-carboxylic acid gave the amide II. I displayed selective binding to dopamine D3 receptors with K_i values in the range of 0.12 nM to 871 nM. The invention also relates to a method for treating central nervous system disorders associated with the dopamine D3 receptor activity, including psychotic disorders, substance dependence, substance abuse, dyskinetic disorders (e.g. Parkinson's disease, neuroleptic-induced tardive dyskinesia, Gilles de la Tourette syndrome, and Huntington's disease), dementia, anxiety disorders, sleep disorders, circadian rhythm disorders, and mood disorders. Methods using radiolabeled I for single

photon emission computed tomog. are also disclosed.

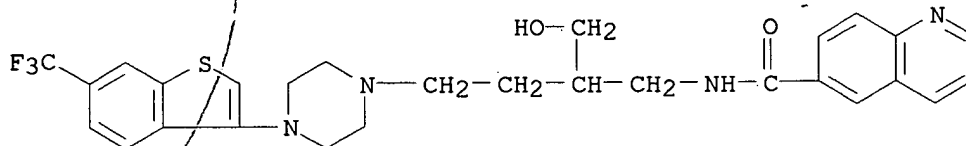
IT **453542-80-8P 453543-10-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of heterocyclic amides as dopamine D3 receptors for treating central nervous system disorders)

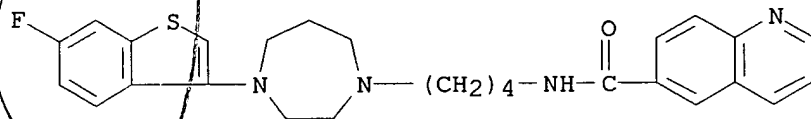
RN 453542-80-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-(hydroxymethyl)-4-[4-[6-(trifluoromethyl)benzo[b]thien-3-yl]-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



RN 453543-10-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[4-(6-fluorobenzo[b]thien-3-yl)hexahydro-1H-1,4-diazepin-1-yl]butyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 79 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:648403 CAPLUS
 DN 137:179894
 TI Application of benzamide derivs.
 IN Ueno, Yoshihide; Sawada, Nobuyuki; Hasegawa, Hirohiko
 PA Sumitomo Pharmaceuticals Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 23 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002241273	A2	20020828	JP 2001-35288	20010213
PRAI	JP 2001-35288		20010213		
OS	MARPAT 137:179894				

AB Benzamide derivs. are offered as inhibitors of FXR transcription and medicines for hyperlipemia. The general structure I of benzamide derivs. and their salt as inhibitors of FXR transcription is displayed (R1 = (substituted) alkyl, alkenyl, Ph; R2 = H, (substituted) alkyl; R3, R4 = H, OH, (substituted) alkoxy; R5, R6 = H, (substituted) alkyl, with the exclusion of R1 = Ph, R2 = H, R3, R4 = OH, R5, R6 = tert-Bu).

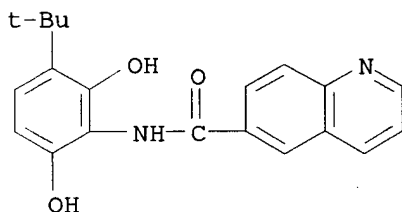
IT **448900-13-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(application of benzamide derivs.)

RN 448900-13-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[3-(1,1-dimethylethyl)-2,6-dihydroxyphenyl]-
 (9CI) (CA INDEX NAME)



L62 ANSWER 80 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:592331 CAPLUS

DN 137:161383

TI Photosensitive composition suitable for forming lithographic printing plate by CTP (computer to plate) system, and its photopolymerization method

IN Murota, Yasufumi; Sorori, Tadahiro

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002221790	A2	20020809	JP 2001-15997	20010124
PRAI	JP 2001-15997		20010124		

OS MARPAT 137:161383

AB The photosensitive composition contains I [R = H, monovalent nonmetallic atomic group and may form a ring; Y = (substituted) 5- or 6-membered ring] as a sensitizing dye, a titanocene compound, and a polymerizable compound. The composition is irradiated with a laser with ≤ 450 nm wave length to give an image. The composition showing good storage stability and high sensitivity for laser scanning exposure can be used under yellow safe light.

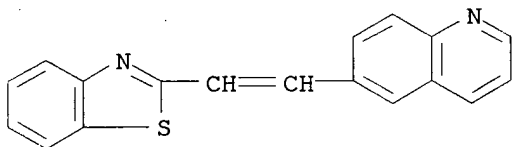
IT 101874-94-6

RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)

(sensitizing dye; photosensitive composition containing sensitizing dye and titanocene polymerization initiator)

RN 101874-94-6 CAPLUS

CN Quinoline, 6-[2-(2-benzothiazolyl)ethenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 81 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:555497 CAPLUS

DN 137:125392

TI Preparation of N-acyl azabicyclic compounds as inhibitors of cruzipain and other cysteine proteases

IN Quibell, Martin

PA Incenta Limited, UK

SO PCT Int. Appl., 243 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057270	A1	20020725	WO 2002-GB184	20020117
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2436462	AA	20020725	CA 2002-2436462	20020117
	EP 1362052	A1	20031119	EP 2002-732145	20020117
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002006501	A	20040113	BR 2002-6501	20020117
	JP 2004518674	T2	20040624	JP 2002-557947	20020117
	NZ 526913	A	20041224	NZ 2002-526913	20020117
	ZA 2003005259	A	20040510	ZA 2003-5259	20030708
	NO 2003003220	A	20030917	NO 2003-3220	20030716
	US 2004138250	A1	20040715	US 2004-466384	20040108
PRAI	GB 2001-1179	A	20010117		
	US 2001-275359P	P	20010313		
	WO 2002-GB184	W	20020117		

OS MARPAT 137:125392

AB Title compds. I and II [R1 = H, alkyl, cycloalkyl, aryl, arylalkyl; Z = O, S, CR2R3, NR4; P1 = CR5R6; P2 = CR7R8; Q = CR9R10, NR11; R = U-Vm-Wn-Xm'-Y, where Y = CR12R13CO; X = CR14R15; W = O, S, CO, SO, SO2, NR16; V = CO, CS, SO, SO2, SO2NH, O2C, NHCO, NHSO, NHSO2, O2CNH, CONH, CR17R18; m, m' = 0-3, n = 0 or 1; U = a stable 5- to 7-membered monocyclic or 8- to 11-membered bicyclic ring containing 0-4 heteroatoms; R4, R11-R18 = any group given for R1; R2, R3, R5-R10 = any group given for R1, OH, (cyclo)alkoxy, arylalkyl, alkylamino, etc (provided that for m > 1, Vm contains a maximum of one carbonyl or sulfonyl group)] were prepared as inhibitors cruzipain (a gene product of Trypanosoma cruzi parasite) and other cysteine proteases for use as therapeutic agents, for example in the treatment of Chagas' disease. Thus, N-(4-tert-butylbenzoyl)-L-tyrosine (3aS,6aR)-[3-oxohexahydrofuro[3,2-b]pyrrol-4-yl]amide was prepared and assayed for inhibition of cruzipain, bovine cathepsin S, and human cathepsins L and K (Ki = 0.2, >100, >35, and >5 μ M, resp.).

IT 443898-02-0P

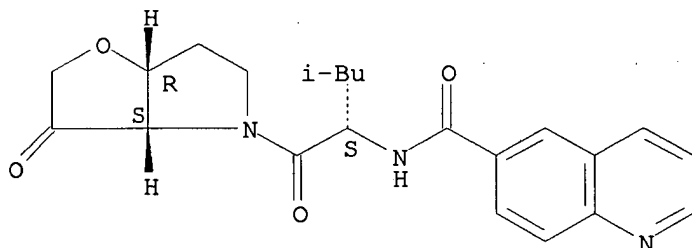
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminocyclopentanecarboxylic acid-derived bicyclic compds. as inhibitors of cruzipain and other cysteine proteases)

RN 443898-02-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S)-1-[[(3aS,6aR)-hexahydro-3-oxo-4H-furo[3,2-b]pyrrol-4-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 82 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:555468 CAPLUS

DN 137:125093

TI Preparation of 2-substituted quinolines for the treatment of protozoan and retrovirus coinfection

IN Fakhfakh, Mohamed; Figadere, Bruno; Fournet, Alain; Franck, Xavier; Hocquemiller, Reynald; Prina, Eric

PA Institut de Recherche pour le Developpement (IRD), Fr.; Centre National de la Recherche Scientifique CNRS

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057238	A1	20020725	WO 2002-FR140	20020115
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	FR 2819507	A1	20020719	FR 2001-580	20010117
	CA 2434063	AA	20020725	CA 2002-2434063	20020115
	EP 1351940	A1	20031015	EP 2002-700323	20020115
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002006552	A	20040323	BR 2002-6552	20020115
	US 2005165052	A1	20050728	US 2003-466566	20020115
PRAI	FR 2001-580	A	20010117		
	WO 2002-FR140	W	20020115		

OS MARPAT 137:125093

AB R2ZR1 [I; R1 = H, alkyl, (un)substituted alkenyl, -alkynyl, etc.; R2 = H, OH, alk(en)yl, etc.; Z = quinoline-1,n-diyl; n = 3, 6, or 8] were prepared. Thus, quinoline-2-carboxaldehyde was condensed with Ph3P:CH2CO2Me to give title compound II. Data for biol. activity of I were given.

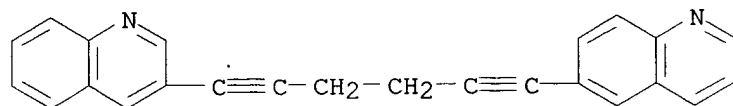
IT 443891-25-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-substituted quinolines for the treatment of protozoan and retrovirus coinfection)

RN 443891-25-6 CAPLUS

CN Quinoline, 3-[6-(6-quinolinyl)-1,5-hexadiynyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

L62 ANSWER 83 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:487497 CAPLUS

DN 137:78952

TI Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators

IN Thurkauf, Andrew; Zhang, Xiaoyan; He, Xia-Shu; Zhao, He; Peterson, John; Maynard, George; Ohliger, Robert

PA Neurogen Corporation, USA

SO PCT Int. Appl., 609 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2002049993	A2	20020627	WO 2000-US26816	20000929	
	WO 2002049993	A3	20030220			
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	CA 2420215	AA	20020627	CA 2000-2420215	20000929	
	AU 2000076225	A5	20020701	AU 2000-76225	20000929	
	EP 1322309	A2	20030702	EP 2000-965522	20000929	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL		
	ZA 2003001160	A	20040212	ZA 2003-1160	20000929	
	BR 2000017338	A	20040427	BR 2000-17338	20000929	
	JP 2004525873	T2	20040826	JP 2002-551496	20000929	
	NO 2003001370	A	20030530	NO 2003-1370	20030326	
PRAI	US 2000-227454P	P	20000823			
	WO 2000-US26816	W	20000929			

OS MARPAT 137:78952

AB The invention includes low mol. weight, non-peptidic, non-peptidommetic, organic

mols. that can act as modulators of mammalian complement C5a receptors, preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess some or all of the following properties in that they are: (1) multi-aryl in structure; (2) heteroaryl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable in vivo effect; (4) comprise fewer than four or preferably no amide bonds, and (5) capable of habiting leukocyte chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include imidazoles I [R1 = H, OH, halo, etc.; R2 = alkyl, cycloalkyl, etc.; R3 H, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], pyrazoles II [R = H, OH, halo, etc.; R2, R3 = H, OH, halo, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], amides Ar1CONR1R2 [III; R1, R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar1 = (un)substituted carbocyclic aryl, arylalkyl, etc.], etc. Detailed preparation of some compds. I-III was given. E.g., a multi-step synthesis of I [Ar1 = Ph; R1, R3 = H; R2 = Bu; R4, Ar2 = 3,4-methylenedioxyphenyl] was

presented. The invention also includes pharmaceutical composition comprising such compds. I-III and the use of such compds. in treating a variety of inflammatory and immune system disorders.

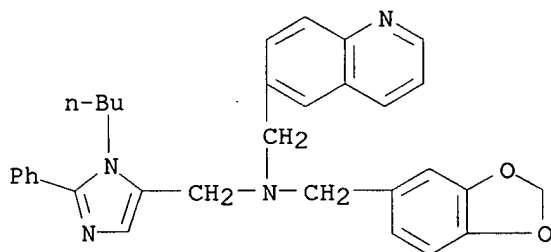
IT **439558-06-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators)

RN 439558-06-2 CAPLUS

CN 6-Quinolinemethanamine, N-[(1,3-benzodioxol-5-yl)methyl]-N-[(1-butyl-2-phenyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 84 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:391687 CAPLUS

DN 136:386031

TI Preparation of dihydroisoquinolines as novel phosphodiesterase inhibitors

IN Steinhilber, Wolfram; Grundler, Gerhard; Gutterer, Beate; Hatzelmann, Armin; Stadlwieser, Josef; Sterk, Geert Jan; Weinbrenner, Steffen

PA BYK Gulden Lomberg Chemische Fabrik GmbH, Germany

SO PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040449	A1	20020523	WO 2001-EP12909	20011108
	W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	AU 2002024835	A5	20020527	AU 2002-24835	20011108
PRAI	EP 2000-124807	A	20001114		
	EP 2000-124808	A	20001114		
	EP 2000-124809	A	20001114		
	WO 2001-EP12909	W	20011108		

OS MARPAT 136:386031

AB The title compds. [I; R1 = H, and R2 = F, Cl, Br, CN, CF3, OPh; or R1 = H, F, Cl, Br, CF3, CN, and R2 = H; R3 = H, OH, NO2, NH2, CO2H, etc.; R4 = COXR5, NHCOR6, NHCONHR7 (wherein X = O, NH; R5 = H, alkyl, cycloalkylmethyl, etc.; R6 = 2,4-dichlorophenoxyethyl, 2-tert-butoxycarbonylaminoethyl, 1-acetylpiperidin-1-yl, etc.; R7 = alkyl, alkenyl, cycloalkyl, etc.); R8 and R9 both denote H atom or together represent a bond] which are effective PDE7 inhibitors, were prepared Thus, reacting 2-(4-chlorophenyl)ethylamine with monomethyl terephthalate in the presence of N-dimethylaminoethyl-N'-ethylcarbodiimide in CH2Cl2 followed by treatment of the resulting amide with P2O5 in PhMe, and treating a suspension of 1-(4-methoxycarbonylphenyl)-7-chloro-3,4-dihydroisoquinoline in H2O with concentrate HCl afforded I.HCl [R1 = H; R2 = Cl; R3 = H; R4 = CO2H; R8, R9 = H] which showed -logIC50 of 6.05 against PDE7.

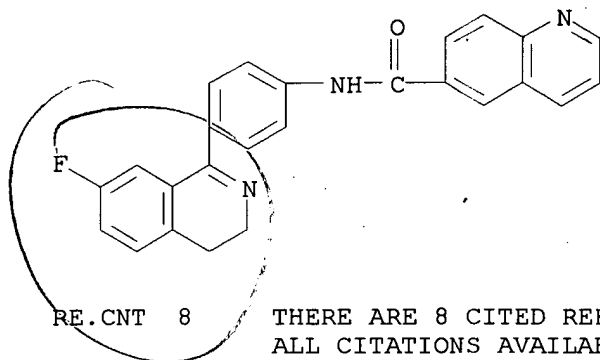
IT 428514-17-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

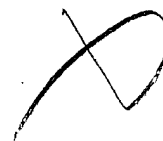
(preparation of dihydroisoquinolines as novel phosphodiesterase inhibitors)

RN 428514-17-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-(9CI) (CA INDEX NAME)



THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L62 ANSWER 85 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:330207 CAPLUS

DN 136:350581

TI Combinations of D4 dopamine receptor antagonists with acetylcholinesterase inhibitors for the treatment of dementia or cognitive deficits associated with Alzheimer's Disease or Parkinson's Disease

IN Fliri, Anton Franz Josef; Sanner, Mark Allen; Zorn, Stevin Howard

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1201268	A2	20020502	EP 2001-308953	20011022
	EP 1201268	A3	20040102		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2002052373	A1	20020502	US 2001-931551	20010816
	CA 2359877	AA	20020426	CA 2001-2359877	20011024
	BR 2001004830	A	20020528	BR 2001-4830	20011026
	JP 2003063994	A2	20030305	JP 2001-328863	20011026
PRAI	US 2000-243543P	P	20001026		

OS MARPAT 136:350581

AB The invention discloses a method of treating dementia or cognitive deficits associated with Alzheimer's disease or Parkinson's disease in a mammal, including a human, by administering to the mammal a D4 dopamine receptor antagonist in combination with an acetylcholinesterase inhibitor. Also disclosed are pharmaceutical compns. containing a pharmaceutically acceptable carrier, a D4 dopamine receptor antagonist and an acetylcholinesterase inhibitor.

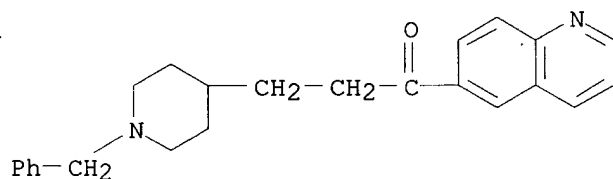
IT **420086-10-8**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(D4 dopamine receptor antagonist-acetylcholinesterase inhibitor combination for treatment of dementia or cognitive deficit associated with Alzheimer's or Parkinson's disease)

RN 420086-10-8 CAPLUS

CN 1-Propanone, 3-[1-(phenylmethyl)-4-piperidinyl]-1-(6-quinolinyl)- (9CI)
(CA INDEX NAME)



L62 ANSWER 86 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:314912 CAPLUS

DN 136:340699

TI Preparation of amino carboxamide derivatives as regulators of cellular transport proteins and methods for treating multidrug resistance

IN Degenhardt, Charles Raymond; Eickhoff, David Joseph

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032871	A2	20020425	WO 2001-US42691	20011012
	WO 2002032871	A3	20030206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2002119979	A1	20020829	US 2000-741588	20001219
	AU 2002030407	A5	20020429	AU 2002-30407	20011012
PRAI	US 2000-241127P	P	20001017		
	US 2000-741588	A	20001219		
	WO 2001-US42691	W	20011012		

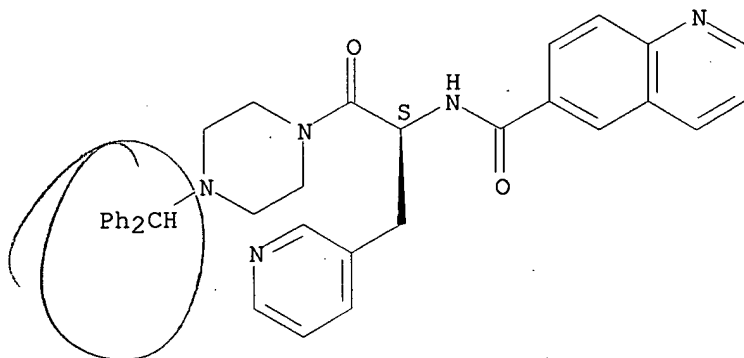
AB Substituted acyclic compds. of formula. e.g. R2R3NCO(CR1R2)aN(R4)(CR1R1)b-R6-(CR1R1)cOdR5 [a, b, c = 0 to about 10; d = 0 or 1; R1 = H, HO, (un)substituted hydrocarbon, heterogeneous, carbocyclic, aromatic, or heteroarom. group; R2 and R3 are bonded together to form a substituted heterocyclic structure; R4 = H, hydrocarbon group, (CR1R1)b-R6-(CR1R1)cOdR5; R5 = (un)substituted hydrocarbon, heterogeneous, or heteroarom. group, carbocyclic group, substituted carbocyclic-substituted aromatic group; R6 = CO, SO2] are disclosed. The compds. regulate the cellular transport proteins P-glycoprotein or MRP1, or both, which are the proteins believed to be largely responsible for causing multidrug resistance in cancer patients, and are useful for treating multidrug resistance. Thus, 5-aminopentanoic acid [4-phenyl-1-(3-phenylpropyl)butyl]amide (86 mg) is dissolved in ethanol (8 mL) at ambient temperature, followed by adding (R)-5-oxiranylmethoxyquinoline (47 mg), and the resulting mixture was refluxed for 19 h to give 5-[[2-(R)-hydroxy-3-(quinolin-5-yloxy)propyl]amino]pentanoic acid N-[4-phenyl-1-(3-phenylpropyl)butyl]amide (I). In an accumulation assay in NIH-MDR1-G185 cells overexpressing the human transporter Pgp using BODIPY-FL Taxol (Mol. Probes, Eugene, Ore.) as a fluorescent substrate, I in vitro exhibited an cellular accumulation index of 11 for BODIPY-FL Taxol.

IT **416898-83-4P**, (S)-Quinoline-6-carboxylic acid [2-(4-benzhydrylpiperazin-1-yl)-2-oxo-1-(pyridin-3-ylmethyl)ethyl]amide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino carboxamide derivs. as regulators of cellular transport proteins for treating multidrug resistance in cancer

patients)
RN 416898-83-4 CAPLUS
CN 6-Quinolinecarboxamide, N-[(1S)-2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxo-1-(3-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 87 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:312015 CAPLUS
 DN 136:325426
 TI Preparation of piperidine derivatives useful for treating multidrug
 resistance and compositions thereof
 IN Degenhardt, Charles Raymond; Eickhoff, David Joseph
 PA The Procter & Gamble Co., USA
 SO U.S., 50 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376514	B1	20020423	US 2000-740643	20001219
	US 2002082262	A1	20020627	US 2000-740642	20001219
	US 2002091120	A1	20020711	US 2000-740279	20001219
	US 2002115659	A1	20020822	US 2000-740644	20001219
	US 2002128269	A1	20020912	US 2000-740387	20001219
	CA 2421008	AA	20020425	CA 2001-2421008	20011016
	WO 2002032869	A2	20020425	WO 2001-US42781	20011016
	WO 2002032869	A3	20020822		
	WO 2002032869	C1	20031120		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002014657	A5	20020429	AU 2002-14657	20011016
	EP 1326833	A2	20030716	EP 2001-983211	20011016
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004511546	T2	20040415	JP 2002-536053	20011016
	US 2002099215	A1	20020725	US 2001-996657	20011129
PRAI	US 2000-241127P	P	20001017		
	US 2000-740643	A	20001219		
	WO 2001-US42781	W	20011016		

OS MARPAT 136:325426

AB Title compds. I [A1 = [C(R1)2]x-D1-D2-R2; R1 = H, OH, alkyl, carbocyclic, aromatic group; x = 0-10; R2 = alkyl, carbocyclic, aromatic group; D1-2 = CO, NR3, with the proviso that wherein when D1 = NR3 then D2 = CO and when D2 = NR3, D1 = CO; R3 = H, R2; A3 = D4-[C(R1)2]t-D5; t = 0-6; D4 = CO, CHR1; D5 = NHR6, OR6; R6 = quinolyl] were prepared For instance, (R)-5-oxiranylmethoxyquinoline was prepared from (R)-glycidyl tosylate and 5-hydroxyquinoline (DMF, NaH), and used to alkylate piperidine-4-carboxylic acid [4-phenyl-1-(3-phenylpropyl)butyl]amide (preparation given; i-PrOH, 70°C, 18 h) to give II. The half-maximum inhibition of MDR1-ATPase, Ki (stimulated by 30-40 µM verapamil) for II = 0.3 µM. I are useful for treating multidrug resistance and can be formulated optionally with a therapeutic agent, e.g., Taxol.

IT 414866-88-9P 414866-91-4P

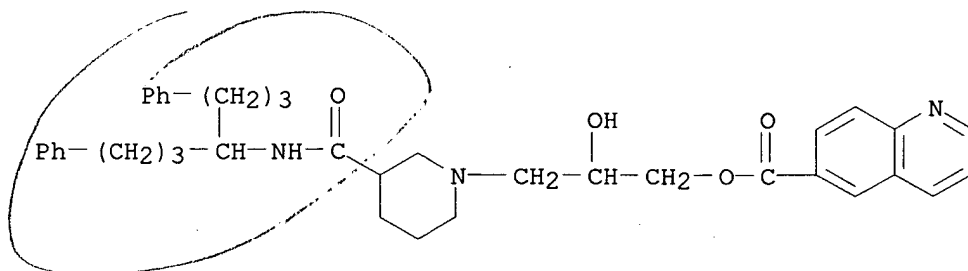
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug; preparation of piperidine derivs. useful for treating multidrug resistance and compns. thereof)

RN 414866-88-9 CAPLUS

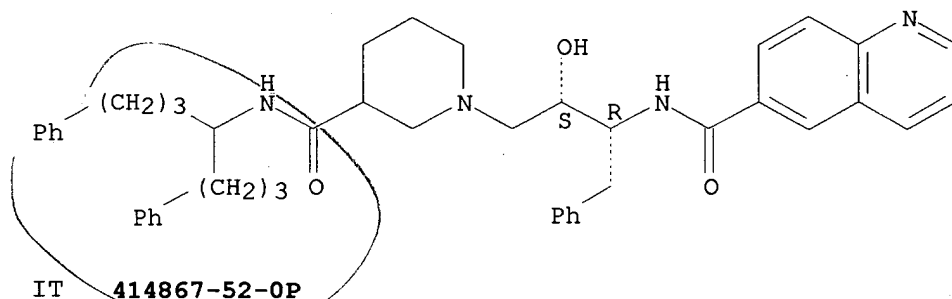
CN 6-Quinolinecarboxylic acid, 2-hydroxy-3-[3-[[[4-phenyl-1-(3-phenylpropyl)butyl]amino]carbonyl]-1-piperidinyl]propyl ester (9CI) (CA INDEX NAME)



RN 414866-91-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1R,2S)-2-hydroxy-1-(phenylmethyl)-3-[3-[[[4-phenyl-1-(3-phenylpropyl)butyl]amino]carbonyl]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



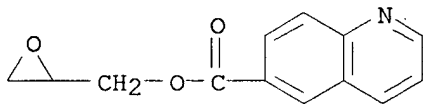
IT 414867-52-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidine derivs. useful for treating multidrug resistance and compns. thereof)

RN 414867-52-0 CAPLUS

CN 6-Quinolinecarboxylic acid, oxiranylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 88 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:275968 CAPLUS

DN 136:309857

TI Preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists

IN Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002028837	A1	20020411	WO 2001-EP11135	20010925
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2421782	AA	20020411	CA 2001-2421782	20010925
	AU 2001093847	A5	20020415	AU 2001-93847	20010925
	BR 2001014253	A	20030701	BR 2001-14253	20010925
	EP 1332133	A1	20030806	EP 2001-974298	20010925
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004510764	T2	20040408	JP 2002-532423	20010925
	NZ 524945	A	20050128	NZ 2001-524945	20010925
	EE 200300126	A	20050415	EE 2003-126	20010925
	HR 2003000229	A1	20030630	HR 2003-229	20030324
	BG 107672	A	20040130	BG 2003-107672	20030326
	ZA 2003002515	A	20040630	ZA 2003-2515	20030331
	NO 2003001474	A	20030505	NO 2003-1474	20030401
	US 2004082592	A1	20040429	US 2003-381987	20030814
	US 2005209273	A1	20050922	US 2005-133678	20050520
PRAI	EP 2000-203419	A	20001002		
	WO 2001-EP11135	W	20010925		
	US 2003-381987	A3	20030814		

OS MARPAT 136:309857

AB The title compds. [I or II; X = O, C(R6)2; (wherein R6 = H, aryl, alkyl, etc.); R1 = alkyl, aryl, thienyl, etc.; R2 = H, halo, CN, etc.; R3, R4 = H, alkyl; or R2 and R3 may be taken together to form (CH2)3, (CH2)4, CH:CHCH:CH, etc.; or R3 and R4 may be taken together to form CH:CHCH:CH, (CH2)4; R5 = H, cycloalkyl, piperidinyl, etc.; Y = O, S; or Y and R5 may be taken together to form CH:NN, N:NN, NCH:CH], useful for treating or preventing glutamate-induced diseases of the central nervous system, were prepared. Thus, reacting cis-III [R = Cl] with SnMe4 in the presence of Pg(PPh3)4 in PhMe afforded 17% cis-III [R = Me] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett ligation.

IT 409340-98-3P 409342-90-1P 409342-95-6P

409344-41-8P 409344-42-9P 409344-43-0P

409344-44-1P 409344-47-4P 409344-48-5P

409344-56-5P 409344-68-9P 409344-70-3P

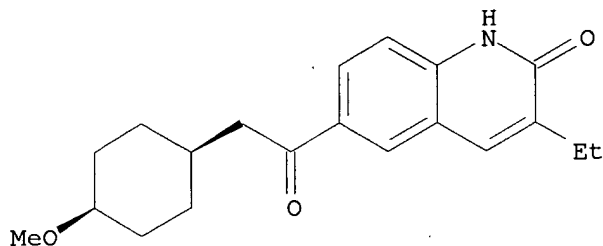
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists)

RN 409340-98-3 CAPLUS

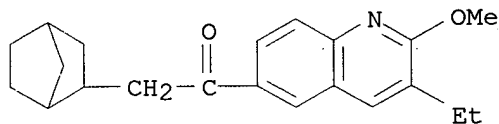
CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-methoxycyclohexyl)acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



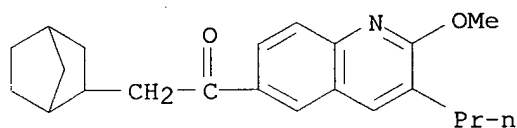
RN 409342-90-1 CAPLUS

CN Ethanone, 2-bicyclo[2.2.1]hept-2-yl-1-(3-ethyl-2-methoxy-6-quinolinyl)- (9CI) (CA INDEX NAME)



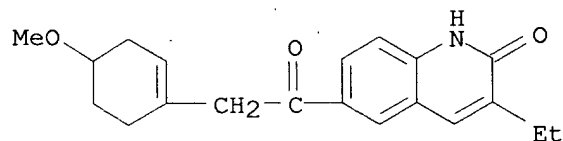
RN 409342-95-6 CAPLUS

CN Ethanone, 2-bicyclo[2.2.1]hept-2-yl-1-(2-methoxy-3-propyl-6-quinolinyl)- (9CI) (CA INDEX NAME)

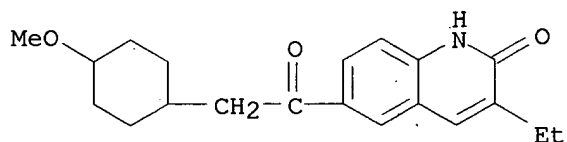


RN 409344-41-8 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-methoxy-1-cyclohexen-1-yl)acetyl]- (9CI) (CA INDEX NAME)

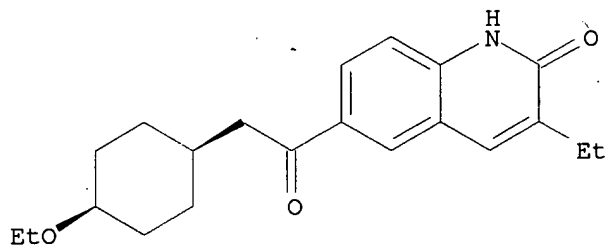


RN 409344-42-9 CAPLUS
 CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-methoxycyclohexyl)acetyl]- (9CI) (CA INDEX NAME)



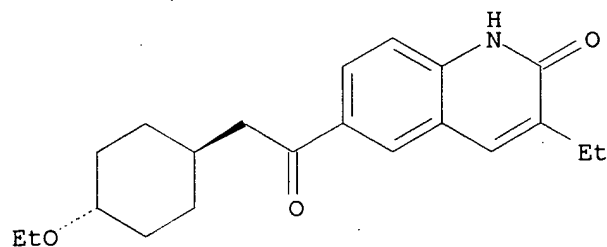
RN 409344-43-0 CAPLUS
 CN 2(1H)-Quinolinone, 6-[(cis-4-ethoxycyclohexyl)acetyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

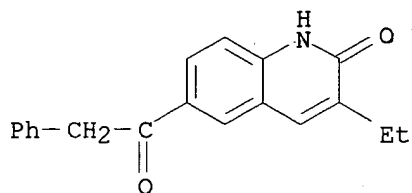


RN 409344-44-1 CAPLUS
 CN 2(1H)-Quinolinone, 6-[(trans-4-ethoxycyclohexyl)acetyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

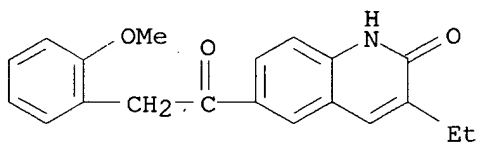


RN 409344-47-4 CAPLUS
 CN 2(1H)-Quinolinone, 3-ethyl-6-(phenylacetyl)- (9CI) (CA INDEX NAME)



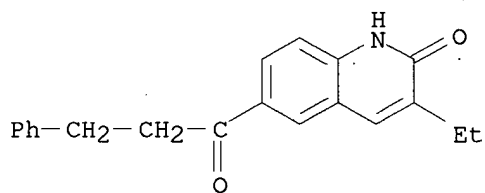
RN 409344-48-5 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[(2-methoxyphenyl)acetyl]- (9CI) (CA INDEX NAME)



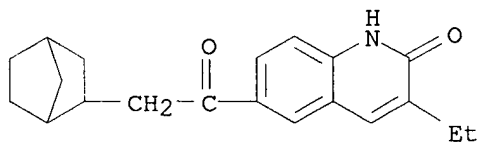
RN 409344-56-5 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 409344-68-9 CAPLUS

CN 2(1H)-Quinolinone, 6-(bicyclo[2.2.1]hept-2-ylacetyl)-3-ethyl- (9CI) (CA INDEX NAME)



RN 409344-70-3 CAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-(tricyclo[3.3.1.1.3,7]dec-1-ylacetyl)- (9CI) (CA INDEX NAME)

L62 ANSWER 89 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:240716 CAPLUS

DN 136:279196

TI Preparation and use of amino alcohol derivatives for treatment of urinary incontinence

IN Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024635	A2	20020328	WO 2001-JP8155	20010919
	WO 2002024635	A3	20030220		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001090246	A5	20020402	AU 2001-90246	20010919
	JP 2004509162	T2	20040325	JP 2002-528649	20010919
	US 2004037022	A1	20040226	US 2003-380627	20030321
	US 6826033	B2	20041130		
PRAI	AU 2000-340	A	20000925		
	WO 2001-JP8155	W	20010919		

OS MARPAT 136:279196

AB Title compds. I [X1 = bond, OCH2; X2 = (NR2CO)n, NHCOY1; R2 = H, alkyl; n = 1-2; Y1 = NR3; R3 = H, alkyl, etc.; R1 = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxy carbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepared. For instance, (2S)-2-(phenoxymethyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[[[(2S)-2-hydroxy-3-phenoxypropyl]amino]-1-propanol. This intermediate was protected as the N-Boc derivative which was then reduced (MeOHaq, 10% Pd-C, H2-1 atm) to give the corresponding aminophenyl derivative. Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6 ± 0.05 mm Hg increase in intravesical pressure (compared to 7.0 ± 1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

IT 406167-81-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of amino alc. derivs. for treatment of urinary incontinence)

RN 406167-81-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-, mono(trifluoroacetate)

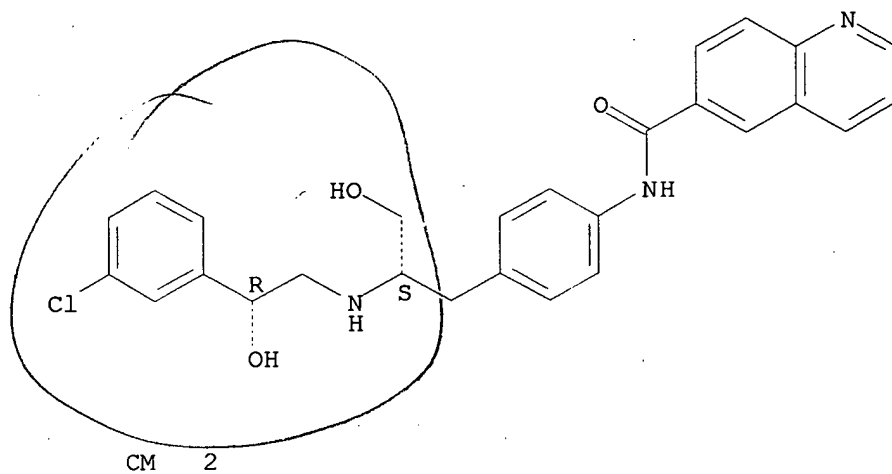
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406167-80-4

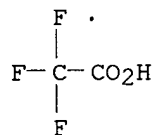
CMF C27 H26 Cl N3 O3

Absolute stereochemistry.



CRN 76-05-1

CMF C2 H F3 O2



L62 ANSWER 90 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:171694 CAPLUS
 DN 136:232208
 TI Preparation of 4-aminoazepan-3-one parasitic cysteine protease inhibitors effective against malaria and other diseases
 IN Tew, David G.; Thompson, Scott K.; Veber, Daniel F.
 PA Smithkline Beecham Corporation, UK
 SO PCT Int. Appl., 220 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002017924	A1	20020307	WO 2001-US27178	20010831
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003144175	A1	20030731	US 2001-881334	20010614
	AU 2001086983	A5	20020313	AU 2001-86983	20010831
	EP 1320370	A1	20030625	EP 2001-966474	20010831
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004509083	T2	20040325	JP 2002-522897	20010831
PRAI	US 2000-653815	A2	20000901		
	US 2001-881334	A2	20010614		
	US 1998-113636P	P	19981223		
	US 1999-164581P	P	19991110		
	WO 1999-US30730	A2	19991221		
	US 2000-593845	B2	20000614		
	WO 2001-US27178	W	20010831		

OS MARPAT 136:232208

AB The present invention relates to methods of treating parasitic diseases which are mediated by cysteine proteases by administration of 4-aminoazepan-3-one protease inhibitors I (e.g. benzo[1,3]dioxole-5-carboxylic acid [(S)-1-(1-benzyl-3-oxoazepan-4-ylcarbamoyl)-3-methylbutyl]amide) and pharmaceutically acceptable salts, hydrates and solvates thereof. In particular, the present invention relates to a method of treating malaria by inhibiting the cysteine protease falcipain. Other diseases against which the claimed compds. are effective include trypanosomiasis (African sleeping sickness, Chagas disease), leishmaniasis, schistosomiasis, onchocerciasis (river blindness) and giardiasis. In I: R1 is R4NR'CHR3C(O)-, R5XCHR3C(O)-, R3CH2C(O)-, R4NR'CR'''R3C(O)-, II. R2 is H, C1-6alkyl, C3-6cycloalkyl-C0-6alkyl, Ar-C0-6alkyl, Het-C0-6alkyl, R9C(O)-, R9C(S)-, R9SO2-, R9OC(O)-, R9R11NC(O)-, R9R11NC(S)-, R9(R11)NSO2-, 3-(2-pyridyl)benzylcarbonyl, 2-(3-(2-pyridyl)phenyl)ethyl, R7NR6CHR8Z-, and R9SO2R11NC(O)-. R3 is H, C1-6alkyl, C3-6cycloalkyl-C0-6alkyl, C2-6alkenyl, C2-6alkynyl, HetC0-6alkyl and ArC0-6alkyl. R3 and R' may be connected to form a pyrrolidine, piperidine or morpholine ring. R4 is H, C1-6alkyl, C3-6cycloalkyl-C0-6alkyl, Ar-C0-6alkyl, Het-C0-6alkyl, R5C(O)-, R5C(S)-, R5SO2-, R5OC(O)-, R5R12NC(O)-, and R5R12NC(S)-. R5 is H, C1-6alkyl,

C2-6alkenyl, C2-6alkynyl, C3-6cycloalkyl-C0-6alkyl, Ar-C0-6alkyl and Het-C0-6alkyl. R6 is H, C1-6alkyl, Ar-C0-6alkyl, and Het-C0-6alkyl. R7 is H, C1-6alkyl, C3-6cycloalkyl-C0-6alkyl, Ar-C0-6alkyl, Het-C0-6alkyl, R10C(O)-, R10C(S)-, R10SO2-, R10OC(O)-, R10R13NC(O)-, and R10R13NC(S)-. R8 is H, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, HetC0-6alkyl and ArC0-6alkyl. R9, R10 independently = C1-6alkyl, C3-6cycloalkyl-C0-6alkyl, Ar-C0-6alkyl and Het-C0-6alkyl. R11, R12, R13, R', R'' independently = H, C1-6alkyl, Ar-C0-6alkyl, and Het-C0-6alkyl. R''' is H, C1-6alkyl, C3-6cycloalkyl-C0-6alkyl, Ar-C0-6alkyl, and Het-C0-6alkyl; R'''' is C1-6alkyl, C3-6cycloalkyl-C0-6alkyl C2-6alkenyl, C2-6alkynyl, HetC0-6alkyl and ArC0-6alkyl. X is CH2, S, and O; Z is C(O) and CH2; n is 1-5. Although the methods of preparation are not claimed, 220 example preps. are included.

IT **350796-38-2P**, Quinoline-6-carboxylic acid [(1S)-2-(naphthalen-2-yl)-1-[[[(4S)-3-oxo-1-(pyridin-2-ylsulfonyl)azepan-4-yl]carbamoyl]ethyl]amide **350796-41-7P**, Quinoline-6-carboxylic acid [(1S)-1-[[[(4S)-3-oxo-1-(pyridin-2-ylsulfonyl)azepan-4-yl]carbamoyl]-2-phenylethyl]amide

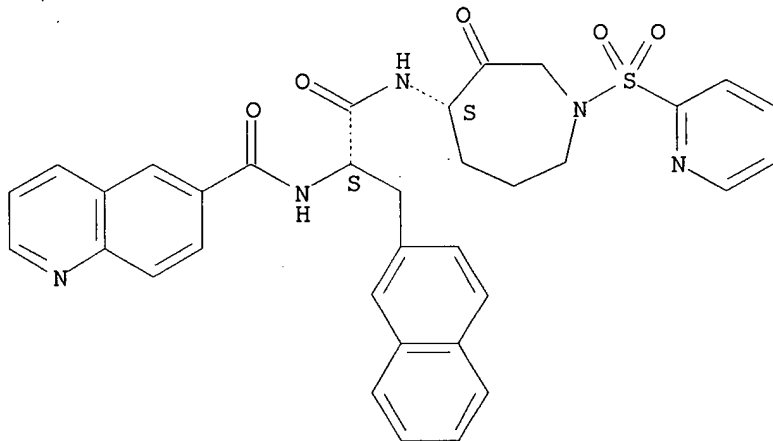
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-aminoazepan-3-one parasitic cysteine protease inhibitors effective against malaria and other diseases)

RN 350796-38-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

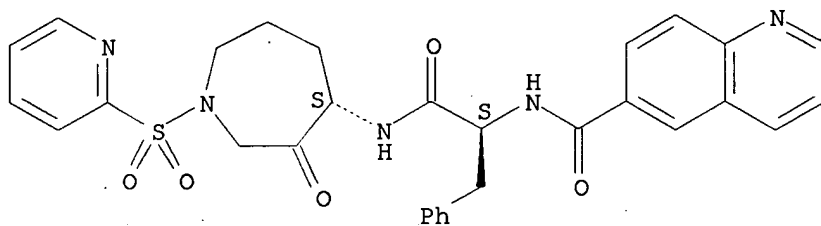
Absolute stereochemistry.



RN 350796-41-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 91 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:123007 CAPLUS
 DN 136:183816
 TI Combinatorial preparation of bicyclo pyrazoles as kinase inhibitors for
 treatment of cancer and other proliferative disorders
 IN Fancelli, Daniele; Pittala, Valeria; Varasi, Mario
 PA Pharmacia & Upjohn S.p.A., Italy
 SO PCT Int. Appl., 331 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012242	A2	20020214	WO 2001-EP8639	20010725
	WO 2002012242	A3	20020606		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2416527	AA	20020214	CA 2001-2416527	20010725
	AU 2001087654	A5	20020218	AU 2001-87654	20010725
	BR 2001013176	A	20030617	BR 2001-13176	20010725
	EP 1320531	A2	20030625	EP 2001-967223	20010725
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004505977	T2	20040226	JP 2002-518217	20010725
	ZA 2003001813	A	20040622	ZA 2003-1813	20010725
	NZ 524475	A	20041126	NZ 2001-524475	20010725
	EE 200300054	A	20041215	EE 2003-54	20010725
	NO 2003000381	A	20030224	NO 2003-381	20030124
	US 2003171357	A1	20030911	US 2003-344480	20030210
PRAI	US 2000-635914	A	20000810		
	WO 2001-EP8639	W	20010725		

OS MARPAT 136:183816

AB Title compds. I [wherein R and R1 = independently H or (un)substituted R', COR', CONHR', CONR'R", NHC(:NH)NHR', C(:NH)NHR', SO2R', SO2NHR', or SO2NR'R"; R' and R" = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); or R'R" = alkylene chain; Ra, Rb, Rc, and Rd = independently H or (un)substituted alkyl, aryl(alkyl), or CH2OR'; or Ra and Rb and/or Rc and Rd taken together with the C to which they are bonded = (un)substituted cycloalkyl; m and n = independently 0-2, provided that m + n ≤ 2; and pharmaceutically acceptable salts thereof] were prepared, primarily by solid phase combinatorial methods, as protein kinase inhibitors (no data). For example, cycloaddn. of H2NNH2•HCl to tert-Bu 3-cyano-4-oxo-1-pyrrolidinecarboxylate (preparation given) afforded 3-amino-5-(tert-butoxycarbonyl)-4,6-dihydropyrrolo[3,4-c]pyrazole (31%). The pyrrolopyrazole was dissolved in anhydrous CH2Cl2 and linked to methylisocyanate polystyrene resin to give the polymer-bound urea. The resin-supported urea was partitioned into 96 batches and reacted with acyl chlorides. A second partition of one of the lots, followed by reaction with carboxylic acids, sulfonyl chlorides, and isocyanates and hydrolytic cleavage from the resin, afforded combinatorial libraries of

functionalized derivs., including II. I are useful for treating diseases linked to dysregulated protein kinases, such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, autoimmune disease, and neurodegenerative disorders (no data).

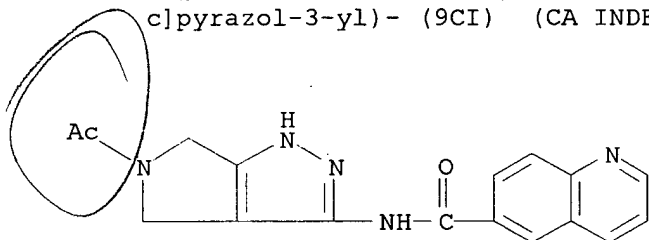
IT **398491-79-7P**

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(kinase inhibitor; combinatorial preparation of bicyclo pyrazoles as kinase inhibitors for treatment of cancer and other proliferative disorders)

RN 398491-79-7 CAPLUS

CN 6-Quinolinecarboxamide, N-(5-acetyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl)- (9CI) (CA INDEX NAME)



L62 ANSWER 92 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:76715 CAPLUS

DN 136:279778

TI Quinoline-Containing, Conjugated Poly(aryleneethynylene)s: Novel Metal and H⁺-Responsive Materials

AU Bangcuyo, Carlito G.; Rampey-Vaughn, Mary E.; Quan, Lan T.; Angel, S. Michael; Smith, Mark D.; Bunz, Uwe H. F.

CS Department of Chemistry and Biochemistry, The University of South Carolina, Columbia, SC, 29208, USA

SO Macromolecules (2002), 35(5), 1563-1568

CODEN: MAMOBX; ISSN: 0024-9297

PB American Chemical Society

DT Journal

LA English

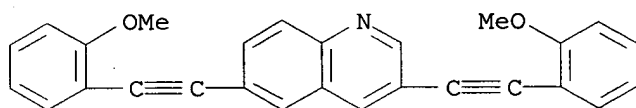
AB We describe the synthesis of novel copolymers containing quinoline, benzene, and alkyne groups by a Pd-catalyzed Heck-Cassar-Sonogashira-Hagihara reaction. Direct iodination of quinoline by a mixture of iodine, potassium periodate, and sulfuric acid in chloroform gives 3,6-diiodoquinoline. 3,6-Diiodoquinoline was coupled to 1,4-diethynyl-2,5-bis(2-ethylhexyl)benzene, and 3,6-diethynylquinoline was coupled to 1,4-diiodo-2,5-bis(2-ethylhexyloxy)benzene. The polymers formed in good-to-excellent yields with a d.p. (Pn) ranging from 11 to 95 and polydispersities (Mw/Mn) from 1.8 to 3.3. Their optical properties (i.e., absorption and emission) were shown to be dramatically dependent upon the presence of protons and to a lesser extent metal cations. The change in fluorescence upon protonation is different for the alkyl- and alkoxy-substituted polymer. In the alkyl case bright yellow fluorescence is observed upon protonation, while for the alkoxy case the polymer's fluorescence is quenched upon addition of acid at polymer concns. > 0.1 mg L⁻¹.

IT 405313-60-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (model compound; preparation and optical properties of metal ion and H⁺-responsive quinoline-containing conjugated poly(aryleneethynylenes))

RN 405313-60-2 CAPLUS

CN Quinoline, 3,6-bis[(2-methoxyphenyl)ethynyl]- (9CI) (CA INDEX NAME)



RE.CNT 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 93 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:51456 CAPLUS

DN 136:118476

TI Preparation of heterocycle carboxamides as antiviral agents

IN Bundy, Gordon L.; Ciske, Fred L.; Genin, Michael J.; Heasley, Steven E.;
 Larsen, Scott D.; Lee, Byung Hyun; May, Paul D.; Palmer, John R.; Schnute,
 Mark E.; Vaillancourt, Valerie A.; Thorarensen, Atli; Wolf, Allison J.;
 Wicnienski, Nancy Anne; Wilhite, David

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004444	A2	20020117	WO 2001-US16495	20010625
	WO 2002004444	A3	20020530		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002025960	A1	20020228	US 2001-887794	20010622
	US 6559145	B2	20030506		
	AU 2001069700	A5	20020121	AU 2001-69700	20010625
	EP 1301493	A2	20030416	EP 2001-948227	20010625
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004502770	T2	20040129	JP 2002-509309	20010625
	US 2003207880	A1	20031106	US 2002-323027	20021218
	US 6903097	B2	20050607		
	US 2004142928	A1	20040722	US 2003-744776	20031223
PRAI	US 2000-217558P	P	20000712		
	US 2001-272142P	P	20010228		
	US 2001-887794	A3	20010622		
	WO 2001-US16495	W	20010625		
	US 2002-323027	A3	20021218		

OS MARPAT 136:118476

AB The title compds. [I; X = Cl, Br, F, CN, NO₂; G = alkyl which is fully saturated or partially unsatd. and is substituted by OH, or alkyl substituted by NR₁R₂ or 4-tetrahydropyran; R₁ = alkyl substituted by OH, alkoxy, heteroaryl or aryl; R₂ = H, alkyl; NR₁R₂ = (un)substituted morpholine, pyrrolidine substituted by OH; W = pyridopyrimidine, thiazolopyrimidine, benzothiadiazine, etc.], useful as antiviral agents, in particular, as agents against viruses of the herpes family, were prepared. Thus, alkylation of N-(4-chlorophenyl)-7-iodo-4-oxo-4H-pyrido[1,2-a]pyrimidine-3-carboxamide (preparation given) with propargyl alc. in the presence of PdCl₂(PPh₃)₂ and Et₃N in DMF afforded 58% II.

IT **390359-24-7P**, N-(4-Chlorobenzyl)-5-hydroxy-3-(3-hydroxy-1-propynyl)-1-methyl-2-oxo-1,2-dihydro-6-quinolinecarboxamide
390359-25-8P, N-(4-Chlorobenzyl)-5-hydroxy-3-(3-hydroxypropyl)-1-methyl-2-oxo-1,2-dihydro-6-quinolinecarboxamide **390359-26-9P**, N-(4-Chlorobenzyl)-5-hydroxy-1-methyl-3-(4-morpholinylmethyl)-2-oxo-1,2-

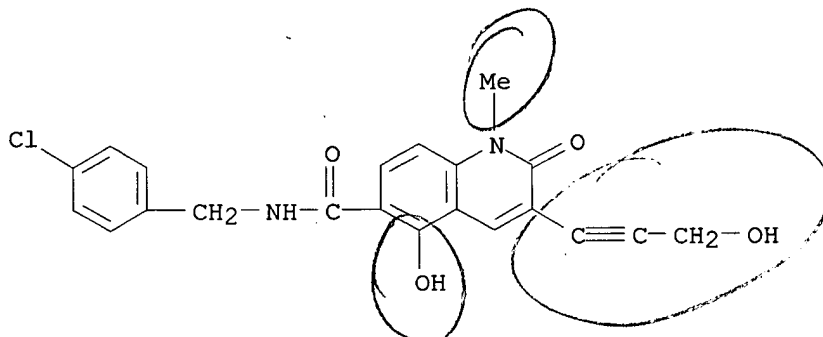
dihydro-6-quinolinecarboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of heterocycle carboxamides as antiviral agents)

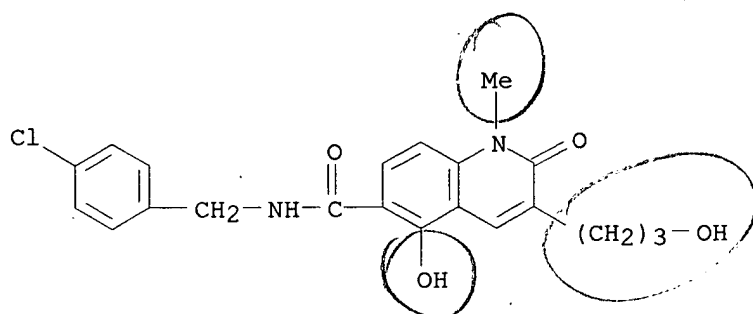
RN 390359-24-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-1,2-dihydro-5-hydroxy-3-
(3-hydroxy-1-propynyl)-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



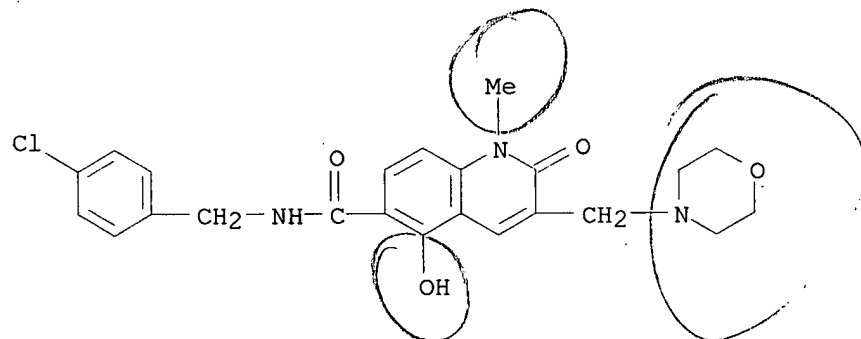
RN 390359-25-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-1,2-dihydro-5-hydroxy-3-
(3-hydroxypropyl)-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 390359-26-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-1,2-dihydro-5-hydroxy-1-
methyl-3-(4-morpholinylmethyl)-2-oxo- (9CI) (CA INDEX NAME)



L62 ANSWER 94 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:51435 CAPLUS
 DN 136:96027
 TI Heterocycle carboxamides as antiviral agents
 IN Schnute, Mark E.
 PA Pharmacia & Upjohn Company, USA
 SO PCT Int. Appl., 27 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004422	A2	20020117	WO 2001-US16491	20010625
	WO 2002004422	A3	20020620		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CE, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002019397	A1	20020214	US 2001-887620	20010622
	US 6730682	B2	20040504		
	AU 2001069697	A5	20020121	AU 2001-69697	20010625
	EP 1299360	A2	20030409	EP 2001-948224	20010625
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004502758	T2	20040129	JP 2002-509289	20010625
	US 2004180910	A1	20040916	US 2004-812229	20040329
PRAI	US 2000-217556P	P	20000712		
	US 2001-887620	A3	20010622		
	WO 2001-US16491	W	20010625		

OS MARPAT 136:96027

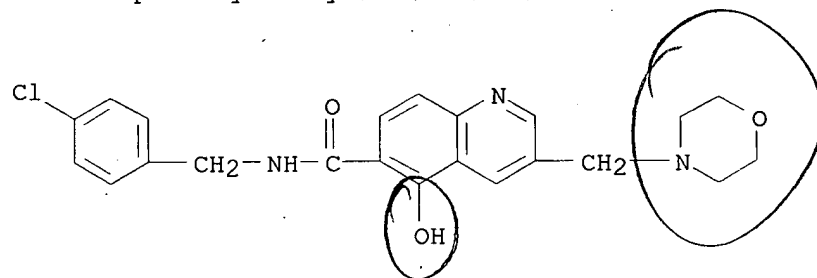
AB The present invention provides heterocycle carboxamide derivs. I which are useful as antiviral agents, in particular, as agents inhibiting viruses of the herpes family.

IT 389571-10-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (heterocycle carboxamides as antiviral agents)

RN 389571-10-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-5-hydroxy-3-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 95 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:935593 CAPLUS

DN 136:69729

TI Preparation of thiophene-3-carboxamides as kinase inhibitors

IN Fancelli, Daniele; Pevarèllo, Paolo; Varasi, Mario

PA Pharmacia & Upjohn S.p.A., Italy

SO PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098290	A2	20011227	WO 2001-EP6763	20010614
	WO 2001098290	A3	20020516		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6414013	B1	20020702	US 2000-596550	20000619
	CA 2414085	AA	20011227	CA 2001-2414085	20010614
	AU 2001085745	A5	20020102	AU 2001-85745	20010614
	EP 1294707	A2	20030326	EP 2001-964983	20010614
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004501146	T2	20040115	JP 2002-504246	20010614
PRAI	US 2000-596550	A	20000619		
	WO 2001-EP6763	W	20010614		

OS MARPAT 136:69729

AB The title compds. [I; R1, R2 = H, halo, aryl, etc.; or R1 and R2 taken together form (CH2)m(NR4)n(CH2)p (wherein m, p = 1-3; n = 0-1; m + n + p = 3-5; R4 = H, alkyl); R3 = alkyl, alkenyl, aryl, etc.], useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders (no data given), were prepared Thus, amidation of 2-amino-3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thiophene with phenylacetic acid afforded I [R1R2 = (CH2)4; R3 = CH2Ph].

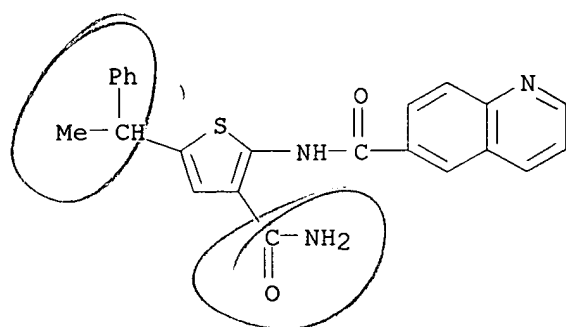
IT **383380-95-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiophene-3-carboxamides as kinase inhibitors)

RN 383380-95-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[3-(aminocarbonyl)-5-(1-phenylethyl)-2-thienyl]-(9CI) (CA INDEX NAME)



L62 ANSWER 96 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:935583 CAPLUS
 DN 136:53759
 TI Preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors
 IN Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.;
 Chabala, John C.; Morgans, David J., Jr.
 PA Cytokinetics, Inc., USA
 SO PCT Int. Appl., 179 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098278	A1	20011227	WO 2001-US13901	20010427
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6545004	B1	20030408	US 2000-699047	20001024
	JP 2003048881	A2	20030221	JP 2002-156766	20001026
	US 6562831	B1	20030513	US 2000-724644	20001128
	US 6630479	B1	20031007	US 2000-724713	20001128
	US 6831085	B1	20041214	US 2000-724941	20001128
	CA 2413426	AA	20011227	CA 2001-2413426	20010427
	EP 1296959	A1	20030402	EP 2001-932769	20010427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011898	A	20030513	BR 2001-11898	20010427
	JP 2004501140	T2	20040115	JP 2002-504234	20010427
	NZ 523233	A	20041029	NZ 2001-523233	20010427
	ZA 2002010133	A	20030617	ZA 2002-10133	20021213
	NO 2002006172	A	20030220	NO 2002-6172	20021220
	US 2004023996	A1	20040205	US 2003-312323	20030815
	US 2004254203	A1	20041216	US 2004-893929	20040720
	US 2005187232	A1	20050825	US 2005-84787	20050321
PRAI	US 2000-213104P	P	20000621		
	US 2000-699047	A	20001024		
	US 1999-198253P	P	19991027		
	JP 2001-533122	A3	20001026		
	US 2000-724778	A3	20001128		
	US 2000-724941	A3	20001128		
	WO 2001-US13901	W	20010427		

OS MARPAT 136:53759

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

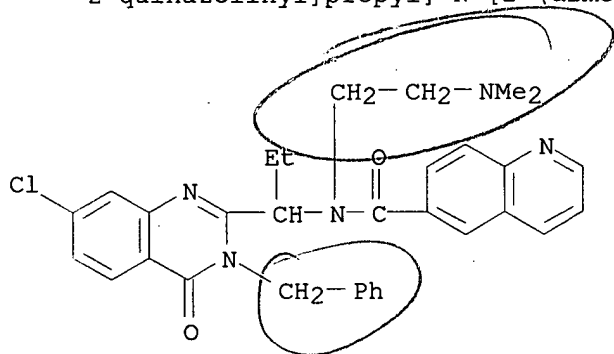
IT 336117-62-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 336117-62-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-
2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 97 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:863510 CAPLUS

DN 136:5913

TI Preparation of substituted N-[(aminoiminomethyl or aminomethyl)phenyl]propyl amides as Factor Xa inhibitors

IN Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.; Pauls, Heinz W.; Gong, Yong; McGarry, Daniel G.

PA Aventis Pharmaceuticals Products Inc., USA

SO U.S., 131 pp., Cont.-in-part of U.S. Ser. No. 884,405.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6323227	B1	20011127	US 1999-259528	19990226
	US 6080767	A	20000627	US 1997-884405	19970627
	WO 9900356	A1	19990107	WO 1998-US13550	19980626

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI	US 1996-9485P	P	19960102
	US 1997-884405	A2	19970627
	WO 1998-US13550	A1	19980626
	WO 1996-US20770	A2	19961223

OS MARPAT 136:5913

AB Title compds. I [R = H, OH, NH₂; R₁ = R₂ = H; or R₁R₂ = :NR₉; R₃ = H, CO₂R₆, COR₆, CON(R₆)₂, CH₂OR₇, CH₂SR₇; R₄ = H, alkyl, alkyl-Q, thioheterocyclyl, (CH₂CH₂)nAr, (CH:CH)nAr, CH₂Ar; R₅ = alk(en/yn)yl, cycloalk(en)yl, heterocycl(en)yl, aryl, heteroaryl, fused systems, etc.; R₆ = H, lower alkyl; R₇ = H, lower alkyl, aralkyl, lower acyl, aroyl, heteroaroyl; R₈ = H, lower alkyl; R₉ = H, R₁₀O₂C, R₁₀O, HO, cyano, R₁₀CO, OHC, lower alkyl, O₂N, Y₁'Y₂'N; R₁₀ = alkyl, aralkyl, heteroaralkyl; Y₁', Y₂' = H, alkyl; Q = R₇O, R₇S, Y₁Y₂N; Y₁, Y₂ = H, alkyl, aryl, aralkyl; or one of Y₁ and Y₂ = acyl or aroyl and the other is as given; Ar = aryl or heteroaryl; n = 0-2] and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates are useful as Factor Xa inhibitors. For example, 4-(pyridin-3-yl)benzoic acid was amidated with tert-Bu 3-aminopropionate-HCl via the acid chloride, and the resulting β-acylamino ester underwent a sequence of (1) α-alkylation with 5-iodo-2-[(2-methoxyethoxy)methoxy]benzyl bromide, (2) acidic deprotection of the MEM group, and conversion to the Me ester, (3) Pd-catalyzed cyanation of the iodide, and (4) Pinner reaction and ammonolysis of the nitrile, to give title compound II. Three example compds. showed K_i values of 19.0-94.0 nM in a Factor Xa assay, 46 nM to 1.72 μM in a trypsin assay, and 477 nM to 2.71 μM in a thrombin assay.

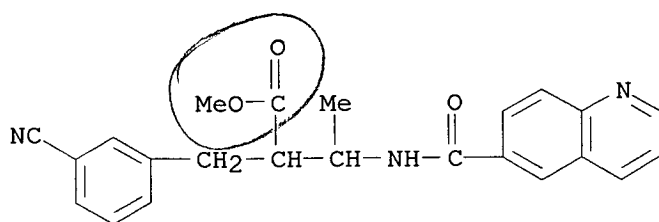
IT 219670-86-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(intermediate; preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as Factor Xa inhibitors)

RN 219670-86-7 CAPLUS

CN Benzenepropanoic acid, 3-cyano-α-[1-[(6-quinolinylcarbonyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

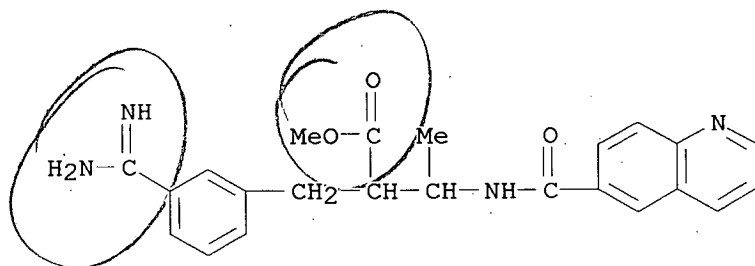


IT 219671-24-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as Factor Xa inhibitors)

RN 219671-24-6 CAPLUS

CN Benzenepropanoic acid, 3-(aminoiminomethyl)- α -[1-[(6-quinolinylcarbonyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 98 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:713141 CAPLUS

DN 135:272978

TI Preparation of quinolonecarboxylic acid heterocyclamides as antagonists of gonadotropin releasing hormone.

IN Devita, Robert J.; Goulet, Mark T.; Parikh, Mamta

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070228	A1	20010927	WO 2001-US8145	20010313
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2000-190416P P 20000317

OS MARPAT 135:272978

AB Title compds. [I; A = bond, (substituted) alkyl, alkenyl, alkynyl, alkoxy; B = bond, (substituted) alkyl; X = O, S, SO₂, NR₁₂, CR₁₃R₁₄, null; E = bond, O, S, SO, SO₂; R₁ = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl; R₂-R₄ = H, cyano, NO₂, perfluoroalkyl, (substituted) alkyl, alkenyl, alkynyl, aryl, etc.; R₂R₃ = atoms to form 3-7 membered (heterocyclic) ring; R₅-R₈ = H, halo, NO₂, (substituted) alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, etc.; R₉, R₉¹, R₁₀, R₁₂-R₁₄ = H, (substituted) alkyl, aryl, aralkyl], were prepared as GNRH antagonists (no data). Thus, 4-chloro-6-[2-(3,4,5-trimethylphenyl)acetylaminol]isophthalic acid di-Me ester (preparation given) in THF at 0° was treated with NaN(SiMe₃)₂ in THF followed by warming to room temperature to give Me 7-chloro-4-hydroxy-2-oxo-3-(3,4,5-trimethylphenyl)-1,2-dihydroisoquinoline-6-carboxylate. The latter was stirred with 2-(tetrahydrofuran-2-yl)ethanol, Ph₃P, and DEAD in THF to give Me 7-chloro-4-(tetrahydrofuran-2-ylmethoxy)-2-oxo-3-(3,4,5-trimethylphenyl)-1,2-dihydroisoquinoline-6-carboxylate. This was saponified with LiOH.H₂O in EtOH and the acid was coupled with 4-aminopyrimidine using 4-dimethylaminopyridine and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in CH₂Cl₂ to give 7-chloro-4-(tetrahydrofuran-2-ylmethoxy)-2-oxo-3-(3,4,5-trimethylphenyl)-1,2-dihydroisoquinoline-6-carboxylic acid pyrimidin-4-ylamide.

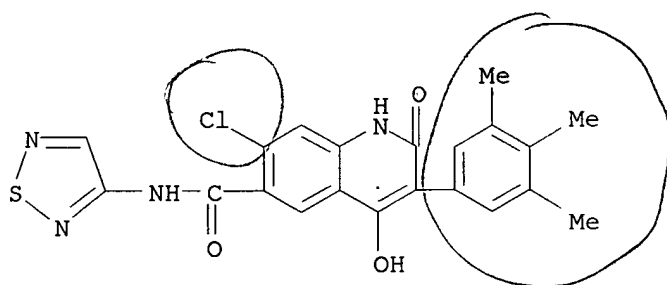
IT 362604-28-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinolonecarboxylic acid heterocyclamides as antagonists of gonadotropin releasing hormone)

RN 362604-28-2 CAPLUS

CN 6-Quinolonecarboxamide, 7-chloro-1,2-dihydro-4-hydroxy-2-oxo-N-1,2,5-thiadiazol-3-yl-3-(3,4,5-trimethylphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 99 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:597979 CAPLUS

DN 135:167035

TI Preparation of tyrosine derivatives having anti-leukotriene activity

IN Makovec, Francesco; Peris, Walter; Rovati, Lucio Claudio

PA Rotta Research Laboratorium S.P.A., Italy

SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058892	A1	20010816	WO 2001-EP1315	20010207
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	IT 1320162	B1	20031118	IT 2000-TO127	20000209
	CA 2399451	AA	20010816	CA 2001-2399451	20010207
	EP 1255749	A1	20021113	EP 2001-905744	20010207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003522768	T2	20030729	JP 2001-558442	20010207
	AU 776214	B2	20040902	AU 2001-33742	20010207
	US 2003087910	A1	20030508	US 2002-203424	20020808
	US 6605722	B2	20030812		
PRAI	IT 2000-TO127	A	20000209		
	WO 2001-EP1315	W	20010207		

OS MARPAT 135:167035

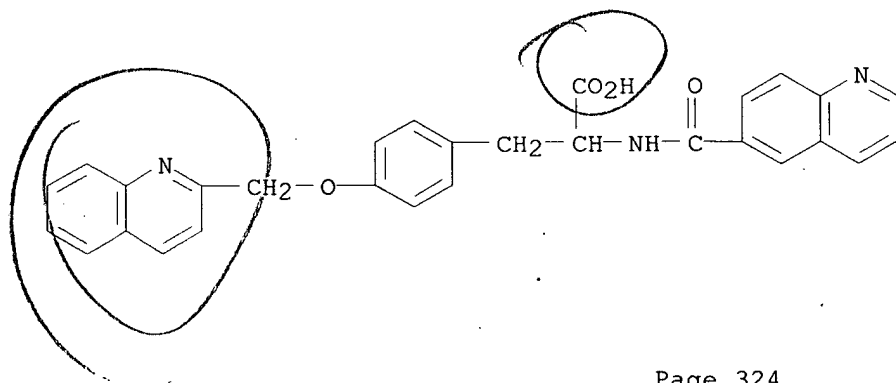
AB Compds. I [R1, R2 = H, C1-4 alkyl, halo, MeO, cyano, CF3; R3 = (un)substituted Ph, pyridyl or (iso)quinolinyl, 1- or 2-naphthyl, 2- or 3-indolyl or N-alkyl derivs., 2-, 5- or 6-quinoxalyl, cinnolyl, benzimidazolyl], which may have the L- or D-configuration or be racemic, were prepared and are useful in the treatment of pathol. conditions sensitive to leukotriene inhibition. Thus, O-(2-quinolinylmethyl)-N-quinaldoyl-DL-tyrosine was prepared by acylation of DL-tyrosine Me ester with quinaldic acid, O-alkylation with 2-chloromethylquinoline hydrochloride, and saponification. The product showed $IC_{50} \times 10^{-9} M = 20.0$ for inhibition of binding of [3H]-LTD4 to guinea pig lung membranes.

IT 353798-85-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosine derivs. having anti-leukotriene activity)

RN 353798-85-3 CAPLUS

CN Tyrosine, N-(6-quinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 100 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:545674 CAPLUS

DN 135:137516

TI Synthesis of heteroarylbenzamides and analogs used for inhibiting protein kinases

IN Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Cripps, Stephan James; Deal, Judith Gail; Nambu, Mitchell David; Palmer, Cynthia Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 237 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053274	A1	20010726	WO 2001-US1723	20010119
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2394703	AA	20010726	CA 2001-2394703	20010119
	US 2002103203	A1	20020801	US 2001-764306	20010119
	US 6635641	B2	20031021		
	EP 1252146	A1	20021030	EP 2001-906592	20010119
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001008025	A	20021105	BR 2001-8025	20010119
	JP 2003529558	T2	20031007	JP 2001-553276	20010119
	US 2004092747	A1	20040513	US 2003-621979	20030717
PRAI	US 2000-177059P	P	20000121		
	US 2001-764306	A3	20010119		
	WO 2001-US1723	W	20010119		

OS MARPAT 135:137516

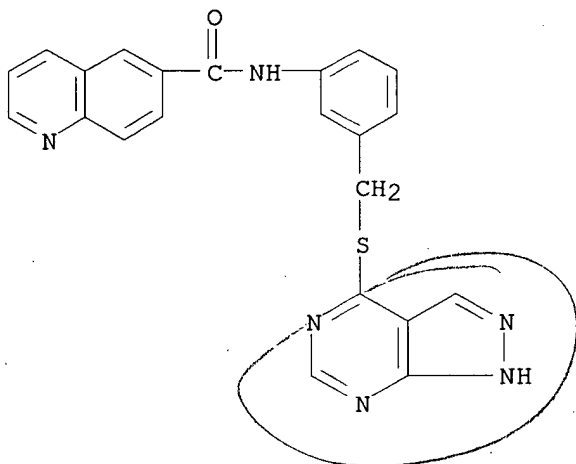
AB Title compds. I [Z = CH, NH; Q = moiety such that ring A is (un)substituted mono- or bicyclic heteroaryl which has at least 2 carbon atoms in the heteroaryl ring system; X = CH₂, O, S, NH; Y = CH₂, O, S, provided at least one of X and Y = CH₂ or X and Y form a cyclopropyl ring; R₂₋₃ = H, Me, halo, CF₃, CN; R₄ = CONHR₅, NHCOR₆; where R₅ = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R₆ = (un)substituted aryl, heteroaryl, cycloalkyl, etc] are prepared Examples include synthetic procedures for over 150 compds., 11 biol. assays and 3 sample formulations. For instance, 3-mercaptobenzoic acid was treated with α -chloro-N-methoxy-N-methylacetamide followed by carbodiimide coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a β -thiono-ketone with thioacetanilide/n-BuLi followed by treatment with hydrazine to give pyrazole III. III gave 85% inhibition of an lck protein tyrosine kinase at 5 μ M and had K_i = 2.21 nM for VEGF-R2A50. Treatment of cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis are claimed uses of the invention.

IT 351323-33-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351323-33-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 101 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:521913 CAPLUS
 DN 135:107323
 TI Preparation of aminothiazole inhibitors of cyclin dependent kinases
 IN Kim, Kyoung S.; Kimball, S. David; Cai, Zhen-wei; Rawlins, David B.;
 Misra, Raj N.; Poss, Michael A.; Webster, Kevin R.; Hunt, John T.; Han,
 Wen-ching
 PA Bristol-Myers Squibb Co., USA
 SO U.S., 164 pp., Cont.-in-part of U.S. 6,040,321.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 10

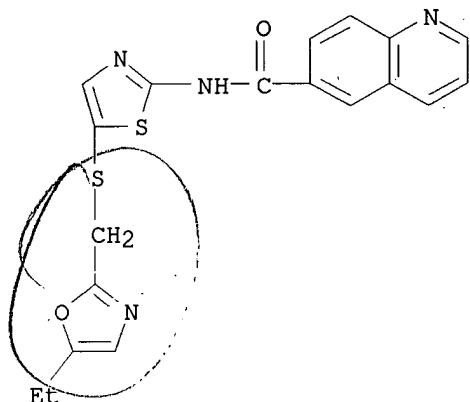
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262096	B1	20010717	US 1999-464511	19991215
	US 6040321	A	20000321	US 1998-176239	19981021
	US 6214852	B1	20010410	US 2000-616629	20000726
	US 6515004	B1	20030204	US 2000-727957	20001201
	CA 2394538	AA	20010621	CA 2000-2394538	20001206
	WO 2001044217	A1	20010621	WO 2000-US33037	20001206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,				
	CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				
	ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,				
	LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,				
	SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,				
	ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR	2000016420	A	20020820	BR 2000-16420	20001206
EP	1240153	A1	20020918	EP 2000-983935	20001206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP	2003516981	T2	20030520	JP 2001-544707	20001206
CA	2394544	AA	20010621	CA 2000-2394544	20001207
CA	2394552	AA	20010621	CA 2000-2394552	20001207
WO	2001044241	A1	20010621	WO 2000-US33113	20001207
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,				
	CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				
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	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO	2001044242	A1	20010621	WO 2000-US33501	20001207
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,				
	CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				
	ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,				
	LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,				
	SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,				
	ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR	2000016424	A	20020820	BR 2000-16424	20001207

EP 1240165	A1	20020918	EP 2000-982481	20001207
EP 1240165	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1240166	A1	20020918	EP 2000-990204	20001207
EP 1240166	B1	20050216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
SI 20975	C	20030228	SI 2000-20060	20001207
JP 2003516987	T2	20030520	JP 2001-544732	20001207
EE 200200306	A	20030616	EE 2002-306	20001207
JP 2003525872	T2	20030902	JP 2001-544731	20001207
NZ 519120	A	20040326	NZ 2000-519120	20001207
AU 774381	B2	20040624	AU 2001-19506	20001207
AT 289306	E	20050315	AT 2000-990204	20001207
AT 294800	E	20050515	AT 2000-982481	20001207
ES 2236034	T3	20050716	ES 2000-990204	20001207
PT 1240166	T	20050729	PT 2000-990204	20001207
US 2001004639	A1	20010621	US 2000-746059	20001222
US 6392053	B2	20020521		
US 2001006976	A1	20010705	US 2000-746060	20001222
US 6414156	B2	20020702		
US 2002137778	A1	20020926	US 2001-839751	20010420
US 6521759	B2	20030218		
US 2002072609	A1	20020613	US 2002-67723	20020205
US 6613911	B2	20030902		
US 2002099217	A1	20020725	US 2002-100129	20020318
US 6639074	B2	20031028		
ZA 2002004349	A	20030901	ZA 2002-4349	20020530
ZA 2002004356	A	20031007	ZA 2002-4356	20020530
NO 2002002817	A	20020814	NO 2002-2817	20020613
NO 2002002864	A	20020813	NO 2002-2864	20020614
US 2003216440	A1	20031120	US 2003-407779	20030404
US 2004063767	A1	20040401	US 2003-639272	20030812
US 6897321	B2	20050524		
PRAI US 1997-65195P	P	19971112		
US 1998-176239	A2	19981021		
US 1999-464511	A2	19991215		
US 2000-616627	A2	20000726		
US 2000-616629	A	20000726		
WO 2000-US33037	W	20001206		
WO 2000-US33113	W	20001207		
WO 2000-US33501	W	20001207		
US 2000-746059	A3	20001222		
US 2000-746060	A3	20001222		
US 2002-67723	A3	20020205		
OS MARPAT 135:107323				
AB	The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared. I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis. E.g., a multi-step synthesis of N-[5-[[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide II which showed IC50 of < 50 μ M against cdc2/cyclin B1 kinase, against cdk2/cyclin E kinase, and against cdk4/cyclin D1 kinase, was given.			
IT	224435-52-3P			
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);			

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)

RN 224435-52-3 CAPLUS

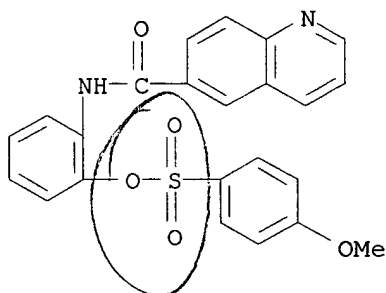
CN 6-Quinolinecarboxamide, N-[5-[[5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RE.CNT 14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 102 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:478100 CAPLUS
 DN 135:242053
 TI Novel sulfonate Derivatives: potent antimitotic agents
 AU Gwaltney, S. L.; Imade, H. M.; Li, Q.; Gehrke, L.; Credo, R. B.; Warner, R. B.; Lee, J. Y.; Kovar, P.; Frost, D.; Ng, S.-C.; Sham, H. L.
 CS Cancer Research, Abbott Laboratories, Abbott Park, IL, 60064-6101, USA
 SO Bioorganic & Medicinal Chemistry Letters (2001), 11(13), 1671-1673
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 135:242053
 AB The synthesis and biol. evaluation of novel sulfonate analogs (I) (R = 2-furyl, 4-fluorophenyl, 4-pyridyl, 2-pyridyl, 3-pyridyl, quinolin-4-yl, quinolin-6-yl, 4-dimethylaminophenyl, 4-pyridinylmethyl, Ph, NH-Ph, O-Ph, 2-pyrazinyl) of E-7010 are reported. Several of the compds. are potent inhibitors of cell proliferation and tubulin polymerization. Importantly, these compds. are also active against P-glycoprotein pos. (+) cancer cells, which are resistant to many other antitumor agents.
 IT **360062-05-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antitumor/antimitotic activity of)
 RN 360062-05-1 CAPLUS
 CN Benzenesulfonic acid, 4-methoxy-, 2-[(6-quinolinylcarbonyl)amino]phenyl ester (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 103 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:453092 CAPLUS

DN 135:61555

TI Preparation of lipopeptides as antibacterial agents

IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan

PA Cubist Pharmaceuticals, Inc., USA; et al.

SO PCT Int. Appl., 202 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044274	A1	20010621	WO 2000-US34205	20001215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2394350	AA	20010621	CA 2000-2394350	20001215
	BR 2000016467	A	20020827	BR 2000-16467	20001215
	EP 1246838	A1	20021009	EP 2000-991867	20001215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003517480	T2	20030527	JP 2001-544763	20001215
	US 2004067878	A1	20040408	US 2000-737908	20001215
	NO 2002002887	A	20020812	NO 2002-2887	20020617
	ZA 2002005108	A	20031117	ZA 2002-5108	20020625
PRAI	US 1999-170946P	P	19991215		
	US 2000-208222P	P	20000530		
	WO 2000-US34205	W	20001215		

OS MARPAT 135:61555

AB Lipopeptides I [R is -N(B)(X)_n-A; B is X'RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X' are C:O, C:S, C:NH, C:NRX, S:O or SO₂; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH₂, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR₅₀)OR₅₁, P(O)R₅₂R₅₃, or P(O)(OR₅₀)R₅₃, where R₅₀-R₅₃ are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R₁ is defined similarly to R (with provisos); R₂ is CH₂CR₁₇R₁₈-ring, where R₁₇ and R₁₈ are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR₁₇R₁₈ are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxymethylborohydride in dry DMF for 24 h afforded I [R = NHCO(CH₂)₈Me, R₁ = NHCH₂C₆H₄F-4, R₂ = CH₂COC₆H₄NH₂-o], which showed MIC (S. Aureus) ≤ 1 µg/mL.

IT 345646-74-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

10/634,182

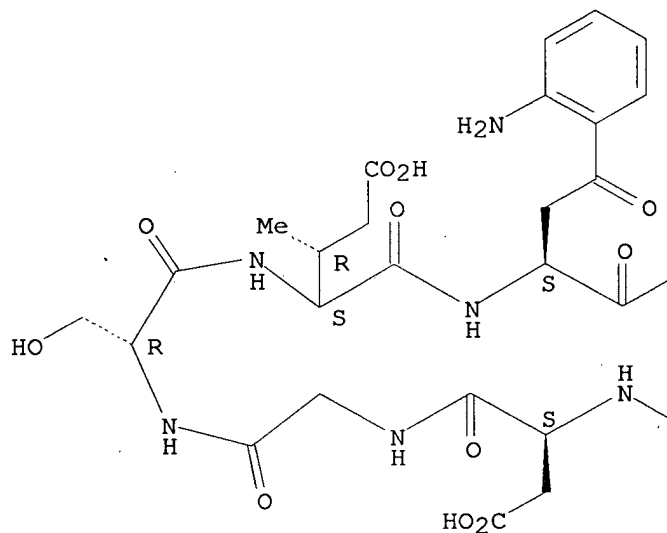
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lipopeptides as antibacterial agents)

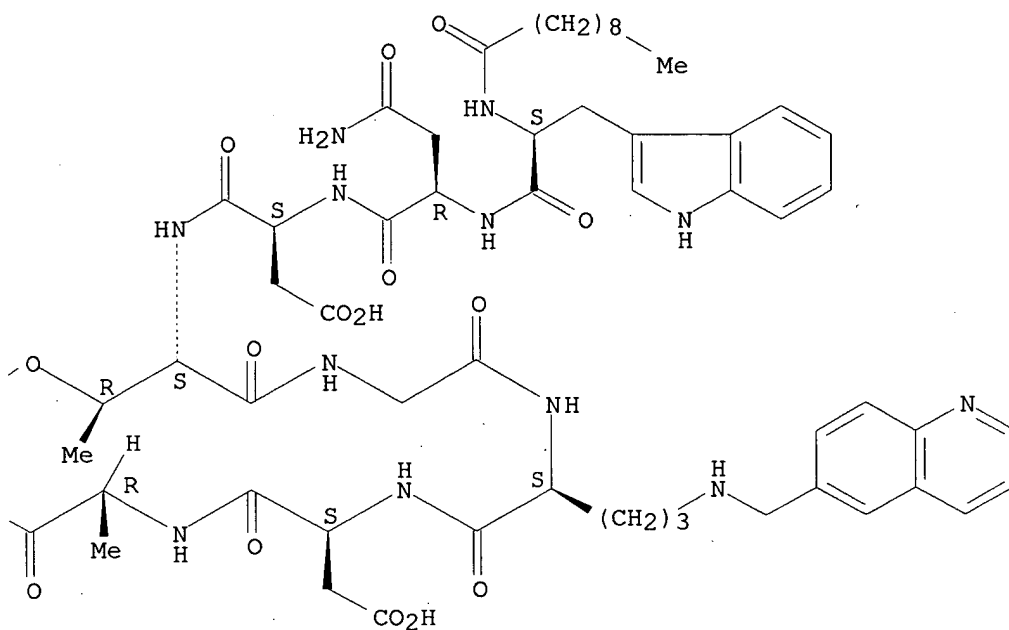
RN 345646-74-4 CAPLUS

CN Daptomycin, 6-[N5-(6-quinolinylmethyl)-L-ornithine]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

PAGE 1-A





RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 104 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:319882 CAPLUS

DN 134:326543

TI Methods and compositions utilizing quinazolinones as KSP kinesin modulators

IN Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.

PA Cytokinetics, Inc., USA

SO PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001030768	A1	20010503	WO 2000-US29585	20001026
	WO 2001030768	C2	20020815		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2388646	AA	20010503	CA 2000-2388646	20001026
	BR 2000015110	A	20020702	BR 2000-15110	20001026
	EP 1226129	A1	20020731	EP 2000-976656	20001026
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003048881	A2	20030221	JP 2002-156766	20001026
	JP 2003512461	T2	20030402	JP 2001-533122	20001026
	NZ 518480	A	20040227	NZ 2000-518480	20001026
	AU 774748	B2	20040708	AU 2001-14398	20001026
	US 6562831	B1	20030513	US 2000-724644	20001128
	US 6630479	B1	20031007	US 2000-724713	20001128
	US 6831085	B1	20041214	US 2000-724941	20001128
	ZA 2002002930	A	20021028	ZA 2002-2930	20020415
	NO 2002001907	A	20020607	NO 2002-1907	20020423
	ZA 2002010133	A	20030617	ZA 2002-10133	20021213
	NZ 530074	A	20050324	NZ 2003-530074	20031210
	US 2004254203	A1	20041216	US 2004-893929	20040720
	US 2005187232	A1	20050825	US 2005-84787	20050321
PRAI	US 1999-198253P	P	19991027		
	US 2000-213104P	P	20000621		
	US 2000-699047	A1	20001024		
	JP 2001-533122	A3	20001026		
	WO 2000-US29585	W	20001026		
	US 2000-724778	A3	20001128		
	US 2000-724941	A3	20001128		
OS	MARPAT 134:326543				
AB	Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl,				

alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO₂, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH₂NH₂ to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

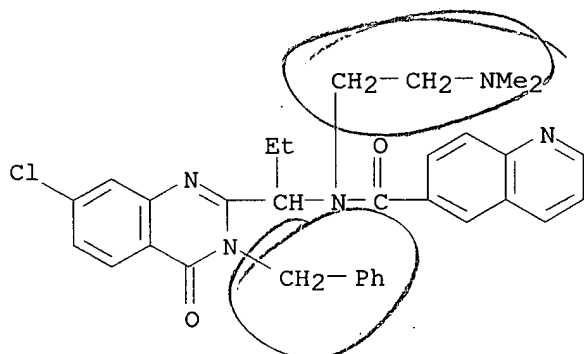
IT 336117-62-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336117-62-5 CAPLUS

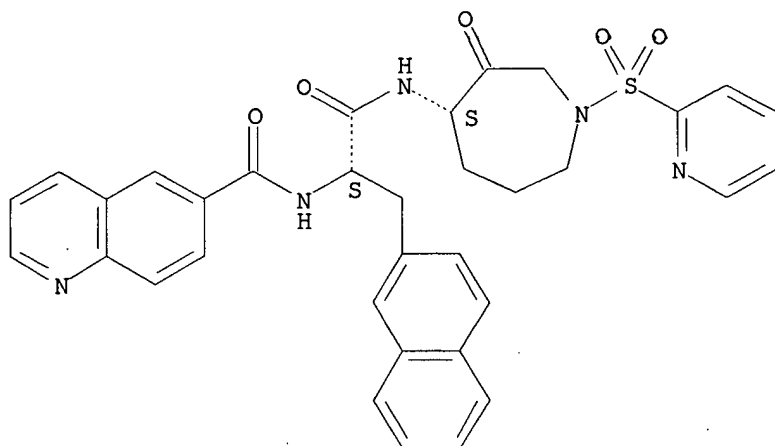
CN 6-Quinolinecarboxamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 105 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:318582 CAPLUS
 DN 135:120165
 TI Potent and selective cathepsin L inhibitors do not inhibit human osteoclast resorption in vitro
 AU James, Ian E.; Marquis, Robert W.; Blake, Simon M.; Hwang, Shing Mei; Gress, Catherine J.; Ru, Yu; Zembryki, Denise; Yamashita, Dennis S.; McQueney, Michael S.; Tomaszek, Thaddeus A.; Oh, Hye-Ja; Gowen, Maxine; Veber, Daniel F.; Lark, Michael W.
 CS Departments of Bone and Cartilage Biology, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
 SO Journal of Biological Chemistry (2001), 276(15), 11507-11511
 CODEN: JBCHA3; ISSN: 0021-9258
 PB American Society for Biochemistry and Molecular Biology
 DT Journal
 LA English
 AB Cathepsins K and L are related cysteine proteases that have been proposed to play important roles in osteoclast-mediated bone resorption. To further examine the putative role of cathepsin L in bone resorption, we have evaluated selective and potent inhibitors of human cathepsin L and cathepsin K in an in vitro assay of human osteoclastic resorption and an in situ assay of osteoclast cathepsin activity. The potent selective cathepsin L inhibitors ($K_i = 0.0099$, 0.034 , and 0.27 nM) were inactive in both the in situ cytochem. assay ($IC_{50} > 1$ μ M) and the osteoclast-mediated bone resorption assay ($IC_{50} > 300$ nM). Conversely, the cathepsin K selective inhibitor was potently active in both the cytochem. ($IC_{50} = 63$ nM) and resorption ($IC_{50} = 71$ nM) assays. A recently reported dipeptide aldehyde with activity against cathepsins L ($K_i = 0.052$ nM) and K ($K_i = 1.57$ nM) was also active in both assays ($IC_{50} = 110$ and 115 nM, resp.) These data confirm that cathepsin K and not cathepsin L is the major protease responsible for human osteoclastic bone resorption.
 IT **350796-38-2 350796-41-7**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (potent and selective cathepsin L inhibitors do not inhibit human osteoclast resorption in vitro)
 RN 350796-38-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

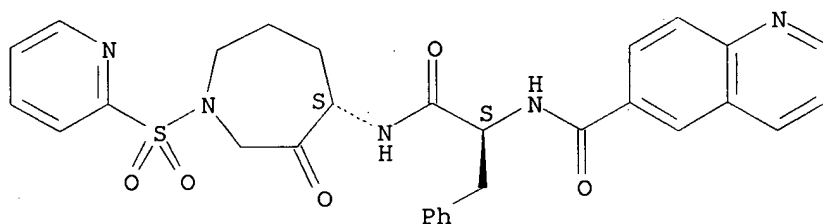
Absolute stereochemistry.



RN 350796-41-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S)-2-[[[(4S)-hexahydro-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 106 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:265369 CAPLUS

DN 134:295620

TI Preparation and effect of 4-methoxyphenylpropionic acid derivatives useful in insulin resistance improvement

IN Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hibara, Taro; Seiki, Hisashi; Clark, Richard; Harada, Hitoshi

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025181	A1	20010412	WO 2000-JP6788	20000929
	W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2385081	AA	20010412	CA 2000-2385081	20000929
	AU 2000074499	A5	20010510	AU 2000-74499	20000929
	AU 776267	B2	20040902		
	EP 1216980	A1	20020626	EP 2000-962993	20000929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	NZ 517719	A	20041029	NZ 2000-517719	20000929
	US 6884821	B1	20050426	US 2002-88916	20000929
PRAI	JP 1999-282079	A	19991001		
	JP 1999-369442	A	19991227		
	JP 2000-38795	A	20000216		
	JP 2000-104260	A	20000406		
	WO 2000-JP6788	W	20000929		

OS MARPAT 134:295620

AB Title compds. [Y:L:X:TZM:CWR1; R1 is hydrogen, hydroxyl, alkyl; L is single bond, double bond, alkylene; M is single bond, alkylene; T is single bond, alkylene; W is carboxyl, amide; X is oxygen, alkenylene; Y is aromatic hydrocarbon; Z is aromatic hydrocarbon; colon represents single, or double bond], salts, esters, and hydrates are prepared and are useful in prevention or treatment of diabetes and X-syndrome. Thus, the title compound I was prepared and biol. tested.

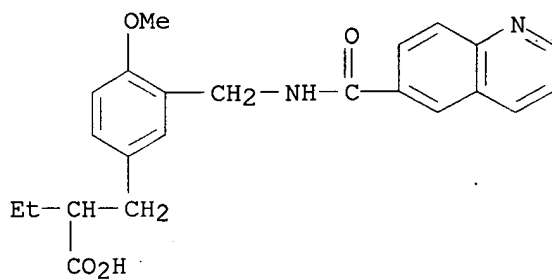
IT **334014-03-8P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effect of methoxyphenylpropionic acid derivs. useful in insulin resistance improvement as PPAR agonists)

RN 334014-03-8 CAPLUS

CN Benzenepropanoic acid, α -ethyl-4-methoxy-3-[[6-quinolinylcarbonyl]amino]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 107 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:235566 CAPLUS
 DN 134:266203
 TI Preparation and application of benzopyranone derivatives
 IN Kato, Susumu; Fujisawa, Akitaka; Nanayama, Toyomichi
 PA Japan Tobacco, Inc., Japan
 SO Jpn. Kokai Tokkyo Koho, 65 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001089471	A2	20010403	JP 2000-214857	20000714
PRAI	JP 1999-206924	A	19990721		
OS	MARPAT 134:266203				

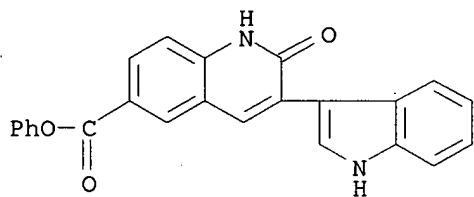
AB Title compds. [I; R1, R2 and R3, as for R4 and R5 equality or differing, the hydrogen atom, the halogen atom, the hydroxyl group and nitro group, the amino base, a low-grade alkyl group, and a low-grade alkoxy group et cetera; R6 is a hydrogen atom or a halogen atom; R7 the hydrogen atom or a low-grade alkyl group; R8 the hydrogen atom, the halogen atom and the low-grade alkyl group, a hydroxyl group, a carboxyl group and an amino base;etc.] and salts are prepared and is useful in medicine, by inhibiting the phosphorylation of the PDGF receptors. Title compds. have inhibition effect on smooth muscle multiplication and are useful as re-strangulation remedy agents and the nephritis remedy agents. Thus, the title compound II was prepared and tested.

IT **332094-52-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and application of benzopyranone derivs.)

RN 332094-52-7 CAPLUS

CN 6-Quinolinecarboxylic acid, 1,2-dihydro-3-(1H-indol-3-yl)-2-oxo-, phenyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 108 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:228694 CAPLUS

DN 134:261226

TI Carboxamide derivatives as selective inhibitors of pathogens

IN Ullrich, Axel; Marschall, Manfred; Stamminger, Thomas; Wallasch, Christian; Obert, Sabine

PA Axxima Pharmaceuticals Aktiengesellschaft, Germany

SO PCT Int. Appl., 34 pp.

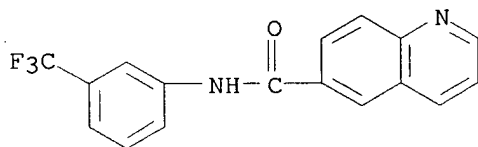
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001021160	A2	20010329	WO 2000-EP9306	20000922
	WO 2001021160	A3	20020131		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	EP 1999-118802	A	19990923		
	EP 2000-115240	A	20000713		
OS	MARPAT 134:261226				
AB	The invention relates to the use of carboxamide compds. as selective inhibitors of pathogens, particularly viruses and, more particularly, herpesviridae. Surprisingly, these compds. show reduced side effects in comparison with previous antiviral compds. Thus, a method for preventing or treating infections by pathogens, particularly herpesviridae is provided.				
IT	331628-03-6P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (carboxamide derivs. as selective inhibitors of pathogens)				
RN	331628-03-6 CAPLUS				
CN	6-Quinolinecarboxamide, N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)				



L62 ANSWER 109 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:152665 CAPLUS

DN 134:207826

TI Preparation of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and benzopyrans as factor Xa and factor IIa inhibitors

IN Burns, Christopher J.; Dankulich, William P.; McGarry, Daniel G.; Volz, Francis A.

PA Aventis Pharmaceuticals Products Inc., USA

SO PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014358	A2	20010301	WO 2000-IB1562	20000812
	WO 2001014358	A3	20010517		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2383257	AA	20010301	CA 2000-2383257	20000812
	EP 1222182	A2	20020717	EP 2000-968181	20000812
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
	JP 2004500336	T2	20040108	JP 2001-518445	20000812
	US 2002193410	A1	20021219	US 2002-81113	20020222
	US 6599918	B2	20030729		
PRAI	US 1999-150767P	P	19990826		
	GB 1999-24155	A	19991012		
	WO 2000-IB1562	W	20000812		

OS MARPAT 134:207826

AB The title compds. [I; n = 1 or 2; W is H or a ring system substituent; R is hydrogen, cyano, cycloalkyl, cycloalkenyl, heterocyclyl, fused arylcycloalkyl, fused heteroarylcyloalkyl, etc.; R1 is hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl, heteroaroyl, alkoxycarbonyl, aryloxycarbonyl or heteroaryloxycarbonyl; R2 and R3 are each hydrogen, or, taken together are :NR4; R4 is hydrogen, R5O2C, HO, cyano, R5CO, HCO, lower alkyl, nitro, etc.; R5 is alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; L1 is alkylene, alkenylene or alkynylene; L2 is absent, alkylene, alkenylene, alkynylene, alkylene-O, alkenylene-O, etc., provided that when L2 is absent, then R is not hydrogen, and Q is attached to R through a carbon atom thereof; Q is NR8', O, CO, CO2, O2C, NR8'(X1), C(X)NR8', NR8C(X1)O, etc.; provided that a nitrogen atom or oxygen atom of Q is not directly bonded to a carbon atom of L1 or L2 having a double bond or triple bond, or Q-L2-R is cycloalkyl, cycloalkenyl, heterocyclyl, fused arylcycloalkyl, fused heteroarylcyloalkyl, etc., provided that a nitrogen atom or oxygen atom of Q is not directly bonded to a carbon atom of L1 having a double bond or triple bond; X1 is O or S; R8' is hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl, heteroaroyl or alkoxycarbonyl; R8 is hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl or heteroaroyl; and m is 0, 1 or 2], oxides thereof, pharmaceutically acceptable salts, solvates

thereof, or prodrugs thereof are prepared These compds. inhibit the formation of simultaneously directly inhibiting both Factor Xa and Factor IIa (thrombin) and are useful for treating pathol. conditions in a patient that may be ameliorated by administration of such compds. The pathol. conditions include venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure associated with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post coronary or venous angioplasty, maintenance of vascular access patency in longterm hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery; a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections or cancer (no data). Thus, To a cooled (0°) solution of 5-(pyrid-2-yl)thiophene-2-carboxylic acid and 4-methylmorpholine in CH₂Cl₂ is added dropwise a solution of iso-Pr chloroformate in toluene, stirred 30 min, treated with 2-[5-(N-tert-butoxycarbonyl)carbamimidoyl-2,3-dihydrobenzofuran-3-yl]ethylamine in DMF, and the reaction mixture was allowed to warm to room temperature overnight to give 5-pyridin-2-ylthiophene-2-carboxylic acid [2-[5-(N-tert-butoxycarbonyl)carbamimidoyl-2,3-dihydrobenzofuran-3-yl]ethyl]amide which was stirred with H₂O and CF₃CO₂H in CH₂Cl₂ for 3 h. to give 5-(pyridin-2-yl)thiophene-2-carboxylic acid [2-(5-carbamimidoyl-2,3-dihydrobenzofuran-3-yl)ethyl]amide.

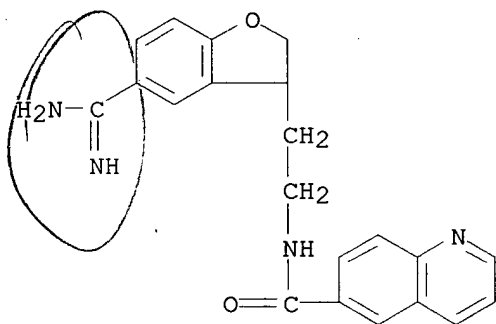
IT 328124-38-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and -benzopyrans as inhibitors of factor Xa and factor IIa)

RN 328124-38-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[5-(aminoiminomethyl)-2,3-dihydro-3-benzofuranyl]ethyl]- (9CI) (CA INDEX NAME)



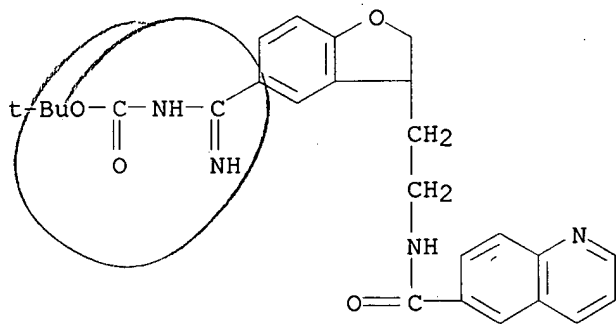
IT 328124-60-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and -benzopyrans as inhibitors of factor Xa and factor IIa)

RN 328124-60-3 CAPLUS

CN Carbamic acid, [[2,3-dihydro-3-[2-[(6-quinolinylcarbonyl)amino]ethyl]-5-benzofuranyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 110 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:900448 CAPLUS
 DN 134:56665
 TI Preparation of amide substituted imidazoquinolines as immune response modifiers
 IN Coleman, Patrick L.; Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.
 PA 3M Innovative Properties Company, USA
 SO PCT Int. Appl., 170 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076505	A1	20001221	WO 2000-US15702	20000608
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6451810	B1	20020917	US 2000-589580	20000607
	CA 2376304	AA	20001221	CA 2000-2376304	20000608
	EP 1187613	A1	20020320	EP 2000-950215	20000608
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200103574	T2	20020821	TR 2001-200103574	20000608
	JP 2003501466	T2	20030114	JP 2001-502838	20000608
	EE 200100670	A	20030217	EE 2001-670	20000608
	AU 773113	B2	20040520	AU 2000-63349	20000608
	EP 1438958	A1	20040721	EP 2004-4588	20000608
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
	NZ 515639	A	20041029	NZ 2000-515639	20000608
	BR 2000011448	A	20041214	BR 2000-11448	20000608
	NO 2001005503	A	20020208	NO 2001-5503	20011109
	ZA 2001009854	A	20030228	ZA 2001-9854	20011129
	ZA 2001009857	A	20030228	ZA 2001-9857	20011129
	ZA 2001009861	A	20030228	ZA 2001-9861	20011129
	HR 2001000888	A1	20030831	HR 2001-888	20011129
	US 2004029877	A1	20040212	US 2001-27272	20011221
	US 6800624	B2	20041005		
	US 2004204438	A1	20041014	US 2004-826836	20040416
PRAI	US 1999-138365P	P	19990610		
	US 2000-589580	A	20000607		
	US 2000-589216	A1	20000607		
	US 2000-589236	A	20000607		
	EP 2000-938205	A3	20000608		
	WO 2000-US15702	W	20000608		
	US 2001-166321	A1	20010615		
	US 2001-27272	A1	20011221		
OS	MARPAT 134:56665				
AB	The title compds. [I; R1 = alkylNR3COR4, alkenylNR3COR4 (wherein R4 =				

(un)substituted aryl, heteroaryl, alkyl, etc.); R₂ = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, halo, CF₃; n = 0-4] and their pharmaceutically acceptable salts, useful as immune response modifiers, were prepared. Thus, reacting 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine afforded the benzamide II which showed the lowest concentration of 0.37 μ M to induce interferon in human cells. The compds. I can induce the biosynthesis of various cytokines (data given for interferon α and TNF α) and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

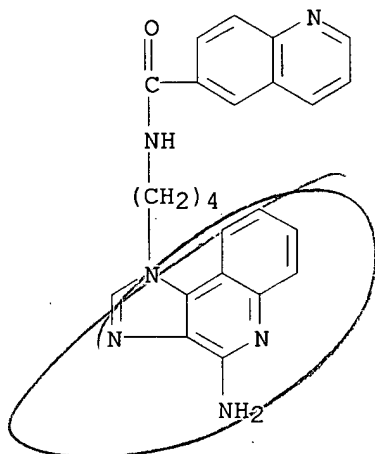
IT 313347-59-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide substituted imidazoquinolines as immune response modifiers)

RN 313347-59-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



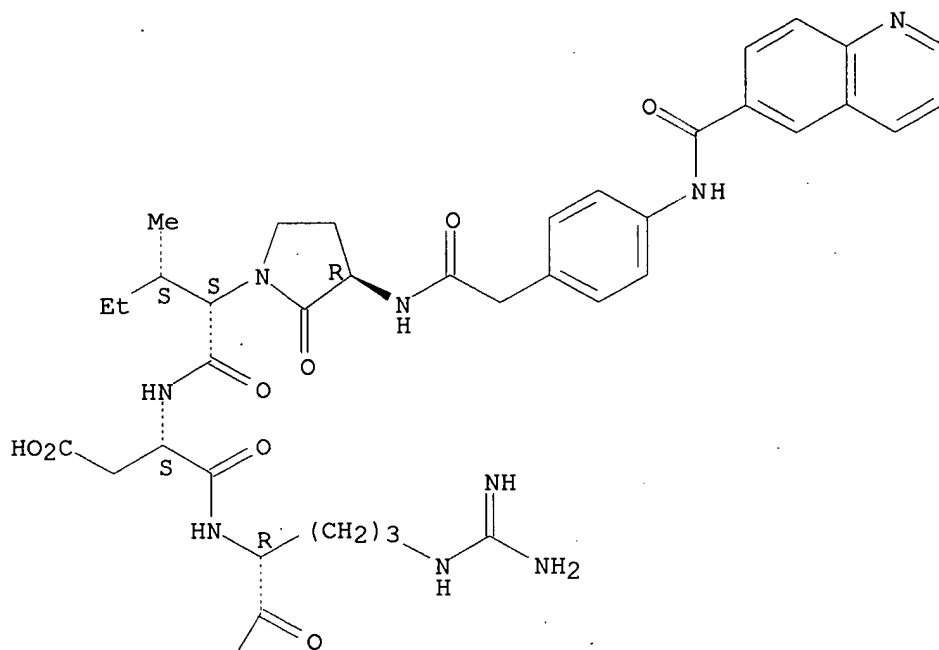
RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

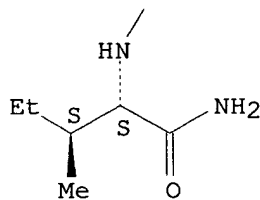
L62 ANSWER 111 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:894633 CAPLUS
 DN 134:157715
 TI The discovery of orally-active pseudopeptide antagonists of the atrial natriuretic peptide clearance receptor
 AU Jacobs, Robert T.; Aharony, David; Alford, Vernon; Bialecki, Russell A.; Cook, Steven E.; Dantzman, Cathy L.; Davenport, Timothy W.; Dock, Steven T.; Edwards, Philip D.; Hostetler, Greg A.; Kirschner, Alan; Mauger, Russell C.; Murphy, Megan; Palmer, William E.; Pine, Kara K.; Rumsey, William L.; Steelman, Gary B.; Surian, Jean M.; Sylvester, Mark; Vacek, Edward P.; Veale, Chris A.
 CS AstraZeneca, Wilmington, DE, 19897, USA
 SO Peptides for the New Millennium, Proceedings of the American Peptide Symposium, 16th, Minneapolis, MN, United States, June 26-July 1, 1999 (2000), Meeting Date 1999, 253-255. Editor(s): Fields, Gregg B.; Tam, James P.; Barany, George. Publisher: Kluwer Academic Publishers, Dordrecht, Neth.
 CODEN: 69ATHX
 DT Conference
 LA English
 AB Due to the important role of atrial natriuretic peptide (ANP) and its receptor (ANP-CR) in pulmonary hypertension, the authors explored the structure-activity relationships (SAR) of a series of ANP-CR antagonists. The authors briefly describe some of their findings. The initial efforts focused on gaining an understanding of the relative importance of individual amino acid residues, as well as the C- and the N-terminal substituents, on affinity for the ANP-CR. The effects of modification of AP-811, an ANP derivative, on in vitro potency and in vivo activities is discussed, as well as the concerns regarding solubility and lipophilicity.
 IT **301839-05-4**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (discovery of orally-active pseudopeptide antagonists of atrial natriuretic peptide clearance receptor)
 RN 301839-05-4 CAPLUS
 CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 112 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:881130 CAPLUS
 DN 134:42124
 TI Preparation of diaminothiazoles for inhibiting protein kinases
 IN Chu, Shao Song; Alegria, Larry Andrew; Bender, Steven Lee; Benedict, Suzanne Pritchett; Borchardt, Allen J.; Kania, Robert Steve; Nambu, Mitchell David; Tempczyk-Russell, Anna Maria; Sarshar, Sepehr
 PA Agouron Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 397 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075120	A1	20001214	WO 2000-US15188	20000602
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2371158	AA	20001214	CA 2000-2371158	20000602
	EP 1181283	A1	20020227	EP 2000-942660	20000602
	EP 1181283	B1	20050202		
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	BR 2000011585	A	20020319	BR 2000-11585	20000602
	JP 2003501420	T2	20030114	JP 2001-501601	20000602
	EE 200100659	A	20030217	EE 2001-659	20000602
	AU 778071	B2	20041111	AU 2000-57254	20000602
	AT 288424	E	20050215	AT 2000-942660	20000602
	ES 2234628	T3	20050701	ES 2000-942660	20000602
	US 2002025976	A1	20020228	US 2001-783584	20010215
	US 6620828	B2	20030916		
	ZA 2001008291	A	20021009	ZA 2001-8291	20011009
	NO 2001005045	A	20020204	NO 2001-5045	20011017
	BG 106276	A	20021031	BG 2002-106276	20020103
PRAI	US 1999-137810P	P	19990604		
	US 2000-587530	B1	20000602		
	WO 2000-US15188	W	20000602		

OS MARPAT 134:42124

AB The title compds. [I; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = OH, halo, CN, etc.; X = C, N; Q = a divalent radical having 2 or 3 atoms selected from C, N, O, S, CR5, NR5 (wherein R5 = OH, halo, CN, etc.) which together with C* and N* form a 5-6 membered (non)aromatic ring] which modulate and/or inhibit the activity of certain protein kinases (biol. data were given), and are useful in treating cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, were prepared and formulated. E.g., a multi-step synthesis of diaminothiazole II was given. The compds. I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation.

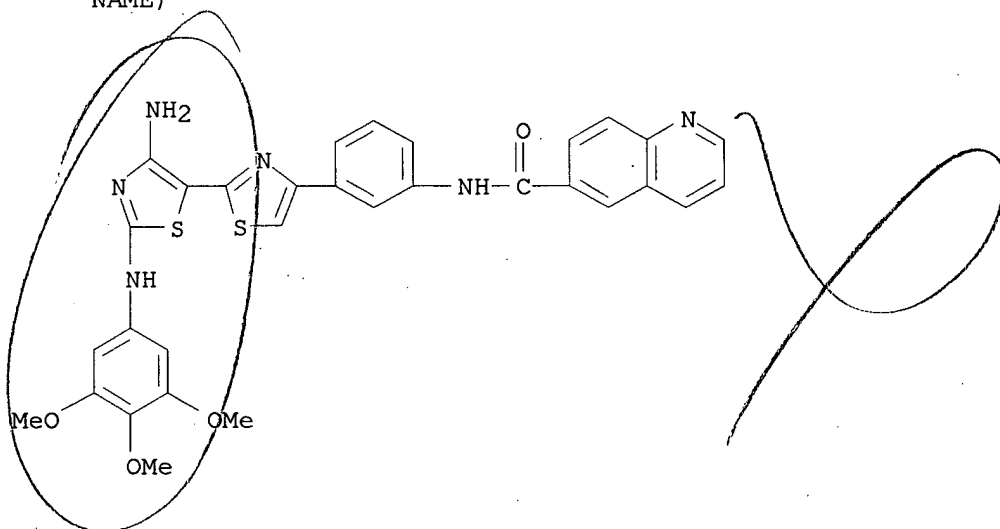
IT 312769-91-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of diaminotiazoles for inhibiting protein kinases)

RN 312769-91-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[3-[4'-amino-2'-[(3,4,5-trimethoxyphenyl)amino][2,5'-bithiazol]-4-yl]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 113 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:861662 CAPLUS

DN 134:29325

TI Preparation of metabotropic glutamate receptor antagonists and their use for treating central nervous system diseases

IN Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert; Delmar, Eric G.; Stormann, Thomas M.

PA NPS Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000073283	A1	20001207	WO 2000-US15222	20000602
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2376024	AA	20001207	CA 2000-2376024	20000602
	EP 1196397	A1	20020417	EP 2000-936465	20000602
	EP 1196397	B1	20050817		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003500480	T2	20030107	JP 2000-621349	20000602
	NZ 515894	A	20030926	NZ 2000-515894	20000602
	AU 778063	B2	20041111	AU 2000-51780	20000602
	AT 302194	E	20050915	AT 2000-936465	20000602
PRAI	US 1999-137272P	P	19990602		
	WO 2000-US15222	W	20000602		

OS MARPAT 134:29325

AB Title compds. [R1NHCOR; R = quinolinyl, quinoxalinyl, thiazolidinyl, Ph, benzimidazolyl, pyridyl, naphthyridinyl; R1 = phenylpropyl, cyclopentyl, pentyl, cyclohexyl, quinolinyl], stereoisomers, and pharmaceutically acceptable salts are prepared and are active as metabotropic glutamate receptor antagonists (no data). Title compds. are useful for treating neurol. diseases and disorders in pharmaceutical compns. Thus, the title compound I was prepared for treating disease associated with glutamate-induced neuronal damage.

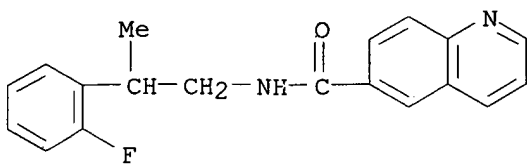
IT 311346-90-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of mGluR antagonists for treating ophthalmol. disorder)

RN 311346-90-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-(2-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 114 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:765431 CAPLUS

DN 133:321906

TI Preparation of phenyldiazepane derivatives or salt thereof having anticoagulant activity

IN Koshio, Hiroyuki; Hirayama, Fukushi; Seki, Norio; Ishihara, Tsukasa; Kanzawa, Keizo; Hachiya, Shunichiro; Taniuchi, Yuta; Matsumoto, Yuzo

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000302765	A2	20001031	JP 1999-117025	19990423
PRAI	JP 1999-117025		19990423		
OS	MARPAT 133:321906				

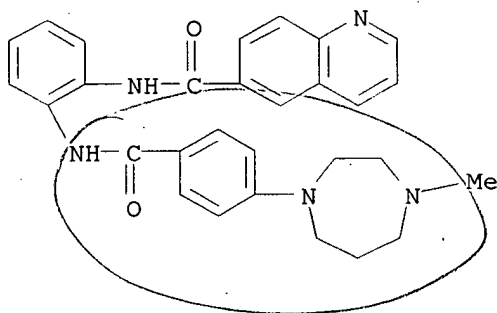
AB The title compds. (I; ring A = aryl or heteroaryl optionally having 1-3 substituents; B1 = CO, NR3, NR3CO; B2 = CO, NR4, NR4CO; R1 - R4 = H, lower alkyl) or salts thereof are prepared as inhibitors of activated blood coagulation factor X which are useful as blood coagulation inhibitors or for the treatment or prevention of diseases caused by thrombosis or embolism (no data). Thus, chlorination of 4-(4-methyl-1,4-diazepan-1-yl)benzoic acid hydrochloride with SOCl₂ at 60° for 90 min gave 4-(4-methyl-1,4-diazepan-1-yl)benzoyl chloride which was condensed with 2'-amino-3-cyanobenzanilide in pyridine at room temperature for 2 h to give N-(3-cyanobenzoyl)-N'-[4-(4-methyl-1,4-diazepan-1-yl)benzoyl]-1,2-phenylenediamine.

IT 303135-98-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyldiazepane derivs. or salt thereof having anticoagulant activity as blood coagulation inhibitors and antithrombotics)

RN 303135-98-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 115 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:742139 CAPLUS
 DN 133:310145
 TI Preparation of modified pentapeptide antagonists of the atrial natriuretic peptide clearance receptor
 IN Veale, Chris Allan; Edwards, Philip Duke; Jacobs, Robert Toms; Davenport, Timothy Wayne; Warwick, Paul James
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000061631	A1	20001019	WO 2000-GB1319	20000407
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRAI US 1999-128890P P 19990412

OS MARPAT 133:310145

AB Compds. R5-R4-R3-CH2CONR2-X-NR1CHR6CO[NHCH(CH2CO2H)CO-R7-R8-(S)] [X = CR1:CH, CHR1CO (I), or CR1CO; R12 = CH2CH2, CH2CH2CH2, :CHCH:CH, N:CH; R2 = H, Me; R3 = CH2CH2CH2, (E)-CH:CHCONH, CH2CH2CONH, phenylene, or a single bond; R4 = NHCO, CONH, SO2NH; R5 = 1- or 2-naphthyl, CH2CH2NHCH2CH:CHPh, CH2CH2Ph, CH:CHPh, 2-, 3-, 4-, or 6-quinolyl, 3-isoquinolyl, 2-quinoxaline, 5-chloro-2-indolyl, 2-indolyl, (un)substituted Ph, CH2CH2CH2Ph, 6-quinolylcarbonyl, 2-quinoxalinecarbonyl, 5-chloro-2-benzimidazolyl, fluorenylmethoxycarbonyl, 4-chlorobenzyl, 4-methylbenzyl, 3-quinoxaliny, 3,4-difluorophenyl, 4-fluorophenyl; R6 = iso-Bu, sec-butyl; R7 = N-methylglycine, NHCH2CH2NHCO, L- or D-arginine or -ornithine, histidine, citrulline, proline, etc.; R8 = L- or D-isoleucine-NH2, CH2-cyclopentyl, CH2-2-furanyl, tert-butylglycine-NH2, Bu, etc.] were prepared as antagonists of the atrial natriuretic peptide clearance receptor. Thus, inhibitory test data are tabulated for 156 compds. of the invention, including I [R12 = CH2CH2 (S-configuration); R2 = H; R3 = p-phenylene; R4 = CONH; R5 = 2-naphthyl; R6 = s-Bu (S-configuration); R7 = N-MeGly; R8 = Ile-NH2] (Ki = 2.17 nM).

IT **301838-47-1P 301838-69-7P 301839-05-4P**
301839-11-2P 301839-93-0P 301839-94-1P
301840-14-2P

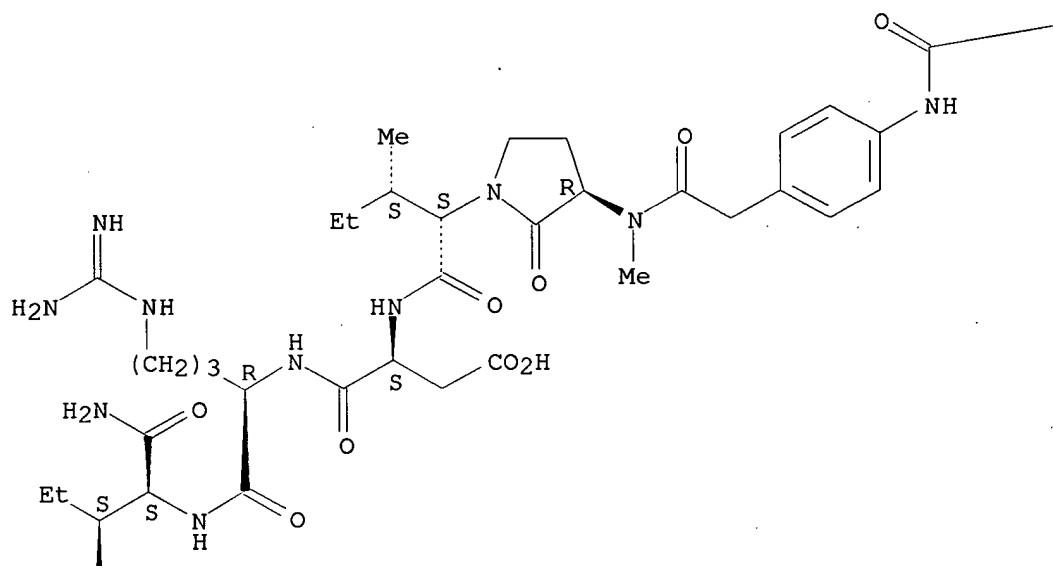
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of modified pentapeptide antagonists of the atrial natriuretic peptide clearance receptor)

RN 301838-47-1 CAPLUS

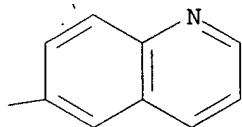
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-2-[(3R)-3-[methyl[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopentyl]-L- α -aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



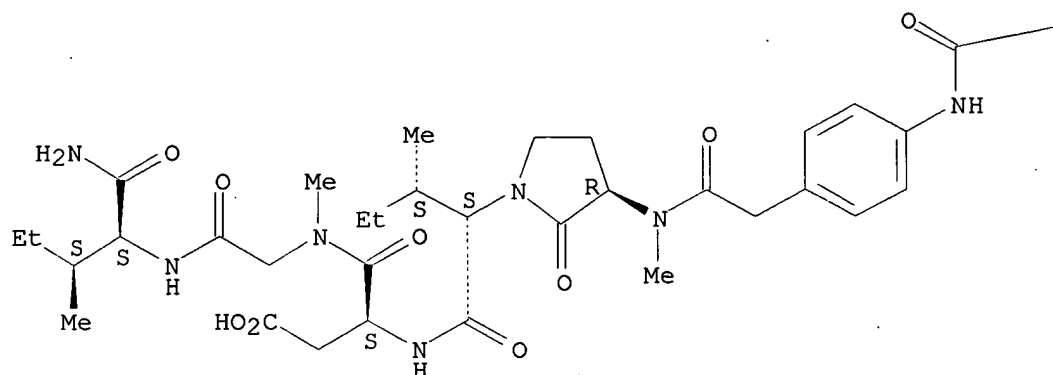
PAGE 2-A



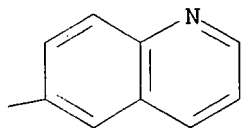
RN 301838-69-7 CAPLUS
 CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-2-[(3R)-3-[methyl[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopentyl]-L-α-aspartyl-N-methylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



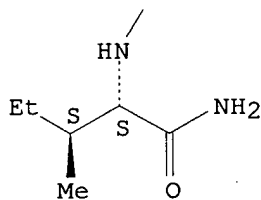
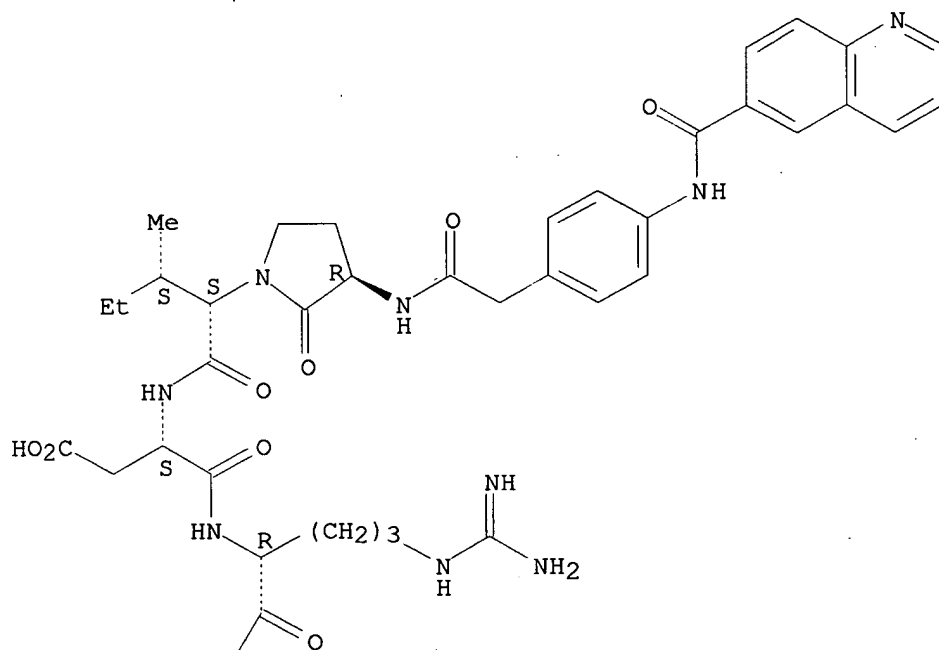
PAGE 1-B



RN 301839-05-4 CAPLUS

CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

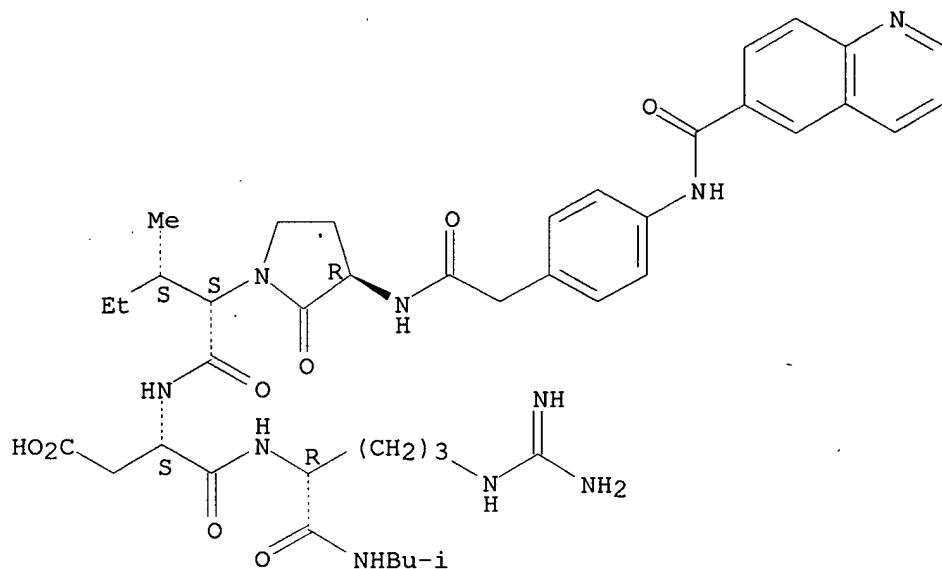
Absolute stereochemistry.



RN 301839-11-2 CAPLUS

CN D-Argininamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

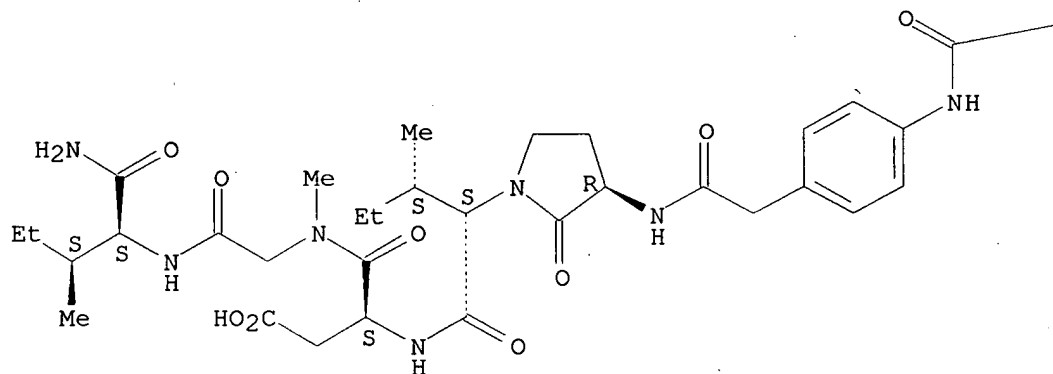


RN 301839-93-0 CAPLUS

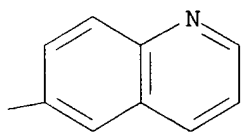
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-N-methylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

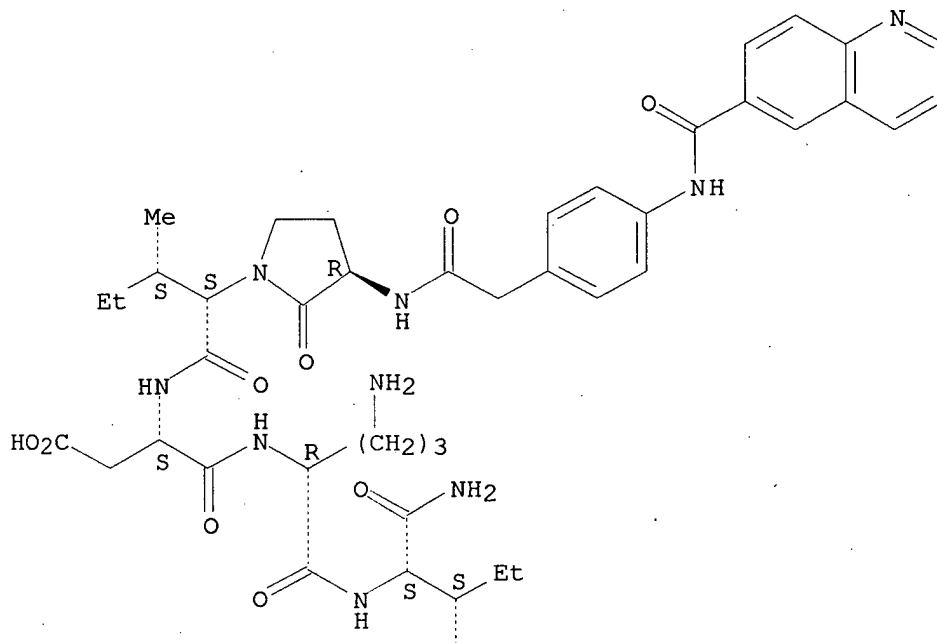


RN 301839-94-1 CAPLUS

CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-D-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

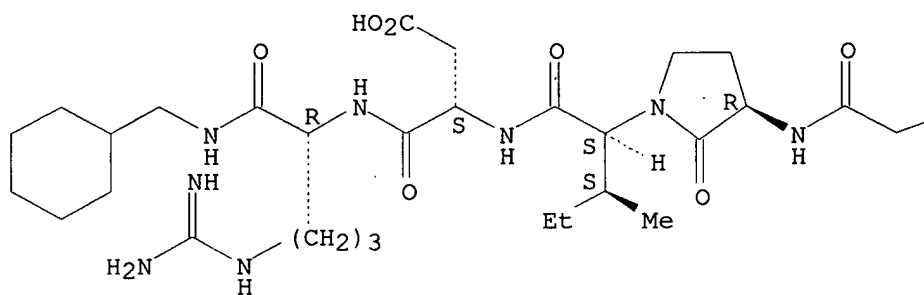


RN 301840-14-2 CAPLUS

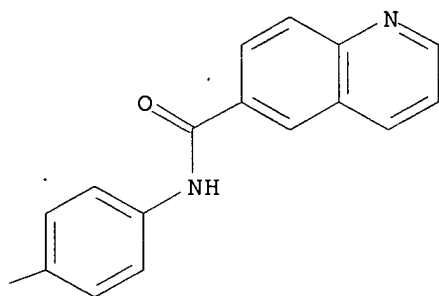
CN D-Argininamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(6-quinolinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L- α -aspartyl-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 116 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:658115 CAPLUS

DN 133:238010

TI Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)

IN Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi; Takeuchi, Makoto

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000256358	A2	20000919	JP 1999-62900	19990310
PRAI	JP 1999-62900		19990310		

OS MARPAT 133:238010

AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO₂H, lower alkoxy carbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR₁CO, CONR₁, NR₁SO₂, SO₂NR₁; wherein R₁ = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared. These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et₃N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH₂Cl₂ and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC₅₀

of
 ≤1 μM and the production of interleukin-2 with IC₅₀ of ≤0.1 μM in Jurkat cell.

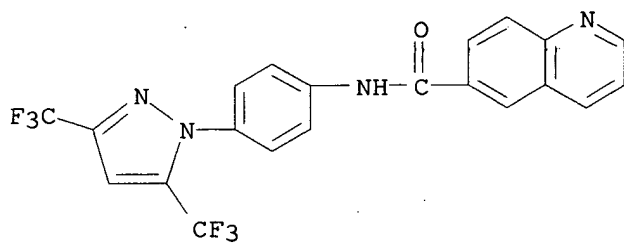
IT 292610-11-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

RN 292610-11-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 117 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:658036 CAPLUS

DN 133:247304

TI Benzamide analogs as nuclear receptor agonists and reinforcement agents for treatment of cell proliferation-, hormone-, and vitamin-related diseases

IN Suzuki, Tsuneji; Ando, Tomoyuki; Tsuchiya, Katsutoshi; Nakanishi, Satoru; Saito, Akiko

PA Mitsui Chemical Industry Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000256194	A2	20000919	JP 1999-236850	19990824
PRAI	JP 1999-795	A	19990106		

OS MARPAT 133:247304

AB Benzamide analogs (I; Markush's structures given) and their pharmacol. acceptable salts are claimed as nuclear receptor agonists and reinforcement agents for treatment of cell proliferation-, hormone-, and vitamin-related diseases, including cancer. I induced leukemia cell differentiation and potentiated the antitumor effect of the PPAR receptor agonist pioglitazone and the retinoid LGD1069.

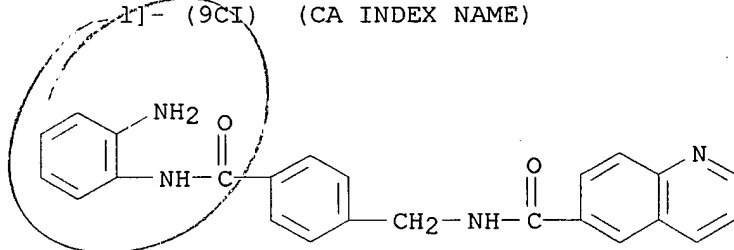
IT **209783-69-7**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzamide analogs as nuclear receptor agonists and reinforcement agents for treatment of cell proliferation-, hormone-, and vitamin-related diseases)

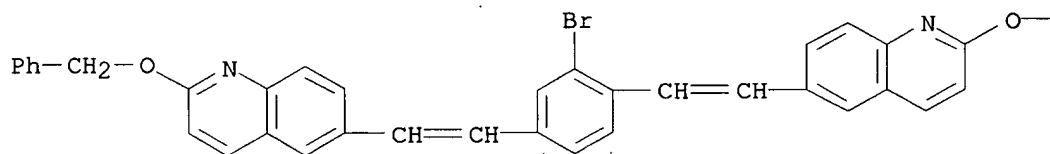
RN 209783-69-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(2-aminophenyl)amino]carbonyl]phenyl]methy-
l]- (9CI) (CA INDEX NAME)



L62 ANSWER 118 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:626442 CAPLUS
 DN 133:296168
 TI Chiral Discrimination in Hydrogen-Bonded [7]Helicenes
 AU Murguly, Elisa; McDonald, Robert; Branda, Neil R.
 CS Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2,
 Can.
 SO Organic Letters (2000), 2(20), 3169-3172
 CODEN: ORLEF7; ISSN: 1523-7060
 PB American Chemical Society
 DT Journal
 LA English
 AB A series of racemic [7]helicenes has been prepared and characterized both in
 solution and in the solid state. Despite the helicenes having the ability to
 self-assemble in a variety of stereochem. and topol. relationships, they
 formed only enantiomerically pure dimers held together by two pairs of
 cooperative hydrogen bonds. The self-assembly process was enantiospecific
 in solution and diastereoselective in the crystal.
 IT **300811-64-7P 300811-70-5P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (photocyclization; chiral discrimination in hydrogen-bonded
 [7]helicenes)
 RN 300811-64-7 CAPLUS
 CN Quinoline, 6,6'-[(2-bromo-1,4-phenylene)di-2,1-ethenediyl]bis[2-
 (phenylmethoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A

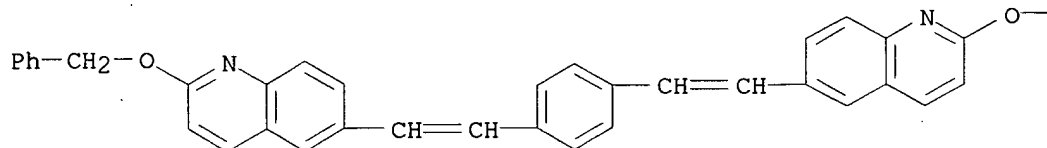


PAGE 1-B

—CH₂—Ph

RN 300811-70-5 CAPLUS
 CN Quinoline, 6,6'-(1,4-phenylenedi-2,1-ethenediyl)bis[2-(phenylmethoxy)-
 (9CI) (CA INDEX NAME)

PAGE 1-A



— CH₂— Ph

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 119 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:493523 CAPLUS

DN 133:104972

TI Preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors.

IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Goebel, Karl-Josef; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042019	A1	20000720	WO 2000-EP152	20000112
	W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2359416	AA	20000720	CA 2000-2359416	20000112
	EP 1147088	A1	20011024	EP 2000-901530	20000112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002534507	T2	20021015	JP 2000-593587	20000112
	US 6479505	B1	20021112	US 2001-889143	20010712
PRAI	EP 1999-100705	A	19990115		
	WO 2000-EP152	W	20000112		

OS MARPAT 133:104972

AB Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31, R4 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; Ar = specified (substituted) bi- or tricyclic], were prepared Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3,4-methylenedioxybenzamide (preparation given) was heated with POCl₃ in MeCN at 80° for 3 h to give (-)-cis-6-benzo[1,3]dioxol-5-yl-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridine. This inhibited PDE4 with -log IC₅₀ = 7.28.

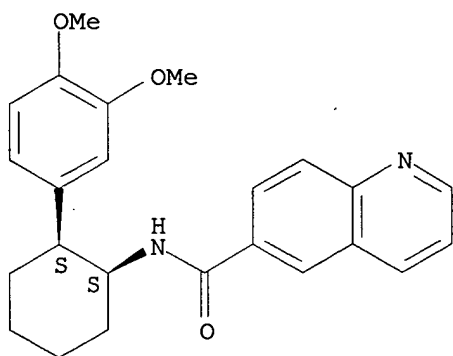
IT 283605-24-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors)

RN 283605-24-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1R,2R)-2-(3,4-dimethoxyphenyl)cyclohexyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 120 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:433346 CAPLUS
 DN 133:73861
 TI Preparation of α -amidinobenzyl- β -(aroylamino)alkanoates and
 analogs as factor Xa inhibitors
 IN Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.
 PA Aventis Pharmaceuticals Products, Inc., USA
 SO U.S., 118 pp., Cont.-in-part of U.S. 9724118.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6080767	A	20000627	US 1997-884405	19970627
	WO 9724118	A1	19970710	WO 1996-US20770	19961223
	W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	CA 2264556	AA	19990107	CA 1998-2264556	19980626
	WO 9900356	A1	19990107	WO 1998-US13550	19980626
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	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9881771	A1	19990119	AU 1998-81771	19980626
	AU 741173	B2	20011122		
	EP 931060	A1	19990728	EP 1998-931728	19980626
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	JP 2001500532	T2	20010116	JP 1999-505870	19980626
	AP 1061	A	20020424	AP 1999-1467	19980626
	W:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW			
	ZA 9805664	A	19990113	ZA 1998-5664	19980629
	NO 9900854	A	19990423	NO 1999-854	19990223
	NO 314758	B1	20030519		
	US 6323227	B1	20011127	US 1999-259528	19990226
	US 6277865	B1	20010821	US 1999-273618	19990322
PRAI	US 1996-9485P	P	19960102		
	WO 1996-US20770	A2	19961223		
	US 1997-884405	A	19970627		
	US 1998-79002P	P	19980323		
	WO 1998-US13550	W	19980626		
OS	MARPAT 133:73861				
AB	H2NCR1R2ZCH2CHR3CHR4NR8COR5 [R1,R2 = H; R1R2 = NR9; R3 = H, COR6, CO2R6, CON(R6)2, CH2OR7, CH2SR7; R4 = H, (hydroxy)alkyl, aminoalkyl, (CH2CH2)nR, (CH:CH)nR, CH2R; R = (un)substituted (hetero)aryl; R5 = (ar)alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R6,R8 = H or alkyl; R7 = H, alkyl, acyl,				

(hetero)aryl, etc.; R9 = H, OH, alkoxy(carbonyl), alkanoyl, etc.; Z = phenylene; n = 0-2] were prepared as factor Xa inhibitors (no data). Thus, 4-(NC)C6H4CH:CHCO2Me was cyclocondensed with 4-(MeO)C6H4N:CHCH:CHPh (preparation each given) to give, after N-deprotection, β -lactam I. The latter was N-acylated by 4-PhC6H4COCl and the product hydrolyzed to give, after amination/esterification, title compound II.

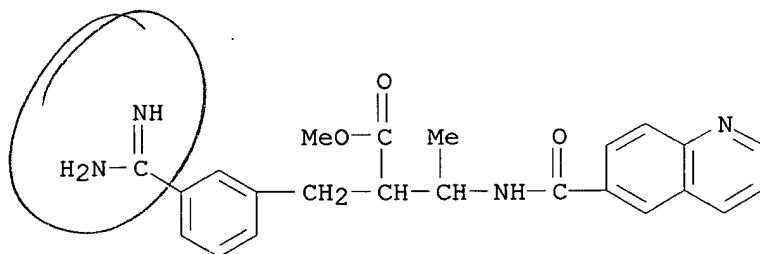
IT 219671-24-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of α -amidinobenzyl- β -(aroylamino)alkanoates and analogs as factor Xa inhibitors)

RN 219671-24-6 CAPLUS

CN Benzenepropanoic acid, 3-(aminoiminomethyl)- α -[1-[(6-quinolinylcarbonyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 121 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:415527 CAPLUS

DN 133:43440

TI Preparation of benzopyrancarboxylates and quinolinecarboxylates as cyclooxygenase-2 inhibitors.

IN Carter, Jeffery S.; Obukowicz, Mark G.; Devadas, Balekudru; Talley, John J.; Brown, David L.; Graneto, Matthew J.; Bertenshaw, Stephen R.; Rogier, Donald J., Jr.; Nagarajan, Srinivasan Raj; Hanau, Cathleen E.; Hartmann, Susan J.; Ludwig, Cindy L.; Metz, Suzanne; Korte, Donald E.

PA G.D. Searle and Co., USA

SO U.S., 90 pp., Cont.-in-part of U. S. Ser. No. 62,537.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 21

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6077850	A	20000620	US 1998-175584	19981020
	US 6034256	A	20000307	US 1998-62537	19980417
	EE 200300194	A	20030815	EE 2003-200300194	19980418
	TW 565561	B	20031211	TW 1998-87113179	19980811
	CA 2347910	AA	20000427	CA 1999-2347910	19991015
	WO 2000023433	A1	20000427	WO 1999-US21460	19991015
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP	1123285	A1	20010816	EP 1999-954621	19991015
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR	200101969	T2	20011022	TR 2001-200101969	19991015
BR	9914696	A	20020205	BR 1999-14696	19991015
JP	2002527512	T2	20020827	JP 2000-577161	19991015
EE	200100227	A	20021015	EE 2001-227	19991015
AU	767655	B2	20031120	AU 2000-10927	19991015
NZ	511593	A	20040227	NZ 1999-511593	19991015
US	6806288	B1	20041019	US 2000-496695	20000202
US	6271253	B1	20010807	US 2000-569383	20000511
NO	2001001940	A	20010619	NO 2001-1940	20010419
ZA	2001003200	A	20020507	ZA 2001-3200	20010419
HR	2001000288	A1	20020630	HR 2001-288	20010419
BG	105513	A	20011231	BG 2001-105513	20010516
US	2002010206	A1	20020124	US 2001-865177	20010524
US	6492390	B2	20021210		
HK	1040397	A1	20041210	HK 2002-101749	20020307
US	2004038977	A1	20040226	US 2002-303150	20021122
US	2003225150	A1	20031204	US 2002-323065	20021218
US	2004072889	A1	20040415	US 2003-414867	20030416
US	2005049252	A1	20050303	US 2004-922036	20040819
PRAI	US 1997-44485P	P	19970421		
	US 1998-62537	A2	19980417		
	EE 1999-506	A	19980418		
	US 1998-175584	A	19981020		

US 1998-113786P P 19981223
 WO 1999-US21460 W 19991015
 US 1999-470951 B2 19991222
 US 2000-496695 A1 20000202
 US 2000-569383 A1 20000511
 US 2001-865177 A1 20010524

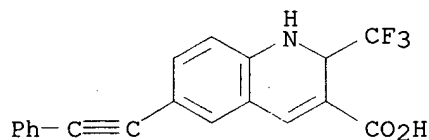
OS MARPAT 133:43440

AB Title compds. [I; X = O, S, CRcRb, NRa; Ra = H, alkyl, phenylalkyl, acyl, carboxyalkyl; Rb, Rc = H, alkyl, phenylalkyl, perfluoroalkyl, Cl, alkylthio, alkoxy, NO2, cyano, etc.; CRbRc = atoms to form a 3-6 membered ring; R = CO2H, aminocarbonyl, alkylsulfonylaminocarbonyl, alkoxycarbonyl; R1 = perfluoroalkyl, Cl, alkylthio, alkoxy, NO2, cyano, cyanoalkyl; R2 = H, halo, alkyl, alkenyl, alkynyl, haloalkynyl, aralkyl, aralkynyl, etc.; R3 = H, Ph, thienyl, alkyl, alkenyl; A1-A4 = C, N; ≥2 of A1-A4 = C], were prepared Thus, Et 6-iodo-1,2-dihydro-2-trifluoromethyl-3-quinolinecarboxylate (preparation given), trimethylsilylacetylene, (Ph3P)2PdCl2, CuI, and Et3N were stirred 2 h in MeCN to give 38% Et 6-trimethylsilylethynyl-1,2-dihydro-2-trifluoromethyl-3-quinolinecarboxylate, which was hydrolyzed to give 1,2-dihydro-6-ethynyl-2-trifluoromethyl-3-quinolinecarboxylic acid. This inhibited cyclooxygenase-2 with IC50 = 1.7 μM.

IT **264878-95-7P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzopyrancarboxylates and quinolinecarboxylates as cyclooxygenase-2 inhibitors)

RN 264878-95-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1,2-dihydro-6-(phenylethynyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 122 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:401817 CAPLUS
 DN 133:30667
 TI Heteroaryl-containing thiourea derivatives useful as inhibitors of herpes viruses
 IN Bloom, Jonathan David; Digrandi, Martin Joseph; Dushin, Russell George; Lang, Stanley Albert; O'Hara, Bryan Mark
 PA American Home Products Corporation, USA
 SO PCT Int. Appl., 164 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034269	A1	20000615	WO 1999-US28892	19991206
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6166028	A	20001226	US 1999-444782	19991122
	US 6197803	B1	20010306	US 1999-447006	19991122
	US 6201013	B1	20010313	US 1999-444075	19991122
	CA 2351390	AA	20000615	CA 1999-2351390	19991206
	EP 1140913	A1	20011010	EP 1999-965143	19991206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200101598	T2	20011022	TR 2001-200101598	19991206
	BR 9916042	A	20011204	BR 1999-16042	19991206
	JP 2002531558	T2	20020924	JP 2000-586716	19991206
	AU 756043	B2	20030102	AU 2000-31122	19991206
	US 6262082	B1	20010717	US 2000-669483	20000925
	US 6271236	B1	20010807	US 2000-669943	20000926
	ZA 2001004373	A	20020918	ZA 2001-4373	20010528
	NO 2001002836	A	20010808	NO 2001-2836	20010608
	BG 105580	A	20020131	BG 2001-105580	20010608
	US 2003036653	A1	20030220	US 2002-99695	20020315
	US 6555561	B2	20030429		
PRAI	US 1998-208540	A	19981209		
	US 1998-150692P	P	19981209		
	US 1998-150698P	P	19981209		
	US 1998-155192P	P	19981209		
	US 1998-155240P	P	19981209		
	US 1998-208164	A	19981209		
	US 1998-208561	A	19981209		
	US 1999-444782	A3	19991122		
	WO 1999-US28892	W	19991206		
	US 2000-669535	A3	20000926		

OS MARPAT 133:30667

AB Title compds. I and related compds. are disclosed [wherein R1-R5 = H, C1-6 alkyl or perhaloalkyl, C2-6 alkenyl or alkynyl, C3-10 (hetero)cycloalkyl, (hetero)aryl, halo, CN, NO2, CO2R6, COR6, OR6, SR6, SOR6, SO2R6, CONR7R8, NR6N(R7R8), N(R7R8), or W-Y-(CH2)n-Z, provided that at least 1 of R1-R5

≠ H; or R2R3 or R3R4 form 3- to 7-membered heterocycloalkyl or heteroaryl fusion; R6, R7 = H, C1-6 alkyl or perhaloalkyl, or aryl; R8 = H, C1-6 alkyl or perhaloalkyl, C3-10 (hetero)cycloalkyl, (hetero)aryl; or NR7R8 forms 3- to 7-membered heterocycloalkyl; A = heteroaryl; W = O, NR6, or bond; Y = CO, CO2, or bond; Z = C1-4 alkyl, CN, CO2R6, COR6, CONR7R8, OCOR6, NR6COR7, OCONR6, OR6, SR6, SOR6, SO2R6, SR6N(R7R8), N(R7R8) or Ph; G = aryl or heteroaryl; X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph, or PhCH2; n = 1-6]. I, or pharmaceutical salts thereof, are useful in the treatment of diseases associated with herpes viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), varicella-zoster virus (VZV), or (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard methods are listed, with biol. data for approx. 25 compds. in 2-4 bioassays. For instance, the pyridinylthiazolecarboxamide derivative II had an IC50 of 0.001 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture.

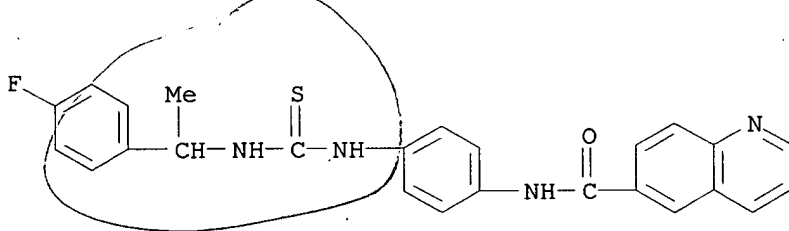
IT 273394-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of heteroaryl-containing thiourea derivs. as inhibitors of herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 123 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:401816 CAPLUS

DN 133:30666

TI Aryl- and heteroaryl-substituted thiourea derivatives useful as inhibitors of herpes viruses

IN Bloom, Jonathan David; Digrandi, Martin Joseph; Dushin, Russell George; Lang, Stanley Albert; O'Hara, Bryan Mark

PA American Home Products Corporation, USA

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034268	A1	20000615	WO 1999-US28838	19991206
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2350996	AA	20000615	CA 1999-2350996	19991206
	BR 9915993	A	20010904	BR 1999-15993	19991206
	EP 1137647	A1	20011004	EP 1999-965131	19991206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002531557	T2	20020924	JP 2000-586715	19991206
	ZA 2001004318	A	20020826	ZA 2001-4318	20010525
	NO 2001002837	A	20010719	NO 2001-2837	20010608
PRAI	US 1998-207961	A	19981209		
	WO 1999-US28838	W	19991206		

OS MARPAT 133:30666

AB Title compds. I and related compds. and their pharmaceutical salts are disclosed [wherein A = heteroaryl; R1-R4 = H, C1-4 alkyl or perhaloalkyl, halo, C1-4 alkoxy, cyano; R1R2 or R3R4 = C5-7 aryl fusion; G = aryl or heteroaryl; and X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph or PhCH2; n = 1-6]. The compds. are useful in the treatment of diseases associated with herpes viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), and varicella-zoster virus (VZV), as well as (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard methods are listed, with

biol.

data for approx. 35 compds. in 2-4 bioassays. For instance, the pyridine derivative II had an IC50 of 0.018 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture.

IT 273394-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

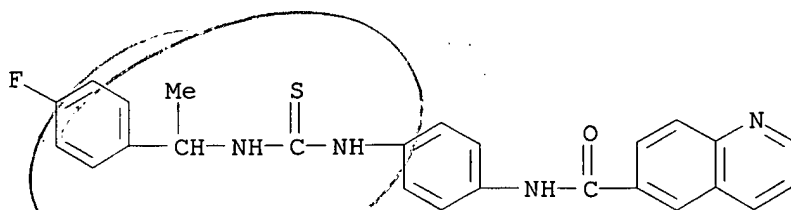
(target compound; preparation of heteroaryl thiourea derivs. as inhibitors

of

herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 1 — THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 124 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:401809 CAPLUS

DN 133:30657

TI Heterocyclic carboxamide-containing thiourea derivatives containing a substituted phenylenediamine group, useful as inhibitors of herpes viruses

IN Bloom, Jonathan David; Curran, Kevin Joseph; Digrandi, Martin Joseph; Dushin, Russell George; Jones, Thomas Richard; Lang, Stanley Albert; Ross, Adma Antonia; Terefenko, Eugene Anthony; O'Hara, Bryan Mark

PA American Home Products Corporation, USA

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034261	A2	20000615	WO 1999-US28916	19991206
	WO 2000034261	A3	20020131		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6166028	A	20001226	US 1999-444782	19991122
	US 6197803	B1	20010306	US 1999-447006	19991122
	US 6201013	B1	20010313	US 1999-444075	19991122
	CA 2351690	AA	20000615	CA 1999-2351690	19991206
	EP 1144399	A2	20011017	EP 1999-967213	19991206
	EP 1144399	A3	20020911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9916043	A	20011204	BR 1999-16043	19991206
	JP 2002533301	T2	20021008	JP 2000-586708	19991206
	US 6262082	B1	20010717	US 2000-669483	20000925
	US 6271236	B1	20010807	US 2000-669943	20000926
	ZA 2001004322	A	20021025	ZA 2001-4322	20010525
	NO 2001002835	A	20010719	NO 2001-2835	20010608
	US 2003036653	A1	20030220	US 2002-99695	20020315
	US 6555561	B2	20030429		
PRAI	US 1998-208164	A	19981209		
	US 1998-150692P	P	19981209		
	US 1998-150698P	P	19981209		
	US 1998-155192P	P	19981209		
	US 1998-155240P	P	19981209		
	US 1998-208540	A	19981209		
	US 1998-208561	A	19981209		
	US 1999-444782	A3	19991122		
	WO 1999-US28916	W	19991206		
	US 2000-669535	A3	20000926		

OS MARPAT 133:30657

AB Title compds. I and related compds. are disclosed [wherein R1-R5 = H, C1-6 alkyl or perhaloalkyl, C2-6 alkenyl or alkynyl, C3-10 (hetero)cycloalkyl, (hetero)aryl, halo, CN, NO2, CO2R6, COR6, OR6, SR6, SOR6, SO2R6, CONR7R8, NR6N(R7R8), N(R7R8), or W-Y-(CH2)n-Z, provided that at least 1 of R1-R5

≠ H; or R2R3 or R3R4 form 3- to 7-membered heterocycloalkyl or heteroaryl fusion; R6, R7 = H, C1-6 alkyl or perhaloalkyl, or aryl; R8 = H, C1-6 alkyl or perhaloalkyl, C3-10 (hetero)cycloalkyl, (hetero)aryl; or NR7R8 forms 3- to 7-membered heterocycloalkyl; R9-R12 = H, C1-4 alkyl or perhaloalkyl, halo, C1-4 alkoxy, or cyano, or R9R10 or R11R12 = C5-7 aryl fusion, provided that at least 1 of R9-R12 ≠ H; W = O, NR6, or bond; Y = CO, CO2, or bond; Z = C1-4 alkyl, CN, CO2R6, COR6, CONR7R8, OCOR6, NR6COR7, OCONR6, OR6, SR6, SOR6, SO2R6, SR6N(R7R8), N(R7R8) or Ph; G = monocyclic heteroaryl; X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph, or PhCH2; n = 1-6]. I, or pharmaceutical salts thereof, are useful in the treatment of diseases associated with herpes viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), varicella-zoster virus (VZV), or (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard methods are listed, with biol. data for 18 compds. in 4 bioassays. For instance, the N-(4-thioureidophenyl)furan-2-carboxamide derivative II had an IC50 of 0.4 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture, and 0.5 µg/mL against HSV in an ELISA assay.

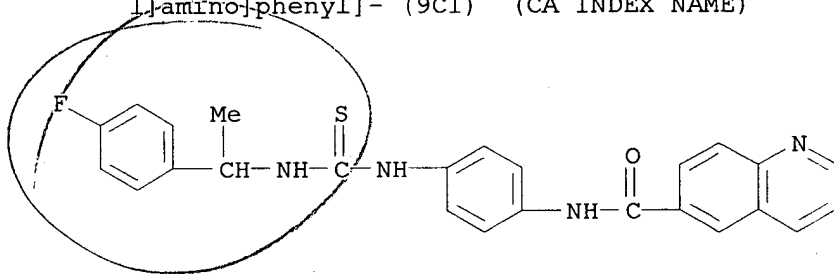
IT 273394-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of heterocyclic carboxamide-containing and phenylenediamine-containing thiourea derivs. as inhibitors of herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino-phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 125 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:401808 CAPLUS

DN 133:30588

TI Alpha-methylbenzyl-containing thiourea derivatives containing a phenylenediamine group, useful as inhibitors of herpes viruses

IN Bloom, Jonathan David; Curran, Kevin Joseph; Digrandi, Martin Joseph; Dushin, Russell George; Lang, Stanley Albert; Norton, Emily Boucher; Ross, Adma Antonia; O'Hara, Bryan Mark

PA American Home Products Corporation, USA

SO PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034260	A2	20000615	WO 1999-US28839	19991206
	WO 2000034260	A3	20000908		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2350833	AA	20000615	CA 1999-2350833	19991206
	BR 9916084	A	20010904	BR 1999-16084	19991206
	EP 1137645	A2	20011004	EP 1999-963022	19991206
	EP 1137645	B1	20040526		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002531555	T2	20020924	JP 2000-586707	19991206
	NZ 512135	A	20031219	NZ 1999-512135	19991206
	AT 267824	E	20040615	AT 1999-963022	19991206
	PT 1137645	T	20040930	PT 1999-963022	19991206
	ES 2221470	T3	20041216	ES 1999-963022	19991206
	ZA 2001004376	A	20020828	ZA 2001-4376	20010528
	NO 2001002833	A	20010802	NO 2001-2833	20010608
PRAI	US 1998-208902	A	19981209		
	WO 1999-US28839	W	19991206		

OS MARPAT 133:30588

AB Title compds. I and related compds. are disclosed [wherein R1-R5 = H, C1-6 alkyl or perhaloalkyl, C2-6 alkenyl or alkynyl, C3-10 (hetero)cycloalkyl, (hetero)aryl, halo, CN, NO2, CO2R6, COR6, OR6, SR6, SOR6, SO2R6, CONR7R8, NR6N(R7R8), N(R7R8), or W-Y-(CH2)n-Z, provided that at least 1 of R1-R5 ≠ H; or R2R3 or R3R4 form 3- to 7-membered heterocycloalkyl or heteroaryl fusion; R6, R7 = H, C1-6 alkyl or perhaloalkyl, or aryl; R8 = H, C1-6 alkyl or perhaloalkyl, C3-10 (hetero)cycloalkyl, (hetero)aryl; or NR7R8 forms 3- to 7-membered heterocycloalkyl; R9-R12 = H, C1-4 alkyl or perhaloalkyl, halo, C1-4 alkoxy, or cyano, or R9R10 or R11R12 = C5-7 aryl fusion; W = O, NR6, or bond; Y = CO, CO2, or bond; Z = C1-4 alkyl, CN, CO2R6, COR6, CONR7R8, OCOR6, NR6COR7, OCONR6, OR6, SR6, SOR6, SO2R6, SR6N(R7R8), N(R7R8) or Ph; G = aryl or fused bicyclic aryl; X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph, or PhCH2; n = 1-6]. I, or pharmaceutical salts thereof, are useful in the treatment of diseases associated with herpes

viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), varicella-zoster virus (VZV), or (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard methods are listed, with biol. data for approx. 320 compds. in 1-4 bioassays. For instance, the [[(phenylethyl)thioureido]phenyl]benzofurancarboxamide derivative II had an IC₅₀ of 1.3 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture, and 0.10 µg/mL against VZV in an ELISA assay.

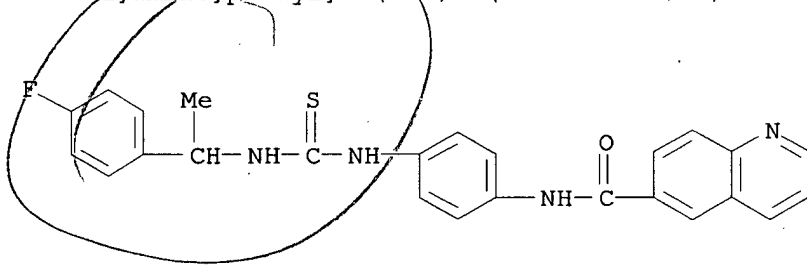
IT 273394-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of α-methylbenzyl-containing thiourea derivs. as inhibitors of herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 126 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:401806 CAPLUS

DN 133:30733

TI Heterocyclic carboxamide-containing thiourea derivatives containing a phenylenediamine group, useful as inhibitors of herpes viruses

IN Bloom, Jonathan David; Curran, Kevin Joseph; Digrandi, Martin Joseph; Dushin, Russell George; Jones, Thomas Richard; Lang, Stanley Albert; Ross, Adma Antonia; Terefenko, Eugene Anthony; O'Hara, Bryan Mark

PA American Home Products Corporation, USA

SO PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034258	A2	20000615	WO 1999-US28842	19991206
	WO 2000034258	A3	20011129		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2350767	AA	20000615	CA 1999-2350767	19991206
	BR 9916046	A	20011002	BR 1999-16046	19991206
	EP 1144397	A2	20011017	EP 1999-963023	19991206
	EP 1144397	A3	20020911		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	TR 200101664	T2	20020321	TR 2001-200101664	19991206
	JP 2002531554	T2	20020924	JP 2000-586705	19991206
	ZA 2001004377	A	20021220	ZA 2001-4377	20010528
	NO 2001002832	A	20010807	NO 2001-2832	20010608
	BG 105581	A	20011231	BG 2001-105581	20010608
PRAI	US 1998-208559	A	19981209		
	WO 1999-US28842	W	19991206		

OS MARPAT 133:30733

AB Title compds. I and related compds. are disclosed [wherein R1-R5 = H, C1-6 alkyl or perhaloalkyl, C2-6 alkenyl or alkynyl, C3-10 (hetero)cycloalkyl, (hetero)aryl, halo, CN, NO2, CO2R6, COR6, OR6, SR6, SOR6, SO2R6, CONR7R8, NR6N(R7R8), N(R7R8), or W-Y-(CH2)n-Z, provided that at least 1 of R1-R5 ≠ H; or R2R3 or R3R4 form 3- to 7-membered heterocycloalkyl or heteroaryl fusion; R6, R7 = H, C1-6 alkyl or perhaloalkyl, or aryl; R8 = H, C1-6 alkyl or perhaloalkyl, C3-10 (hetero)cycloalkyl, (hetero)aryl; or NR7R8 forms 3- to 7-membered heterocycloalkyl; W = O, NR6, or bond; Y = CO, CO2, or bond; Z = C1-4 alkyl, CN, CO2R6, COR6, CONR7R8, OCOR6, NR6COR7, OCONR6, OR6, SR6, SOR6, SO2R6, SR6N(R7R8), N(R7R8) or Ph; G = monocyclic heteroaryl; X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph, or PhCH2; n = 1-6]. I, or pharmaceutical salts thereof, are useful in the treatment of diseases associated with herpes viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), varicella-zoster virus (VZV), or (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard

methods are listed, with biol. data for approx. 350 compds. in 1-4 bioassays. For instance, the thioureidophenylthiadiazolecarboxamide derivative II had an IC₅₀ of 0.0011 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture.

IT **273394-83-5P**

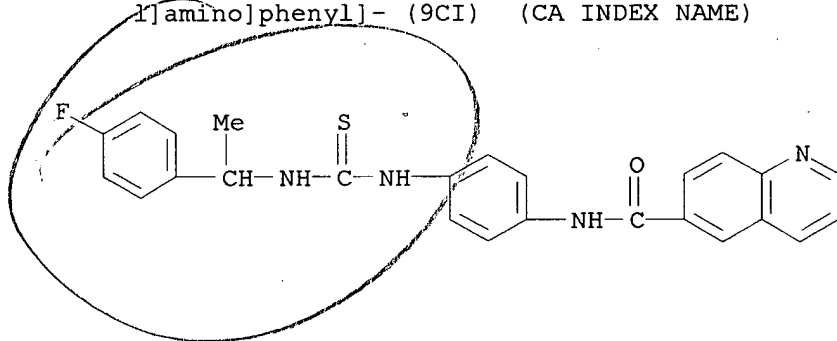
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of heterocyclic carboxamide-containing thiourea

derivs. as inhibitors of herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 127 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:401786 CAPLUS

DN 133:30587

TI Benzamide-containing aryl thiourea derivatives useful as inhibitors of herpes viruses

IN Bloom, Jonathan David; Curran, Kevin Joseph; Digrandi, Martin Joseph; Dushin, Russell George; Lang, Stanley Albert; Norton, Emily Boucher; Ross, Adma Antonia; O'Hara, Bryan Mark

PA American Home Products Corporation, USA

SO PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034238	A1	20000615	WO 1999-US28837	19991206
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6166028	A	20001226	US 1999-444782	19991122
	US 6197803	B1	20010306	US 1999-447006	19991122
	US 6201013	B1	20010313	US 1999-444075	19991122
	US 6207715	B1	20010327	US 1999-444897	19991122
	US 6255349	B1	20010703	US 1999-444734	19991122
	US 6262090	B1	20010717	US 1999-444896	19991122
	US 6335350	B1	20020101	US 1999-447005	19991122
	CA 2351403	AA	20000615	CA 1999-2351403	19991206
	BR 9916086	A	20010904	BR 1999-16086	19991206
	EP 1137632	A1	20011004	EP 1999-963021	19991206
	EP 1137632	B1	20040728		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200101597	T2	20011022	TR 2001-200101597	19991206
	JP 2002531545	T2	20020924	JP 2000-586686	19991206
	NZ 512108	A	20030926	NZ 1999-512108	19991206
	AT 272052	E	20040815	AT 1999-963021	19991206
	PT 1137632	T	20041130	PT 1999-963021	19991206
	ES 2224733	T3	20050301	ES 1999-963021	19991206
	US 6262082	B1	20010717	US 2000-669483	20000925
	US 6271236	B1	20010807	US 2000-669943	20000926
	US 6403617	B1	20020611	US 2000-669535	20000926
	US 6407123	B1	20020618	US 2000-670180	20000926
	US 6426355	B1	20020730	US 2000-671486	20000927
	US 6407249	B1	20020618	US 2000-684011	20001229
	US 6410571	B1	20020625	US 2000-684773	20001229
	US 2002026055	A1	20020228	US 2001-804510	20010312
	US 6380243	B2	20020430		
	US 2001039348	A1	20011108	US 2001-845428	20010430
	US 6462055	B2	20021008		
	ZA 2001004144	A	20020821	ZA 2001-4144	20010521
	NO 2001002838	A	20010808	NO 2001-2838	20010608

	BG 105583	A	20011231	BG 2001-105583	20010608
	US 2003036653	A1	20030220	US 2002-99695	20020315
	US 6555561	B2	20030429		
PRAI	US 1998-208561	A	19981209		
	US 1998-228805P	P	19981209		
	US 1998-228808P	P	19981209		
	US 1998-228809P	P	19981209		
	US 1998-150692P	P	19981209		
	US 1998-150698P	P	19981209		
	US 1998-155192P	P	19981209		
	US 1998-155240P	P	19981209		
	US 1998-208164	A	19981209		
	US 1998-208540	A	19981209		
	US 1999-444734	A3	19991122		
	US 1999-444782	A3	19991122		
	US 1999-444896	A3	19991122		
	US 1999-447006	A3	19991122		
	WO 1999-US28837	W	19991206		
	US 2000-669535	A3	20000926		
OS	MARPAT 133:30587				

AB Title compds. I and related compds. are disclosed [wherein R1-R5 = H, C1-6 alkyl or perhaloalkyl, C2-6 alkenyl or alkynyl, C3-10 (hetero)cycloalkyl, (hetero)aryl, halo, CN, NO2, CO2R6, COR6, OR6, SR6, SOR6, SO2R6, CONR7R8, NR6N(R7R8), N(R7R8), or W-Y-(CH2)n-Z, provided that at least 1 of R1-R5 ≠ H; or R2R3 or R3R4 form 3- to 7-membered heterocycloalkyl or heteroaryl fusion; R6, R7 = H, C1-6 alkyl or perhaloalkyl, or aryl; R8 = H, C1-6 alkyl or perhaloalkyl, C3-10 (hetero)cycloalkyl, (hetero)aryl; or NR7R8 forms 3- to 7-membered heterocycloalkyl; R9-R12 = H, C1-4 alkyl or perhaloalkyl, halo, C1-4 alkoxy, or cyano, or R9R10 or R11R12 = C5-7 aryl fusion, provided that at least 1 of R9-R12 ≠ H; W = O, NR6, or bond; Y = CO, CO2, or bond; Z = C1-4 alkyl, CN, CO2R6, COR6, CONR7R8, OCOR6, NR6COR7, OCONR6, OR6, SR6, SOR6, SO2R6, SR6N(R7R8), N(R7R8) or Ph; G = aryl or fused bicyclic heteroaryl; X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph, or PhCH2; n = 1-6]. I, or pharmaceutical salts thereof, are useful in the treatment of diseases associated with herpes viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), varicella-zoster virus (VZV), or (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard methods are listed, with biol. data for approx. 75 compds. in 2-4 bioassays. For instance, the thioureidophenylbenzamide derivative II had an IC50 of 1.5 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture, and 0.04 µg/mL against HSV in an ELISA assay.

IT 273394-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of benzamide-containing aryl thiourea derivs.

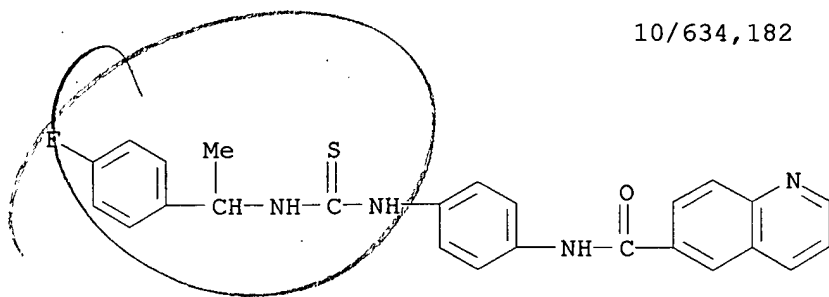
as

inhibitors of herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino]phenyl]- (9CI) (CA INDEX NAME)

10/634,182



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 128 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:401785 CAPLUS

DN 133:30586

TI Acetamide and substituted acetamide-containing aryl thiourea derivatives
useful as inhibitors of herpes virusesIN Bloom, Jonathan David; Digrandi, Martin Joseph; Dushin, Russell George;
Lang, Stanley Albert; O'Hara, Bryan Mark

PA American Home Products Corporation, USA

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034237	A2	20000615	WO 1999-US28844	19991206
	WO 2000034237	A3	20001123		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2350899	AA	20000615	CA 1999-2350899	19991206
	EP 1137633	A2	20011004	EP 1999-965132	19991206
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9916041	A	20011204	BR 1999-16041	19991206
	JP 2002531544	T2	20020924	JP 2000-586685	19991206
	ZA 2001004142	A	20021025	ZA 2001-4142	20010521
	NO 2001002834	A	20010807	NO 2001-2834	20010608
PRAI	US 1998-208316	A	19981209		
	WO 1999-US28844	W	19991206		

OS MARPAT 133:30586

AB Title compds. I and related compds. are disclosed [wherein R1-R5 = H, C1-6 alkyl or perhaloalkyl, C2-6 alkenyl or alkynyl, C3-10 (hetero)cycloalkyl, (hetero)aryl, halo, CN, NO2, CO2R6, COR6, OR6, SR6, SOR6, SO2R6, CONR7R8, NR6N(R7R8), N(R7R8), or W-Y-(CH2)n-Z, provided that at least 1 of R1-R5 ≠ H; or R2R3 or R3R4 form 3- to 7-membered heterocycloalkyl or heteroaryl fusion; R6, R7 = H, C1-6 alkyl or perhaloalkyl, or aryl; R8 = H, C1-6 alkyl or perhaloalkyl, C3-10 (hetero)cycloalkyl, (hetero)aryl; or NR7R8 forms 3- to 7-membered heterocycloalkyl; R9-R12 = H, C1-4 alkyl or perhaloalkyl, halo, C1-4 alkoxy, or cyano, or R9R10 or R11R12 = C5-7 aryl fusion; W = O, NR6, or bond; Y = CO, CO2, or bond; Z = C1-4 alkyl, CN, CO2R6, COR6, CONR7R8, OCOR6, NR6COR7, OCONR6, OR6, SR6, SOR6, SO2R6, SR6N(R7R8), N(R7R8) or Ph; G = C1-6 alkyl; X = bond, NH, C1-6 alkyl, alkenyl, alkoxy, alkylthio, or alkylamino, or (CH)J; J = C1-6 alkyl, C3-7 cycloalkyl, Ph, or PhCH2; n = 1-6]. I, or pharmaceutical salts thereof, are useful in the treatment of diseases associated with herpes viruses, including human cytomegalovirus (HCMV), herpes simplex viruses (HSV), varicella-zoster virus (VZV), or (no data) Epstein-Barr virus, human herpesviruses-6 and -7, and Kaposi herpesvirus. Approx. 1000 example compds. prepared by standard methods are listed, with biol. data for approx.

160

compds. in 4 bioassays. For instance, the thioureidophenylacetamide

derivative II had an IC₅₀ of 0.8 µg/mL against HCMV wild-type in human foreskin fibroblast cell culture, and 2 µg/mL against HSV in an ELISA assay.

IT **273394-83-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

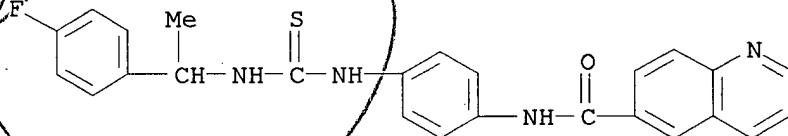
(target compound; preparation of acetamide-containing aryl thiourea derivs.

as

inhibitors of herpes viruses)

RN 273394-83-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[[[1-(4-fluorophenyl)ethyl]amino]thioxomethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 129 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:277971 CAPLUS

DN 132:293665

TI Preparation of 1-benzopyran-3-carboxylates and analogs as cyclooxygenase-2 inhibitors

IN Carter, Jeffrey S.; Devadas, Balekudru; Talley, John J.; Brown, David L.; Graneto, Matthew J.; Rogier, Donald J., Jr.; Nagarajan, Srinivasan R.; Hanau, Cathleen E.; Hartmann, Susan J.; Ludwid, Cindy L.; Metz, Suzanne; Korte, Donald E.; Bertenshaw, Stephen R.; Obukowicz, Mark G.

PA G. D. Searle and Co., USA

SO PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 21

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000023433	A1	20000427	WO 1999-US21460	19991015
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6077850	A	20000620	US 1998-175584	19981020
	CA 2347910	AA	20000427	CA 1999-2347910	19991015
	EP 1123285	A1	20010816	EP 1999-954621	19991015
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9914696	A	20020205	BR 1999-14696	19991015
	JP 2002527512	T2	20020827	JP 2000-577161	19991015
	EE 200100227	A	20021015	EE 2001-227	19991015
	AU 767655	B2	20031120	AU 2000-10927	19991015
	NZ 511593	A	20040227	NZ 1999-511593	19991015
	NO 2001001940	A	20010619	NO 2001-1940	20010419
	HR 2001000288	A1	20020630	HR 2001-288	20010419
	BG 105513	A	20011231	BG 2001-105513	20010516
	HK 1040397	A1	20041210	HK 2002-101749	20020307
PRAI	US 1998-175584	A	19981020		
	US 1997-44485P	P	19970421		
	US 1998-62537	A2	19980417		
	WO 1999-US21460	W	19991015		

OS MARPAT 132:293665

AB Title compds. [I; R = CO₂H, CONH₂, alkoxycarbonyl, alkylsulfonylaminocarbonyl; R₁ = Cl, perfluoroalkyl, alkoxy, cyano(alkyl), etc.; R₂ = H, alk(en)yl, Ph, thienyl; R₃R₄ = atoms to complete (un)substituted benzene, -pyridine, -pyrimidine, -naphthalene, -quinoline ring, etc.; Z = O, S, CH₂, (alkyl)imino, etc.] were prepared. Thus, 5,2-Cl(HO)C₆H₃CHO was cyclocondensed with CF₃CH:CHCO₂Et and the product saponified to give title compound II. Data for biol. activity of I were given.

IT 264878-95-7P

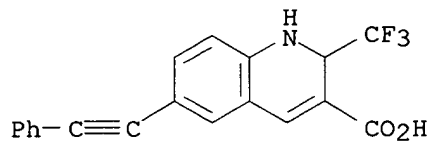
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-benzopyran-3-carboxylates and analogs as cyclooxygenase-2

inhibitors)

RN 264878-95-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1,2-dihydro-6-(phenylethynyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 130 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:227634 CAPLUS

DN 132:265091

TI Preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors

IN Brown, Dearg Sutherland; Brown, George Robert

PA Zeneca Limited, UK

SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2340454	AA	20000406	CA 1999-2340454	19990921
	AU 9961034	A1	20000417	AU 1999-61034	19990921
	AU 761361	B2	20030605		
	BR 9913947	A	20010612	BR 1999-13947	19990921
	EP 1115707	A1	20010718	EP 1999-947653	19990921
	EP 1115707	B1	20031112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200100840	T2	20011022	TR 2001-200100840	19990921
	JP 2002525358	T2	20020813	JP 2000-572198	19990921
	NZ 509836	A	20030630	NZ 1999-509836	19990921
	AT 254105	E	20031115	AT 1999-947653	19990921
	RU 2219171	C2	20031220	RU 2001-111320	19990921
	PT 1115707	T	20040430	PT 1999-947653	19990921
	ES 2211172	T3	20040701	ES 1999-947653	19990921
	ZA 2001002185	A	20020618	ZA 2001-2185	20010315
	NO 2001001492	A	20010523	NO 2001-1492	20010323
	US 6455520	B1	20020924	US 2001-787882	20010323
	HK 1038556	A1	20040430	HK 2001-107980	20011113
PRAI	GB 1998-20770	A	19980925		
	GB 1998-26938	A	19981209		
	GB 1999-5969	A	19990317		
	WO 1999-GB3144	W	19990921		
OS	MARPAT 132:265091				
AB	R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond, O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino, etc.] were prepared as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after reduction and pyridine-3-carbonyl chloride amidation, title compound II. Data for biol. activity of I were given.				
IT	263267-92-1P 263267-93-2P 263267-94-3P				

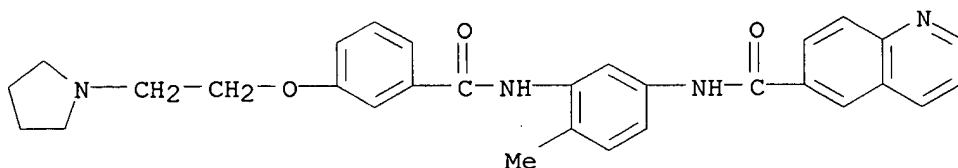
263268-82-2P 263268-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors)

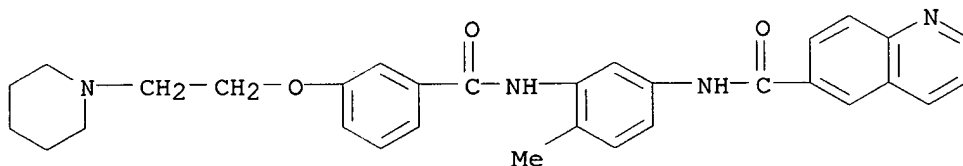
RN 263267-92-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[3-[2-(1-pyrrolidinyl)ethoxy]benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



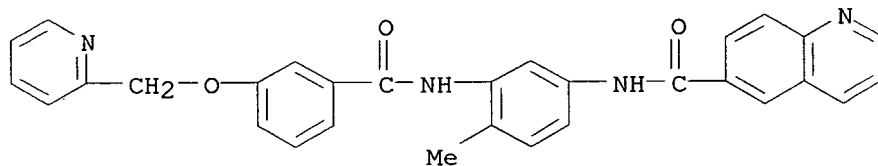
RN 263267-93-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[3-[2-(1-piperidinyl)ethoxy]benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



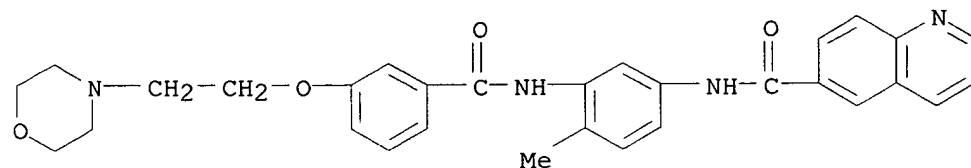
RN 263267-94-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[3-(2-pyridinylmethoxy)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



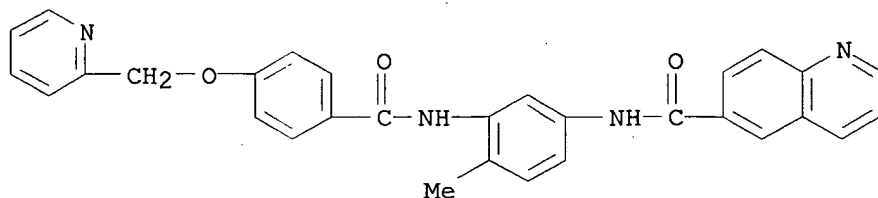
RN 263268-82-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[3-[2-(4-morpholinyl)ethoxy]benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 263268-85-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[4-(2-pyridinylmethoxy)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT 263270-08-2P 263270-10-6P 263270-13-9P

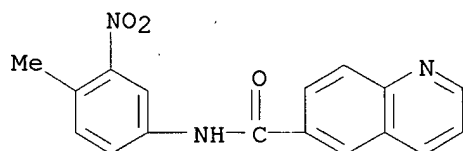
263270-15-1P 263270-24-2P 263270-26-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors)

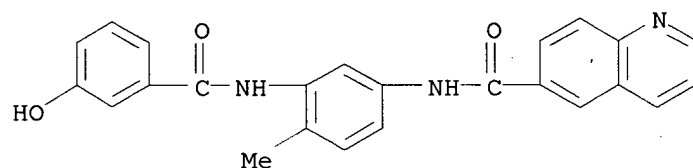
RN 263270-08-2 CAPLUS

CN 6-Quinolinecarboxamide, N-(4-methyl-3-nitrophenyl)- (9CI) (CA INDEX NAME)



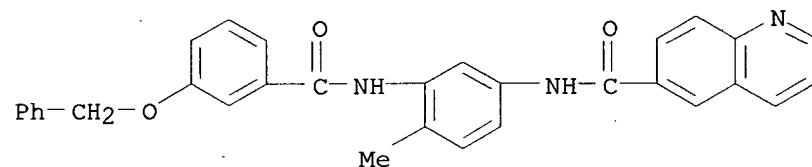
RN 263270-10-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[3-[(3-hydroxybenzoyl)amino]-4-methylphenyl]- (9CI) (CA INDEX NAME)



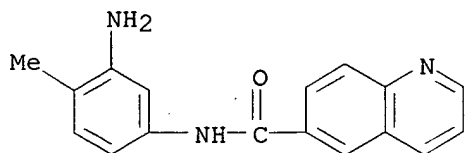
RN 263270-13-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[3-(phenylmethoxy)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



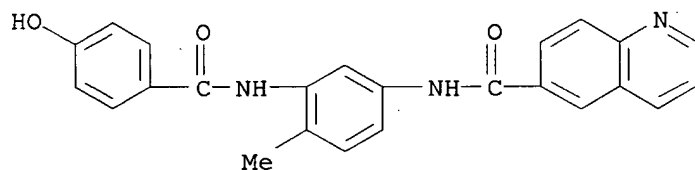
RN 263270-15-1 CAPLUS

CN 6-Quinolinecarboxamide, N-(3-amino-4-methylphenyl)- (9CI) (CA INDEX NAME)



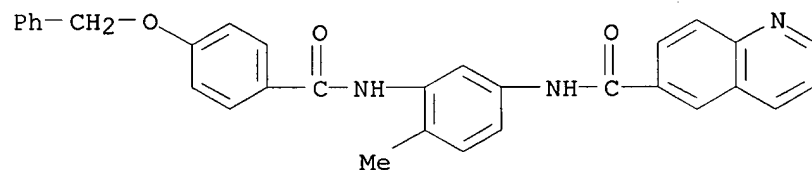
RN 263270-24-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[3-[(4-hydroxybenzoyl)amino]-4-methylphenyl]- (9CI) (CA INDEX NAME)



RN 263270-26-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-methyl-3-[[4-(phenylmethoxy)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 131 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:133728 CAPLUS

DN 132:175808

TI Hepatitis C inhibitor peptides

IN Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Ghiron, Elise; Goudreau, Nathalie; Poupert, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.

PA Boehringer Ingelheim (Canada) Ltd., Can.

SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000009558	A1	20000224	WO 1999-CA737	19990809
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6767991	B1	20040727	US 1999-368670	19990805
	CA 2336597	AA	20000224	CA 1999-2336597	19990809
	AU 9952732	A1	20000306	AU 1999-52732	19990809
	AU 764655	B2	20030828		
	BR 9912943	A	20010508	BR 1999-12943	19990809
	EP 1105422	A1	20010613	EP 1999-938085	19990809
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	TR 200100438	T2	20010621	TR 2001-200100438	19990809
	JP 2002522557	T2	20020723	JP 2000-565004	19990809
	EE 200100080	A	20020815	EE 2001-80	19990809
	NZ 510395	A	20031219	NZ 1999-510395	19990809
	TW 577895	B	20040301	TW 1999-88113587	19990809
	NO 2001000604	A	20010205	NO 2001-604	20010205
	ZA 2001000972	A	20020718	ZA 2001-972	20010205
	BG 105230	A	20011031	BG 2001-105230	20010208
	HR 2001000101	A1	20020228	HR 2001-101	20010208
	HK 1039947	A1	20050225	HK 2002-101472	20020226
PRAI	US 1998-95945P	P	19980810		
	US 1997-55186P	P	19970811		
	US 1998-131758	B2	19980810		
	US 1998-219939	B1	19981223		
	WO 1999-CA737	W	19990809		

OS MARPAT 132:175808

AB The invention provides peptides I (a, b = 0, 1; Y = H, C1-6 alkyl; B = H, acyl derivative, sulfonyl derivative; W = OH, N-substituted amino), or a pharmaceutically acceptable salt or ester thereof, for use in the treatment of hepatitis C virus infection. Preparation of peptides is included.

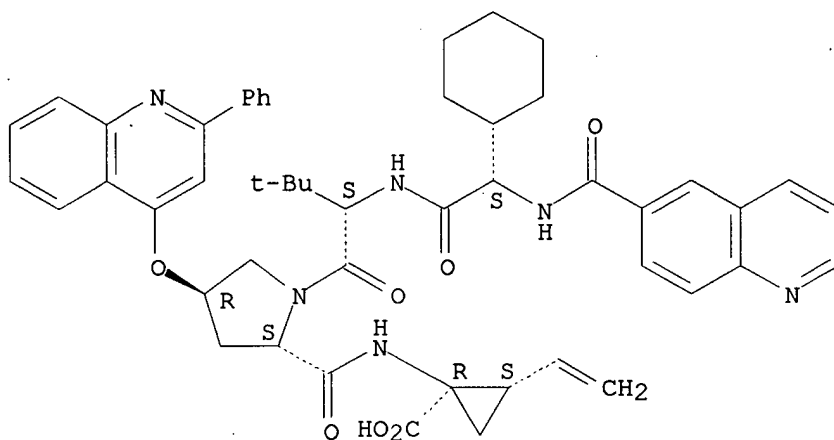
IT 259221-57-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hepatitis C inhibitor peptides and preparation thereof)

RN 259221-57-3 CAPLUS

CN Cyclopropanecarboxylic acid, (2S)-2-cyclohexyl-N-(6-quinolinylcarbonyl)glycyl-3-methyl-L-valyl-(4R)-4-[(2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 132 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:117025 CAPLUS

DN 132:166125

TI Preparation of heteroarylcarboxamides as inhibitors of the production of cytokines

IN Brown, Dearg Sutherland; Brown, George Robert

PA Zeneca Limited, UK

SO PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007991	A1	20000217	WO 1999-GB2489	19990729
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2338121	AA	20000217	CA 1999-2338121	19990729
	AU 9951788	A1	20000228	AU 1999-51788	19990729
	AU 753741	B2	20021024		
	BR 9912729	A	20010502	BR 1999-12729	19990729
	EP 1102750	A1	20010530	EP 1999-936810	19990729
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200100300	T2	20010723	TR 2001-200100300	19990729
	JP 2002522421	T2	20020723	JP 2000-563625	19990729
	NZ 509318	A	20021025	NZ 1999-509318	19990729
	RU 2216541	C2	20031120	RU 2001-105961	19990729
	NO 2001000534	A	20010315	NO 2001-534	20010131
	US 6432949	B1	20020813	US 2001-762107	20010202
	US 2003105142	A1	20030605	US 2002-192495	20020711
PRAI	GB 1998-16838	A	19980804		
	GB 1998-24939	A	19981113		
	WO 1999-GB2489	W	19990729		
	US 2001-762107	A3	20010202		

OS MARPAT 132:166125

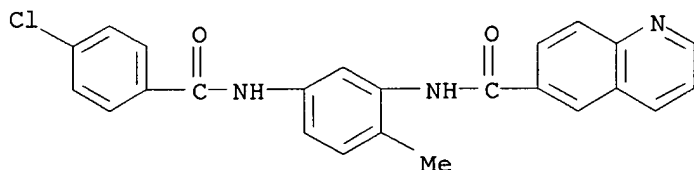
AB The title compds. [I; R3 = alkyl, halo; Q1 = (un)substituted heteroaryl; p = 0-2; R2 = OH, halo; q = 0-4; Q2 = (un)substituted aryl, cycloalkyl, heteroaryl, heterocyclyl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. Thus, reacting 6-chloropyridine-3-carbonyl chloride with N-(3-amino-4-methylphenyl)-3-dimethylaminobenzamide (preparation given) in the presence of K₂CO₃ in DMF/CH₂Cl₂ afforded I [R3 = Me; R2 = H; Q1 = 6-chloropyrid-3-yl; q = 0; Q2 = 3-(Me₂N)C₆H₄]. Biol. data (e.g., inhibition of p38 kinase and TNF α production) for compds. I were given.

IT 258502-84-0P 258502-85-1P 258502-86-2P
 258502-87-3P 258502-90-8P 258502-91-9P
 258502-92-0P 258502-93-1P 258502-94-2P
 258502-98-6P 258502-99-7P 258503-01-4P
 258503-03-6P 258503-04-7P 258503-43-4P
 258503-81-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarboxamides as inhibitors of the production of cytokines)

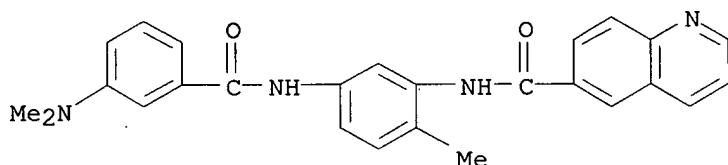
RN 258502-84-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[(4-chlorobenzoyl)amino]-2-methylphenyl]-
(9CI) (CA INDEX NAME)



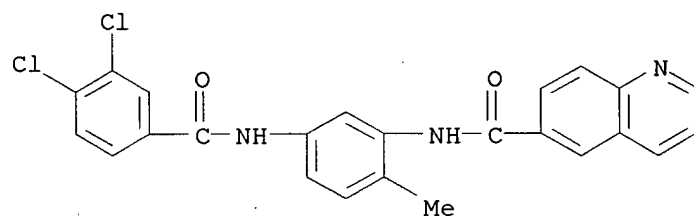
RN 258502-85-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[[3-(dimethylamino)benzoyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



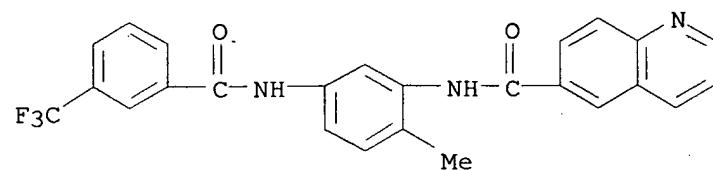
RN 258502-86-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[(3,4-dichlorobenzoyl)amino]-2-methylphenyl]-
(9CI) (CA INDEX NAME)

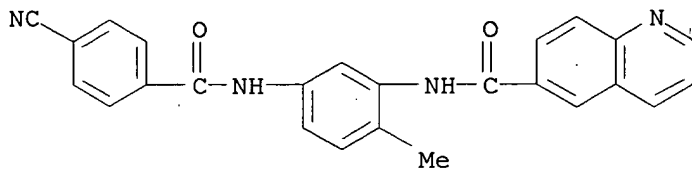


RN 258502-87-3 CAPLUS

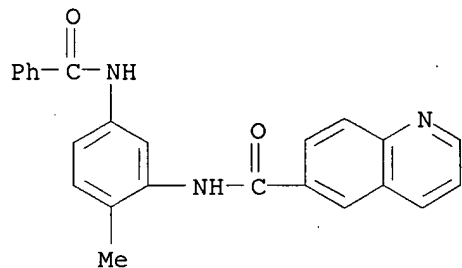
CN 6-Quinolinecarboxamide, N-[2-methyl-5-[[3-(trifluoromethyl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



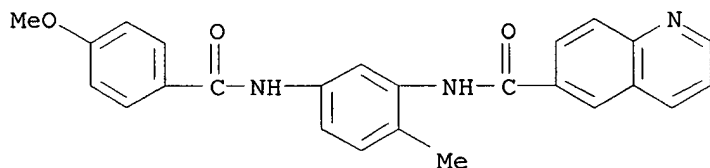
RN 258502-90-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[(4-cyanobenzoyl)amino]-2-methylphenyl]-
(9CI) (CA INDEX NAME)

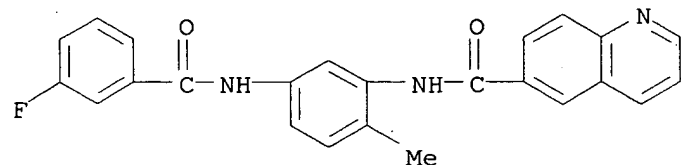
RN 258502-91-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-(benzoylamino)-2-methylphenyl]- (9CI) (CA
INDEX NAME)

RN 258502-92-0 CAPLUS

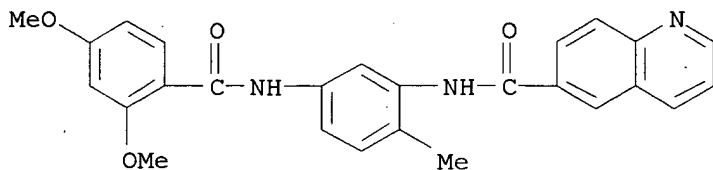
CN 6-Quinolinecarboxamide, N-[5-[(4-methoxybenzoyl)amino]-2-methylphenyl]-
(9CI) (CA INDEX NAME)

RN 258502-93-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[(3-fluorobenzoyl)amino]-2-methylphenyl]-
(9CI) (CA INDEX NAME)

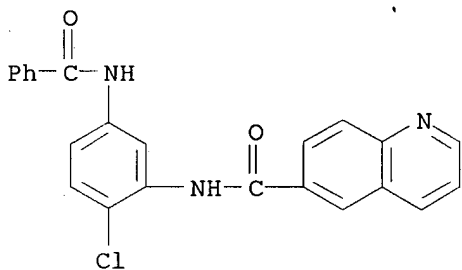
RN 258502-94-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[(2,4-dimethoxybenzoyl)amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



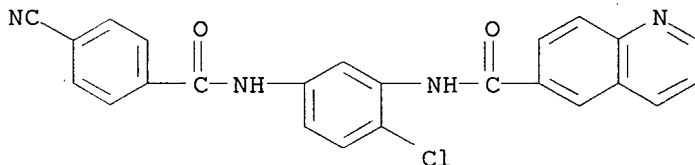
RN 258502-98-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-(benzoylamino)-2-chlorophenyl]- (9CI) (CA INDEX NAME)



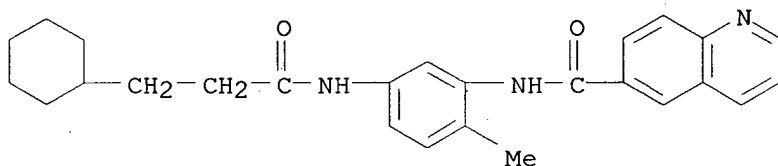
RN 258502-99-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-chloro-5-[(4-cyanobenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)



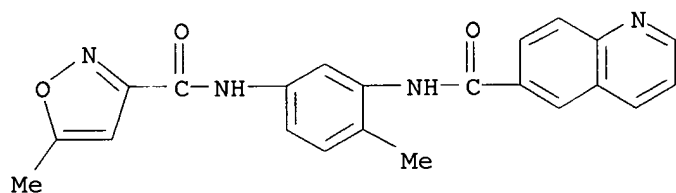
RN 258503-01-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[(3-cyclohexyl-1-oxopropyl)amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



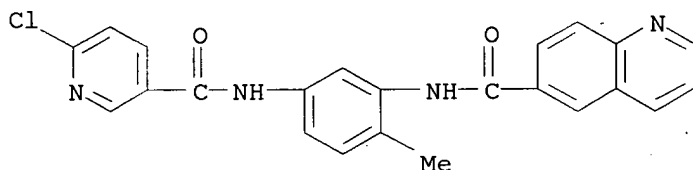
RN 258503-03-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-methyl-5-[[5-methyl-3-isoxazolyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



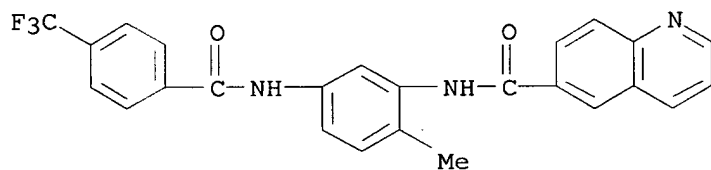
RN 258503-04-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[[6-chloro-3-pyridinyl]carbonyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



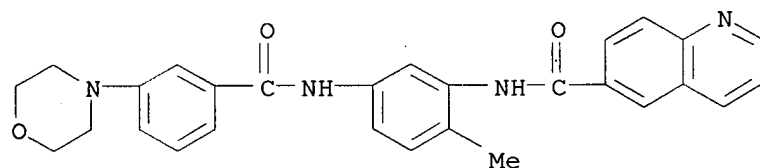
RN 258503-43-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-methyl-5-[[4-(trifluoromethyl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 258503-81-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-methyl-5-[[3-(4-morpholinyl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



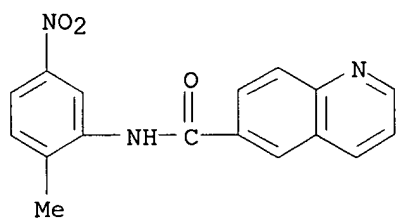
IT 258503-82-1P 258503-83-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroarylcarboxamides as inhibitors of the production of cytokines)

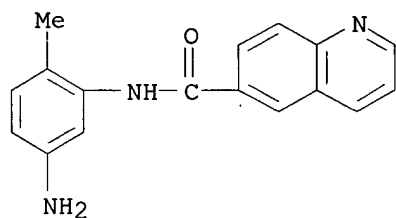
RN 258503-82-1 CAPLUS

CN 6-Quinolinecarboxamide, N-(2-methyl-5-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 258503-83-2 CAPLUS

CN 6-Quinolinecarboxamide, N-(5-amino-2-methylphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 133 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:117019 CAPLUS
 DN 132:166015
 TI Preparation of benzamides as cytokine inhibitors
 IN Brown, Dearg Sutherland; Brown, George Robert
 PA Zeneca Ltd., UK
 SO PCT Int. Appl., 110 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007980	A1	20000217	WO 1999-GB2494	19990729
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2337770	AA	20000217	CA 1999-2337770	19990729
	AU 9951791	A1	20000228	AU 1999-51791	19990729
	AU 756292	B2	20030109		
	BR 9912726	A	20010502	BR 1999-12726	19990729
	EP 1102743	A1	20010530	EP 1999-936814	19990729
	EP 1102743	B1	20020724		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002522414	T2	20020723	JP 2000-563615	19990729
	AT 221047	E	20020815	AT 1999-936814	19990729
	PT 1102743	T	20021231	PT 1999-936814	19990729
	ES 2178895	T3	20030101	ES 1999-936814	19990729
	RU 2220951	C2	20040110	RU 2001-105984	19990729
	NZ 509162	A	20040130	NZ 1999-509162	19990729
	ZA 2001000617	A	20020122	ZA 2001-617	20010122
	NO 2001000533	A	20010330	NO 2001-533	20010131
	US 6821965	B1	20041123	US 2001-762106	20010202
	HK 1037608	A1	20021129	HK 2001-108406	20011129
	US 2005038081	A1	20050217	US 2004-947463	20040923
PRAI	GB 1998-16837	A	19980804		
	WO 1999-GB2494	W	19990729		
	US 2001-762106	A3	20010202		

OS MARPAT 132:166015

AB The title compds. [I; R3 = alkyl, halo; Q = (un)substituted aryl, heteroaryl; p = 0-2; R2 = OH, halo; q = 0-4; R4 = (un)substituted aryl, cycloalkyl, heteroaryl, heterocyclyl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. Thus, reacting 3-amino-N-(3-dimethylaminophenyl)-4-methylbenzamide (preparation given) with 3-methoxybenzoyl chloride in the presence of Et3N in CH2Cl2 afforded I [Q = 3-MeOC6H4; p = 0; R3 = Me; R4 = 3-Me2NC6H4; q = 0]. Biol. data (e.g., inhibition of p38 kinase and TNF α production) for compds. I were presented.

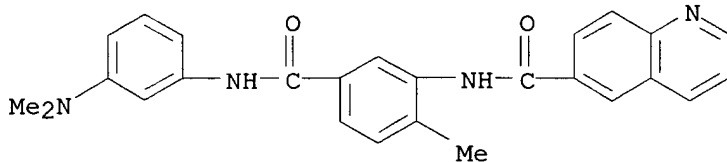
IT 258862-75-8P 258862-76-9P 258863-77-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamides as cytokine inhibitors)

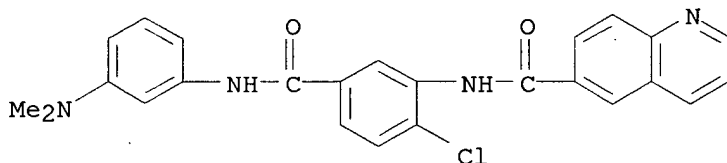
RN 258862-75-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[5-[[[3-(dimethylamino)phenyl]amino]carbonyl]-2-methylphenyl]- (9CI) (CA INDEX NAME)



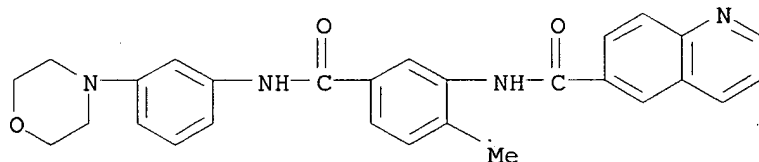
RN 258862-76-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-chloro-5-[[[3-(dimethylamino)phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 258863-77-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-methyl-5-[[[3-(4-morpholinyl)phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

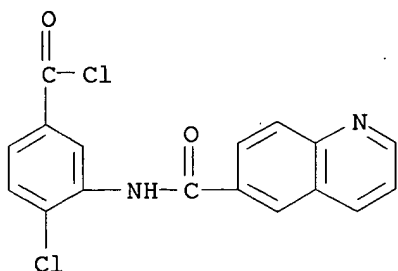


IT 258864-29-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzamides as cytokine inhibitors)

RN 258864-29-8 CAPLUS

CN Benzoyl chloride, 4-chloro-3-[(6-quinolinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



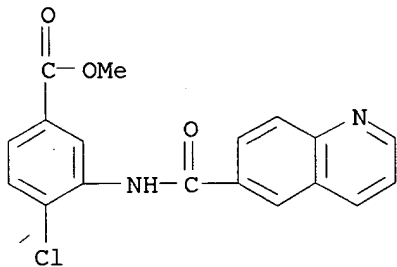
IT 258864-12-9P 258864-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzamides as cytokine inhibitors)

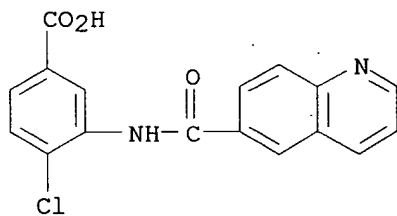
RN 258864-12-9 CAPLUS

CN Benzoic acid, 4-chloro-3-[(6-quinolinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 258864-13-0 CAPLUS

CN Benzoic acid, 4-chloro-3-[(6-quinolinylcarbonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 134 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:89343 CAPLUS
 DN 132:137203
 TI Preparation of tricyclic carbapenem compounds as antibacterial agents
 IN Kawamoto, Isao; Kanno, Osamu; Shimochi, Yasuo; Ohya, Akira
 PA Sankyo Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 108 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000038387	A2	20000208	JP 1999-137444	19990518
PRAI	JP 1998-136720	A	19980519		
OS	MARPAT 132:137203				

AB The title compds. [I; A = SC(:S)NR₁R₂, NR₈(CH₂)_nR₉, Q, Q₁; wherein R₁, R₂ = (un)substituted C₁-6 alkyl, C₃-6 cycloalkyl, (un)substituted C₆-13 aryl, (un)substituted C₇-17 aralkyl, (un)substituted 5-6 membered heteroaryl or heteroaryl-C₁-4 alkyl containing 1-3 of N, O, or S in the heteroaryl ring and optionally condensed with benzene or pyridine ring; or NR₁R₂ = (un)substituted 4-7 membered cyclic amino optionally containing 1-3 of N, O, or S and optionally condensed with benzene or pyridine ring, etc.; wherein R₈ = H, (un)substituted C₁-4 alkyl, allyl, (un)substituted C₆-10 aryl, (un)substituted heteroaryl or heteroaryl-C₁-4 alkyl containing 1-3 of N, O, or S and optionally condensed with benzene or pyridine ring, (un)substituted aralkyl in the ring, C₃-6 cycloalkyl; R₉ = (un)substituted NH₂, 4-7 membered N-containing heterocyclyl containing 1-3 of addnl. N, O, or S; wherein R_{10a}, R_{10b} = H, halo, HO, C₁-4 alkyl, C₁-4 alkoxy, (un)substituted NH₂, CO₂H, C₁-4 alkoxy carbonyl, (un)substituted CONH₂, (un)substituted SO₂NH₂, etc.; wherein R₁₁ = H, (un)substituted C₁-4 alkyl, C₁-4 alkanoyl, (un)substituted C₆-10 aryl, (un)substituted heteroaryl or heteroaryl-C₁-4 alkyl containing 1-3 of N, O, or S and optionally condensed with benzene or pyridine ring, etc.] are prepared Thus, (4S,8S,9R,10S)-10-[(R)-1-((tert-butyl)dimethylsilyl)oxy)ethyl]-4-(hydroxymethyl)-11-oxo-1-azatricyclo[7.2.0.0^{3,8}]undec-2-ene-2-carboxylic acid allyl ester was dissolved in PhMe and stirred with Ph₃P, DEAD, and 2-mercaptobenzothiazole at room temperature for 1.5 h, followed by deprotection, to give title compound (II) isolated as the K salt. II.K showed min. inhibitory concentration of ≤0.01, 0.02, and 1.5 µg/mL against Staphylococcus aureus 209P, 56R, and methicillin-resistant S. aureus 535 (MRSA), resp.

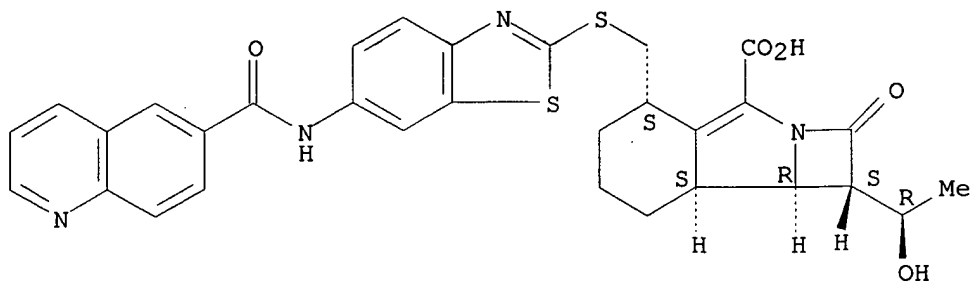
IT 256641-35-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tricyclic carbapenem compds. as antibacterial agents)

RN 256641-35-7 CAPLUS

CN Azeto[2,1-a]isoindole-4-carboxylic acid, 1,2,5,6,7,8,8a,8b-octahydro-1-[[1R]-1-hydroxyethyl]-2-oxo-5-[[[6-[(6-quinolinylcarbonyl)amino]-2-benzothiazolyl]thio]methyl]-, monopotassium salt, (1S,5S,8aS,8bR)-(9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



● K

IT 256641-85-7P

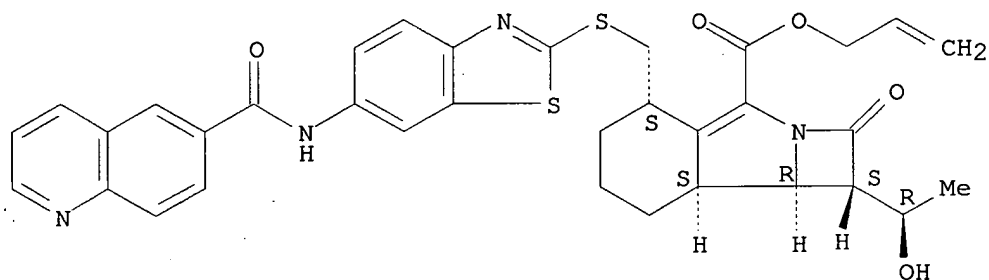
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic carbapenem compds. as antibacterial agents)

RN 256641-85-7 CAPLUS

CN Azeto[2,1-a]isoindole-4-carboxylic acid, 1,2,5,6,7,8,8a,8b-octahydro-1-[(1R)-1-hydroxyethyl]-2-oxo-5-[[[6-[(6-quinolinylcarbonyl)amino]-2-benzothiazolyl]thio]methyl]-, 2-propenyl ester, (1S,5S,8aS,8bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 135 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:698078 CAPLUS

DN 131:319669

TI Benzamide derivatives as histone deacetylase inhibitors for treating tumors and other diseases

IN Suzuki, Tsuneji; Ando, Tomoyuki; Tsuchiya, Katsutoshi; Nakanishi, Satoru; Saito, Akiko; Yamashita, Satoshi

PA Mitsui Chemicals Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11302173	A2	19991102	JP 1998-106742	19980416
PRAI	JP 1998-106742		19980416		
OS	MARPAT 131:319669				

AB A series of benzamide derivs. (I; A=(substituted) pyridine, condensed pyridine; X=direct link; (CH)_e etc. where e=1.apprx.4; Q=CONR⁷, etc. where R⁷=H, C1-4 alkyl; R¹,R²=H, halo, OH, amino, C1-4 alkyl, etc.; R³=amino, OH; n=1.apprx.4) exhibiting the histone deacetylase-inhibiting activities are provided for treating tumors, autoimmune diseases, infectious diseases, skin diseases, allergy, vascular diseases, or for improving gene therapy effects. In vitro assessment of I for the histone deacetylase-inhibiting activities using histone deacetylase partially purified from K562 cells was demonstrated.

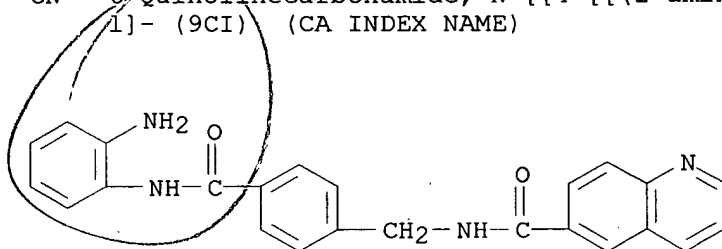
IT 209783-69-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzamide derivative; benzamide derivs. as histone deacetylase inhibitors for treating tumors and other diseases)

RN 209783-69-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(2-aminophenyl)amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 136 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:613942 CAPLUS

DN 131:243593

TI Preparation of peptides as inhibitors of caspases

IN Wannamaker, Marion W.; Bemis, Guy W.; Charifson, Paul S.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Wilson, Keith P.; Janetka, James W.; Davies, Robert J.; Grillot, Anne-Laure; Shi, Zhan; Forster, Cornelia J.

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9947545	A2	19990923	WO 1999-US5919	19990319
	WO 9947545	A3	19991125		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2324226	AA	19990923	CA 1999-2324226	19990319
	AU 9930986	A1	19991011	AU 1999-30986	19990319
	AU 769033	B2	20040115		
	BR 9909660	A	20001121	BR 1999-9660	19990319
	EP 1064298	A2	20010103	EP 1999-912662	19990319
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002506878	T2	20020305	JP 2000-536738	19990319
	TR 200103406	T2	20020621	TR 2001-200103406	19990319
	NZ 506963	A	20031031	NZ 1999-506963	19990319
	NZ 528282	A	20050527	NZ 1999-528282	19990319
	ZA 2000004652	A	20020205	ZA 2000-4652	20000905
	NO 2000004546	A	20001109	NO 2000-4546	20000912
	US 6531474	B1	20030311	US 2000-665503	20000919
	BG 104863	A	20010430	BG 2000-104863	20001016
	US 2003232986	A1	20031218	US 2002-314103	20021206
PRAI	US 1998-78770P	A1	19980319		
	WO 1999-US5919	W	19990319		
	US 2000-665503	A3	20000919		

OS MARPAT 131:243593

AB Peptides R1NR2XCONR4CR52CONHY [Y = CH(CHO)CH₂(CH₂)_mCOR₇, (m = 0 or 1 and R₇ = OH or ester, NHOH) or cyclic lactol derivative when R₇ is OH; X = CR₃₂ or NR₃ (R₃ = H, an amino acid side chain, alkyl, cycloalkyl, aryl, etc.); R₁ = H, R₈, COR₈, COCOR₈, SO₂R₈, SOR₈, CO₂R₈, CONHR₈, SO₂NHR₈, SONHR₈, COCONHR₈, COCH:CHR₈, etc. (R₈ = alkyl, cycloalkyl, aryl, etc.); R₂ = H or R₂ and R₃ may form a ring; R₄ = H and R₅ = H, amino acid side chain, R₈, etc. or R₄ and R₅ may form a ring] were prepared as inhibitors of caspases. Thus, p-AcNHC₆H₄CO-L-Val-L-Pro-NHCH(CHO)CH₂CO₂H-(S) was prepared by the solid-phase method and showed *k_i* < 10 nM for inhibition of interleukin-1 β converting enzyme (ICE, caspase-1).

IT 244133-50-4P

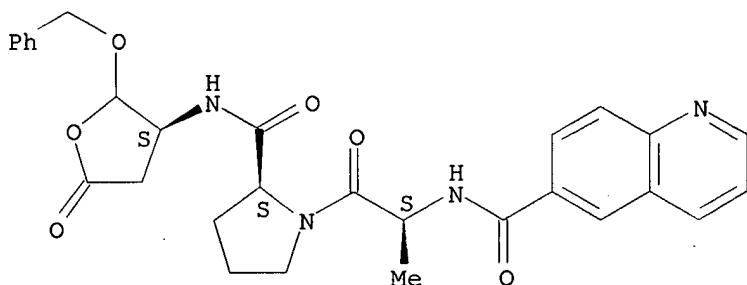
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of peptides as inhibitors of caspases)

RN 244133-50-4 CAPLUS

CN L-Prolinamide, N-(6-quinolinylcarbonyl)-L-alanyl-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 244131-06-4P 244134-85-8P

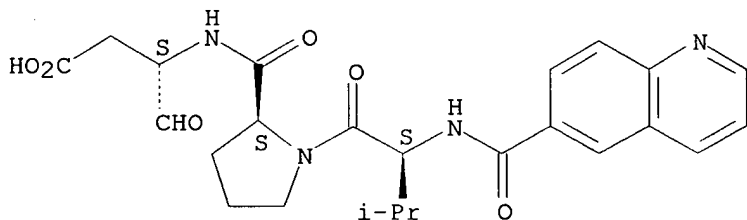
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides as inhibitors of caspases)

RN 244131-06-4 CAPLUS

CN L-Prolinamide, N-(6-quinolinylcarbonyl)-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]- (9CI) (CA INDEX NAME)

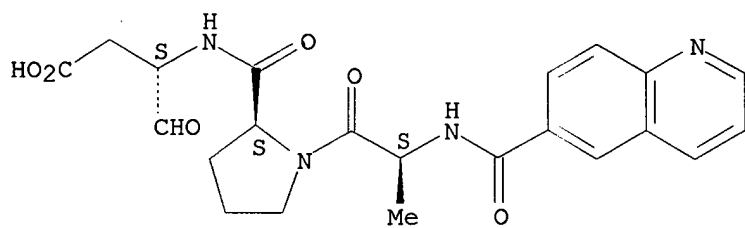
Absolute stereochemistry.



RN 244134-85-8 CAPLUS

CN L-Prolinamide, N-(6-quinolinylcarbonyl)-L-alanyl-N-[(1S)-2-carboxy-1-formylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 137 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:440025 CAPLUS

DN 131:73569

TI Preparation of quinolinones as antiallergic agents

IN Takagaki, Hidetsugu; Yamaguchi, Shinobu; Abe, Masayoshi; Sakai, Mitsuru; Misumi, Osamu

PA Dainippon Ink and Chemicals, Inc., Japan

SO Eur. Pat. Appl., 65 pp.

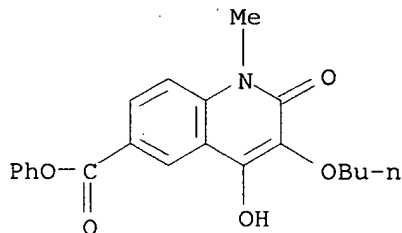
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 927718	A1	19990707	EP 1998-122554	19981202
	EP 927718	B1	20040225		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TW 430656	B	20010421	TW 1998-87119821	19981130
	CA 2255333	AA	19990603	CA 1998-2255333	19981201
	CA 2255333	C	20040713		
	AU 9895151	A1	19990624	AU 1998-95151	19981201
	AU 737388	B2	20010816		
	US 6136822	A	20001024	US 1998-201662	19981201
	JP 11236374	A2	19990831	JP 1998-343368	19981202
	AT 260257	E	20040315	AT 1998-122554	19981202
	US 6271416	B1	20010807	US 2000-595909	20000620
PRAI	JP 1997-332894	A	19971203		
	US 1998-201662	A3	19981201		
OS	CASREACT 131:73569; MARPAT 131:73569				
AB	Title compds. [I; R1 = H, (hydroxy)alkyl, alkenyl, aryl; R2 = alk(en)yl, aryl(alkyl); R4-R7 = H, OH, alkyl, alkoxy, etc.] were prepared by cyclization of R3ZN(CH2R1)COCH2OR2 (R3 = reactive CO2H (sic); Z = [un]substituted 1,2-phenylene]. Thus, 4,2-(O2N)(H2N)C6H3CO2Et was amidated by octyloxyacetic acid and the product converted in 3 steps to Et 2-[N-methyl-N-(octyloxyacetyl)amino]-4-[(3,5-dimethoxy-4-hydroxycinnamoyl)amino]benzoate which was cyclized in 92% yield to give I [R1 = Me, R2 = octyl, R4 = R5 = R7 = H, R6 = 3,5-dimethoxy-4-hydroxycinnamoylamino]. Data for biol. activity of I were given.				
IT	229025-95-0P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of quinolinones as antiallergic agents)				
RN	229025-95-0 CAPLUS				
CN	6-Quinolinecarboxylic acid, 3-butoxy-1,2-dihydro-4-hydroxy-1-methyl-2-oxo-, phenyl ester (9CI) (CA INDEX NAME)				



L62 ANSWER 138 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:421656 CAPLUS

DN 131:73568

TI Preparation of 4-hydroxyquinoline-3-carboxamides and hydrazides as antiviral agents

IN Tucker, John Alan; Vaillancourt, Valerie A.; Strohbach, Joseph Walter; Romines, Karen Rene; Schnute, Mark E.; Cudahy, Michele M.; Thaisrivongs, Suvit; Turner, Steven R.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DT Patent

LA English

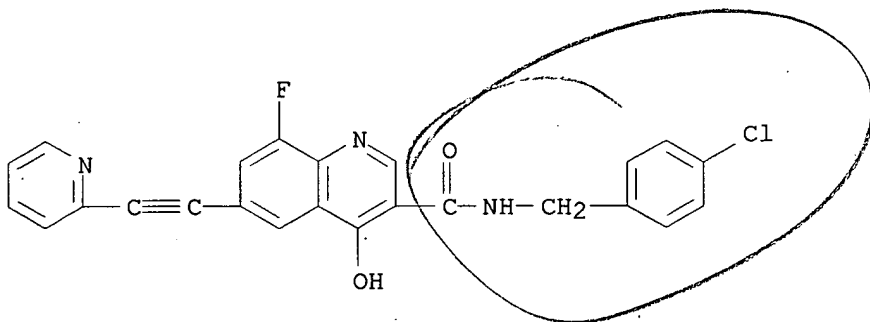
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932450	A1	19990701	WO 1998-US25192	19981201
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309882	AA	19990701	CA 1998-2309882	19981201
	AU 9916063	A1	19990712	AU 1999-16063	19981201
	AU 755707	B2	20021219		
	US 6093732	A	20000725	US 1998-203259	19981201
	EP 1042295	A1	20001011	EP 1998-960479	19981201
	EP 1042295	B1	20050907		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001526265	T2	20011218	JP 2000-525387	19981201
	CN 1128790	B	20031126	CN 1998-811915	19981201
	RU 2220960	C2	20040110	RU 2000-119803	19981201
	BR 9814323	A	20040629	BR 1998-14323	19981201
	NZ 505362	A	20041224	NZ 1998-505362	19981201
	AT 303993	E	20050915	AT 1998-960479	19981201
	ZA 9811735	A	20000627	ZA 1998-11735	19981221
	NO 2000003233	A	20000822	NO 2000-3233	20000621
	HK 1033463	A1	20040402	HK 2001-104170	20010618
PRAI	US 1997-68460P	P	19971222		
	US 1998-76717P	P	19980304		
	WO 1998-US25192	W	19981201		
OS	MARPAT 131:73568				
AB	Title compds. (I; R = CONHZC6H4Cl-4; R1-R4 = H, halo, alkyl, alkoxy, etc.; Z = CH2 or NH) were prepared Thus, I (R1 = R2 = R4 = H, R3 = CF3) (II; R = CO2H) was amidated by 4-ClC6H4CH2NH2 to give II (R = CH2C6H4Cl-4). Data for biol. activity of I were given.				
IT	228726-17-8P , N-(4-Chlorobenzyl)-8-fluoro-4-hydroxy-6-[2-(pyridin-2-yl)ethynyl]-3-quinolinecarboxamide 228727-10-4P , N-(4-Chlorobenzyl)-8-fluoro-4-hydroxy-N'-(4-hydroxyphenethyl)-3,6-quinolinedicarboxamide 228727-12-6P , N,N'-Bis(4-chlorobenzyl)-8-fluoro-4-hydroxy-3,6-quinolinedicarboxamide				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				

(preparation of 4-hydroxyquinoline-3-carboxamides and hydrazides as antiviral agents)

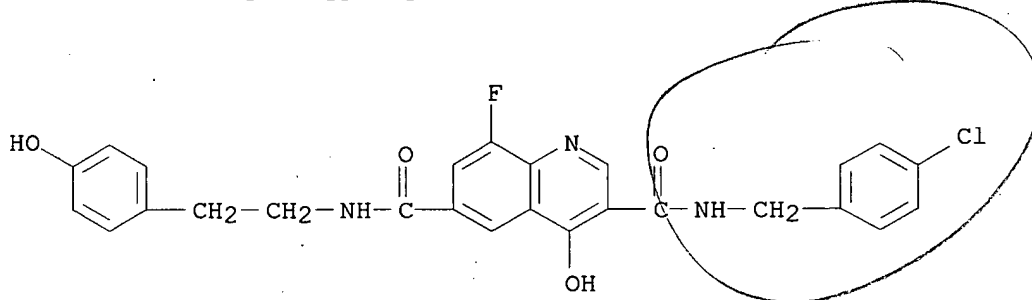
RN 228726-17-8 CAPLUS

CN 3-Quinolinecarboxamide, N-[(4-chlorophenyl)methyl]-8-fluoro-4-hydroxy-6-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)



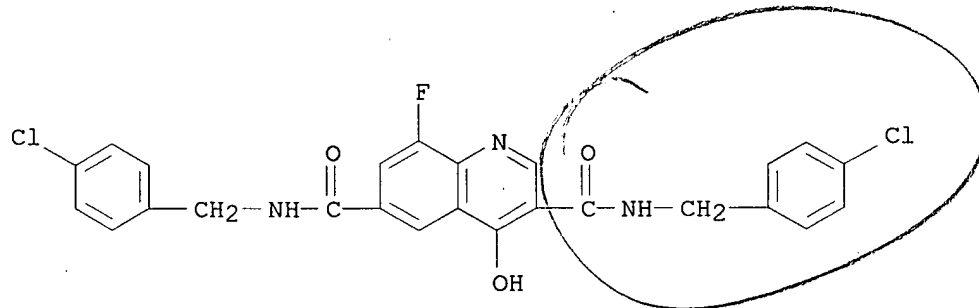
RN 228727-10-4 CAPLUS

CN 3,6-Quinolinedicarboxamide, N3-[(4-chlorophenyl)methyl]-8-fluoro-4-hydroxy-N6-[2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 228727-12-6 CAPLUS

CN 3,6-Quinolinedicarboxamide, N,N'-bis[(4-chlorophenyl)methyl]-8-fluoro-4-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

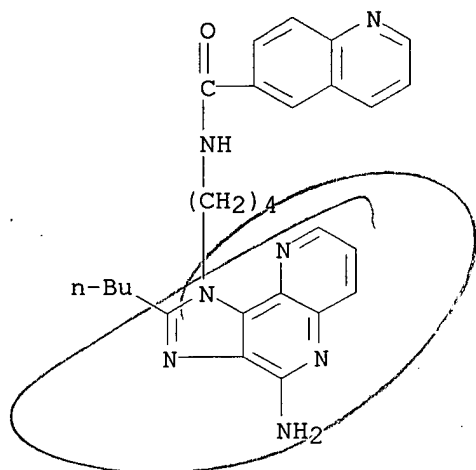
L62 ANSWER 139 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1999:388186 CAPLUS
 DN 131:44819
 TI Imidazonaphthyridines and their use in inducing cytokine biosynthesis
 IN Lingstrom, Kyle J.; Gerster, John F.
 PA Minnesota Mining and Manufacturing Company, USA
 SO PCT Int. Appl., 172 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9929693	A1	19990617	WO 1998-US26473	19981211
	WO 9929693	C1	20041104		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2311456	AA	19990617	CA 1998-2311456	19981211
	AU 9919123	A1	19990628	AU 1999-19123	19981211
	AU 753864	B2	20021031		
	BR 9814275	A	20001003	BR 1998-14275	19981211
	EP 1040112	A1	20001004	EP 1998-963888	19981211
	EP 1040112	B1	20040922		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	US 6194425	B1	20010227	US 1998-210114	19981211
	TR 200001705	T2	20010723	TR 2000-200001705	19981211
	EE 200000349	A	20011015	EE 2000-200000349	19981211
	EE 4314	B1	20040615		
	JP 2001525411	T2	20011211	JP 2000-524286	19981211
	RU 2221798	C2	20040120	RU 2000-114496	19981211
	AT 277046	E	20041015	AT 1998-963888	19981211
	PT 1040112	T	20050131	PT 1998-963888	19981211
	EP 1512685	A1	20050309	EP 2004-22440	19981211
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
	EP 1512686	A1	20050309	EP 2004-22441	19981211
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
	ES 2227902	T3	20050401	ES 1998-963888	19981211
	NO 2000002663	A	20000811	NO 2000-2663	20000524
	NO 316687	B1	20040405		
	HR 2000000363	A1	20010630	HR 2000-363	20000602
	US 6514985	B1	20030204	US 2000-706990	20001106
	US 2002173653	A1	20021121	US 2001-945196	20010831
	US 6518280	B2	20030211		
	US 2002173654	A1	20021121	US 2001-945197	20010831
	US 6624172	B2	20030923		
	US 2003083500	A1	20030501	US 2002-184304	20020627
	US 6638944	B2	20031028		
	US 2003096998	A1	20030522	US 2002-185387	20020627
	US 6693113	B2	20040217		

	US 2003212093	A1	20031113	US 2002-265956	20021007
	US 6699878	B2	20040302		
	US 2004006098	A1	20040108	US 2003-405321	20030402
	US 6747040	B2	20040608		
	US 2004023932	A1	20040205	US 2003-406181	20030403
	US 6797716	B2	20040928		
	US 2004204436	A1	20041014	US 2004-824232	20040414
	US 6894165	B2	20050517		
	US 2005107421	A1	20050519	US 2004-4674	20041203
	US 6949646	B2	20050927		
PRAI	US 1997-69276P	P	19971211		
	EP 1998-963888	A3	19981211		
	US 1998-210114	A3	19981211		
	WO 1998-US26473	W	19981211		
	US 2000-706990	A1	20001106		
	US 2002-265956	A1	20021007		
	US 2003-406181	A3	20030403		
	US 2004-824232	A3	20040414		
OS	MARPAT 131:44819				
AB	Imidazonaphthyridines I (A = :NCR:CRCR:, :CRN:CRCR:, :CRCR:NCH:, or :CRCR:CRN:, R, R1, R2 = H or organic group) and tetrahydroimidazonaphthyridines II (B = NR2CR2CR2, CR2NR2CR2, CR2CR2NR2, or CR2CR2NR2, R, R1, R2 = H or organic group) induce the biosynthesis of cytokines such as interferon and tumor necrosis factor. The compds. exhibit antiviral and antitumor properties. Methods of preparing the compds. and intermediates useful in the preparation of the compds. are also disclosed. Thus, reaction of 2-aminonicotinic acid with Ac2O, reaction of the resulting 2-methyl-4H-pyrido[2,3-d][1,3]oxazin-4-one with NaN3, reaction of the resulting 2-(5-methyl-1H-tetrazol-1-yl)nicotinic acid with EtI in Me2CO in the presence of K2CO3, cyclization of the resulting ester, nitration of the resulting hydroxy compound, reaction of the resulting nitro hydroxy compound with isobutylamine, reduction of the NO2 group, formation of an imidazo ring with the resulting 2 amine groups, cleavage of the resulting tetrazolyl ring using PPh3, and reaction of the resulting P derivative gave 1-(2-methylpropyl)-1H-imidazo[4,5-c][1,8]naphthyridin-4-amine hydrate.				
IT	227319-85-9P RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (imidazonaphthyridines and tetrahydroimidazonaphthyridines for use in inducing cytokine biosynthesis)				
RN	227319-85-9 CAPLUS				
CN	6-Quinolinecarboxamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c][1,5]naphthyridin-1-yl)butyl]- (9CI) (CA INDEX NAME)				



RE.CNT 9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 140 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:354484 CAPLUS

DN 131:31954

TI Preparation of quinoxalinecarboxamides and analogs as metabotropic glutamate receptor antagonists

IN Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert; Delmar, Eric G.; Stormann, Thomas M.

PA NPS Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 63 pp.

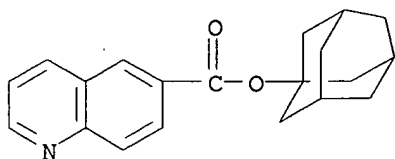
CODEN: PIXXD2

DT Patent

LA English

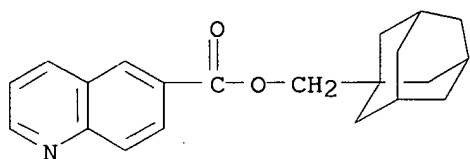
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9926927	A2	19990603	WO 1998-US24833	19981120
	WO 9926927	A3	19991021		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2311131	AA	19990603	CA 1998-2311131	19981120
	AU 9915317	A1	19990615	AU 1999-15317	19981120
	AU 771358	B2	20040318		
	EP 1037878	A2	20000927	EP 1998-959535	19981120
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2001524468	T2	20011204	JP 2000-522085	19981120
	NZ 505207	A	20031031	NZ 1998-505207	19981120
	CN 1554649	A	20041215	CN 2004-10047499	19981120
	US 6429207	B1	20020806	US 2000-573347	20000519
	US 2003013715	A1	20030116	US 2002-211523	20020805
PRAI	US 1997-66758P	P	19971121		
	WO 1998-US24833	W	19981120		
	US 1999-137272P	P	19990602		
	US 2000-573347	A3	20000519		
OS	MARPAT 131:31954				
AB	RZR1 [R = (ar)alkyl, (alkyl)cycloalkyl; R1 = (hetero)aryl(alkyl); Z = (CO- and heteroatom-interrupted)(CH2)2-6, -alkenylene, -alkynylene] were prepared as metabotropic glutamate receptor antagonists (no data). Thus, 2-quinoxalinecarboxylic acid was amidated by 2-adamantanamine to give N-(2-adamantyl)-2-quinoxalinecarboxamide.				
IT	226877-92-5P 226877-95-8P 226878-02-0P 226878-60-0P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of quinoxalinecarboxamides and analogs as metabotropic glutamate receptor antagonists)				
RN	226877-92-5 CAPLUS				
CN	6-Quinoxalinecarboxylic acid, tricyclo[3.3.1.1 ^{3,7}]dec-1-yl ester (9CI) (CA INDEX NAME)				



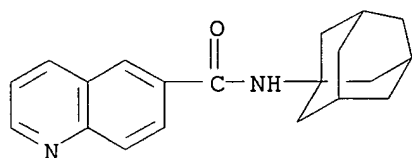
RN 226877-95-8 CAPLUS

CN 6-Quinolinecarboxylic acid, tricyclo[3.3.1.13,7]dec-1-ylmethyl ester (9CI)
(CA INDEX NAME)



RN 226878-02-0 CAPLUS

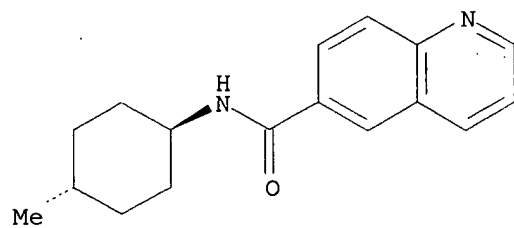
CN 6-Quinolinecarboxamide, N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX
NAME)



RN 226878-60-0 CAPLUS

CN 6-Quinolinecarboxamide, N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX
NAME)

Relative stereochemistry.



L62 ANSWER 141 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:325920 CAPLUS

DN 130:352265

TI Preparation of aminothiazole inhibitors of cyclin dependent kinases

IN Kim, Kyoung S.; Kimball, S. David; Poss, Michael A.; Misra, Raj N.; Cai, Zhen-Wei; Rawlins, David B.; Webster, Kevin; Hunt, John T.; Han, Wen-Ching

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 132 pp.

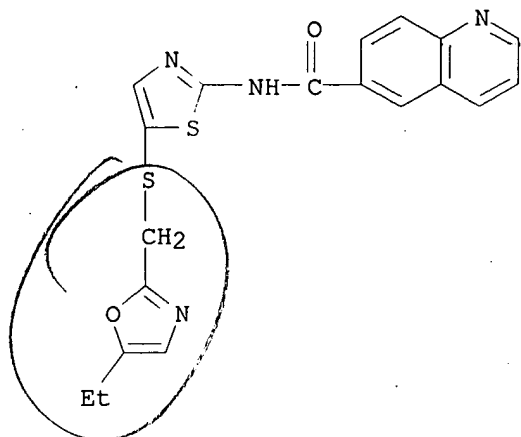
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924416	A1	19990520	WO 1998-US23197	19981102
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309551	AA	19990520	CA 1998-2309551	19981102
	AU 9912955	A1	19990531	AU 1999-12955	19981102
	AU 730607	B2	20010308		
	TR 200001344	T2	20000921	TR 2000-200001344	19981102
	BR 9814124	A	20001003	BR 1998-14124	19981102
	EP 1042307	A1	20001011	EP 1998-956431	19981102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001522842	T2	20011120	JP 2000-520430	19981102
	NZ 503828	A	20030328	NZ 1998-503828	19981102
	RU 2211839	C2	20030910	RU 2000-115305	19981102
	IL 135589	A1	20040620	IL 1998-135589	19981102
	TW 593292	B	20040621	TW 1998-87118625	19981109
	ZA 9810332	A	20000511	ZA 1998-10332	19981111
	NO 2000002153	A	20000511	NO 2000-2153	20000427
	NO 316773	B1	20040503		
	MX 200004488	A	20001110	MX 2000-4488	20000509
PRAI	US 1997-65195P	P	19971112		
	WO 1998-US23197	W	19981102		
OS	MARPAT 130:352265				
AB	The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = H, alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis (no data). E.g., N-[5-[[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide was prepared				
IT	224435-52-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminothiazole inhibitors of cyclin dependent kinases)				
RN	224435-52-3 CAPLUS				
CN	6-Quinolinecarboxamide, N-[5-[[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)				

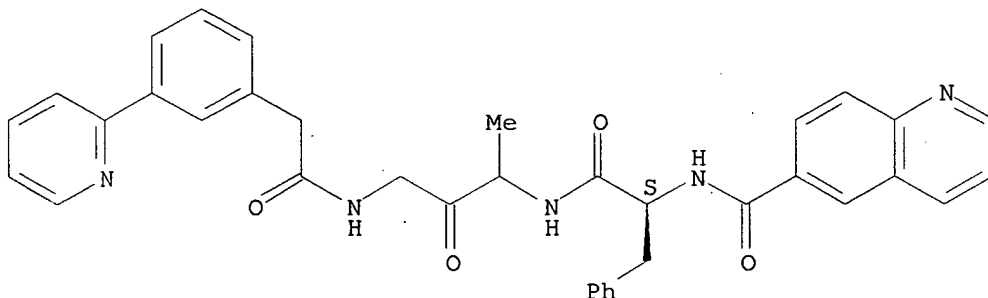


RE.CNT 1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 142 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1999:250927 CAPLUS
 DN 131:44415
 TI Solid-Phase Synthesis of a Combinatorial Array of 1,3-Bis(acylamino)-2-butanones, Inhibitors of the Cysteine Proteases Cathepsins K and L
 AU Yamashita, Dennis S.; Dong, Xiaoyang; Oh, Hye-Ja; Brook, Christopher S.; Tomaszek, Thaddeus A.; Szewczuk, Lawrence; Tew, David G.; Veber, Daniel F.
 CS Departments of Medicinal Chemistry Molecular Recognition and Synthetic Chemistry, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
 SO Journal of Combinatorial Chemistry (1999), 1(3), 207-215
 CODEN: JCCHFF; ISSN: 1520-4766
 PB American Chemical Society
 DT Journal
 LA English
 AB To more rapidly prepare members of the 1,3-bis(acylamino)-2-butanone class of cysteine protease inhibitors, a solid-phase synthesis was developed. 1-Azido-3-amino-2,2-dimethoxybutane, which has two amino groups differentiated and the ketone protected as a ketal, served as a surrogate for the 1,3-diamino-2-butanone core. Thus, 1-azido-3-amino-2,2-dimethoxybutane was coupled to the BAL-resin-linked carboxylic acids derived from α -amino acid esters. Evaluation of a small combinatorial array by measuring inhibition consts. (K_i , apps) against cathepsins K, L, and B provided some structure-activity relationship trends with respect to selectivity and potency. Novel, potent inhibitors of cathepsins K and L were identified. Novel, potent inhibitors of cathepsins K and L were identified.
 IT **227178-26-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 227178-26-9 CAPLUS
 CN 6-Quinolinecarboxamide, N-[(1S)-2-[[1-methyl-2-oxo-3-[[[3-(2-pyridinyl)phenyl]acetyl]amino]propyl]amino]-2-oxo-1-(phenylmethyl)ethyl]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 143 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:136877 CAPLUS

DN 130:209514

TI Preparation of hydroxyindanylbutanediamides and related compounds as inhibitors of aggrecanase and matrix metalloproteinases for the treatment of arthritis.

IN Yao, Wenqing; Decicco, Carl P.

PA Du Pont Pharmaceuticals Company, USA

SO PCT Int. Appl., 251 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9909000	A2	19990225	WO 1998-US17048	19980818
	WO 9909000	A3	19990910		
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6576664	B1	20030610	US 1998-134484	19980814
	ZA 9807376	A	20000217	ZA 1998-7376	19980817
	CA 2301038	AA	19990225	CA 1998-2301038	19980818
	AU 9890214	A1	19990308	AU 1998-90214	19980818
	EP 1005448	A2	20000607	EP 1998-942083	19980818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EE 200000093	A	20001215	EE 2000-200000093	19980818
	BR 9815596	A	20010102	BR 1998-15596	19980818
	MX 200000956	A	20001020	MX 2000-956	20000127
	NO 2000000784	A	20000407	NO 2000-784	20000217
PRAI	US 1997-55944P	P	19970818		
	US 1997-68335P	P	19971219		
	WO 1998-US17048	W	19980818		

OS MARPAT 130:209514

AB Title compds., e.g., [I; R2, R3, R5 = UXYZUaXaYaZa; U, Ua = null, O, CO, CO2, NRa, O2CNRa, OCO2, Sop, etc.; X, Xa = null, H, alkylene, alkenylene, alkynylene; Y, Ya = null, H, O, NRa, CO, Sop; Z, Za = null, H, (substituted) carbocyclyl, heterocyclyl; Ra = H, alkyl, Ph, PhCH2; R8, R9 = H, (substituted) alkyl, alkenyl, alkylaryl, carbocyclyl, heterocyclyl, etc.; R8R9C = atoms to form a heterocyclyl ring; p undefined], were prepared as inhibitors of aggrecanase and matrix metalloproteinases (no data). Thus, (2R)-isobutyl-3-(tert-butoxycarbonyl)propanoic acid, (1S,2R)-cis-1-amino-2-indanol, TBTU, and (Me2CH)2NEt were stirred at 0° to room temperature to give 87% N1-(2R-hydroxy-1S-indanyl)-2R-isobutyl-3-(tert-butoxycarbonyl)propanamide. This in CH2Cl2/H2O was treated with CF3CO2H to give N-(2R-hydroxy-1S-indanyl)-2R-isobutyl-3-(hydroxycarbonyl)propanamide. The latter in DMF was treated with PhCH2ONH2.HCl, TBTU, and (Me2CH)2NEt at 0° to room temperature to give a product which was hydrogenolyzed in MeOH over Pd/BaSO4 to give N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-isobutylbutanediamide.

IT 220682-92-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

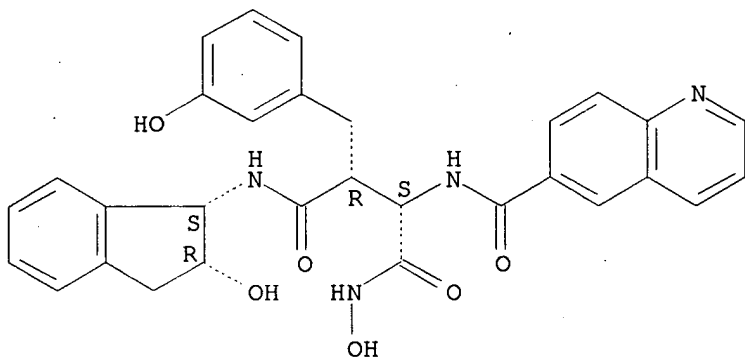
(preparation of hydroxyindanylbutanediamides and related compds. as inhibitors of aggrecanase and matrix metalloproteinases for the

treatment of arthritis)

RN 220682-92-8 CAPLUS

Butanediarnide, N1-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-N4-hydroxy-2-[(3-hydroxyphenyl)methyl]-3-[(6-quinolinylcarbonyl)amino]-, (2R,3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 144 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:136764 CAPLUS

DN 130:196957

TI Preparation of bicyclic peptide derivatives as interleukin-1 β converting enzyme inhibitors

IN Batchelor, Mark James; Bebbington, David; Bemis, Guy W.; Fridman, Wolf Herman; Gillespie, Roger John; Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Matharu, Saroop Singh; Mullican, Michael D.; Murcko, Mark A.; Murdoch, Robert; Zelle, Robert E.

PA Vertex Pharmaceuticals Incorporated, USA

SO U.S., 189 pp., Cont.-in-part of U.S. Ser. No. 575,641.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5874424	A	19990223	US 1996-598332	19960208
	US 6008217	A	19991228	US 1995-575641	19951220
	US 6204261	B1	20010320	US 1996-761483	19961206
	IN 182290	A	19990306	IN 1996-CA2188	19961218
	CA 2239904	AA	19970626	CA 1996-2239904	19961220
	WO 9722619	A2	19970626	WO 1996-US20843	19961220
	WO 9722619	A3	19971016		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9610798	A	19970707	ZA 1996-10798	19961220
	AU 9715222	A1	19970714	AU 1997-15222	19961220
	AU 735075	B2	20010628		
	EP 869967	A2	19981014	EP 1996-945318	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9612258	A	19990713	BR 1996-12258	19961220
	CN 1229412	A	19990922	CN 1996-199828	19961220
	NZ 326610	A	20000825	NZ 1996-326610	19961220
	JP 2002507961	T2	20020312	JP 1997-523098	19961220
	TR 200201218	T2	20020821	TR 2002-200201218	19961220
	TR 200201216	T2	20020923	TR 2002-200201216	19961220
	TR 200201217	T2	20021223	TR 2002-200201217	19961220
	JP 2003137896	A2	20030514	JP 2002-306094	19961220
	NZ 518094	A	20040130	NZ 1996-518094	19961220
	NO 9802597	A	19980812	NO 1998-2597	19980605
	BG 64465	B1	20050331	BG 1998-102624	19980713
	US 6258948	B1	20010710	US 1999-400639	19990921
	US 6423840	B1	20020723	US 2001-773477	20010131
	AU 756253	B2	20030109	AU 2001-76122	20010928
	US 2003225269	A1	20031204	US 2002-58522	20020128
	US 2005143436	A1	20050630	US 2004-999865	20041129
PRAI	US 1995-575641	A2	19951220		
	US 1996-598332	A2	19960208		
	US 1996-712878	A2	19960912		
	US 1996-31495P	P	19961126		

US 1996-761483	A	19961206
AU 1997-15222	A3	19961220
JP 1997-523098	A3	19961220
WO 1996-US20843	W	19961220
US 1999-400639	A3	19990921
US 2001-773477	A3	20010131
US 2002-58522	B3	20020128

OS MARPAT 130:196957

AB Title compds. I [m = 1-2; R3 = CN, CHO, COCH2-T1-R11, COCH2F, C:NOR9, COAr2; R5 = COR10, CO2R9, CONR102, SO2R9, SO2NHR10, COCH2OR9, COCOR10, R9, H, COCO2R10, COCONR9R10; Y = O, H2; T1 = O, S, S(O), SO2; R9 = Ar3, (un)branched C1-6 alkyl optionally unsatd. and optionally substituted with Ar3; R10 = H, Ar3, C3-6 cycloalkyl, any group R9; R11 = Ar4, (CH2)1-3Ar4, H, COAr4; R15 = OH, OAr3, NHOH, (un)branched C1-6 alkoxy optionally unsatd. and optionally substituted with Ar3, CONH2, OR5, OH, OR9, CO2H; Ar2 = (un)substituted 2-oxazolyl, 2-benzoxazolyl, 2-thiazolyl, 2-benzothiazolyl; Ar3, Ar4 = optionally substituted, nitrogen-containing heteroarom. or heterocyclic group containing 1-3 rings] were prepared as inhibitors of interleukin-1 β converting enzyme. Thus, bicyclic peptide derivative II was prepared and shown to have Ki = 13 nM in a UV-visible assay and IC50 = 11000 nM in a peripheral blood mononuclear cell (PBMC) assay.

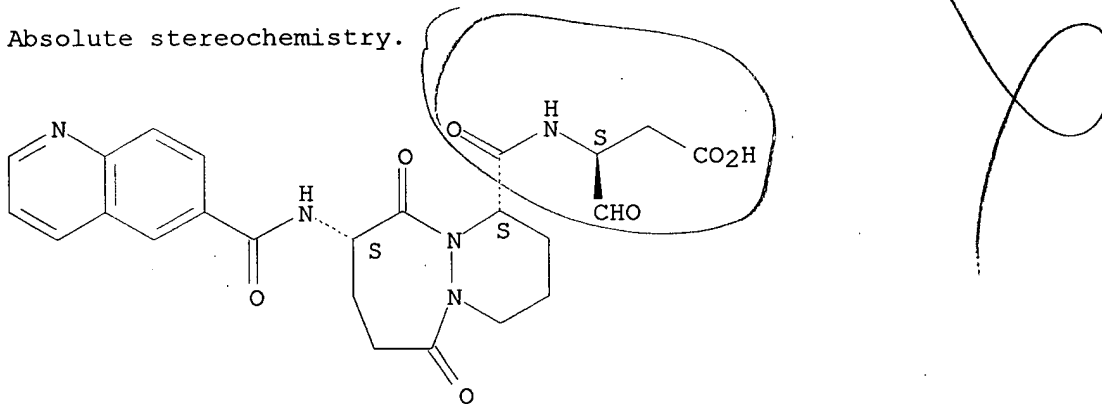
IT 192756-32-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic peptide derivs. as interleukin-1 β converting enzyme inhibitors).

RN 192756-32-4 CAPLUS

CN Butanoic acid, 3-[[[(1S,9S)-octahydro-6,10-dioxo-9-[(6-quinolinylcarbonyl)amino]-6H-pyridazino[1,2-a][1,2]diazepin-1-yl]carbonyl]amino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 145 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:35065 CAPLUS

DN 130:110166

TI Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.

IN Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer

PA Boehringer Ingelheim Pharma K.-G., Germany

SO Ger. Offen., 50 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19727117	A1	19990107	DE 1997-19727117	19970626
	CA 2288744	AA	19990107	CA 1998-2288744	19980622
	WO 9900371	A1	19990107	WO 1998-EP3800	19980622
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9887279	A1	19990119	AU 1998-87279	19980622
	EP 991624	A1	20000412	EP 1998-938621	19980622
	EP 991624	B1	20031119		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	JP 2002511088	T2	20020409	JP 1999-505265	19980622
	AT 254602	E	20031215	AT 1998-938621	19980622
	MX 9911261	A	20000630	MX 1999-11261	19991206
	US 6300342	B1	20011009	US 1999-457961	19991209
PRAI	DE 1997-19727117	A	19970626		
	WO 1998-EP3800	W	19980622		

OS MARPAT 130:110166

AB Title compds. [I; Ra = H, NO₂, amino, aminocarbonyl; Rb = cyano, aminomethyl, (substituted) amidino; Rc, Rd = H, F, Cl, Br, iodo, Me, MeO, NO₂, amino; A = (substituted) ethylene, ethylenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; W = N, CH; Y = CH₂, CO, CS], were prepared Thus, 1-[3-(4-amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid methyl-N-phenylamide (preparation given) had a thrombin time ED₂₀₀ = 0.02 μ M.

IT 6843-60-3P 219646-02-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

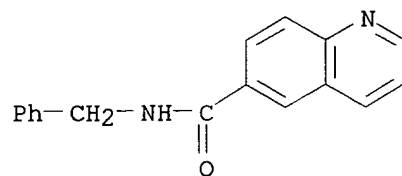
(preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)

RN 6843-60-3 CAPLUS

CN 6-Quinolinecarboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)

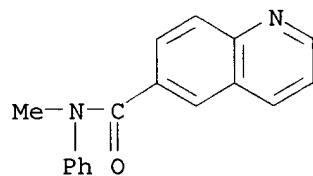
10/634,182

Same as # 216



RN 219646-02-3 CAPLUS

CN 6-Quinolinecarboxamide, N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L62 ANSWER 146 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:34887 CAPLUS

DN 130:110161

TI Preparation of substituted N-[(aminoiminomethyl or aminomethyl)phenyl]propyl amides as Factor Xa inhibitors

IN Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.; Pauls, Heinz W.; Gong, Yong; McGarry, Daniel G.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 252 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900356	A1	19990107	WO 1998-US13550	19980626
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6080767	A	20000627	US 1997-884405	19970627
	CA 2264556	AA	19990107	CA 1998-2264556	19980626
	AU 9881771	A1	19990119	AU 1998-81771	19980626
	AU 741173	B2	20011122		
	EP 931060	A1	19990728	EP 1998-931728	19980626
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
	BR 9806060	A	19990831	BR 1998-6060	19980626
	JP 2001500532	T2	20010116	JP 1999-505870	19980626
	AP 1061	A	20020424	AP 1999-1467	19980626
	W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW				
	NO 9900854	A	19990423	NO 1999-854	19990223
	NO 314758	B1	20030519		
	US 6323227	B1	20011127	US 1999-259528	19990226
PRAI	US 1997-884405	A2	19970627		
	US 1996-9485P	P	19960102		
	WO 1996-US20770	A2	19961223		
	WO 1998-US13550	W	19980626		

OS MARPAT 130:110161

AB Title compds. I [R = H, OH, NH₂; R₁ = R₂ = H; or R₁R₂ = :NR₉; R₃ = H, CO₂R₆, COR₆, CON(R₆)₂, CH₂OR₇, CH₂SR₇; R₄ = H, alkyl, alkyl-Q, thioheterocyclyl, (CH₂CH₂)_nAr, (CH:CH)_nAr, CH₂Ar; R₅ = alk(en/yn)yl, cycloalk(en)yl, heterocycl(en)yl, aryl, heteroaryl, fused systems, etc.; R₆ = H, lower alkyl; R₇ = H, lower alkyl, aralkyl, lower acyl, aroyl, heteroaroyl; R₈ = H, lower alkyl; R₉ = H, R₁₀O₂C, R₁₀O, HO, cyano, R₁₀CO, OHC, lower alkyl, O₂N, Y₁'Y₂'N; R₁₀ = alkyl, aralkyl, heteroaralkyl; Y₁', Y₂' = H, alkyl; Q = R₇O, R₇S, Y₁Y₂N; Y₁, Y₂ = H, alkyl, aryl, aralkyl; or one of Y₁ and Y₂ = acyl or aroyl and the other is as given; Ar = aryl or heteroaryl; n = 0-2] and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates, are useful as Factor Xa inhibitors. For example, 4-(pyridin-3-yl)benzoic acid was amidated with tert-Bu 3-aminopropionate-HCl via the acid chloride, and the resulting β-acylamino ester underwent a sequence of (1) α-alkylation with 5-iodo-2-[(2-methoxyethoxy)methoxy]benzyl bromide, (2) acidic deprotection

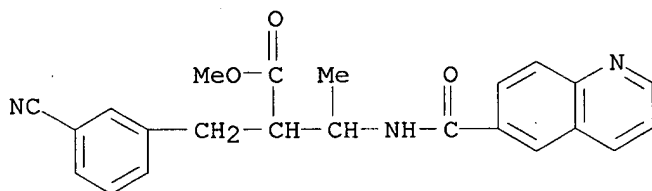
of the MEM group, and conversion to the Me ester, (3) Pd-catalyzed cyanation of the iodide, and (4) Pinner reaction and ammonolysis of the nitrile, to give title compound II. Three example compds. showed K_i values of 19.0-94.0 nM in a Factor Xa assay, 46 nM to 1.72 μ M in a trypsin assay, and 477 nM to 2.71 μ M in a thrombin assay.

IT **219670-86-7**

RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediate; preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as Factor Xa inhibitors)

RN 219670-86-7 CAPLUS

CN Benzenepropanoic acid, 3-cyano- α -[1-[(6-quinolinylcarbonyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

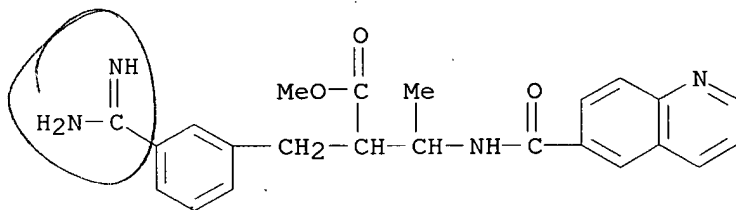


IT **219671-24-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as Factor Xa inhibitors)

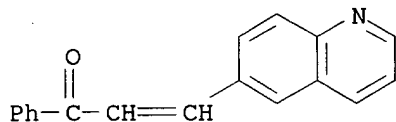
RN 219671-24-6 CAPLUS

CN Benzenepropanoic acid, 3-(aminoiminomethyl)- α -[1-[(6-quinolinylcarbonyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 147 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:794031 CAPLUS
DN 130:52123
TI Basicity of carbonyl compounds containing quinoline ring
AU Tran, Quoc Son
CS Ha Noi Natl. Univ., Vietnam
SO Hoa Hoc Va Cong Nghiep Hoa Chat (1998), (6), 14-16
CODEN: HHHCF4; ISSN: 0866-7004
PB Hoi Hoa Hoc Viet Nam
DT Journal
LA Vietnamese
AB The basicity of some carbonyl compds. containing quinoline ring was determined
by
mean of IR spectral method. The influence the structure of carbonyl
compds. upon their basicity was studied.
IT **96682-65-4**
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(basicity of carbonyl compds. containing quinoline ring)
RN 96682-65-4 CAPLUS
CN 2-Propen-1-one, 1-phenyl-3-(6-quinolinyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 148 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:745036 CAPLUS

DN 130:3775

TI Preparation of N-[2-(4-carboxamidocyclohexyl)ethyl]tetrahydroisoquinolines as dopamine D3 receptor ligands

IN Branch, Clive Leslie; Johnson, Christopher Norbert; Stemp, Geoffrey

PA Smithkline Beecham PLC, UK

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

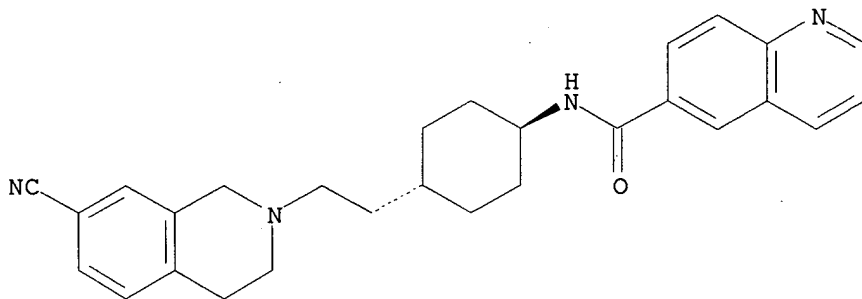
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850364	A1	19981112	WO 1998-EP2583	19980427
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2288899	AA	19981112	CA 1998-2288899	19980427
	AU 9876518	A1	19981127	AU 1998-76518	19980427
	AU 725491	B2	20001012		
	EP 983244	A1	20000308	EP 1998-924262	19980427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	TR 9902724	T2	20000421	TR 1999-9902724	19980427
	BR 9809591	A	20010911	BR 1998-9591	19980427
	JP 2002501506	T2	20020115	JP 1998-547712	19980427
	ZA 9803659	A	19991101	ZA 1998-3659	19980430
	NO 9905338	A	19991102	NO 1999-5338	19991102
	MX 9910101	A	20000430	MX 1999-10101	19991103
	US 6465485	B1	20021015	US 2000-656379	20000906
PRAI	GB 1997-8976	A	19970503		
	GB 1997-23294	A	19971104		
	WO 1998-EP2583	W	19980427		
	US 1999-423163	B1	19991102		
OS	MARPAT 130:3775				
AB	R1CH2CH2ZNR2COR (Z = 1,4-cyclohexylene) [I; R = (un)substituted Ph, -heteroaryl, (E)-CH:CHPh, etc.; R1 = benzene ring-(un)substituted 1,2,3,4-tetrahydroisoquinolin-2-yl; R2 = H or alkyl] were prepared Thus, 8-(2-hydroxyethyl)-1,4-dioxaspiro[4.5]decane was oxidized and the product reductively aminated by 7-cyano-1,2,3,4-tetrahydroisoquinoline to give, after deprotection and reductive amination, cis- and trans-2-[2-(4-aminocyclohexyl)ethyl]-7-cyano-1,2,3,4-tetrahydroisoquinoline. The latter mixture was treated with indole-2-carboxylic acid under amidation conditions to give trans-I (R = 2-indolyl, R1 = 7-cyano-1,2,3,4-tetrahydroisoquinolin-2-yl, R2 = H). Data for biol. activity of I were given.				
IT	215803-07-9P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of N-[2-(4-carboxamidocyclohexyl)ethyl]tetrahydroisoquinolines as dopamine D3 receptor ligands)				
RN	215803-07-9 CAPLUS				

CN 6-Quinolinecarboxamide, N-[trans-4-[2-(7-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 149 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:719263 CAPLUS
 DN 129:343722
 TI Preparation of heterocyclic amino acid hydrazides as protease inhibitors
 IN Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
 PA Smithkline Beecham Corp., USA
 SO PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9848799	A1	19981105	WO 1998-US8740	19980429
	W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9803522	A	19981029	ZA 1998-3522	19980428
	CA 2287989	AA	19981105	CA 1998-2287989	19980429
	AU 9873651	A1	19981124	AU 1998-73651	19980429
	TR 9902703	T2	20000221	TR 1999-9902703	19980429
	BR 9809333	A	20000704	BR 1998-9333	19980429
	EP 1019046	A1	20000719	EP 1998-920926	19980429
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	JP 2002504097	T2	20020205	JP 1998-547389	19980429
	NO 9905268	A	19991115	NO 1999-5268	19991028
	MX 9909976	A	20000430	MX 1999-9976	19991028
	US 2002049316	A1	20020425	US 2001-22713	20011217
PRAI	US 1997-45067P	P	19970429		
	WO 1998-US8740	W	19980429		
	US 1999-423059	B1	19991029		

OS MARPAT 129:343722

AB The present invention provides compds. I [L = C2-6 alkyl, Ar-C0-6 alkyl, Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; Ar = (un)substituted Ph, (un)substituted naphthyl; Het = (un)substituted 5-7-membered monocyclic or 7-10-membered bicyclic heterocycle; W = CO, SO2; X, Y, Z = independently N, O, S, CR10; R, R1, R2, R5, R10, R12 = independently H, C1-6 alkyl, C2-6 alkenyl, Ar-C0-6 alkyl, Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, CHR11Ar, CHR11OAr, NR11R12, CHR11NR12R13, heterocycle Q; R4, R11, R15 = independently any group R, C3-6 cycloalkyl-C0-6 alkyl; R7 = any group R4 except H; R4R7 form (un)substituted 3-7 membered monocyclic or 7-10 membered bicyclic ring; R6, R13 = independently R14, R14CO, R14CS, R14O2C, R14O2CNR9CHR15CO; R14 = any group R except H], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia or malignancy; and metabolic bone disease therewith. Thus, addition of cis-2,6-dimethylmorpholine with benzoyl isothiocyanate, followed by hydrolysis of the resulting benzoylthiourea and cyclocondensation with Et bromopyruvate, gave thiazole II. Conversion of II into the corresponding hydrazide with

N2H4 and condensation with N-(4-pyridinylmethoxycarbonyl)-L-leucine gave hydrazide III. Preps. for 195 addnl. hydrazides are also given.

IT 215521-97-4P

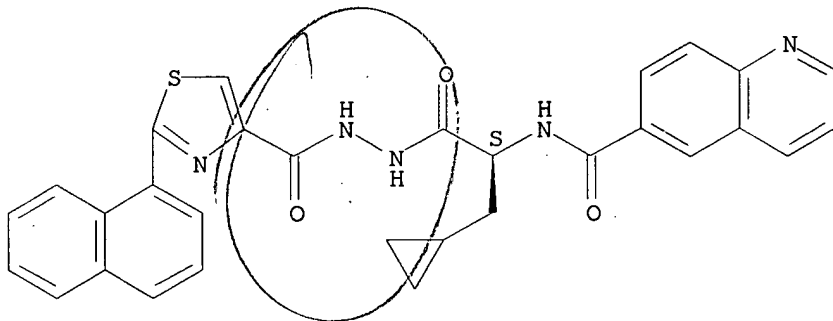
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic amino acid hydrazides as protease inhibitors)

RN 215521-97-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(1-naphthalenyl)-, 2-[(2S)-3-cyclopropyl-1-oxo-2-[(6-quinolinylcarbonyl)amino]propyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 150 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:608600 CAPLUS
 DN 129:230740
 TI Heteroaryl-hexanoic acid amide derivatives, their preparation and their
 use as selective inhibitors of MIP-1 α binding to its CCR1 receptor
 IN Brown, Matthew Frank; Kath, John Charles; Poss, Christopher Stanley
 PA Pfizer Inc., USA
 SO PCT Int. Appl., 106 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9838167	A1	19980903	WO 1998-US1568	19980205
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9861354	A1	19980918	AU 1998-61354	19980205
	AU 745687	B2	20020328		
	EP 966443	A1	19991229	EP 1998-906013	19980205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	TR 9902056	T2	20000121	TR 1999-9902056	19980205
	BR 9807858	A	20000222	BR 1998-7858	19980205
	JP 2000513740	T2	20001017	JP 1998-537644	19980205
	CA 2282834	C	20041005	CA 1998-2282834	19980205
	CA 2282834	AA	19980903		
	IL 131163	A1	20050619	IL 1998-131163	19980205
	ZA 9801602	A	19990921	ZA 1998-1602	19980226
	AP 1056	A	20020405	AP 1998-1200	19980226
	W: BW, GM, KE, MW, UG, ZM, ZW				
	BG 103688	A	20001130	BG 1999-103688	19990824
	NO 9904101	A	19990825	NO 1999-4101	19990825
	NO 313877	B1	20021216		
	US 6403587	B1	20020611	US 2000-380269	20000518
	US 2002198207	A1	20021226	US 2002-154145	20020522
PRAI	US 1997-39169P	P	19970226		
	WO 1998-US1568	W	19980205		
	US 2000-380269	A3	20000518		

OS MARPAT 129:230740

AB I [R1 = optionally substituted (C2-C9)heteroaryl; R2 = optionally substituted phenyl-(CH2)m-, naphthyl-(CH2)m-, (C3-C10)cycloalkyl-(CH2)m-, (C1-C6)alkyl or (C2-C9)heteroaryl-(CH2)m-; m = integer from zero to four; R3 = H, optionally substituted (C1-C10)alkyl, (C3-C10)cycloalkyl-(CH2)n-, (C2-C9)heterocycloalkyl-(CH2)n-, (C2-C9)heteroaryl-(CH2)n-, aryl-(CH2)n-; n = integer from zero to six; R3 and the carbon to which it is attached form an optionally substituted and/or fused five to seven membered carbocyclic ring; R4 = H, (C1-C6)alkyl, hydroxy, (C1-C6)alkoxy, hydroxy-(C1-C6)alkyl, (C1-C6)alkoxyCO, (C3-C10)cycloalkyl-(CH2)p-, optionally substituted (C2-C9)heterocycloalkyl-(CH2)p-, (C2-C9)heteroaryl-(CH2)p-, phenyl-(CH2)p- or naphthyl-(CH2)p-, p = integer from zero to four; R4 and R5 together with the nitrogen atom to which they

are attached from an optionally substituted (C2-C9)heterocycloalkyl group; R5 = H, (C1-C6)alkyl, amino] were prepared The present compds. are potent and selective inhibitors of MIP-1 α binding to its receptor CCR1, and are thus useful to treat inflammation and other immune disorders. E.g., quinoxaline-2-carboxylic acid [1(S)-benzyl-4(R)-benzylcarbamoyl-7-fluoro-2(S)-hydroxy-7-methyloctyl]amide was prepared

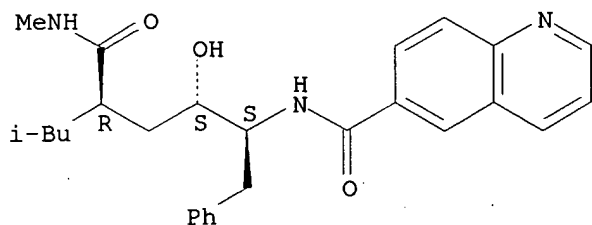
IT 212787-88-7P 212789-84-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl-substituted hexanamides and their use as selective inhibitors of MIP-1 α binding to its CCR1 receptor)

RN 212787-88-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

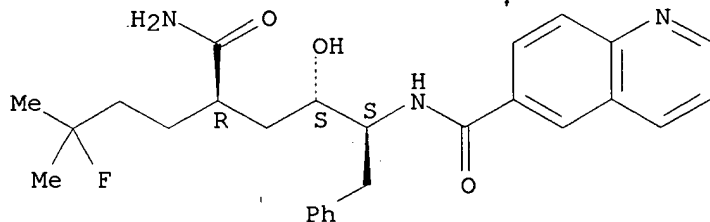
Absolute stereochemistry.



RN 212789-84-9 CAPLUS

CN 6-Quinolinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 151 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:402403 CAPLUS
 DN 129:81964
 TI Preparation and use of ketobenzamides as calpain inhibitors
 IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg
 PA BASF A.-G., Germany; Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg
 SO PCT Int. Appl., 64 pp.
 CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9825883	A1	19980618	WO 1997-EP6655	19971128
	W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2274464	AA	19980618	CA 1997-2274464	19971128
	AU 9857523	A1	19980703	AU 1998-57523	19971128
	AU 721620	B2	20000713		
	EP 944582	A1	19990929	EP 1997-953714	19971128
	EP 944582	B1	20030702		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	CN 1245486	A	20000223	CN 1997-181748	19971128
	NZ 335981	A	20000428	NZ 1997-335981	19971128
	BR 9713704	A	20000509	BR 1997-13704	19971128
	JP 2001506614	T2	20010522	JP 1998-526156	19971128
	RU 2190599	C2	20021010	RU 1999-115765	19971128
	SK 282680	B6	20021106	SK 1999-745	19971128
	AT 244216	E	20030715	AT 1997-953714	19971128
	ES 2202663	T3	20040401	ES 1997-953714	19971128
	HR 970680	B1	20020831	HR 1997-970680	19971210
	ZA 9711141	A	19990611	ZA 1997-11141	19971211
	TW 536530	B	20030611	TW 1997-86118865	19971211
	US 6103720	A	20000815	US 1999-319511	19990608
	NO 9902821	A	19990611	NO 1999-2821	19990610
	KR 2000057495	A	20000915	KR 1999-705172	19990610
	BG 63382	B1	20011231	BG 1999-103485	19990611
PRAI	DE 1996-19651316	A	19961211		
	WO 1997-EP6655	W	19971128		

OS MARPAT 129:81964

AB The invention concerns ketobenzamides of formula R1X(R2)n-C6H3-CONHCH(R3)COCOR4 [(I) R1 = Ph, naphthyl, (substituted)(hetero)cycle; R2 = Cl, Br, F, NO2, NH2, NHR5, CO2H, (substituted)-alkyl, -alkenyl, -alkynyl, R5 = CO-alkyl, CPh, CO-C10H7, SO2-alkyl, CO-alkoxy, ureido, alkoxy; R3 = (substituted) alkyl; X = (substituted)(functionalized)chain from 0-10 atoms, or R2-substituted-C6H3; R4 = OH, (substituted)alkoxy, (substituted)NH2, heterocyclic ring], useful as calpain inhibitors. The invention further concerns their preparation. The novel compds. are suitable for combating diseases. Thus, 3(S)-3-amino-2-hydroxy-4-phenylbutyric acid Me ester was condensed with 2-phenylbenzoic acid to give (S)-I [R1 = Ph; X = null; n = 0; R3 = CH2Ph; R4 = OMe(II)]. In in vitro calpain-inhibition tests, II had KI of <10µM.

IT 209174-27-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

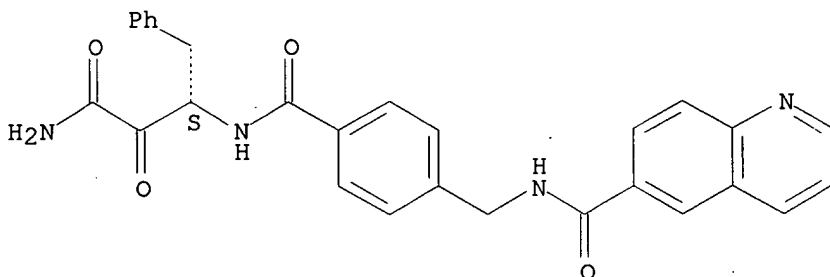
study); PREP (Preparation)

(preparation and use of ketobenzamides as calpain inhibitors)

RN 209174-27-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[[[(1S)-3-amino-2,3-dioxo-1-(phenylmethyl)propyl]amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 209174-26-5P

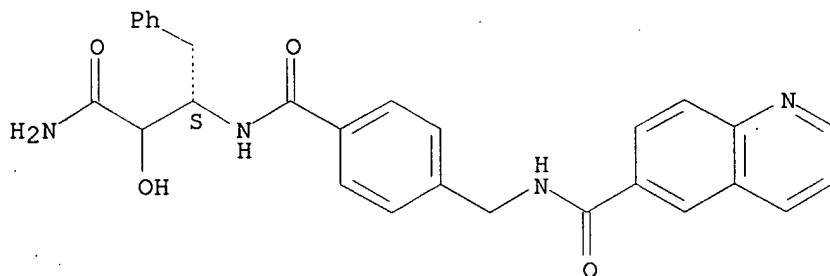
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and use of ketobenzamides as calpain inhibitors)

RN 209174-26-5 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[[[(1S)-3-amino-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 152 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:366902 CAPLUS
 DN 129:95402
 TI Preparation of benzamide derivatives as anticancer agents
 IN Suzuki, Tsuneji; Ando, Tomoyuki; Tsuchiya, Katsutoshi; Nakanishi, Tadashi;
 Saito, Akashi; Yamashita, Satoshi; Shiraishi, Gengo; Tanaka, Eiji
 PA Mitsui Toatsu Chemicals, Inc., Japan
 SO Jpn. Kokai Tokkyo Koho, 79 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10152462	A2	19980609	JP 1997-260277	19970925
	JP 3354090	B2	20021209		
	JP 2002332267	A2	20021122	JP 2002-50102	19970925
	US 6174905	B1	20010116	US 1997-935087	19970926
	EP 847992	A1	19980617	EP 1997-307679	19970930
	EP 847992	B1	20040623		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EP 1437346	A1	20040714	EP 2004-8185	19970930
	R: CH, DE, ES, FR, GB, IT, LI, NL, SE, FI				
	ES 2218645	T3	20041116	ES 1997-307679	19970930
	US 6794392	B1	20040921	US 1999-417216	19991013
	US 2004147569	A1	20040729	US 2004-753365	20040109
PRAI	JP 1996-258863	A	19960930		
	JP 1997-260277	A3	19970925		
	US 1997-935087	A3	19970926		
	EP 1997-307679	A3	19970930		
	US 1999-417216	A3	19991013		

OS MARPAT 129:95402

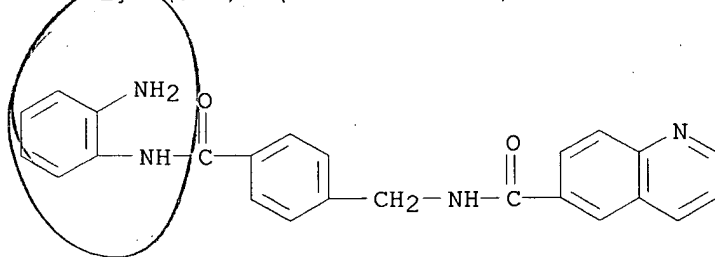
AB The title compds. [I; A = (un)substituted Ph or heterocyclyl, etc.; X = alkylene, R4WR5, etc.; W = O, S, CO, etc.; R1, R2 = H, halo, OH, NH2, alkyl, etc.; R3 = OH, NH2; R4, R5 = alkylene; n = 0-4; Q = CONR7, NR7CO, OCONR7, etc.; R7 = H, (un)substituted alkylene, etc.] are prepared I are useful as anticancer agents. Thus, 4-aminomethyl-N-[2-(N-tert-butoxycarbonyl)aminophenyl]benzamide (preparation given) was reacted with C6H5COCl in the presence of pyridine and followed by treatment with 4N HCl to give the title compound (II), which showed differentiation induction ALPmin (alkaline phosphatase) of 1 μ M when tested with human A2780 cell.

IT 209783-69-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzamide derivs. as anticancer agents)

RN 209783-69-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[[4-[(2-aminophenyl)amino]carbonyl]phenyl]methy
 I]-(9CI) (CA INDEX NAME)



L62 ANSWER 153 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:229721 CAPLUS

DN 128:270243

TI What Is the Mechanism of Catalysis of Ester Aminolysis by Weak Amine Bases? Comparison of Experimental Studies and Theoretical Investigation of the Aminolysis of Substituted Phenyl Esters of Quinoline-6- and -8-Carboxylic Acids

AU Adalsteinsson, Helgi; Bruice, Thomas C.

CS Department of Chemistry, University of California at Santa Barbara, Santa Barbara, CA, 93106, USA

SO Journal of the American Chemical Society (1998), 120(14), 3440-3447
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB The mechanisms of aminolysis of substituted Ph quinoline-8- and -6-carboxylates (Q-8 and Q-6) were evaluated using AM1 semiempirical and HF/6-31+G(d) ab initio quantum mech. methods to study the ammonolysis of the model systems vinyl cis-3-(methyleamino)acrylate (M1), cis-2-hydroxyvinyl cis-3-(methyleamino)acrylate (M2), and vinyl trans-3-(methyleamino)acrylate (M3). Both exptl. and computational results support the formation of a tetrahedral intermediate in the reaction. The imine nitrogens of the Q-8, M1, and M2 esters are in position to catalyze aminolysis of the esters, whereas the imine nitrogens of the Q-6 and M3 esters are not. Ammonia attack on the M1 and M2 esters occurs at a hydrogen bonding distance above the imine nitrogen. This hydrogen bond prevails in the tetrahedral intermediate and during alkoxide departure. In a sequential step prior to diffusion apart, the very acidic N-protonated amide protonates the leaving alkoxide. Abstraction of the proton from the -NH3+ substituent of the zwitterionic tetrahedral intermediate by imine nitrogen is thermodynamically highly unfavorable. The previously proposed proton slide mechanism involving catalysis by the imine nitrogen of intramol. proton migration converting R-C(OR')(O-)(NH3+) to R-C(OR')(OH)(NH2) is not supported by the present study. The results of this study are fully consistent with the exptl. observations for the aminolyses of substituted Q-8 and Q-6 esters.

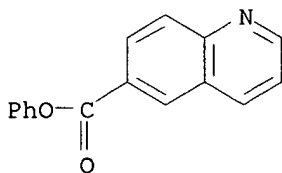
IT 53329-25-2D, derivs.

RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)

(theor. model studies on the mechanism of intramol. catalysis of aminolysis of substituted Ph esters of quinoline-8-carboxylic acid)

RN 53329-25-2 CAPLUS

CN 6-Quinolinecarboxylic acid, phenyl ester (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 154 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1997:776166 CAPLUS
 DN 128:48236
 TI Preparation of 4-aminoalkoxy-2-quinolones and analogs as gonadotropin releasing hormone antagonists
 IN Goulet, Mark; Allen, Eric E.; Devita, Robert J.; Jiang, Jinlong; Walsh, Thomas F.; Young, Jonathan R.; Wyvratt, Matthew J., Jr.; Toupence, Richard B.; Ujjainwalla, Feroze; et al.
 PA Merck & Co., Inc., USA; Goulet, Mark; Allen, Eric E.; Devita, Robert J.; Jiang, Jinlong; Walsh, Thomas F.; Young, Jonathan R.; Wyvratt, Matthew J., Jr.; Toupence, Richard B.
 SO PCT Int. Appl., 150 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9744339	A1	19971127	WO 1997-US8432	19970516
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2254769	AA	19971127	CA 1997-2254769	19970516
	AU 9730089	A1	19971209	AU 1997-30089	19970516
	AU 710926	B2	19990930		
	EP 901489	A1	19990317	EP 1997-924758	19970516
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000511532	T2	20000905	JP 1997-542616	19970516
	ZA 9704321	A	19971120	ZA 1997-4321	19970519
	US 6150352	A	20001121	US 1998-180662	19981112
PRAI	US 1996-17959P	P	19960520		
	GB 1996-12796	A	19960619		
	WO 1997-US8432	W	19970516		

OS MARPAT 128:48236

AB Title compds. [I; R = Z1Z2CR9R9aZ3NR10R11; R1 = H, (ar)alkyl, aryl, etc.; R2 = (un)substituted Ph; R5-R8 = H, halo, alkyl, (hetero)aryl, etc.; CR9, R9a, R10 = H, (ar)alkyl, aryl, etc.; R9R10 = atoms to complete a ring; R11 = H, alkyl, alkoxycarbonyl(alkyl), etc.; Z1 = bond, O, SOO-2, CH2, (alkyl)imino, etc.; Z2 = bond, C1-C6 alkyl (sic), C1-C6 alkoxy (sic), etc.; Z3 = bond, substituted C1-C6 alkyl (sic)] were prepared as gonadotropin releasing hormone antagonists (no data). Thus, 4,2-Cl(AcHN)C6H3CO2Me 5-iodinated and deacetylated and the product N-acylated by 3,5-Me2C6H3COCl to give, after allylation and cyclization, I (R1 = R5 = R8 = H, R2 = C6H3Me2-3,5, R7 = Cl) (II; R = OH, R6 = allyl) which was etherified by 1-tert-butoxycarbonyl-2-piperidineethanol to give II [R = 2-(1-tert-butoxycarbonyl-2-piperidinyl)ethoxy, R6 = allyl]. The latter was oxidized and the product amidated by pyrrolidine to give, after deprotection, II [R = 2-(2-piperidinyl)ethoxy, R6 = pyrrolidinocarbonyl].

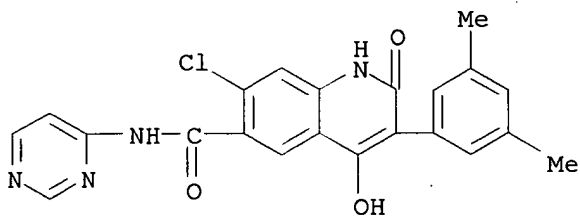
IT 199862-10-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-aminoalkoxy-2-quinolones and analogs as gonadotropin releasing hormone antagonists)

RN 199862-10-7 CAPLUS

CN 6-Quinolinecarboxamide, 7-chloro-3-(3,5-dimethylphenyl)-1,2-dihydro-4-hydroxy-2-oxo-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



L62 ANSWER 155 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:502830 CAPLUS

DN 127:122000

TI Inhibitors of interleukin-1 β converting enzyme

IN Batchelor, Mark J.; Bebbington, David; Bemis, Guy W.; Fridman, Wolf
 Herman; Gillespie, Roger J.; Golec, Julian M. C.; Gu, Yong; Lauffer, David
 J.; Livingston, David J.; Matharu, Saroop S.; Mullican, Michael D.;
 Murcko, Mark A.; Murdoch, Robert; Nyce, Philip L.; Robidoux, Andrea L. C.;
 et al.

PA USA

SO PCT Int. Appl., 946 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9722619	A2	19970626	WO 1996-US20843	19961220
	WO 9722619	A3	19971016		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,				
	RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,				
	AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,				
	IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,				
	MR, NE, SN, TD, TG				
	US 6008217	A	19991228	US 1995-575641	19951220
	US 5874424	A	19990223	US 1996-598332	19960208
	US 5985863	A	19991116	US 1996-712878	19960912
	US 6204261	B1	20010320	US 1996-761483	19961206
	CA 2239904	AA	19970626	CA 1996-2239904	19961220
	AU 9715222	A1	19970714	AU 1997-15222	19961220
	AU 735075	B2	20010628		
	EP 869967	A2	19981014	EP 1996-945318	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO				
	BR 9612258	A	19990713	BR 1996-12258	19961220
	NZ 326610	A	20000825	NZ 1996-326610	19961220
	JP 2002507961	T2	20020312	JP 1997-523098	19961220
	TW 541309	B	20030711	TW 1996-85115799	19961220
	RU 2249598	C2	20050410	RU 1998-113931	19961220
	NO 9802597	A	19980812	NO 1998-2597	19980605
	AU 756253	B2	20030109	AU 2001-76122	20010928
PRAI	US 1995-575641	A	19951220		
	US 1996-598332	A	19960208		
	US 1996-712878	A	19960912		
	US 1996-31495P	P	19961126		
	US 1996-761483	A	19961206		
	AU 1997-15222	A3	19961220		
	WO 1996-US20843	W	19961220		
OS	MARPAT 127:122000				
AB	Compds. R(CH ₂) _n CH(NHR ₁)(CR ₂₂)mR ₃ [R = NC, R ₄ CH:CH, R ₄ ON:CH, R ₄ CR ₂₂ , etc. where R ₂ is independently selected from H, OH, F and R ₄ is (un)substituted alkyl; R ₁ = R ₅ NHCHR ₆ CONR ₇ CHR ₈ CO, where CHR ₆ CONR ₇ is a 2-oxoazepine ring substituted by benzo, pyrido, thieno, or related rings at the 6,7-position and optionally may have O, NH, S, SO, or SO ₂ at the 5-position, R ₅ and R ₈ are H, cyclic group, etc.; R ₃ = OH, COCOCO ₂ H, CO ₂ H, or any bioisosteric				

replacement for CO₂H; m = 0, 1, 2; n = 0, 1] were prepared as inhibitors of interleukin-1 β converting enzyme. Thus, [1S,9S(2RS,3S)]-9-benzoylamino-6,10-dioxo-1,2,3,4,7,8,9,10-octahydro-N-(2-benzyloxy-5-oxotetrahydrofuran-3-yl)-6H-pyridazino[1,2-a][1,2]diazepine-1-carboxamide was prepared and shown to have IC₅₀ values of 900 and 600 nM, resp., in the peripheral blood mononuclear cell (PBMC) and whole human blood assays.

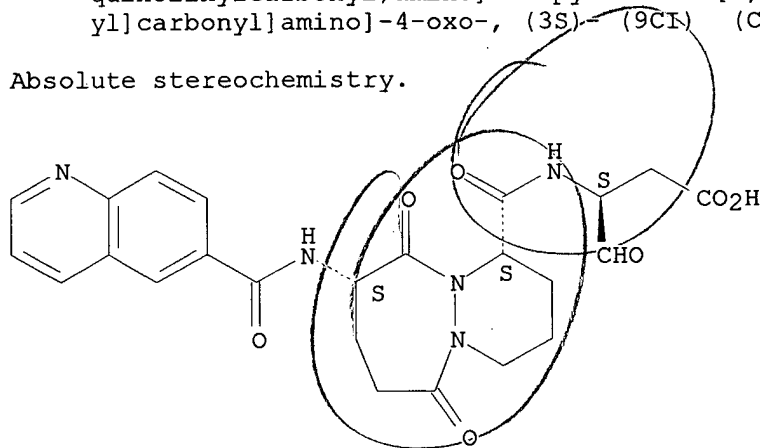
IT **192756-32-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of interleukin-1 β converting enzyme)

RN 192756-32-4 CAPLUS

CN Butanoic acid, 3-[[[(1S,9S)-octahydro-6,10-dioxo-9-[(6-quinolinylcarbonyl)amino]-6H-pyridazino[1,2-a][1,2]diazepin-1-yl]carbonyl]amino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



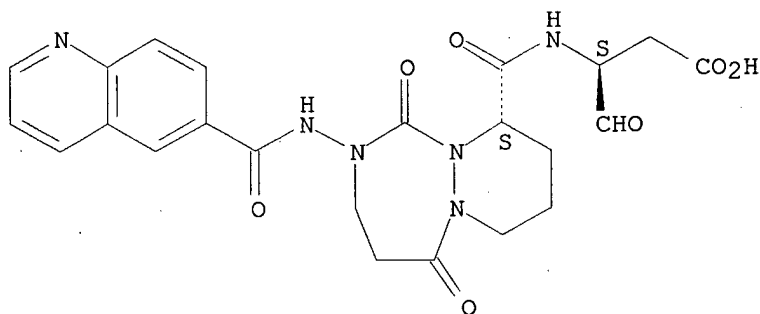
IT **192761-44-7P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of interleukin-1 β converting enzyme)

RN 192761-44-7 CAPLUS

CN Butanoic acid, 3-[[[octahydro-1,5-dioxo-2-[(6-quinolinylcarbonyl)amino]-1H-pyridazino[1,2-a][1,2,4]triazepin-10-yl]carbonyl]amino]-4-oxo-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 156 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:494173 CAPLUS

DN 125:143330

TI Peptide compounds for prevention and/or treatment of nitric oxide
(NO)-mediated diseasesIN Itoh, Yoshikuni; Iwamoto, Toshiro; Yatabe, Takumi; Hamashima, Hitoshi;
Inoue, Takayuki; Hashimoto, Seiji; Oku, Teruo

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 739 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9616981	A2	19960606	WO 1995-JP2428	19951129
	WO 9616981	A3	19960906		
	W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
	BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9539937	A1	19960619	AU 1995-39937	19951129
	EP 796270	A2	19970924	EP 1995-938602	19951129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	ZA 9510201	A	19960625	ZA 1995-10201	19951130
	US 5932737	A	19990803	US 1997-849076	19970530
PRAI	GB 1994-24408	A	19941202		
	GB 1995-4891	A	19950310		
	GB 1995-10042	A	19950518		
	WO 1995-JP2428	W	19951129		

OS MARPAT 125:143330

AB Peptides WA1NR8CH(A2T)CONR9CH(A3R3)R4 [W = alkyl, (un)substituted aryl or fluorenyl, etc.; A1 = alkylene, NHCO, CO, CS, SO2; A2 = alkylene; T = H, aryl, heterocyclyl, OH, etc.; R8 = H, alkyl; R8 may link with A2T to form CH2C6H4CH2-o (Q); A3 = bond, alkylene; R3 = H, aryl, OH, etc.; R9 = H, alkyl or may link with A3R3 to form Q; R4 = CO2H, protected carboxy, carboxamido, etc. or CH(A3R3)R4 = N-alkyl-2-oxoquinoline moiety] or their pharmaceutically acceptable salts were prepared for use as medicaments. Thus, dipeptide I was prepared by acylation of aspartylphenylalaninamide derivative with 2-benzofurancarboxylic acid. I and six other peptides showed 100% inhibition of NO production in tests of murine macrophage cells.

IT 179876-33-6P 179876-59-6P 179876-91-6P

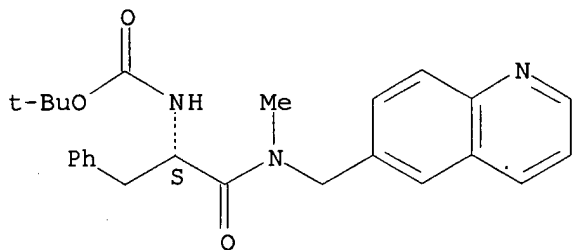
179877-37-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)(preparation of peptides for prevention and/or treatment of nitric
oxide-mediated diseases)

RN 179876-33-6 CAPLUS

CN Carbamic acid, [2-[methyl(6-quinolinylmethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX
NAME)

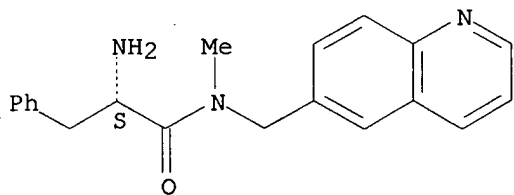
Absolute stereochemistry.



RN 179876-59-6 CAPLUS

CN Benzenepropanamide, α -amino-N-methyl-N-(6-quinolinylmethyl)-, (S)-
(9CI) (CA INDEX NAME)

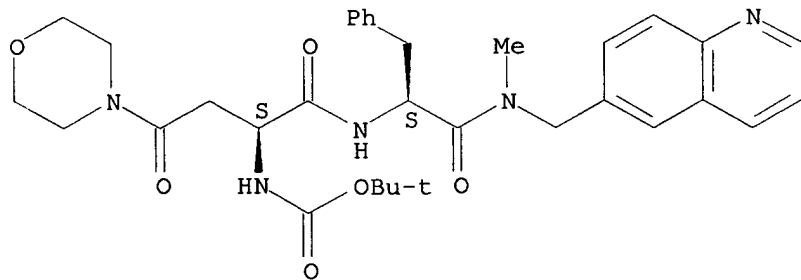
Absolute stereochemistry.



RN 179876-91-6 CAPLUS

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-4-(4-morpholinyl)-4-oxo-L-2-aminobutanoyl-N-methyl-N-(6-quinolinylmethyl)- (9CI) (CA INDEX NAME)

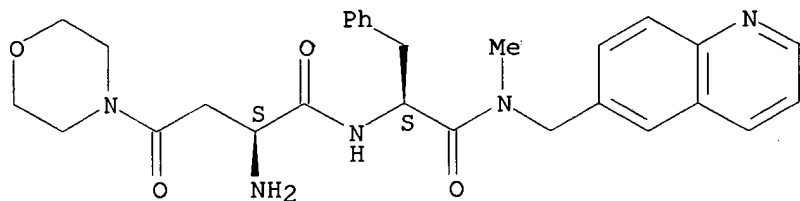
Absolute stereochemistry.



RN 179877-37-3 CAPLUS

CN L-Phenylalaninamide, 4-(4-morpholinyl)-4-oxo-L-2-aminobutanoyl-N-methyl-N-(6-quinolinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



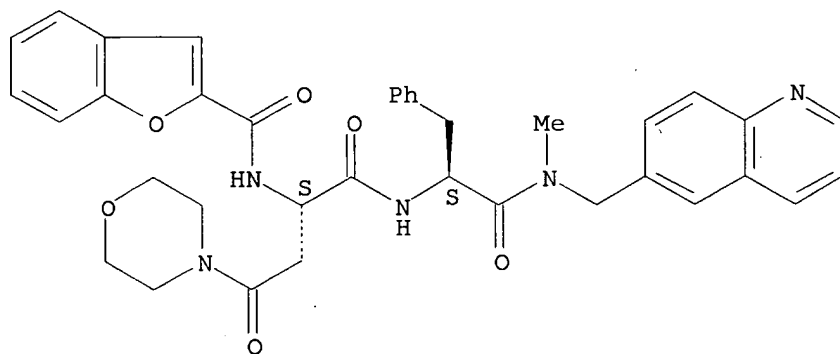
IT 179881-95-9P 179881-96-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of peptides for prevention and/or treatment of nitric
oxide-mediated diseases)

RN 179881-95-9 CAPLUS

CN L-Phenylalaninamide, N-(2-benzofuranylcarbonyl)-4-(4-morpholinyl)-4-oxo-L-2-aminobutanoyl-N-methyl-N-(6-quinolinylmethyl)- (9CI) (CA INDEX NAME)

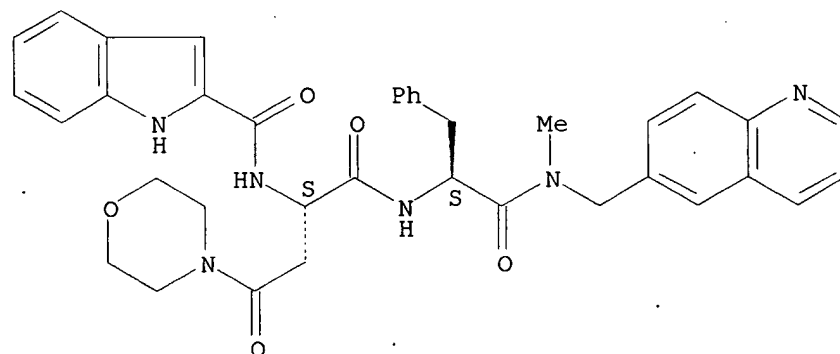
Absolute stereochemistry.



RN 179881-96-0 CAPLUS

CN L-Phenylalaninamide, N-(1H-indol-2-ylcarbonyl)-4-(4-morpholinyl)-4-oxo-L-2-aminobutanoyl-N-methyl-N-(6-quinolinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 157 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1996:466907 CAPLUS
 DN 125:127905
 TI Ethynylene compound for liquid-crystal composition and liquid-crystal display element using same
 IN Takiguchi, Takao; Iwaki, Takashi; Tokano, Goji; Yamada, Yoko; Nakamura, Shinichi
 PA Canon Kk, Japan
 SO Jpn. Kokai Tokkyo Koho, 35 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08109145	A2	19960430	JP 1994-271847	19941012
PRAI	JP 1994-271847		19941012		

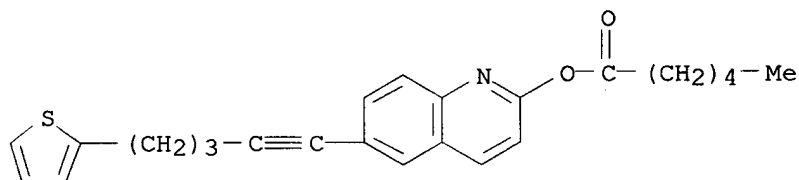
AB Claimed is an ethynylene compound such as 1-(5-decyloxypyrimidine-2-yl)-4-(4-phenyl-1-butynyl)benzene. A liquid-crystal composition contains an ethynylene compound, and a liquid-crystal display element comprises the above liquid-crystal composition layer enclosed between a pair of electrode substrates.

IT 179317-31-8

RL: DEV (Device component use); USES (Uses)
 (liquid-crystal composition from)

RN 179317-31-8 CAPLUS

CN Hexanoic acid, 6-[5-(2-thienyl)-1-pentynyl]-2-quinolinyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 158 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1996:452009 CAPLUS
 DN 125:114721
 TI Diazepino-indoles as phosphodiesterase IV inhibitors.
 IN Pascal, Yves; Moodley, Indres; Calvet, Alain; Junien, Jean-Louis; Dahl,
 Svein G.
 PA Institut De Recherche Jouveinal, Fr.
 SO PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611690	A1	19960425	WO 1995-FR1354	19951013
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	FR 2725719	A1	19960419	FR 1994-12282	19941014
	FR 2725719	B1	19961206		
	US 5852190	A	19981222	US 1995-391865	19950222
	CA 2200628	AA	19960425	CA 1995-2200628	19951013
	AU 9537494	A1	19960506	AU 1995-37494	19951013
	AU 703773	B2	19990401		
	ZA 9508669	A	19970414	ZA 1995-8669	19951013
	EP 785789	A1	19970730	EP 1995-935495	19951013
	EP 785789	B1	20020911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1160352	A	19970924	CN 1995-195634	19951013
	CN 1097459	B	20030101		
	BR 9509353	A	19971230	BR 1995-9353	19951013
	HU 77411	A2	19980428	HU 1997-2065	19951013
	JP 10507447	T2	19980721	JP 1996-512999	19951013
	NZ 294642	A	20010629	NZ 1995-294642	19951013
	RU 2174517	C2	20011010	RU 1997-108048	19951013
	AT 223720	E	20020915	AT 1995-935495	19951013
	SK 282766	B6	20021203	SK 1997-448	19951013
	PT 785789	T	20021231	PT 1995-935495	19951013
	ES 2181793	T3	20030301	ES 1995-935495	19951013
	NO 9701687	A	19970613	NO 1997-1687	19970411
PRAI	FR 1994-12282	A	19941014		
	WO 1995-FR1354	W	19951013		

OS MARPAT 125:114721

AB Diazepinoindole derivs. I [R = H, alkyl, or alkoxy; A = mono- to trisubstituted aryl or heteroaryl] and their racemic forms, enantiomers, and pharmaceutically acceptable salts, including novel compds., are useful for treatment of disorders requiring therapy with phosphodiesterase IV (PDE IV) inhibitors. Examples include preps. of approx. 75 I and 15 precursors, plus a general tablet formulation, and several bioassays of selected compds. For instance, amidation of 3-amino-1-phenyl-6,7-dihydro-3H-[1,4]diazepino[6,7,1-hi]indol-4-one with imidazo[1,2-a]pyridine-2-carboxylic acid, using the reagent PyBrop and Et3N in THF, gave 71% title compound II. In a test for inhibition of guinea pig tracheal PDE IV in vitro, I were approx. 2-3 times as active as rolipram, e.g., 3.7 times in the case of II. Another compound showed no oral toxicity in rats at 100

mg/kg/day, and 2 other compds. showed no emetic effects in dogs at 3 mg/kg i.v.

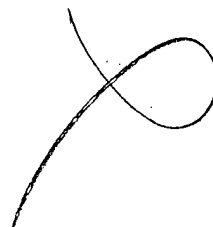
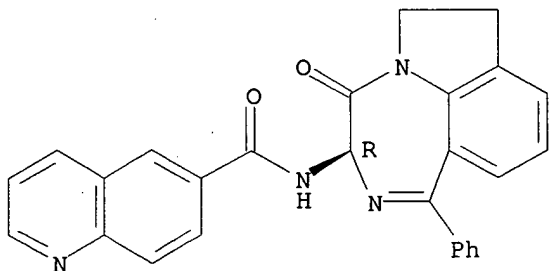
IT 179023-95-1P 179024-10-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diazepinoindoles as phosphodiesterase IV inhibitors)

RN 179023-95-1 CAPLUS

CN 6-Quinolinecarboxamide, N-(3,4,6,7-tetrahydro-4-oxo-1-phenylpyrrolo[3,2,1-jk][1,4]benzodiazepin-3-yl)-, (R)- (9CI) (CA INDEX NAME)

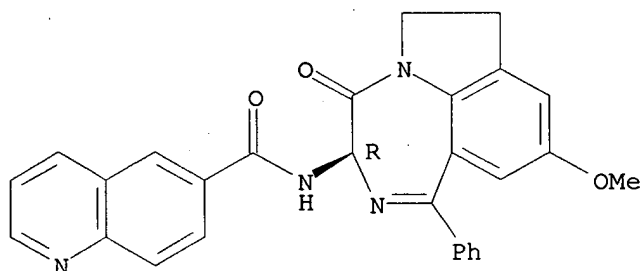
Absolute stereochemistry. Rotation (+).



RN 179024-10-3 CAPLUS

CN 6-Quinolinecarboxamide, N-(3,4,6,7-tetrahydro-9-methoxy-4-oxo-1-phenylpyrrolo[3,2,1-jk][1,4]benzodiazepin-3-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L62 ANSWER 159 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:71553 CAPLUS

DN 124:261073

TI Bis mono- and bicyclic aryl and heteroaryl compounds which inhibit EGF and/or PDGF receptor tyrosine kinase

IN Spada, Alfred P.; Myers, Michael R.; Maguire, Martin P.; Persons, Paul E.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO U.S., 33 pp. Cont.-in-part of U.S. Ser. No. 988,515, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5480883	A	19960102	US 1993-166199	19931210
	US 5710158	A	19980120	US 1994-229886	19940419
	WO 9515758	A1	19950615	WO 1994-US14180	19941208
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9513050	A1	19950627	AU 1995-13050	19941208
	EP 871448	A1	19981021	EP 1995-904308	19941208
	EP 871448	B1	20050302		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	EP 1488792	A2	20041222	EP 2004-19772	19941208
	EP 1488792	A3	20050105		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	AT 289814	E	20050315	AT 1995-904308	19941208
	PT 871448	T	20050531	PT 1995-904308	19941208
	ES 2236701	T3	20050716	ES 1995-904308	19941208
	US 5656643	A	19970812	US 1995-385258	19950208
	US 5795889	A	19980818	US 1995-386271	19950209
	US 5646153	A	19970708	US 1995-439027	19950511
	US 6645969	B1	20031111	US 1995-521852	19950518
	US 5721237	A	19980224	US 1995-469147	19950606
	US 5714493	A	19980203	US 1996-652444	19960604
	US 6057320	A	20000502	US 1997-881991	19970625
	US 36256	E	19990720	US 1997-988005	19971210
	AU 739382	B2	20011011	AU 1999-65543	19991230
	AU 9965543	A1	20000323		
	US 37650	E	20020409	US 2000-496399	20000202
	US 2004014774	A1	20040122	US 2003-617342	20030710
PRAI	US 1991-698420	B2	19910510		
	US 1992-988515	B2	19921210		
	WO 1992-US3736	A2	19920506		
	US 1993-146072	A3	19931108		
	US 1993-166199	A2	19931210		
	US 1994-229886	A	19940419		
	EP 1995-904308	A3	19941208		
	WO 1994-US14180	W	19941208		
	US 1995-439027	A3	19950511		
	US 1995-521852	A3	19950518		
	US 1996-652444	A5	19960604		
OS	MARPAT 124:261073				
AB	The invention relates to bis mono- and/or bicyclic aryl and/or heteroaryl				

comps. Ar1XAr2 [I; Ar1, Ar2 = (un)substituted mono- or bicyclic rings with 0-3 substituents; X = (CHR1)0-4 or (CHR1)mZ(CHR1)n; Z = O, NR2, S, SO, SO2; m, n = 0-3; R1, R2 = H, alkyl] exhibiting protein tyrosine kinase inhibition activity. I inhibit abnormal cell proliferation in proliferative disorders by selectively inhibiting EGF and/or PDGF receptor. Approx. 300 comps. I are listed with characterizing data, and biol. data for selected comps. are given. For example, m-ClC6H4OH was treated with NaH in THF, followed by 4-chloro-6,7-dimethoxyquinazoline, to give title compound II. The claimed quinoxaline derivative III inhibited PDGF-R

cell-free autophosphorylation with an IC50 of 0.02-0.05 μ M.

IT 174891-31-7P 174891-86-2P 174891-88-4P

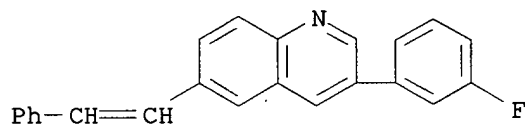
174891-90-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bis mono- and bicyclic aryl and heteroaryl comps. as protein tyrosine kinase inhibitors)

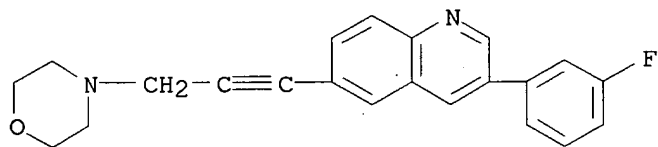
RN 174891-31-7 CAPLUS

CN Quinoline, 3-(3-fluorophenyl)-6-(2-phenylethenyl)- (9CI) (CA INDEX NAME)



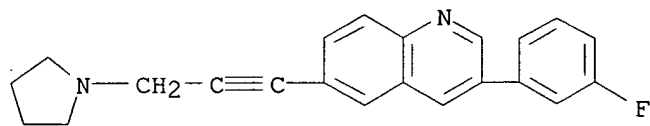
RN 174891-86-2 CAPLUS

CN Quinoline, 3-(3-fluorophenyl)-6-[3-(4-morpholinyl)-1-propynyl]- (9CI) (CA INDEX NAME)



RN 174891-88-4 CAPLUS

CN Quinoline, 3-(3-fluorophenyl)-6-[3-(1-pyrrolidinyl)-1-propynyl]-, dihydrochloride (9CI) (CA INDEX NAME)

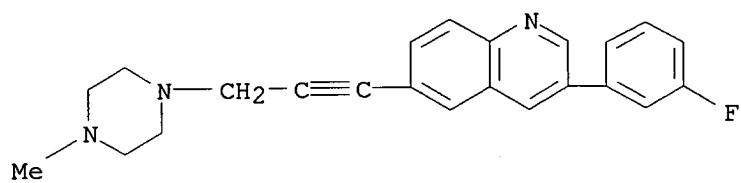


●2 HCl

RN 174891-90-8 CAPLUS

CN Quinoline, 3-(3-fluorophenyl)-6-[3-(4-methyl-1-piperazinyl)-1-propynyl]-

(9CI) (CA INDEX NAME)



L62 ANSWER 160 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1995:403382 CAPLUS
 DN 122:265179
 TI Heterocyclic esters of rapamycin
 IN Nelson, Frances C.; Schiehser, Guy A.
 PA American Home Products Corp., USA
 SO U.S., 11 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5385909	A	19950131	US 1993-156208	19931122
	CA 2176961	AA	19950601	CA 1994-2176961	19941116
	WO 9514697	A1	19950601	WO 1994-US13411	19941116
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9510571	A1	19950613	AU 1995-10571	19941116
	EP 730597	A1	19960911	EP 1995-901258	19941116
	EP 730597	B1	20010307		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09505596	T2	19970603	JP 1994-515166	19941116
	AT 199555	E	20010315	AT 1995-901258	19941116
	ES 2154720	T3	20010416	ES 1995-901258	19941116
	PT 730597	T	20010629	PT 1995-901258	19941116
	HK 1011354	A1	20010622	HK 1998-112278	19981124
	GR 3035835	T3	20010831	GR 2001-400683	20010507
PRAI	US 1993-156208	A	19931122		
	WO 1994-US13411	W	19941116		

OS MARPAT 122:265179

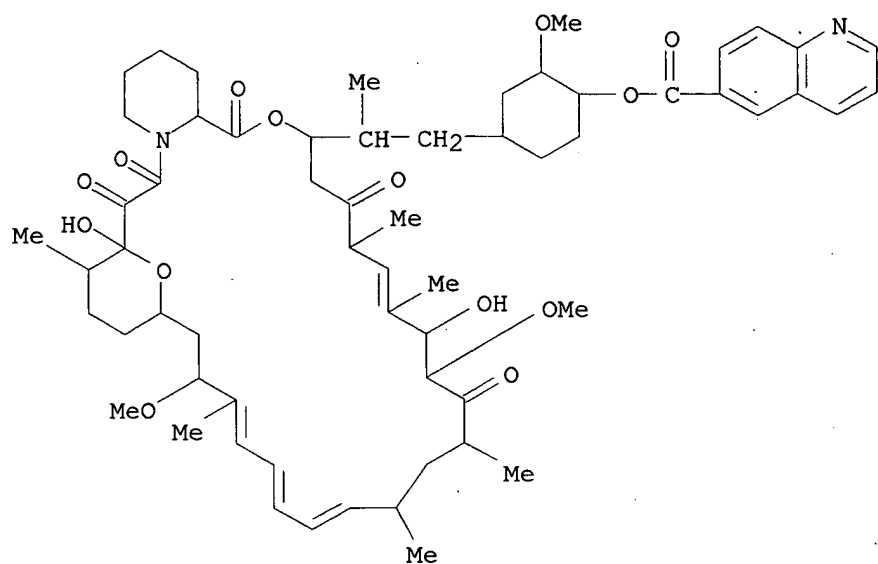
AB A compound of the structure I wherein R and R1 are each, independently, CO(CH2)nR2 or hydrogen, R2 is a heterocyclic radical which may be optionally substituted; n=0-6; with the proviso that R and R1 are both not hydrogen, or a pharmaceutically acceptable salt thereof which is useful as an immunosuppressive, antiinflammatory, antifungal, antiproliferative, and antitumor agent. Immunosuppressive activity for representative compds. of this invention was evaluated in an in vitro standard pharmacol. test procedure to measure lymphocyte proliferation (LAF) and in three in vivo standard pharmacol. test procedures. Thus, e.g., for rapamycin 42-ester with 2-methylnicotinic acid: LAF IC50 = 1.00 nM; skin graft survival: 11.2 ± 0.8 days; percent change in adjuvant arthritis vs. control: -88%; heart allograft survival: 29.9 days, i.p. Pharmaceutical formulations were given.

IT 162648-45-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (immunosuppressant heterocyclic esters of rapamycin)

RN 162648-45-5 CAPLUS

CN Rapamycin, 42-(6-quinolinecarboxylate) (9CI) (CA INDEX NAME)



8

L62 ANSWER 161 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:267252 CAPLUS

DN 122:55901

TI Preparation of 6,8-disubstituted quinoline phosphodiesterase-IV inhibitors

IN Wilhelm, Robert Stephen; Fatheree, Paul Ross; Chin, Ronnie Lipp

PA Syntex (u.s.a.) Inc., USA

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422852	A1	19941013	WO 1994-US3004	19940323
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5455252	A	19951003	US 1993-40731	19930331
	CA 2159603	AA	19941013	CA 1994-2159603	19940323
	AU 9464129	A1	19941024	AU 1994-64129	19940323
	AU 679222	B2	19970626		
	EP 691966	A1	19960117	EP 1994-911662	19940323
	EP 691966	B1	19980909		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	HU 73181	A2	19960628	HU 1995-2845	19940323
	JP 08511238	T2	19961126	JP 1994-522136	19940323
	JP 3564133	B2	20040908		
	AT 170855	E	19980915	AT 1994-911662	19940323
	ES 2120028	T3	19981016	ES 1994-911662	19940323
	FI 9504651	A	19950929	FI 1995-4651	19950929
	FI 109692	B1	20020930		
	NO 9503879	A	19951122	NO 1995-3879	19950929
PRAI	US 1993-40731	A	19930331		
	WO 1994-US3004	W	19940323		

OS MARPAT 122:55901

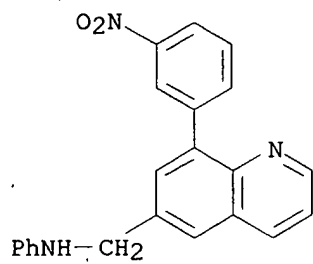
AB The title compds. [I; R1 = H, lower alkyl, cycloalkyl, cycloalkyloxy, cycloalkylamino, CHO, carboxyalkyl, (un)substituted aryl, aryloxy, arylamino, (un)substituted heterocycle, etc.; R2 = (un)substituted Ph], usefull as antiinflammatory agents, immunosuppressive agents, antiallograft rejection agents, anti-graft-vs.-host disease agents, antiallergic agents (e.g., asthma, rhinitis and atopic dermatitis), bronchodilation agents, antiautoimmune agents, and analgesics, are prepared and I-containing formulations presented. Thus, 6-(4-pyridylmethyl)-8-(3-nitrophenyl)quinoline was prepared and demonstrated a IC50 against human leukocyte phosphodiesterase IV of 0.023 nM.

IT 159925-53-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6,8-disubstituted quinoline phosphodiesterase-IV inhibitors)

RN 159925-53-8 CAPLUS

CN 6-Quinolinemethanamine, 8-(3-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)



L62 ANSWER 162 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:605376 CAPLUS

DN 121:205376

TI 6-(heterocyclyl)pyrazolo[3,4-d]pyrimidin-4-one phosphodiesterase inhibitors

IN Bacon, Edward R.; Singh, Baldev; Leshner, George Y.

PA Sterling Winthrop Inc., USA

SO U.S., 39 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5294612	A	19940315	US 1992-859770	19920330
	US 5541187	A	19960730	US 1993-159158	19931130
PRAI	US 1992-859770	A3	19920330		
OS	MARPAT 121:205376				

AB The title compds. [I; R1 = H, alkyl, (un)substituted C4-7 cycloalkyl, 2- or 3-tetrahydrofuranyl, 3-tetrahydrothienyl-1,1-dioxide, etc; R3 = C1-4 alkyl, Ph-substituted C1-4 alkyl, halogen, CF3, C1-4 alkylthio, CN, NO2, etc.; R6 = 9- or 10-membered bicyclic ring having C and 1-2 N atoms, which heterocycle is made up of fused 5- or 6-membered rings, etc.], useful as phosphodiesterase inhibitors for treating cardiovascular diseases such as congestive heart failure and hypertension, are prepared Thus, 1-(2-methylcyclopentyl)-3-methyl-6-(4-pyridyl)pyrazolo[3,4-d]pyrimidin-4-one (m.p. 290-291°), prepared from 2-methylcyclopentanone in 5 steps, demonstrated 59% inhibition of cyclic guanosine monophosphate-phosphodiesterase I at 1 μ M.

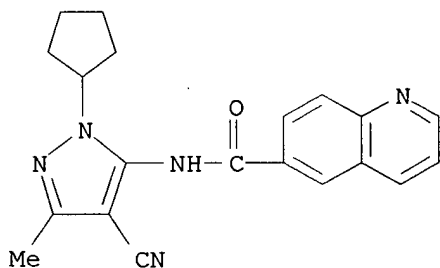
IT 158000-99-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of
(heterocyclyl)tyrazolopyrimidinon
e phosphodiesterase inhibitors)

RN 158000-99-8 CAPLUS

CN 6-Quinolinecarboxamide, N-(4-cyano-1-cyclopentyl-3-methyl-1H-pyrazol-5-yl)-
(9CI) (CA INDEX NAME)



L62 ANSWER 163 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:270138 CAPLUS

DN 120:270138

TI Ether-containing oxoquinolinone inhibitors of 5-lipoxygenase

IN Dellaria, Joseph F.; Moore, Jimmie L.; Brooks, Dee W.

PA Abbott Laboratories, USA

SO U.S., 12 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5268379	A	19931207	US 1992-935079	19920824
	WO 9404528	A1	19940303	WO 1993-US6914	19930723
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5350765	A	19940927	US 1993-113942	19930830
PRAI	US 1992-935079	A	19920824		
OS	MARPAT 120:270138				

AB The title compds. I [A1 = propynyl, CH₂, valence bond; A2 = (un)substituted 1,3-propanediyl, (un)substituted 1,3-propynediyl, etc.; R1 = C1-4 alkyl; R2, R3 = H, C1-4 alkyl; X = O, S, SO₂, NR₄; R4 = H, C1-4 alkyl], which inhibit 5-lipoxygenase enzyme activity (no data) and are useful in the treatment of allergic (no data) and inflammatory diseases in which leukotrienes play a role, are prepared Thus, 4-methoxy-4-[3-[(1,2-dihydro-1-methyl-2-oxoquinoline-6-yl)methoxyl]-trans-prop-1-enyl]-2-methyltetrahydropyran was prepared from 2-methyltetrahydro-4H-pyran-4-one in 4 steps.

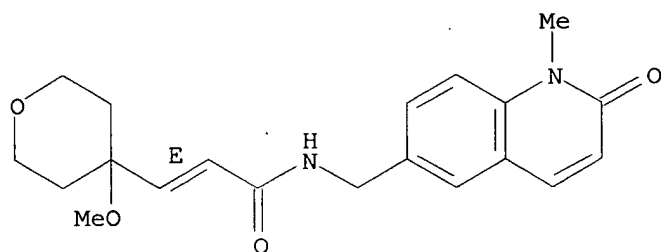
IT 153950-39-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as lipoxygenase inhibitor)

RN 153950-39-1 CAPLUS

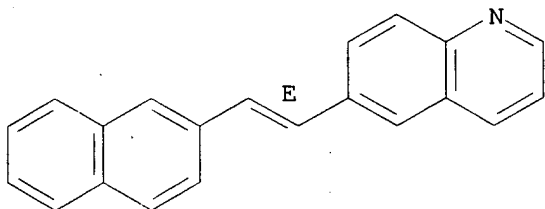
CN 2-Propenamide, N-[(1,2-dihydro-1-methyl-2-oxo-6-quinolinyl)methyl]-3-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 164 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1994:133386 CAPLUS
DN 120:133386
TI Conformational equilibria in trans-diarylethylenes: spectral and photophysical properties of rotamers of 1-(2-naphthyl)-2-(6-quinolyl)ethylene, derived from kinetic and statistical fluorescence analysis
AU Bartocci, G.; Spalletti, A.; Masetti, F.; Cruciani, G.
CS Dipartimento di Chimica, Universita di Perugia, Perugia, I-06123, Italy
SO Journal of Molecular Structure (1993), 298(1-3), 165-75
CODEN: JMOSB4; ISSN: 0022-2860
DT Journal
LA English
AB The authors' combination of both kinetic and statistical (based on a refined version of the "principal-component anal.-self-modeling" method) fluorescence anal. (KSFA) has been applied to study the rotamerism of the trans isomer of 1-(2-naphthyl)-2-(6-quinolyl)ethylene (2,6-NQE). The effect of the excitation wavelength on the fluorescence spectra, quantum yield and decay profiles allowed the spectral and photophys. properties and the ground-state energy difference of the three rotamers of trans-2,6-NQE to be obtained.
IT **73541-19-2**, trans-1-(2-Naphthyl)-2-(6-quinolyl)ethylene
RL: PRP (Properties)
(spectral and photophys. properties rotamers kinetic and statistical fluorescence anal.)
RN 73541-19-2 CAPLUS
CN Quinoline, 6-[(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 165 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:408593 CAPLUS

DN 119:8593

TI Preparation of 5-aminochromone derivatives as neoplasm inhibitors

IN Shida, Yasushi; Akama, Tsutomu; Ikeda, Shunichi; Kasai, Masaji; Kandori, Shigehiro; Hirayama, Yoshiaki; Ishida, Hiroyuki; Gomi, Katsunari

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04282380	A2	19921007	JP 1991-44940	19910311
PRAI	JP 1991-44940		19910311		
OS	MARPAT 119:8593				

AB The title derivs. I (X = II-V; R1, R2 = H, lower alkyl, cycloalkyl, lower alkanoyl, CH2Ph, lower alkoxy carbonyl; R3, R4 = H, alkyl, alkanoyl, VI; Q1-Q7 = H, OH, halo, alkoxy, carboxy, alkoxy carbonyl, alkyl, alkanoyloxy, NR1R2) and their pharmaceutically acceptable salts are prepared as antitumor agents. Condensation of 2-ethoxycarbonyl-N-ethoxycarbonyl-N-pivaloyl-3-(2-tetrahydropyranyl)oxylaniline with 1,5-diacetyldoline in dioxane in the presence of NaH gave diketone, which was treated with HCl in EtOH at room temperature for 20 min to give 43% I (X = N-acetyldolin-5-yl, R1 = H, R2 = COCMe3) (VII). Hydrolysis of VII with HCl in AcOH for 2 h under reflux gave 91% I (X = indolin-5-yl, R1 = H, R2 = COCMe3), which showed IC50 of 35.0 μ M for inhibition of growth of human breast adenocarcinoma MCF7 cells in vitro, vs. 100 μ M for flavoneacetic acid.

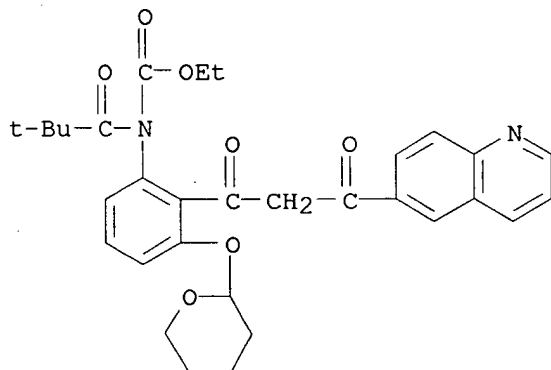
IT 146463-92-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

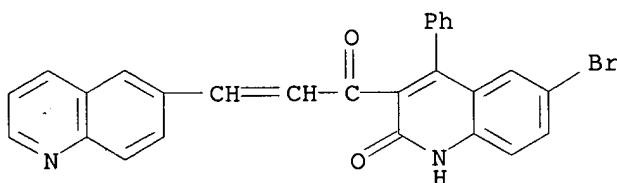
(preparation and reaction of, in preparation of aminochromone antitumor agents)

RN 146463-92-5 CAPLUS

CN Carbamic acid, (2,2-dimethyl-1-oxopropyl)[2-[1,3-dioxo-3-(6-quinolinyl)propyl]-3-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 166 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1992:151531 CAPLUS
 DN 116:151531
 TI Synthesis and properties of new α,β -unsaturated ketones derived
 from substituted 2-quinolones
 AU Vostrova, L. N.; Gernega, S. A.; Kirichenko, A. M.; Onishchenko, E. V.;
 Abramovich, A. E.; Grenaderova, M. V.; Klad'ko, L. G.
 CS Odess. Gos. Univ., Odessa, USSR
 SO Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1991), 57(10), 1115-18
 CODEN: UKZHAU; ISSN: 0041-6045
 DT Journal
 LA Russian
 AB The crotonic condensation of quinolones I ($R = \text{Ac}$; $R_1 = \text{H, Cl}$; $R_2 = \text{Br, Cl}$) with ArCHO ($\text{Ar} = \text{substituted Ph, 2-furyl, CH:CHPh, 6-quinolyl, 3-acenaphthyl, 1,3-diphenyl-4-pyrazolyl, benzo-15-crown-5-yl-4}$) gave I ($R = \text{COCH:CHAr}$) in 60-95% yields.
 IT **139888-31-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 139888-31-6 CAPLUS
 CN 2(1H)-Quinolinone, 6-bromo-3-[1-oxo-3-(6-quinolinyl)-2-propenyl]-4-phenyl-
 (9CI) (CA INDEX NAME)



L62 ANSWER 167 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:128974 CAPLUS

DN 116:128974

TI Preparation of (1,2,4-triazol-1-yl)-substituted carbostyryl derivatives as platelet aggregation inhibitors

IN Kano, Masanobu; Tafusa, Fujio; Namikawa, Junichi; Manabe, Yoshiaki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03240786	A2	19911028	JP 1990-39518	19900219
PRAI	JP 1990-39518		19900219		

OS CASREACT 116:128974; MARPAT 116:128974

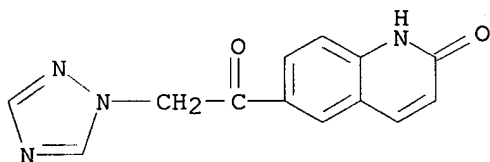
AB The title derivs. I [X = 1,2,4-triazol-1-yl; R1 = H, lower alkyl, phenylalkyl; R2 = H, halo, lower alkylsulfonyloxy, lower alkoxy, OH; Z = O, S, CO, C(:NOH), CH(OR3), NH; R3 = H, lower alkyl; A = lower alkylene] and their salts, useful as platelet aggregation inhibitors (no data), are prepared by treating I (X = halo, lower alkylsulfonyloxy, arylsulfonyloxy, aralkylsulfonyloxy) or their salts with 4-amino-1,2,4-triazole (II). A suspension of 7-(3-chloro-1-propoxy)-2(1H)-quinolinone in H2O-DMF mixture was treated with II and NaI under reflux for 11 h to give 66% 7-[3-(1,2,4-triazol-1-yl)propoxy]-3,4-dihydrocarbostyryl.

IT 113856-80-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as platelet aggregation inhibitor)

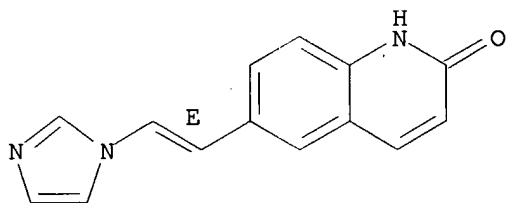
RN 113856-80-7 CAPLUS

CN 2(1H)-Quinolinone, 6-(1H-1,2,4-triazol-1-ylacetyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 168 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1992:106055 CAPLUS
 DN 116:106055
 TI 3,4-Dihydroquinolin-2(1H)-ones as combined inhibitors of thromboxane A2 synthase and cAMP phosphodiesterase
 AU Martinez, Gregory R.; Walker, Keith A. M.; Hirschfeld, Donald R.; Bruno, John J.; Yang, Diana S.; Maloney, Patrick J.
 CS Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA
 SO Journal of Medicinal Chemistry (1992), 35(4), 620-8
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 AB A series of 1H-imidazol-1-yl- and 3-pyridyl-substituted 3,4-hydroxyquinolin-2(1H)-ones e.g., I (R = 1H-imidazol-1-yl, 3-pyridyl, X = (un)substituted alkylene or unsatd. alkylene) were prepared as combined inhibitors of thromboxanes (TXA2) synthase and cAMP phosphodiesterase (PDE) in human blood platelets. A number of compds. were superior to dazoxiben as inhibitors of TXA2 synthase in vitro ADP-induced aggregation expts. with human blood platelets. The TXA2 synthase inhibitory activity was confirmed by measurement of the prostanoid metabolites derived from ¹⁴C-labeled arachidonic acid. Three compds. demonstrated in vitro inhibition of human platelet cAMP PDE at micromolar concns. in conjunction with their TXA2 synthase inhibitory activity. Synergistic enhancement of antiaggregatory and antithrombotic actions was expected when simultaneous stimulation of adenylate cyclase (through increased PGI2 production) and inhibition of platelet cAMP PDE were possible from the same compound I (R = 1H-imidazol-1-yl, X = CH:CH), which has a comparable level of TXA2 synthase (IC₅₀ 1.2 μM) and human platelet cAMP PDE (IC₅₀ 6.4 μM) inhibitory activities, was found to be orally bioavailable with a long duration of action and offered effective protection against mortality in a collagen-epinephrine-induced pulmonary thromboembolism model in mice. Significant blood pressure and heart rate effects were observed for several compds.
 IT **138260-94-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as inhibitor for blood platelet aggregation)
 RN 138260-94-3 CAPLUS
 CN 2(1H)-Quinolinone, 6-[2-(1H-imidazol-1-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 169 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:20957 CAPLUS

DN 116:20957

TI Preparation of quinolylmethylurea derivatives as anticholesteremics

IN Ito, Noriki; Matsuda, Koyo; Iwaoka, Kiyoshi; Iizumi, Yuichi

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9113871	A1	19910919	WO 1991-JP320	19910308
	W: AU, CA, FI, HU, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9174406	A1	19911010	AU 1991-74406	19910308
PRAI	JP 1990-60755	A	19900312		
	WO 1991-JP320	A	19910308		

OS MARPAT 116:20957

AB R1(CH₂)_nNR₂CONHR₃ [I; R₁ = quinolyl, benzofuranyl, carbazolyl, etc.; R₂ = cycloalkyl, R₃ = (halo- or alkyl)phenyl, tetrahydronaphthyl; n = 0-6], useful as anticholesteremics and antiarteriosclerotics, are prepared
 Refluxing a mixture of amine II and carbamate III in MePh gave urea derivative IV, which showed ED₅₀ of 514 mg/kg in lowering serum cholesterol in rats.
 Also prepared and tested were 27 addnl. I.

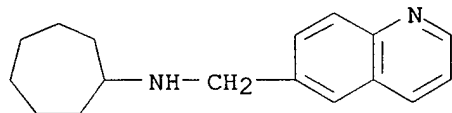
IT **138141-31-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of anticholesterolemic agent)

RN 138141-31-8 CAPLUS

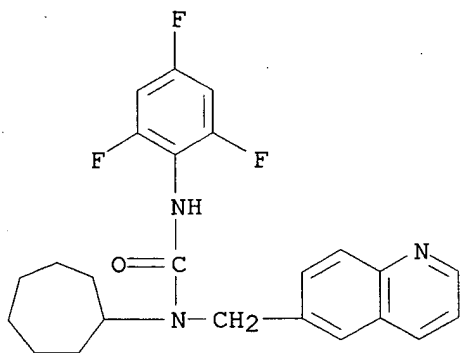
CN 6-Quinolinemethanamine, N-cycloheptyl- (9CI) (CA INDEX NAME)

IT **138141-58-9P 138141-59-0P 138141-64-7P**

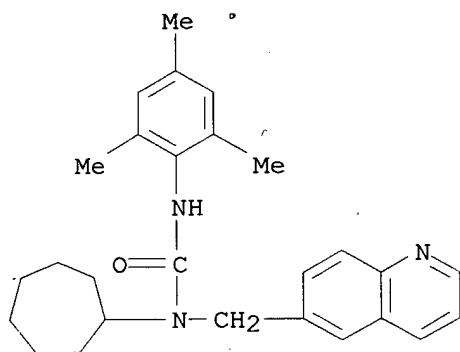
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as anticholesterolemic agent)

RN 138141-58-9 CAPLUS

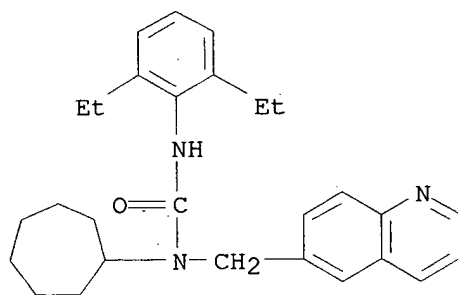
CN Urea, N-cycloheptyl-N-(6-quinolinylmethyl)-N'-(2,4,6-trifluorophenyl)-
 (9CI) (CA INDEX NAME)



RN 138141-59-0 CAPLUS

CN Urea, N-cycloheptyl-N'-(6-quinolinylmethyl)-N'-(2,4,6-trimethylphenyl)-
(9CI) (CA INDEX NAME)

RN 138141-64-7 CAPLUS

CN Urea, N-cycloheptyl-N'-(2,6-diethylphenyl)-N-(6-quinolinylmethyl)- (9CI)
(CA INDEX NAME)

L62 ANSWER 170 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1991:656588 CAPLUS

DN 115:256588

TI Cholecystokinin (CCK) antagonists: (R)-tryptophan-based hybrid antagonists of high affinity and selectivity for CCK-A receptors

AU Kerwin, James F., Jr.; Wagenaar, Frank; Kopecka, Hana; Lin, Chun Wel; Miller, Thomas; Witte, David; Stashko, Michael; Nadzan, Alex M.

CS Neurosci. Res. Div., Abbott Lab., Abbott Park, IL, 60064, USA

SO Journal of Medicinal Chemistry (1991), 34(12), 3350-9

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 115:256588

AB The structural similarities of glutamic acid based cholecystokinin (CCK) antagonists (A-64718 and A-65186) and the benzodiazepine CCK antagonist MK-329 (L-364,718) have been reported. Efforts to include the weak CCK antagonist benzotript into this construct utilizing a similar approach have resulted in a novel series of benzotript-based hybrid antagonists I, II and III which possess binding affinities of 23, 21, and 11 nM, resp., for the pancreatic CCK-A receptor and which inhibit CCK8-induced amylase secretion. Compound I possesses a selectivity of >500-fold for the pancreatic CCK-A receptor over the CCK-B receptor.

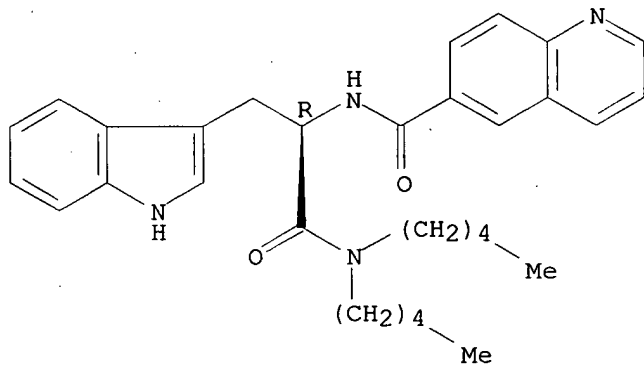
IT 127368-93-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)

RN 127368-93-8 CAPLUS

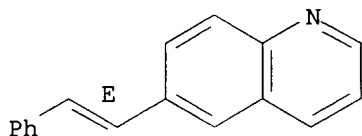
CN 6-Quinolinecarboxamide, N-[2-(dipentylamino)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

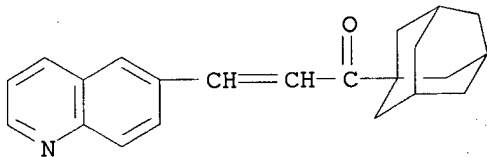


L62 ANSWER 171 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:655353 CAPLUS
DN 115:255353
TI Conformational equilibrium in trans-aza-aryl-ethylenes: n-styrylquinolines and n-styrylisoquinolines
AU Gennari, Giorgio; Bortolus, Pietro; Galiazzo, Guido
CS Dip. Chim. Fis., Univ. Padova, Padua, I-35131, Italy
SO Journal of Molecular Structure (1991), 249(2-4), 189-202
CODEN: JMOSB4; ISSN: 0022-2860
DT Journal
LA English
AB The ground state conformational equilibrium of trans-n-styrylquinolines (n = 2, 3, 4, 6, 7 and 8) and n-styrylisoquinolines (n = 1 and 3) has been studied in polar and apolar solvents. The fluorescence and trans→cis photoisomerization properties show that the presence of the heterocyclic nitrogen does not modify the steric requirements for the stability of the possible conformers. The influence of the styryl position with respect to the heteroatom, and of the solvent on the equilibrium composition and on the photophys. behavior of the individual rotamers has been particularly investigated.
IT **121611-55-0**
RL: PRP (Properties)
(conformational anal. of)
RN 121611-55-0 CAPLUS
CN Quinoline, 6-(2-phenylethenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 172 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:470978 CAPLUS
 DN 115:70978
 TI Synthesis of adamantane-type β -amino ketones
 AU Kozlov, N. S.; Shmanai, G. S.; Korotyshova, G. P.
 CS Inst. Fiz.-Org. Khim., USSR
 SO Vestsi Akademii Navuk BSSR, Seryya Khimichnykh Navuk (1991), (1), 60-5
 CODEN: VBSKAK; ISSN: 0002-3590
 DT Journal
 LA Russian
 OS CASREACT 115:70978
 AB The reaction of 1-acetyladamantane (I) with ArCHO (Ar = substituted Ph, 3-, 4-pyridyl, 2-, 6-, or 7-quinolyl) gives unsatd. adamantyl ketones II. Upon treatment with Ar1NH2 (Ar1 = substituted Ph, 2-naphthyl) II give the title compds. III. III can also be obtained in a one pot process by the treatment of I with ArCHO and Ar1NH2, or with ArCH:NAr1.
 IT **135120-77-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and amination of)
 RN 135120-77-3 CAPLUS
 CN 2-Propen-1-one, 3-(6-quinolinyl)-1-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI)
 (CA INDEX NAME)



L62 ANSWER 173 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:228757 CAPLUS
 DN 114:228757
 TI Preparation of (heterocyclylmethoxyphenyl)tetrahydropyrans and related compounds as lipoxygenase inhibitors
 IN Crawley, Graham Charles; Edwards, Philip Neil; Girodeau, Jean Marc Marie Maurice
 PA Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.
 SO Eur. Pat. Appl., 51 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 385662	A2	19900905	EP 1990-301934	19900222
	EP 385662	A3	19911121		
	EP 385662	B1	19951213		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 9000997	A	19901031	ZA 1990-997	19900209
	IL 93342	A1	19940530	IL 1990-93342	19900211
	CA 2009902	AA	19900831	CA 1990-2009902	19900213
	CA 2009902	C	19991005		
	AU 9049766	A1	19900906	AU 1990-49766	19900214
	AU 627275	B2	19920820		
	HU 58083	A2	19920128	HU 1990-826	19900216
	HU 210165	B	19950228		
	AT 131477	E	19951215	AT 1990-301934	19900222
	ES 2081925	T3	19960316	ES 1990-301934	19900222
	DD 297409	A5	19920109	DD 1990-338111	19900223
	NO 9000916	A	19900829	NO 1990-916	19900227
	NO 175591	B	19940725		
	NO 175591	C	19941102		
	RU 2058306	C1	19960420	RU 1990-4743295	19900227
	JP 02268157	A2	19901101	JP 1990-46011	19900228
	JP 2545629	B2	19961023		
	CN 1046903	A	19901114	CN 1990-101081	19900228
	CN 1031266	B	19960313		
	FI 96512	B	19960329	FI 1990-1008	19900228
	FI 96512	C	19960710		
	KR 195585	B1	19990901	KR 1990-2871	19900228
	US 5134148	A	19920728	US 1991-758491	19910905
	US 5236919	A	19930817	US 1992-881133	19920511
	US 5401751	A	19950328	US 1993-64979	19930524
	LT 3396	B	19950925	LT 1993-587	19930531
PRAI	EP 1989-400560	A	19890228		
	EP 1989-401493	A	19890531		
	US 1990-485875	B1	19900227		
	US 1991-758491	A3	19910905		
	US 1992-881133	A3	19920511		

OS MARPAT 114:228757

AB Title compds. QAXArC(OR1)R2R3 [Q = 6-membered monocyclyl or 10-membered bicycyl containing 1 or 2 N which may bear 2-3 substituents; A = C1-6 alkenylene, C3-5 alkylene, C3-6 alkynylene, cyclo-C3-6-alkylene; X = O, S, SO, SO₂, NH; Ar = (substituted) phenylene, 6-membered heterocyclyl containing ≤3 N which may be substituted; R1 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, etc.; R2R3 = (substituted) 4-7-membered ring containing X] 5-lipoxygenase inhibitors useful in treating leukotriene mediated disease,

are prepared 3-(Bromomethyl)-1,2-dihydro-1-methylquinolin-2-one (preparation given), 4-(3-hydroxyphenyl)-4-methoxytetrahydropyran (preparation given), K₂CO₃ and DMF were stirred at ambient temperature for 15 h to give pyran I. Title compds. inhibited 5-LO with IC₅₀'s of 0.01-30 micromolar. Pharmaceutical formulations comprising the title compds. are given.

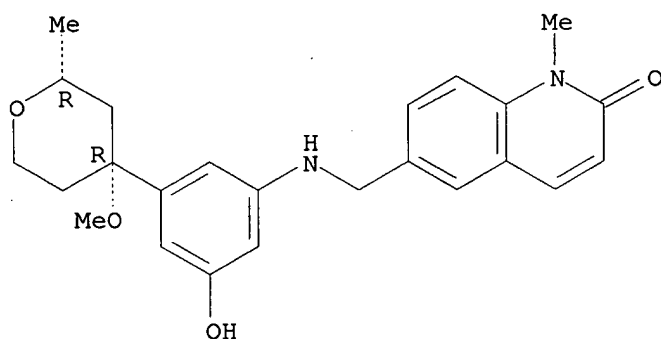
IT **133739-55-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-lipoxygenase inhibitor)

RN 133739-55-6 CAPLUS

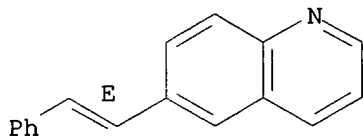
CN 2(1H)-Quinolinone, 6-[[[3-hydroxy-5-(tetrahydro-4-methoxy-2-methyl-2H-pyran-4-yl)phenyl]amino]methyl]-1-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



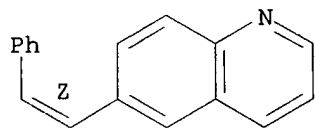
L62 ANSWER 174 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:101682 CAPLUS
 DN 114:101682
 TI Synthesis and photochemical behavior of n-styrylquinolines and
 n-sytrylisoquinolines
 AU Galiazzo, Guido; Bortolus, Pietro; Gennari, Giorgio
 CS Dip. Chim. Org., Univ. Padova, Padua, I-35131, Italy
 SO Gazzetta Chimica Italiana (1990), 120(9), 581-5
 CODEN: GCITA9; ISSN: 0016-5603
 DT Journal
 LA English
 AB Six styrylquinolines and two styrylisoquinolines, in both the trans and
 cis forms, were prepared and characterized spectrally. Some preliminary
 results on the photophys. and photochem. properties of the synthesized
 isomeric compds., in neutral and acidic solns., are reported.
 IT **121611-55-0P 132401-57-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and photochem. isomerization of)
 RN 121611-55-0 CAPLUS
 CN Quinoline, 6-(2-phenylethenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



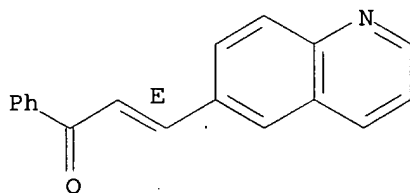
RN 132401-57-1 CAPLUS
 CN Quinoline, 6-(2-phenylethenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



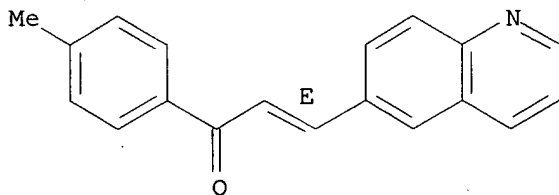
L62 ANSWER 175 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:42530 CAPLUS
 DN 114:42530
 TI Synthesis and study of the structure and properties of
 β -(6-quinolyl)acrylophenones
 AU Tran Quoc Son
 CS Hanoi, Vietnam
 SO Tap Chi Hoa Hoc (1988), 26(2), 4-7, 17
 CODEN: TCHHDC; ISSN: 0378-2336
 DT Journal
 LA Vietnamese
 AB Fourteen title compds. were prepared and their trans configuration and s-cis conformation were confirmed by chemical and spectral methods. The basicities of these compds. were determined by the IR spectral shift of the phenol O-H band in the presence of the N bases, and a linear correlation with σ consts. was obtained.
 IT 130520-42-2P 130520-43-3P 130520-44-4P
 130520-45-5P 130520-46-6P 130520-47-7P
 130520-48-8P 130520-49-9P 130520-50-2P
 130520-51-3P 130520-52-4P 130520-53-5P
 130764-39-5P 131452-74-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, conformation and basicity of)
 RN 130520-42-2 CAPLUS
 CN 2-Propen-1-one, 1-phenyl-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



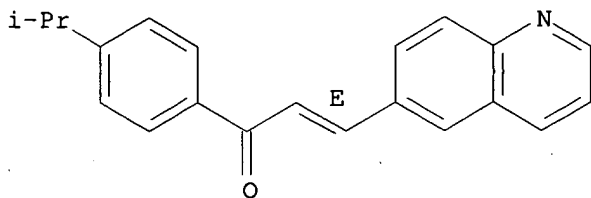
RN 130520-43-3 CAPLUS
 CN 2-Propen-1-one, 1-(4-methylphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 130520-44-4 CAPLUS
 CN 2-Propen-1-one, 1-[4-(1-methylethyl)phenyl]-3-(6-quinolinyl)-, (E)- (9CI)
 (CA INDEX NAME)

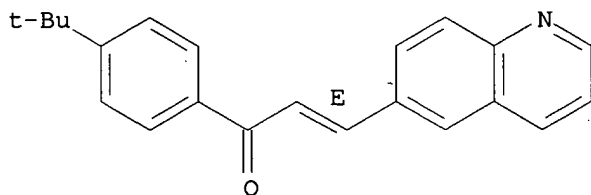
Double bond geometry as shown.



RN 130520-45-5 CAPLUS

CN 2-Propen-1-one, 1-[4-(1,1-dimethylethyl)phenyl]-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

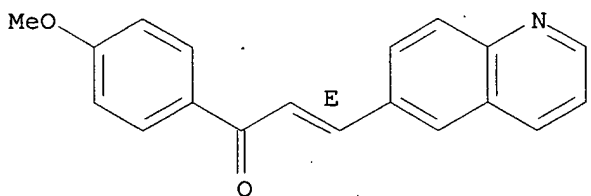
Double bond geometry as shown.



RN 130520-46-6 CAPLUS

CN 2-Propen-1-one, 1-(4-methoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

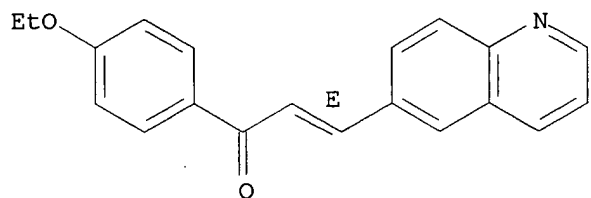
Double bond geometry as shown.



RN 130520-47-7 CAPLUS

CN 2-Propen-1-one, 1-(4-ethoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

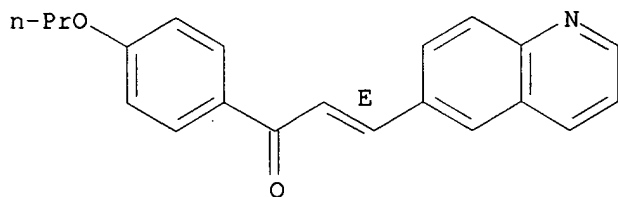
Double bond geometry as shown.



RN 130520-48-8 CAPLUS

CN 2-Propen-1-one, 1-(4-propoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

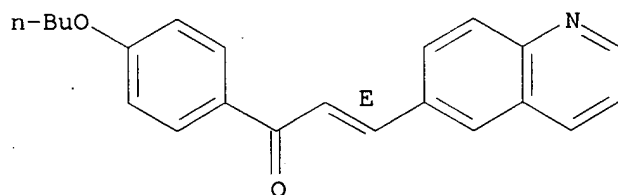
Double bond geometry as shown.



RN 130520-49-9 CAPLUS

CN 2-Propen-1-one, 1-(4-butoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

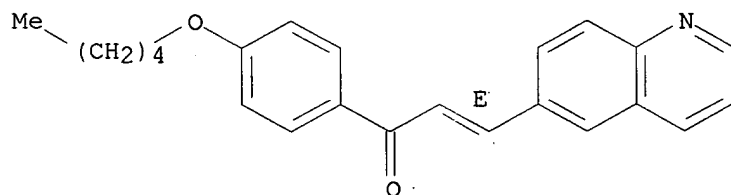
Double bond geometry as shown.



RN 130520-50-2 CAPLUS

CN 2-Propen-1-one, 1-[4-(pentyloxy)phenyl]-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

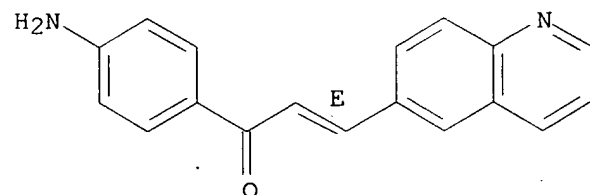
Double bond geometry as shown.



RN 130520-51-3 CAPLUS

CN 2-Propen-1-one, 1-(4-aminophenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

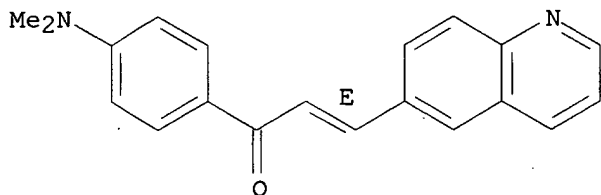


RN 130520-52-4 CAPLUS

CN 2-Propen-1-one, 1-[4-(dimethylamino)phenyl]-3-(6-quinolinyl)-, (E)- (9CI)

(CA INDEX NAME)

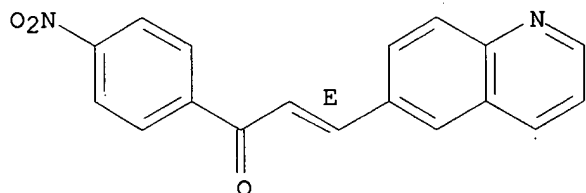
Double bond geometry as shown.



RN 130520-53-5 CAPLUS

CN 2-Propen-1-one, 1-(4-nitrophenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

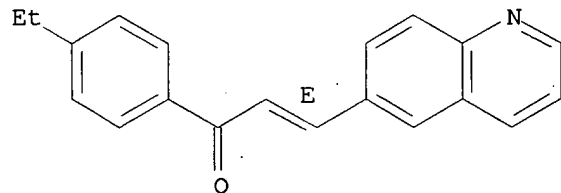
Double bond geometry as shown.



RN 130764-39-5 CAPLUS

CN 2-Propen-1-one, 1-(4-ethylphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

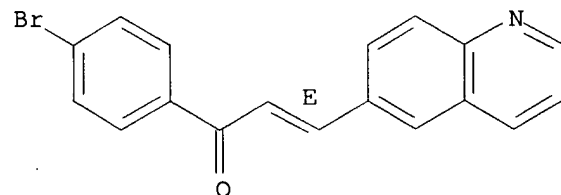
Double bond geometry as shown.



RN 131452-74-9 CAPLUS

CN 2-Propen-1-one, 1-(4-bromophenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 176 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:14867 CAPLUS
 DN 114:14867
 TI Electrophotographic photoconductor using hydrogenated perylenone-
 containing bisazo pigment
 IN Kanamaru, Tetsuo
 PA Canon K. K., Japan
 SO Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02047664	A2	19900216	JP 1988-197989	19880810
PRAI	JP 1988-197989		19880810		
OS	MARPAT 114:14867				

AB The title photoconductor, on an elec. conductive support, contains a hydrogenated perylenone-containing bisazo pigment of the structure I [R1, R2 = H, halo, (substituted) alkyl, alkoxy; A1, A2 = a phenolic OH-containing coupler residue]. Thus, an undercoated Al plate was coated with a charge-generating layer containing the bisazo pigment II and overcoated with a charge-transporting layer containing p-di(phenylmethyl)aminobenzaldehyde N- α -naphthyl-N-phenylhydrazone to give a photoconductor showing stable voltage characteristics upon repeated use.

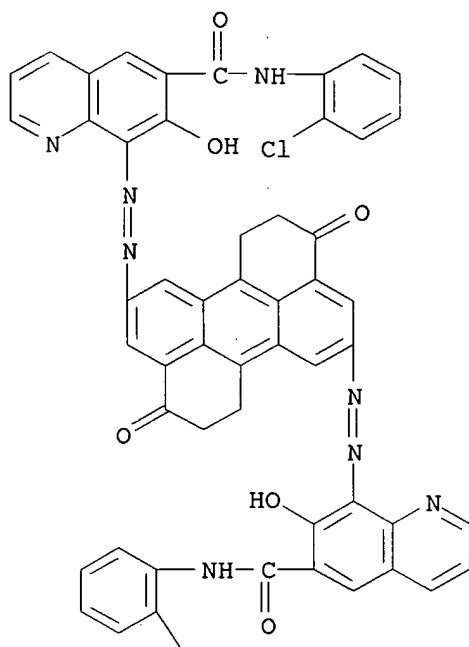
IT **128676-59-5**

RL: TEM (Technical or engineered material use); USES (Uses)
 (charge-generating agent, for electrophotog. photoconductor, for repeated use)

RN 128676-59-5 CAPLUS

CN 6-Quinolinecarboxamide, 8,8'-[(4,5,6,10,11,12-hexahydro-4,10-dioxo-2,8-perylenediyl)bis(azo)]bis[N-(2-chlorophenyl)-7-hydroxy- (9CI) (CA INDEX NAME)]

PAGE 1-A

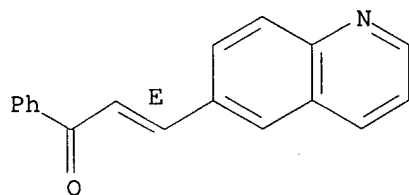


PAGE 2-A



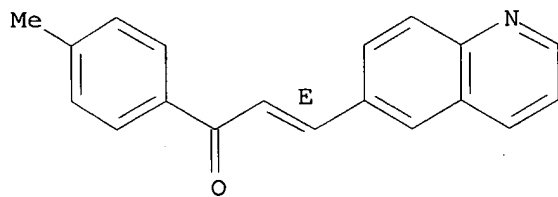
L62 ANSWER 177 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:5527 CAPLUS
 DN 114:5527
 TI Dipole moments of chalcones containing a quinoline nucleus
 AU Chan Kuok Shon; Verkhovod, V. M.; Kharchenko, I. V.; Verkhovod, N. N.
 CS USSR
 SO Visnik Kharkivs'kogo Universitetu (1989), 340, 75-7
 CODEN: VKSGA3; ISSN: 0453-8048
 DT Journal
 LA Russian
 AB The dipole moments of the title compds., e.g., I (R = H, Pr, OMe), fell
 between those calculated for the anti-s-cis and syn-s-cis conformers.
 IT 130520-42-2 130520-43-3 130520-46-6
 130764-38-4 130764-39-5
 RL: PRP (Properties)
 (dipole moment of)
 RN 130520-42-2 CAPLUS
 CN 2-Propen-1-one, 1-phenyl-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



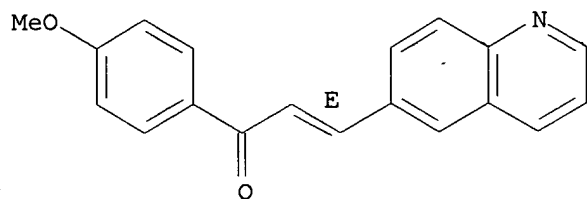
RN 130520-43-3 CAPLUS
 CN 2-Propen-1-one, 1-(4-methylphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 130520-46-6 CAPLUS
 CN 2-Propen-1-one, 1-(4-methoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

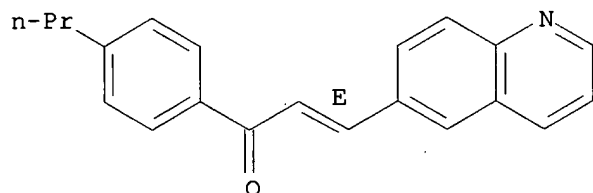
Double bond geometry as shown.



RN 130764-38-4 CAPLUS

CN 2-Propen-1-one, 1-(4-propylphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

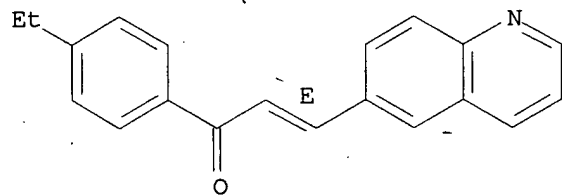
Double bond geometry as shown.



RN 130764-39-5 CAPLUS

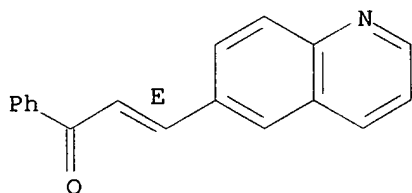
CN 2-Propen-1-one, 1-(4-ethylphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



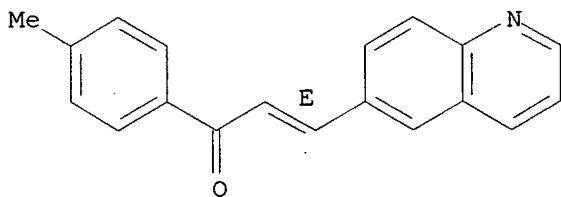
L62 ANSWER 178 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1990:630645 CAPLUS
 DN 113:230645
 TI IR spectroscopic study of quinoline analogs of chalcone
 AU Surov, Yu. N.; Chan Kuok Shon
 CS USSR
 SO Visnik Kharkivs'kogo Universitetu (1989), 340, 80-5
 CODEN: VKSGA3; ISSN: 0453-8048
 DT Journal
 LA Russian
 AB The IR spectra of solid and solution samples of RCH:CHCOC₆H₄R₁-4 (R = 2- and 6-quinolyl, 6-methyl- and 6-methoxy-2-quinolyl; R₁ = H, alkyl, alkoxy, NH₂, NMe₂, NO₂) and of some quinoline aldehydes were analyzed. Splitting of the CO band in the solution spectra resulted from s-cis/s-trans conformational transition. These compds. formed H bonds with phenol involving the carbonyl group and the N atom.
 IT 130520-42-2 130520-43-3 130520-44-4
 130520-45-5 130520-46-6 130520-47-7
 130520-48-8 130520-49-9 130520-50-2
 130520-51-3 130520-52-4 130520-53-5
 RL: PRP (Properties)
 (IR spectrum of)
 RN 130520-42-2 CAPLUS
 CN 2-Propen-1-one, 1-phenyl-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



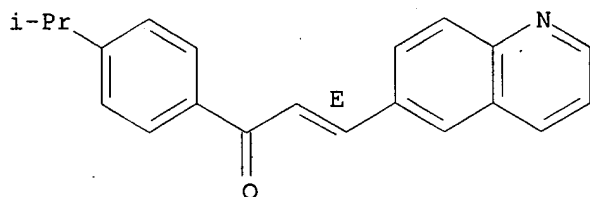
RN 130520-43-3 CAPLUS
 CN 2-Propen-1-one, 1-(4-methylphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 130520-44-4 CAPLUS
 CN 2-Propen-1-one, 1-[4-(1-methylethyl)phenyl]-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

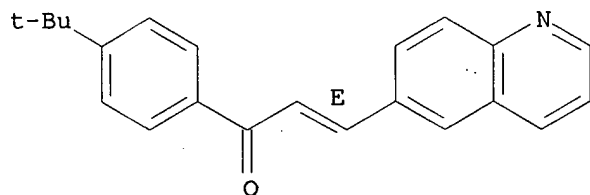
Double bond geometry as shown.



RN 130520-45-5 CAPLUS

CN 2-Propen-1-one, 1-[4-(1,1-dimethylethyl)phenyl]-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

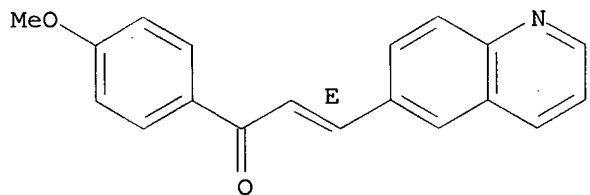
Double bond geometry as shown.



RN 130520-46-6 CAPLUS

CN 2-Propen-1-one, 1-(4-methoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

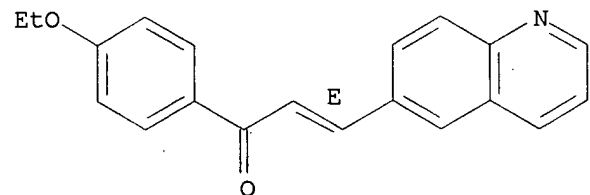
Double bond geometry as shown.



RN 130520-47-7 CAPLUS

CN 2-Propen-1-one, 1-(4-ethoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

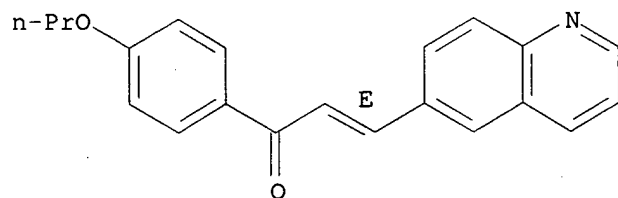
Double bond geometry as shown.



RN 130520-48-8 CAPLUS

CN 2-Propen-1-one, 1-(4-propoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

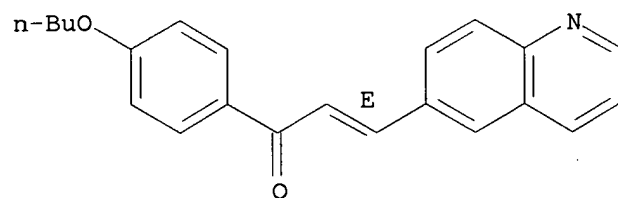
Double bond geometry as shown.



RN 130520-49-9 CAPLUS

CN 2-Propen-1-one, 1-(4-butoxyphenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

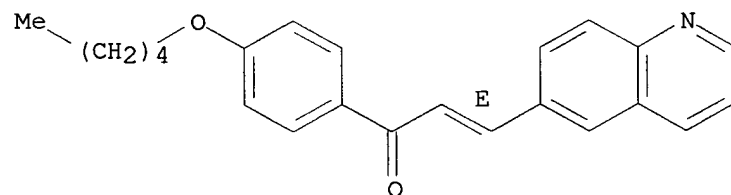
Double bond geometry as shown.



RN 130520-50-2 CAPLUS

CN 2-Propen-1-one, 1-[4-(pentyloxy)phenyl]-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

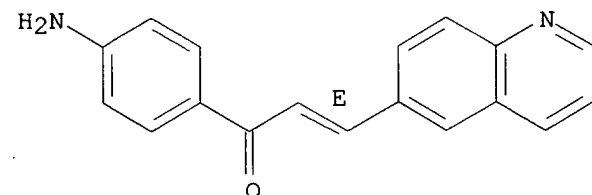
Double bond geometry as shown.



RN 130520-51-3 CAPLUS

CN 2-Propen-1-one, 1-(4-aminophenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

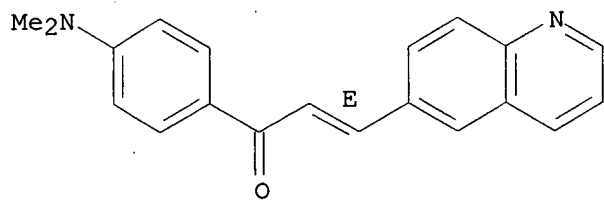
Double bond geometry as shown.



RN 130520-52-4 CAPLUS

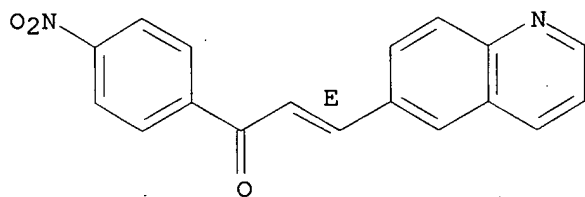
CN 2-Propen-1-one, 1-[4-(dimethylamino)phenyl]-3-(6-quinolinyl)-, (E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.



RN 130520-53-5 CAPLUS
CN 2-Propen-1-one, 1-(4-nitrophenyl)-3-(6-quinolinyl)-, (E)- (9CI) (CA INDEX
NAME)

Double bond geometry as shown.



L62 ANSWER 179 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:235837 CAPLUS

DN 112:235837

TI Derivatives of tryptophan as cholecystokinin (CCK) antagoists

IN Kerwin, James F.; Nadzan, Alex M.

PA Abbott Laboratories, USA

SO Eur. Pat. Appl., 64 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 336356	A2	19891011	EP 1989-105864	19890404
	EP 336356	A3	19910925		
	R: ES, GR				
	WO 8910355	A1	19891102	WO 1989-US1412	19890404
	W: JP, US				
	RW: BE, CH, DE, FR, GB, IT, NL, SE				
	JP 03503650	T2	19910815	JP 1989-505008	19890404
	EP 442878	A1	19910828	EP 1989-905266	19890404
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
PRAI	US 1988-177715	A	19880405		
	WO 1989-US1412	W	19890404		

OS MARPAT 112:235837

AB The title derivs. ArBZNR3(CH2X)CONR1R2 [X = (substituted) indol-3-yl, indolin-3-yl, or oxindol-3-yl; Z = CO, SO2, C(:S); Ar = heterocyclyl, (substituted) aryl; B = (CH2)m (m = 0-4), alkenylene, NH, etc.; R = H, alkyl, alkenyl; R1, R2 = H, alkyl, alkenyl, aryl, etc.; R1R2N = heterocyclyl; R3 = H, alkyl, alkenyl; some restrictions are stated] are prepared A (R)-tryptophanamide I.HCl (Y = H) (preparation given) was treated with indole-2-carboxylic acid in DMF in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide and hydroxybenzothiazole and the mixture was treated with Et3N to give (R)-I (Y = indole-2-carbonyl). In amylase assay using guinea pig's pancrea acini the latter showed IC50 of 51 nM against [125I]-Bolton-Hunter CCK8.

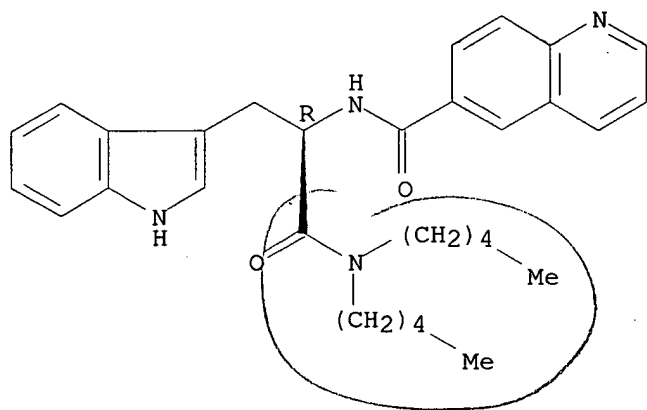
IT 127368-93-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CCK antagonist)

RN 127368-93-8 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-(dipentylamino)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 180 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:447499 CAPLUS

DN 111:47499

TI Dual emission of some styrylquinolines in alcohols

AU Gennari, Giorgio; Galianzo, Guido; Bortolus, Pietro

CS Dip. Chim. Fis., Univ. Padua, Padua, 35131, Italy

SO Chemical Physics Letters (1989), 157(3), 194-9

CODEN: CHPLBC; ISSN: 0009-2614

DT Journal

LA English

AB In MeOH and other, more acidic alcs., the fluorescence of 6- and 7-styrylquinoline has 2 bands while that of 8-styrylquinoline is quenched with an efficiency increasing with the increasing H-bonding ability of the alc. This behavior is due to the exceedingly high basicity of the excited singlet state of these styrylquinolines, which allows their protonation by the alc. mols.

IT **121611-55-0**

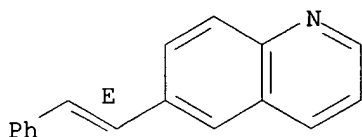
RL: PRP (Properties)

(fluorescence of, hydrogen bonding with alc. effects on)

RN 121611-55-0 CAPLUS

CN Quinoline, 6-(2-phenylethenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 181 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:407401 CAPLUS

DN 111:7401

TI Imidazole- or pyridine-containing carbostyrils as combined thromboxane synthetase and cyclic-AMP phosphodiesterase inhibitors, their preparation, and pharmaceuticals containing them

IN Walker, Keith A. M.; Bruno, John J.; Martinez, Gregory R.

PA Syntex (U.S.A.), Inc., USA

SO U.S., 20 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4792561	A	19881220	US 1986-868845	19860529
	US 4921862	A	19900501	US 1988-247134	19880921
PRAI	US 1986-868845	A3	19860529		

OS CASREACT 111:7401; MARPAT 111:7401

AB Title compds. I [X = R1CR2, cis- or trans-CR3:CR4; R1 = H when R2 = OH, or R1 = Ph, phenylalkyl when R2 = H, OH; Ph is optionally monosubstituted; or R1R2 = O, C1-6 alkylidene, (substituted) benzylidene; R3 = H, C1-6 alkyl; R4 = H; R3R4 = bond; n = 0-3; R = 1-imidazolyl; dotted line = optional covalent bond] are prepared as thromboxane synthetase and cAMP phosphodiesterase inhibitors for treatment of disease characterized by elevated thromboxane levels or an imbalance of prostacyclin/thromboxane levels (no data). A mixture of CuI 11.6, (Ph3P)2PdCl2 86, N-propargylimidazole (preparation given) 774 mg, and 6-bromo-3,4-dihydrocarbostyril 1.5 g was stirred in 10mL pyridine and 2 mL triethylamine at 100° for 48 h under N. The reaction mixture was then treated with saturated aqueous K2CO3, extracted with 10% MeOH in CH2Cl2,

and

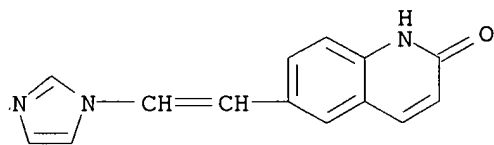
worked up to give 6-[3-(imidazol-1-yl)-1-propyn-1-yl]-3,4-dihydrocarbostyril. The latter (502 mg) was stirred under H in the presence of 200 mg 10% Pd/C to give 6-[3-(imidazol-1-yl)propyl]-3,4-dihydrocarbostyril (II). A tablet was formulated containing II 25, cornstarch 20, spray-dried lactose 153, and Mg stearate 2 mg.

IT 120067-44-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cAMP phosphodiesterase and thromboxane synthetase inhibitors)

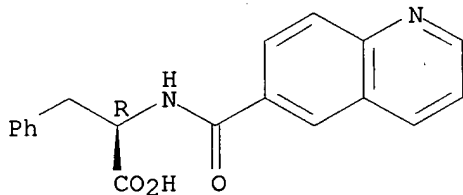
RN 120067-44-9 CAPLUS

CN 2(1H)-Quinolinone, 6-[2-(1H-imidazol-1-yl)ethenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 182 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1988:570845 CAPLUS
 DN 109:170845
 TI N-Acylphenylalanines and related compounds. A new class of oral hypoglycemic agents
 AU Shinkai, Hisashi; Toi, Koji; Kumashiro, Izumi; Seto, Yoshiko; Fukuma, Mariko; Dan, Katsuaki; Toyoshima, Shigeshi
 CS Cent. Res. Lab., Ajinomoto Co., Inc., Kawasaki, 210, Japan
 SO Journal of Medicinal Chemistry (1988), 31(11), 2092-7
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 109:170845
 AB A series of title compds., e.g. RCO-D-Phe-OH (R = cyclohexyl, 3-cyclohexenyl, 3-pyridyl, o-MeC₆H₄, etc.), were prepared and evaluated for their blood glucose lowering activity. Both the steric effects of the phenylalanine moiety and the effects of variations in the acyl moiety were investigated. This study elucidated some of the structure-activity relationships and led to the development of N-(4-ethylbenzoyl)-D-phenylalanine, which was 50 times more potent than Bz-DL-Phe-OH, a known hypoglycemic agent.
 IT **115732-18-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and hypoglycemic activity of)
 RN 115732-18-8 CAPLUS
 CN D-Phenylalanine, N-(6-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 183 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:167318 CAPLUS

DN 108:167318

TI Preparation of heterocyclic carbostyryl derivatives as inhibitors of thrombocyte adhesion

IN Nishi, Takao; Uno, Tetsuyuki; Koga, Yasuo; Chu, Gil Namg

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 204 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 240015	A2	19871007	EP 1987-104873	19870402
	EP 240015	A3	19901024		
	EP 240015	B1	19941228		
	R: CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 63045220	A2	19880226	JP 1987-43457	19870225
	JP 06081727	B4	19941019		
	JP 01006271	A2	19890110	JP 1987-60770	19870316
	JP 06081752	B4	19941019		
	DK 8701671	A	19871003	DK 1987-1671	19870401
	ES 2068807	T3	19950501	ES 1987-104873	19870402
	US 5008274	A	19910416	US 1988-232524	19880816
	US 5434164	A	19950718	US 1992-958489	19921008
PRAI	JP 1986-76089	A	19860402		
	JP 1987-43457	A	19870225		
	JP 1987-60770	A	19870316		
	JP 1987-43458	A1	19870225		
	US 1987-36564	B1	19870331		
	US 1988-232524	A3	19880816		
	US 1990-625018	B1	19901210		

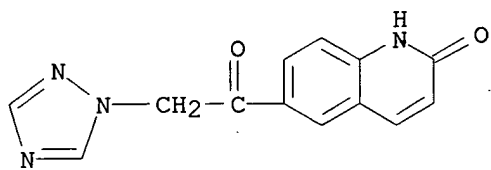
AB The title compds. [I; A = alkylene; R = (un)substituted, unsatd. heterocyclyl containing 1-4 O, S, N; R1 = H, alkyl, phenylalkyl; R2 = H, alkoxy, alkylsulfonyloxy, OH, halo; X = O, S, SO, SO2; Z = O, S, CO, HON:C, R1OCH, NH; n = 0, 1; dotted line indicates optional carbostyryl double bond] and their salts were prepared as blood platelet aggregation inhibitors. A mixture of 2.4 g 6-mercapto-3,4-dihydrocarbostyryl, 3.3 g 1-(3-bromopropyl)-1,2,4-triazole, and 2.6 mL 1,8-diazabicyclo[5.4.0]undec-7-ene was refluxed 2 h in 60 mL Me2CHOH to give 2.5 g [(triazolylpropyl)thio]carbostyryl II. In rats 100 mg II/kg orally reduced blood platelet aggregation 57%. Tablets were prepared containing 6-[[[(1-phenyl-1H-imidazol-2-yl)thio]acetyl]-3,4-dihydrocarbostyryl 5, lactose 50, cornstarch 25, microcryst. cellulose 25, methylcellulose 1.5, and Mg stearate 1.0 g per 103 tablets.

IT 113856-80-7P

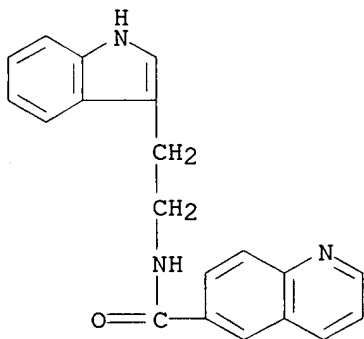
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as blood platelet aggregation inhibitor)

RN 113856-80-7 CAPLUS

CN 2(1H)-Quinolinone, 6-(1H-1,2,4-triazol-1-ylacetyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 184 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1988:75689 CAPLUS
 DN 108:75689
 TI Synthesis and pharmacological properties of komarovinine alkaloid and its tetrahydro derivative
 AU Tulyaganov, T. S.; Ibragimov, A. A.; Yunusov, S. Yu.; Vakhobov, A. A.; Aminov, S. D.
 CS Inst. Khim. Rastitel'n. Veshchestv, Tashkent, USSR
 SO Khimiko-Farmatsevticheskii Zhurnal (1987), 21(3), 295-7
 CODEN: KHFZAN; ISSN: 0023-1134
 DT Journal
 LA Russian
 OS CASREACT 108:75689
 AB Condensation of tryptamine with 5-quinolinecarboxylic acid gave 62.5% indole I which was cyclodehydrated by POC13 to give 43% β -carboline II. Dehydrogenation of the latter over Pd-C gave 53% β -carboline III. Reduction of II by NaBH4 in EtOH gave 52% β -carboline IV. Carboline IV exhibited substantial hypotensive activity.
 IT **112583-67-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and cyclodehydration by phosphoryl chloride)
 RN 112583-67-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[2-(1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 185 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1987:636532 CAPLUS

DN 107:236532

TI Preparation of (indolylethyl)piperidylquinolinecarboxamides as antihypertensives

IN Archibald, John Leheup; Ward, Terence James; White, Janet Christine

PA John Wyeth and Brother Ltd., UK

SO Brit. UK Pat. Appl., 15 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2184443	A1	19870624	GB 1986-30302	19861218
	GB 2184443	B2	19891018		
	US 4801595	A	19890131	US 1986-941914	19861215
	US 4866075	A	19890912	US 1988-232334	19880812
PRAI	GB 1985-31666	A	19851223		
	US 1986-941914	A3	19861215		

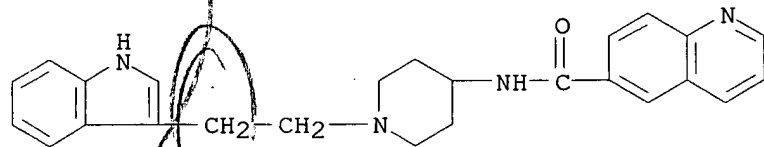
AB The title compds. I (R1 = OH, H, alkyl, halo, alkoxy; R2 = H, alkyl; R3, R4 = H, alkyl, alkoxy, amino, halo; R5 = R3, bond to the NHCO moiety; A = alkylene, oxoalkylene, hydroxyalkylene; one of X, Y = N, the other CR5) were prepared as antihypertensives. Quinoline-3-carbonyl chloride-HCl was added to 3-[2-(4-amino-1-piperidyl)ethyl]indole in CH₂Cl₂ and the mixture was stirred overnight to give N-[1-[2-(indol-3-yl)ethyl]piperid-4-yl]quinoline-3-carboxamide. The latter at 0.15 mmol/kg reduced blood pressure in rats to 55% of pre-dose levels 2 h after administration.

IT 111545-61-0P 111545-65-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

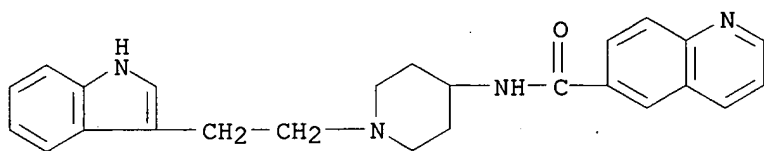
RN 111545-61-0 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[2-(1H-indol-3-yl)ethyl]-4-piperidinyl]-
(9CI) (CA INDEX NAME)



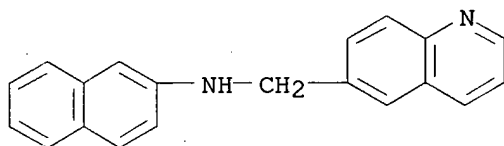
RN 111545-65-4 CAPLUS

CN 6-Quinolinecarboxamide, N-[1-[2-(1H-indol-3-yl)ethyl]-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

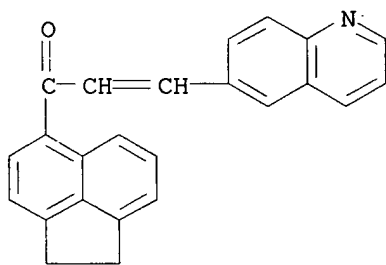


● 2 HCl

L62 ANSWER 186 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1987:176147 CAPLUS
 DN 106:176147
 TI Synthesis and spectral characteristics of acenaphthene derivatives of benzo[f]quinoline
 AU Kozlov, N. S.; Shmanai, G. S.; Dang Nhu Tai
 CS Inst. Fiz.-Org. Khim., Minsk, USSR
 SO Khimiya Geterotsiklicheskikh Soedinenii (1986), (8), 1102-6
 CODEN: KGSSAQ; ISSN: 0453-8234
 DT Journal
 LA Russian
 OS CASREACT 106:176147
 AB Addition reaction of 5-acetylacenaphthene with Schiff bases I (R = Ph, substituted Ph, 6-quinolyl) catalyzed by acids at 100° gave 9-49% benzoquinolines II in addition to substituted 2-naphthylamines and substituted acryloylacenaphthene derivs.
 IT **107805-83-4P 107805-84-5P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 107805-83-4 CAPLUS
 CN 6-Quinolinemethanamine, N-2-naphthalenyl- (9CI) (CA INDEX NAME)



RN 107805-84-5 CAPLUS
 CN 2-Propen-1-one, 1-(1,2-dihydro-5-acenaphthylenyl)-3-(6-quinoliny)- (9CI)
 (CA INDEX NAME)



L62 ANSWER 187 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1986:145089 CAPLUS

DN 104:145089

TI Test strips for determining hydrogen peroxide or hydrogen peroxide-forming mixtures

IN Kahrig, Erwin; Ullmann, Horst; Brandstaedter, Hermann

PA Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

SO Ger. (East), 12 pp.

CODEN: GEXXA8

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 224950	A1	19850717	DD 1983-257999	19831215
PRAI	DD 1983-257999		19831215		

AB H2O2 and H2O2-generating systems are determined with a test strip containing an asym. bifunctional dicoupling agent which causes a change in tint dependent on the analyte concentration For example, a test strip for glucose determination was prepared by depositing, on transparent cellulose acetate film, a

9% gelatin solution (pH 7.0) containing glucose oxidase, peroxidase, N,N-dimethyl-p-phenylenediamine, and the bifunctional coupling agent 1-hydroxy-8-(benzoylaceto)naphthalene-5-sulfonic acid. The color developed changed from yellow through green to blue-green with increasing glucose concentration in the test solution

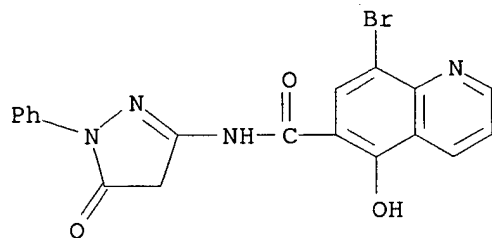
IT 101196-04-7 101196-08-1 101196-09-2
101196-10-5 101196-11-6 101196-12-7

RL: ANST (Analytical study)

(test strip containing, as dicoupling agent)

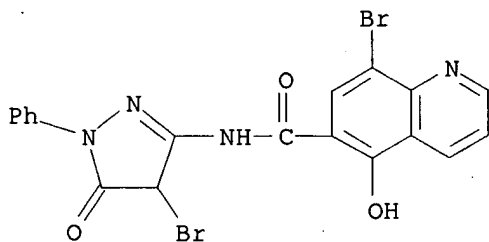
RN 101196-04-7 CAPLUS

CN 6-Quinolinecarboxamide, 8-bromo-N-(4,5-dihydro-5-oxo-1-phenyl-1H-pyrazol-3-yl)-5-hydroxy- (9CI) (CA INDEX NAME)



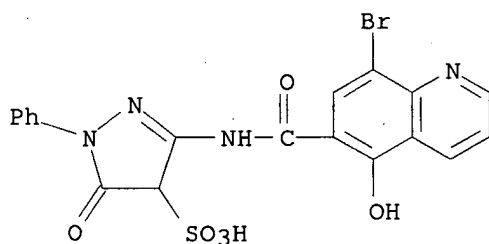
RN 101196-08-1 CAPLUS

CN 6-Quinolinecarboxamide, 8-bromo-N-(4-bromo-4,5-dihydro-5-oxo-1-phenyl-1H-pyrazol-3-yl)-5-hydroxy- (9CI) (CA INDEX NAME)



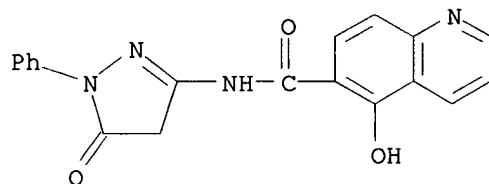
RN 101196-09-2 CAPLUS

CN 1H-Pyrazole-4-sulfonic acid, 3-[[[8-bromo-5-hydroxy-6-quinolinyl]carbonyl]amino]-4,5-dihydro-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)



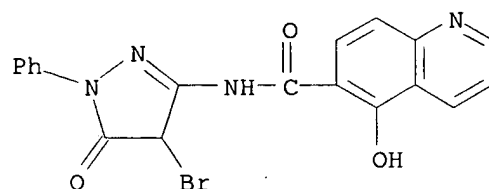
RN 101196-10-5 CAPLUS

CN 6-Quinolinecarboxamide, N-(4,5-dihydro-5-oxo-1-phenyl-1H-pyrazol-3-yl)-5-hydroxy- (9CI) (CA INDEX NAME)



RN 101196-11-6 CAPLUS

CN 6-Quinolinecarboxamide, N-(4-bromo-4,5-dihydro-5-oxo-1-phenyl-1H-pyrazol-3-yl)-5-hydroxy- (9CI) (CA INDEX NAME)

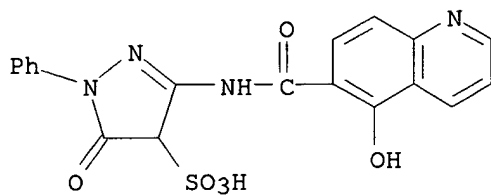


RN 101196-12-7 CAPLUS

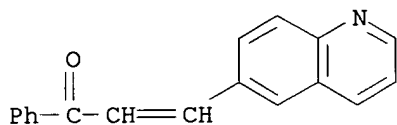
CN 1H-Pyrazole-4-sulfonic acid, 4,5-dihydro-3-[[[5-hydroxy-6-

10/634,182

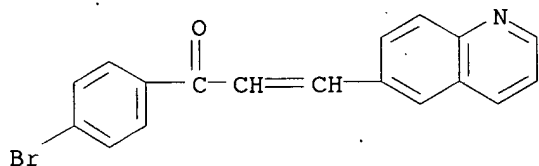
quinolinyl)carbonylamino]-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)



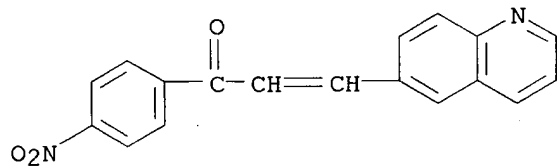
L62 ANSWER 188 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1985:220716 CAPLUS
 DN 102:220716
 TI Reaction of 6-[N-(6-quinolyl)formimidoyl]quinoline with methyl ketones
 AU Kozlov, N. S.; Shmanai, G. S.; Gusak, K. N.
 CS Inst. Fiz.-Org. Khim., Minsk, USSR
 SO Doklady Akademii Nauk BSSR (1985), 29(2), 141-4
 CODEN: DBLRAC; ISSN: 0002-354X
 DT Journal
 LA Russian
 OS CASREACT 102:220716
 AB Cyclocondensing RCOMe (R = Ph, p-BrC₆H₄, p-O₂NC₆H₄, p-MeC₆H₄, 2-quinolyl, 3-pyridyl) with naphthalene I in BuOH 5 h at 120-150° gave 8-21% phenanthrolines II. Addnl. obtained were 18-46% quinolines III (R = Ph, p-BrC₆H₄, p-O₂NC₆H₄, p-MeC₆H₅, 3-pyridyl).
 IT **96682-65-4P 96682-66-5P 96682-67-6P 96682-68-7P 96682-69-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 96682-65-4 CAPLUS
 CN 2-Propen-1-one, 1-phenyl-3-(6-quinolinyl)- (9CI) (CA INDEX NAME)



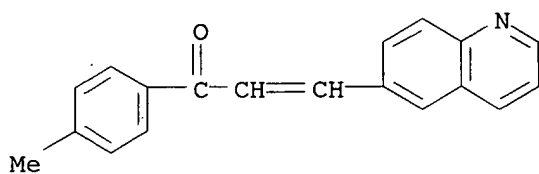
RN 96682-66-5 CAPLUS
 CN 2-Propen-1-one, 1-(4-bromophenyl)-3-(6-quinolinyl)- (9CI) (CA INDEX NAME)



RN 96682-67-6 CAPLUS
 CN 2-Propen-1-one, 1-(4-nitrophenyl)-3-(6-quinolinyl)- (9CI) (CA INDEX NAME)

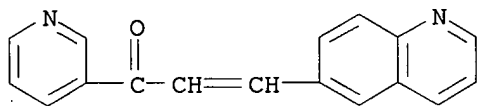


RN 96682-68-7 CAPLUS
 CN 2-Propen-1-one, 1-(4-methylphenyl)-3-(6-quinolinyl)- (9CI) (CA INDEX NAME)



RN 96682-69-8 CAPLUS

CN 2-Propen-1-one, 1-(3-pyridinyl)-3-(6-quinolinyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 189 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1984:423497 CAPLUS
 DN 101:23497
 TI Cardiotonic carbostyrils
 PA Otsuka Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 26 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59013722	A2	19840124	JP 1982-121399	19820713
	JP 02039488	B4	19900905		
	JP 02049769	A2	19900220	JP 1989-165069	19890626
PRAI	JP 1982-121399		19820713		

OS CASREACT 101:23497

AB Carbostyryl derivs. I and II (R = alkanoyl, alkoxycarbonyl, furoyl, alkylsulfonyl, (un)substituted benzoyl, phenylsulfonyl, phenylalkenylcarbonyl, phenoxyalkyl; R1 = H, alkyl, alkenyl, alkynyl, phenylalkyl; Z = alkylene) were prepared Thus, stirring 6-(α -chloroacetyl)-3,4-dihydrocarbostyryl with 4-(3,4,5-trimethoxybenzoyl)piperazine in DMF in the presence of Et3N gave, after treatment with HCl/EtOH, I.HCl (R = 3,4,5-(MeO)3C6H2CO, R1 = H, Z = CH2). I.HCl (R = 4-MeC6H4CO, R1 = H, Z = CH2, piperazinylacetyl group at 6 position) showed cardiotonic activity at 1 μ mol/dog i.v.

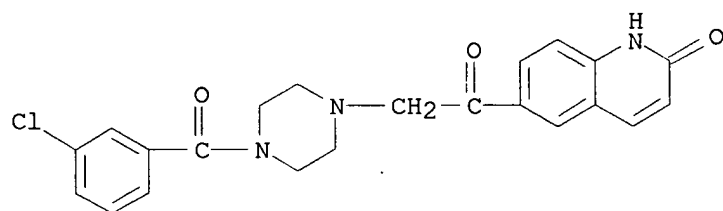
IT 86061-44-1P 86061-45-2P 86061-46-3P
 86061-47-4P 86061-48-5P 86061-49-6P
 86061-50-9P 86061-51-0P 86061-52-1P
 86061-53-2P 86061-74-7P 86061-75-8P
 86061-76-9P 86061-77-0P 86061-78-1P
 86061-79-2P 86061-80-5P 86061-81-6P
 86061-83-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and cardiotonic activity of)

RN 86061-44-1 CAPLUS

CN Piperazine, 1-(3-chlorobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

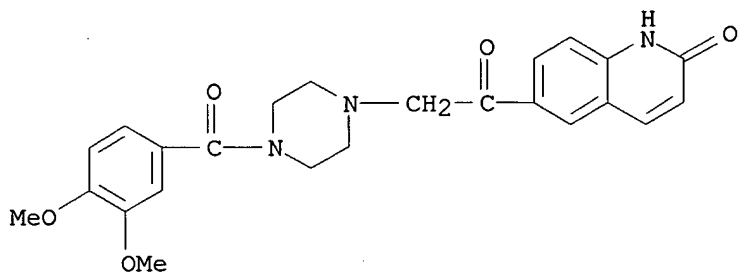


● HCl

RN 86061-45-2 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4-

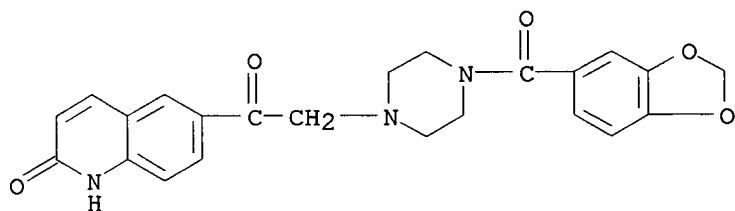
dimethoxybenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

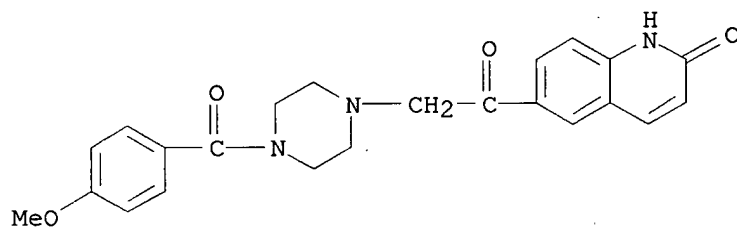
RN 86061-46-3 CAPLUS

CN Piperazine, 1-(1,3-benzodioxol-5-ylcarbonyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 86061-47-4 CAPLUS

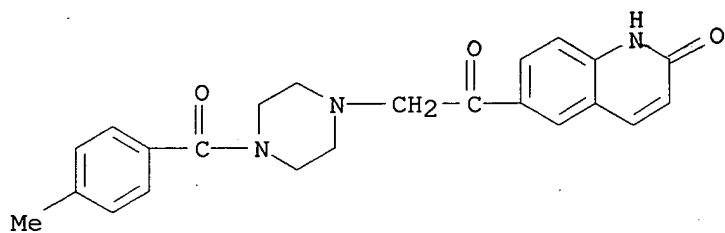
CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methoxybenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

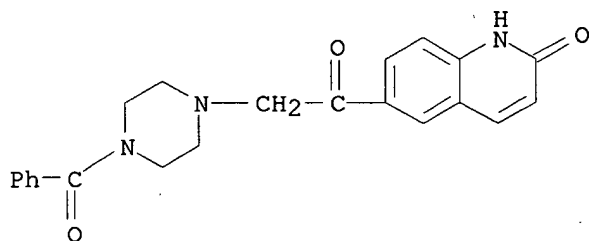
RN 86061-48-5 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methylbenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



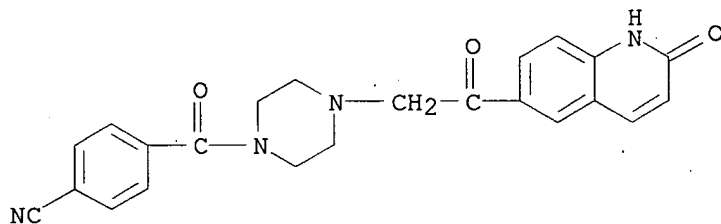
● HCl

RN 86061-49-6 CAPLUS
 CN Piperazine, 1-benzoyl-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



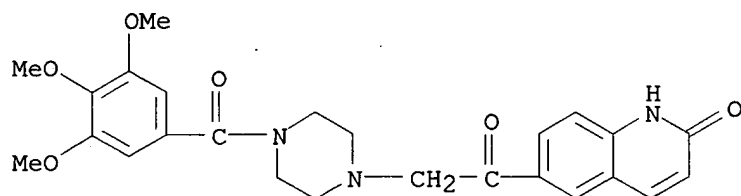
● HCl

RN 86061-50-9 CAPLUS
 CN Piperazine, 1-(4-cyanobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



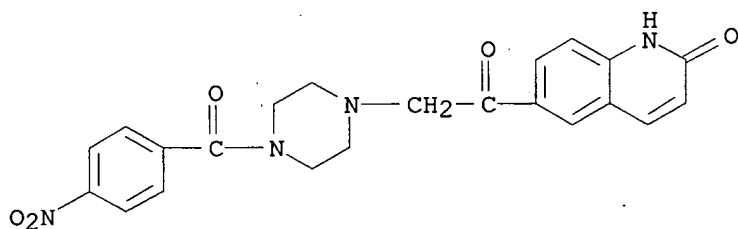
● HCl

RN 86061-51-0 CAPLUS
 CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4,5-trimethoxybenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



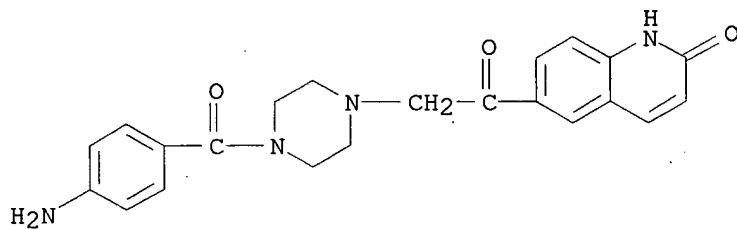
● HCl

RN 86061-52-1 CAPLUS
 CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-nitrobenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



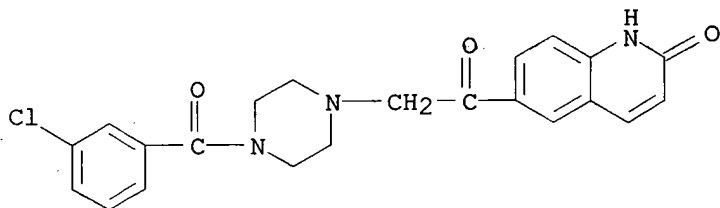
● HCl

RN 86061-53-2 CAPLUS
 CN Piperazine, 1-(4-aminobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



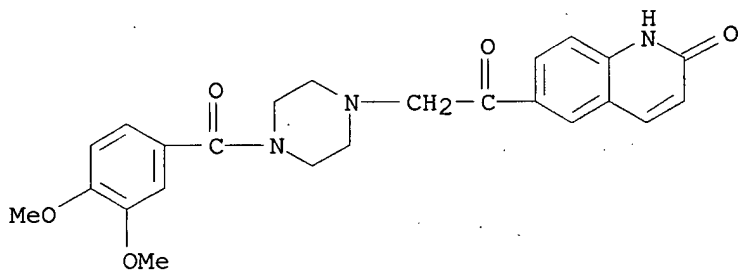
●2 HCl

RN 86061-74-7 CAPLUS
 CN Piperazine, 1-(3-chlorobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



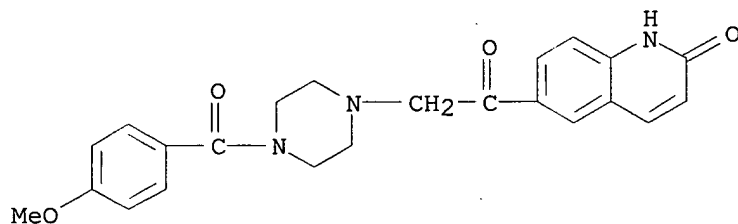
RN 86061-75-8 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4-dimethoxybenzoyl)- (9CI) (CA INDEX NAME)



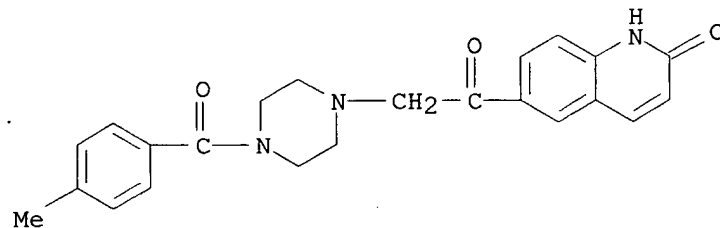
RN 86061-76-9 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



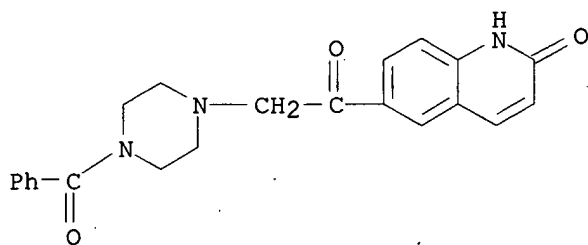
RN 86061-77-0 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)



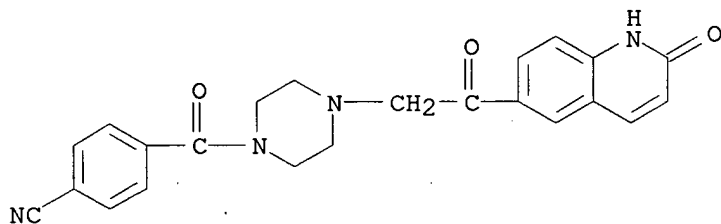
RN 86061-78-1 CAPLUS

CN Piperazine, 1-benzoyl-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-
(9CI) (CA INDEX NAME)



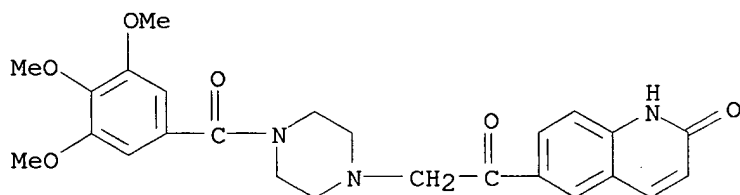
RN 86061-79-2 CAPLUS

CN Piperazine, 1-(4-cyanobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



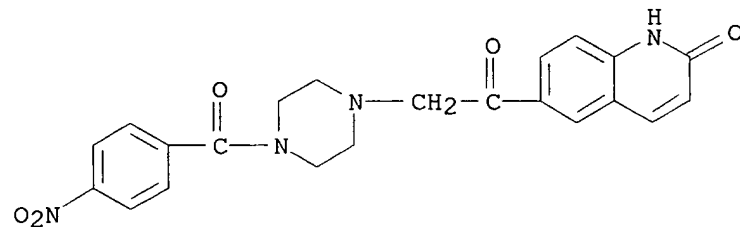
RN 86061-80-5 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



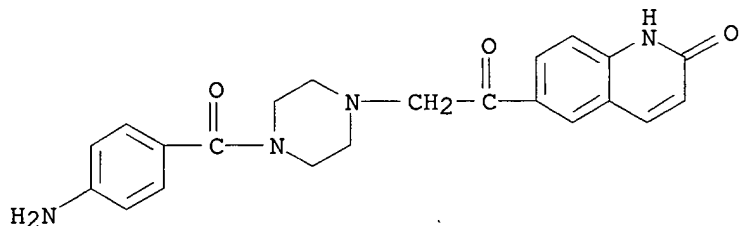
RN 86061-81-6 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)



RN 86061-83-8 CAPLUS

CN Piperazine, 1-(4-aminobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 190 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:557655 CAPLUS

DN 99:157655

TI Absorption and emission anomalies in solutions of trans-azastilbenes and related compounds possibly caused by association

AU Masetti, Fausto; Bartocci, Giampiero; Mazzucato, Ugo; Fischer, Ernst

CS Ist. Chim. Fis., Univ. Perugia, Perugia, I-06100, Italy

SO Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1972-1999) (1983), (6), 797-802
CODEN: JCPKBH; ISSN: 0300-9580

DT Journal

LA English

AB Absorption and emission anomalies were studied for trans-styrylpyridines, dipyridylethylenes, and some other aza-analogs of stilbene-like mols. in aliphatic hydrocarbon solvents at low temps. Fluorescence spectra, quantum yields, and lifetimes were measured at different excitation and emission wavelengths. On cooling, the structured fluorescence spectrum of the monomer is gradually replaced by a new broad red-shifted band which becomes prominent when irradiation is carried out at the red tail of the absorption spectrum. Its intensity decay is multiexponential and the measured lifetimes depend on both λ_{ex} and λ_{em} , reflecting a continuum of new species of longer lifetime than the monomeric compds. The extent of these anomalies depends on solvent, concentration, temperature, rate of cooling, and olefin structure. The emission spectra of the crystalline azastilbenes differ from the broadband emission which is tentatively assigned to association products with a more or less narrow size distribution.

IT 73541-19-2

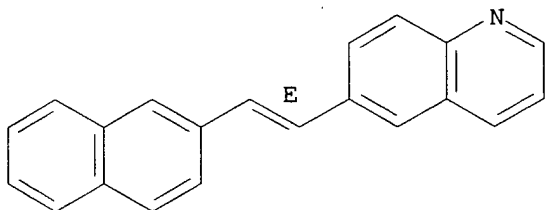
RL: PRP (Properties)

(emission spectra of, mol. association in relation to)

RN 73541-19-2 CAPLUS

CN Quinoline, 6-[(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 191 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:405649 CAPLUS

DN 99:5649

TI Carbostyryl derivatives and pharmaceutical compositions containing them

PA Otsuka Pharmaceutical Co., Ltd. , Japan

SO Belg., 76 pp.

CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 894105	A1	19830214	BE 1982-208806	19820813
	JP 58038258	A2	19830305	JP 1981-137984	19810901
	JP 01003194	B4	19890119		
	JP 58110568	A2	19830701	JP 1981-210368	19811225
	JP 03010620	B4	19910214		
	AU 8286980	A1	19830414	AU 1982-86980	19820809
	AU 532361	B2	19830929		
	FI 8202803	A	19830302	FI 1982-2803	19820811
	FI 78688	B	19890531		
	FI 78688	C	19890911		
	ZA 8205818	A	19830629	ZA 1982-5818	19820811
	US 4514401	A	19850430	US 1982-407099	19820811
	CA 1205807	A1	19860610	CA 1982-409255	19820811
	DK 8203619	A	19830302	DK 1982-3619	19820812
	DK 166877	B1	19930726		
	NO 8202749	A	19830302	NO 1982-2749	19820812
	NO 159591	B	19881010		
	NO 159591	C	19890118		
	SE 8204677	A	19830302	SE 1982-4677	19820813
	SE 452984	B	19880104		
	SE 452984	C	19880414		
	FR 2512019	A1	19830304	FR 1982-14117	19820813
	FR 2512019	B1	19860103		
	DE 3230209	A1	19830310	DE 1982-3230209	19820813
	DE 3230209	C2	19850822		
	GB 2108109	A1	19830511	GB 1982-23310	19820813
	GB 2108109	B2	19850509		
	ES 514992	A1	19831116	ES 1982-514992	19820813
	SU 1356962	A3	19871130	SU 1982-3485500	19820813
	CH 650783	A	19850815	CH 1982-4880	19820815
	AT 8203106	A	19861215	AT 1982-3106	19820816
	AT 383592	B	19870727		
	NL 8203225	A	19830405	NL 1982-3225	19820817
	ES 521472	A1	19840516	ES 1983-521472	19830414
	ES 521473	A1	19840516	ES 1983-521473	19830414
	ES 521471	A1	19841101	ES 1983-521471	19830414
	ES 536982	A1	19850701	ES 1984-536982	19841022
PRAI	JP 1981-137984	A	19810901		
	JP 1981-210368	A	19811225		

OS CASREACT 99:5649

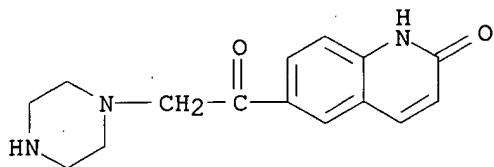
AB Piperazinoalkanoylcarbostyryles I (R = H, alkyl, aralkyl; R1 = acyl, alkylsulfonyl, arylsulfonyl, phenoxyalkyl; X = alkylene) and their 3,4-dihydro derivs. were prepared Thus, II (R1 = H) was acylated to give II (R1 = 3-ClC6H4CO) which at 1 μ mole gave a 60% increase in the contraction of dog papillary muscle in vitro.

IT 86061-43-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)

RN 86061-43-0 CAPLUS

CN 2(1H)-Quinolinone, 6-(1-piperazinylacetyl)- (9CI) (CA INDEX NAME)

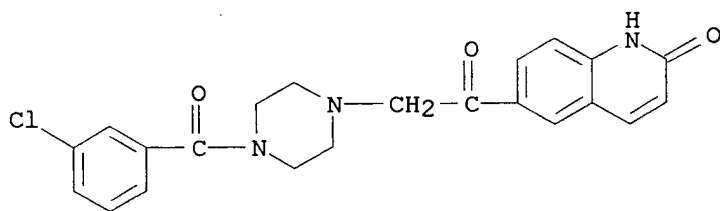


IT 86061-44-1P 86061-45-2P 86061-46-3P
86061-47-4P 86061-48-5P 86061-49-6P
86061-50-9P 86061-51-0P 86061-52-1P
86061-53-2P 86061-74-7P 86061-75-8P
86061-76-9P 86061-77-0P 86061-78-1P
86061-79-2P 86061-80-5P 86061-81-6P
86061-83-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and inotropic activity of)

RN 86061-44-1 CAPLUS

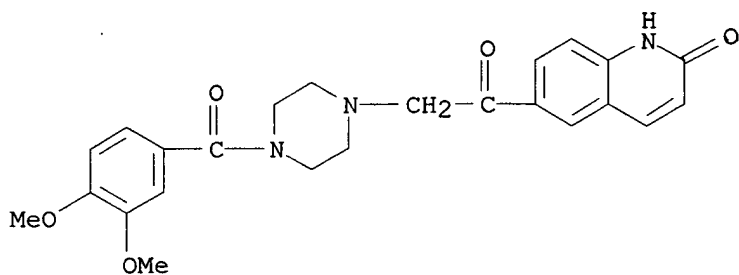
CN Piperazine, 1-(3-chlorobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 86061-45-2 CAPLUS

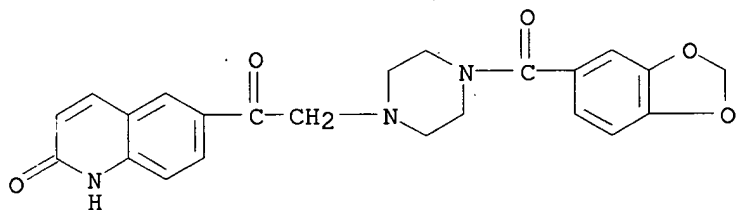
CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4-dimethoxybenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

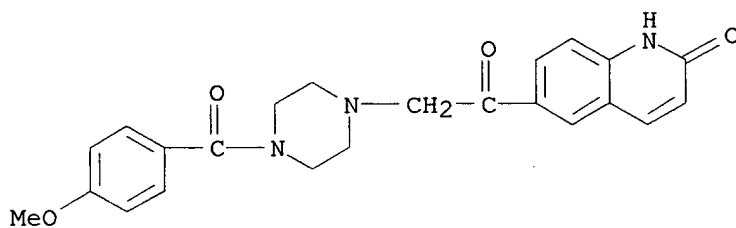
RN 86061-46-3 CAPLUS

CN Piperazine, 1-(1,3-benzodioxol-5-ylcarbonyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 86061-47-4 CAPLUS

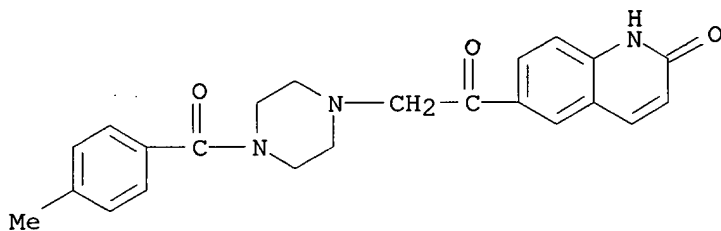
CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methoxybenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

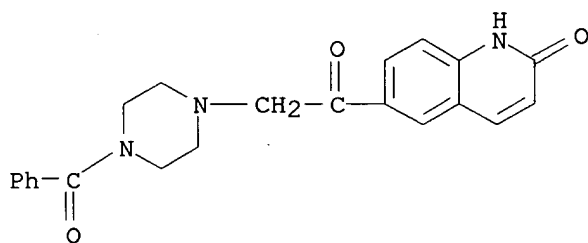
RN 86061-48-5 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methylbenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



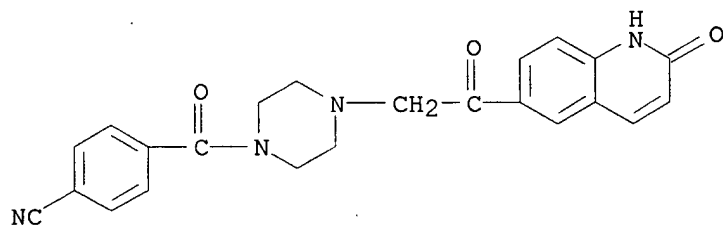
● HCl

RN 86061-49-6 CAPLUS
 CN Piperazine, 1-benzoyl-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



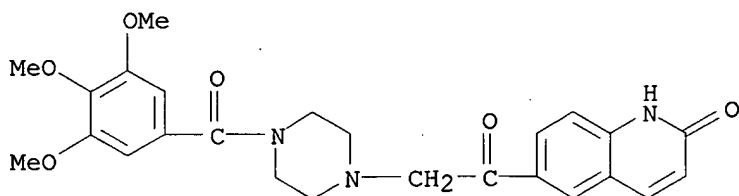
● HCl

RN 86061-50-9 CAPLUS
 CN Piperazine, 1-(4-cyanobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



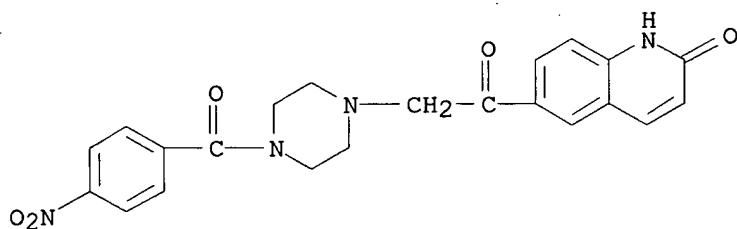
● HCl

RN 86061-51-0 CAPLUS
 CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4,5-trimethoxybenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



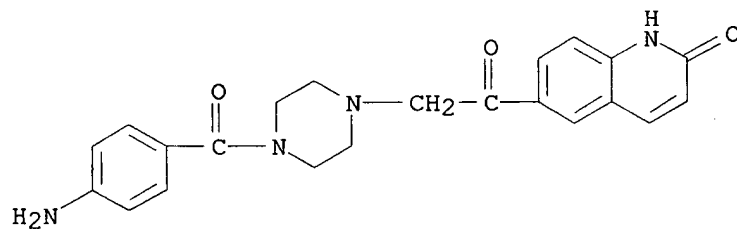
● HCl

RN 86061-52-1 CAPLUS
 CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-nitrobenzoyl)-, monohydrochloride (9CI) (CA INDEX NAME)



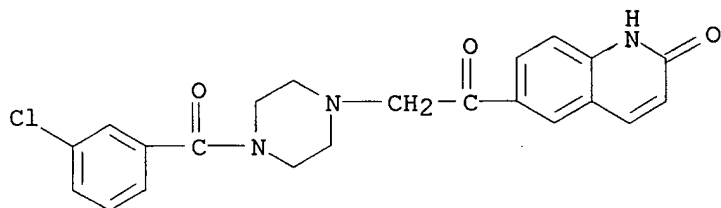
● HCl

RN 86061-53-2 CAPLUS
 CN Piperazine, 1-(4-aminobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



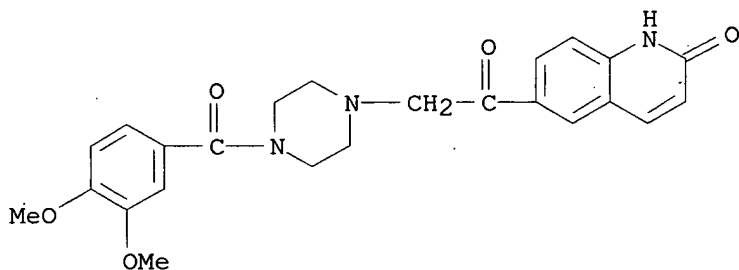
●2 HCl

RN 86061-74-7 CAPLUS
 CN Piperazine, 1-(3-chlorobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



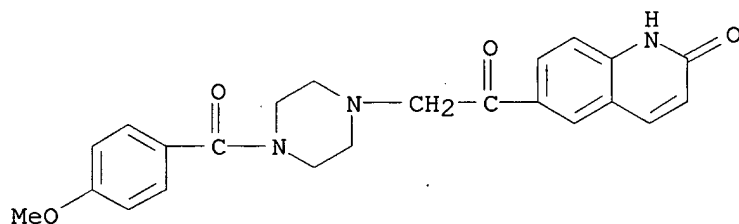
RN 86061-75-8 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4-dimethoxybenzoyl)- (9CI) (CA INDEX NAME)



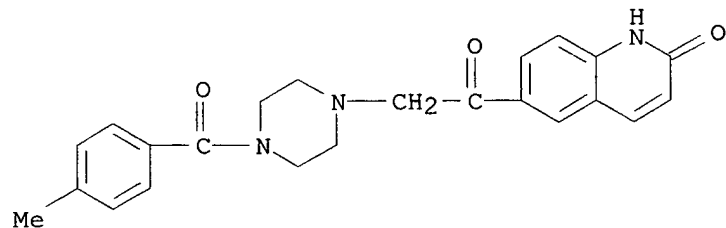
RN 86061-76-9 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



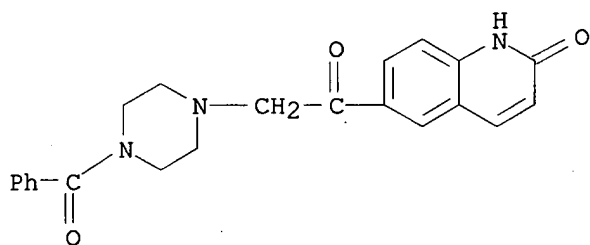
RN 86061-77-0 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)



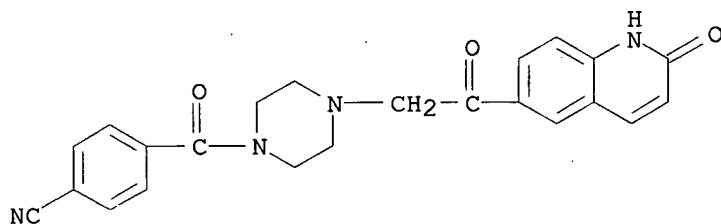
RN 86061-78-1 CAPLUS

CN Piperazine, 1-benzoyl-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-
(9CI) (CA INDEX NAME)



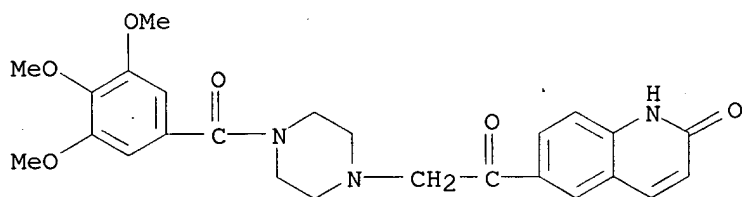
RN 86061-79-2 CAPLUS

CN Piperazine, 1-(4-cyanobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



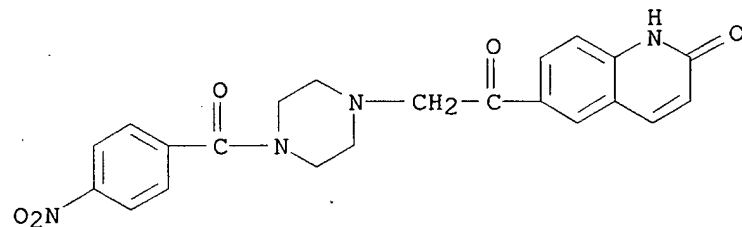
RN 86061-80-5 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



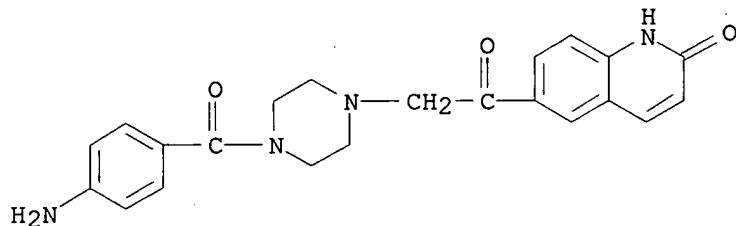
RN 86061-81-6 CAPLUS

CN Piperazine, 1-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]-4-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)



RN 86061-83-8 CAPLUS

CN Piperazine, 1-(4-aminobenzoyl)-4-[2-(1,2-dihydro-2-oxo-6-quinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 192 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:143285 CAPLUS

DN 98:143285

TI Carbostyryl derivatives

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 101 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 57142972	A2	19820903	JP 1981-28552	19810227
	JP 02015537	B4	19900412		
	JP 01221315	A2	19890904	JP 1988-43120	19880224
	JP 03051687	B4	19910807		
PRAI	JP 1981-28552		19810227		

OS CASREACT 98:143285

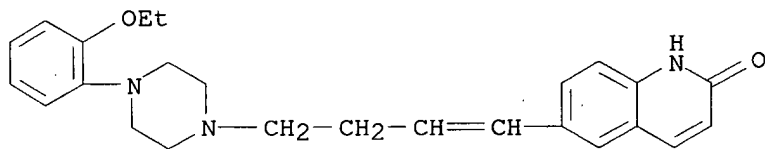
AB About 180 carbostyryl derivs. [I, R1 = H, aliphatic, Ph, X = CO, CHO, CH:CR2, CH2CHR2 (R2 = H, alkyl), Xn = H, alkyl (n = 0-2), Z = :NR3, :CR4R5 (R3 = (un)substituted Ph, R4, R5 = H, (un)substituted Ph)], useful as antihistaminics and sympatholytics, were prepared by a variety of conventional methods. Thus, 1.9 g 6-[1-hydroxy-4-[4-(3-chlorophenyl)-1-piperazinyl]butyl]-3,4-dihydrocarbostyryl in 50 mL AcOH was treated with 2 mL concentrated HCl, heated to 80° for 30 min, and, after a conventional workup 1.6 g I [Z = 3-ClC6H4N, (X)n = (CH2)2, X = CH:CH, R1 = H] was obtained. I [Z = 2-EtOC6H4N, Xn = (CH2)n (n = 1, 2), X = CH:CH, R1 = H] were effective sympatholytics for mouse epinephrine at an ED50 dosage of 0.005 mg/kg compared to 7.60 mg/kg for chlorpromazine.

IT **80834-80-6P 80835-17-2P 80835-18-3P****80836-74-4P 80836-75-5P 85158-16-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and pharmacol. properties of)

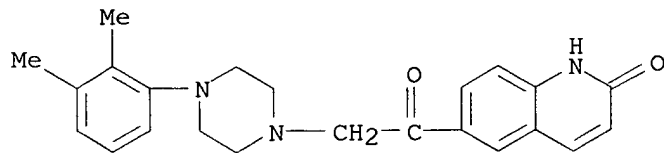
RN 80834-80-6 CAPLUS

CN 2(1H)-Quinolinone, 6-[4-[4-(2-ethoxyphenyl)-1-piperazinyl]-1-butenyl]-
(9CI) (CA INDEX NAME)



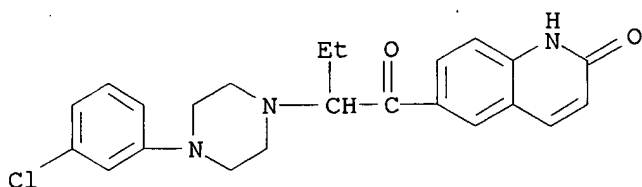
RN 80835-17-2 CAPLUS

CN 2(1H)-Quinolinone, 6-[[4-(2,3-dimethylphenyl)-1-piperazinyl]acetyl]- (9CI)
(CA INDEX NAME)



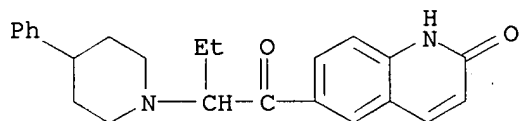
RN 80835-18-3 CAPLUS

CN 2(1H)-Quinolinone, 6-[2-[4-(3-chlorophenyl)-1-piperazinyl]-1-oxobutyl]-, monohydrochloride (9CI) (CA INDEX NAME)



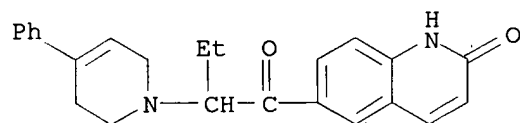
● HCl

RN 80836-74-4 CAPLUS
CN 2(1H)-Quinolinone, 6-[1-oxo-2-(4-phenyl-1-piperidiny1)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



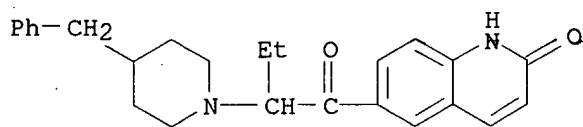
● HCl

RN 80836-75-5 CAPLUS
CN 2(1H)-Quinolinone, 6-[2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-1-oxobutyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 85158-16-3 CAPLUS
CN 2(1H)-Quinolinone, 6-[1-oxo-2-[4-(phenylmethyl)-1-piperidiny1]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L62 ANSWER 193 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:553375 CAPLUS

DN 97:153375

TI Spectroscopic resolution of rotational conformers in trans-diarylethylenes: time-resolved fluorescence studies

AU Matthews, Anne C.; Sakurovs, Richard; Ghiggino, Kenneth P.

CS Dep. Phys. Chem., Univ. Melbourne, Parkville, 3052, Australia

SO Journal of Photochemistry (1982), 19(3), 235-44

CODEN: JPCMAE; ISSN: 0047-2670

DT Journal

LA English

AB Steady state and time-resolved fluorescence techniques were used to investigate the presence of ground state conformers in solns. of trans-diarylethylenes. For a number of compds. where such conformers can be proposed, the fluorescence spectra depend on the excitation wavelength and the fluorescence decay kinetics can only be described by multiexponential decay functions. Quenching expts. and temperature dependence studies have provided some insight into the number and properties of the species present in solution. The results are consistent with the identification of 2 or 3 distinct rotational conformers on the time scale of electronic excitation, and the possible excited state relaxation pathways of these conformational species are discussed.

IT 73541-19-2

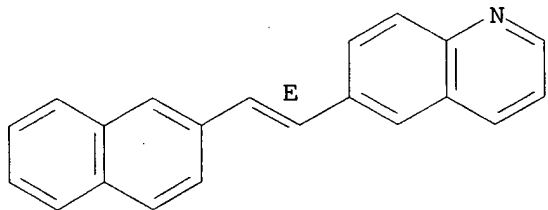
RL: PRP (Properties)

(rotational conformers of, fluorescence in study of)

RN 73541-19-2 CAPLUS

CN Quinoline, 6-[(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 194 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1982:85434 CAPLUS
 DN 96:85434
 TI Carbostyryl derivatives and their use in therapy
 IN Banno, Kazuo; Fujioka, Takafumi; Osaki, Masaaki; Nakagawa, Kazuyuki
 PA Otsuka Pharmaceutical Co., Ltd. , Japan
 SO Fr. Demande, 184 pp.
 CODEN: FRXXBL

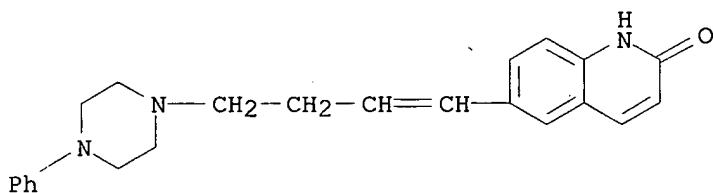
DT Patent

LA French

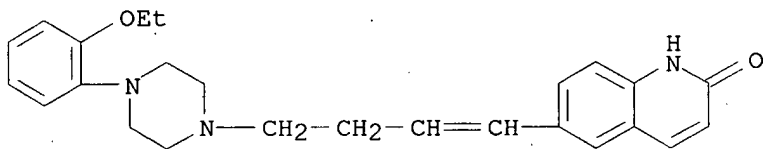
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2477542	A1	19810911	FR 1981-4311	19810304
	FR 2477542	B1	19830909		
	JP 56125370	A2	19811001	JP 1980-28805	19800306
	JP 63025585	B4	19880526		
	JP 57038772	A2	19820303	JP 1980-115022	19800820
	JP 63020430	B4	19880427		
	DE 3107601	A1	19820204	DE 1981-3107601	19810227
	DE 3107601	C2	19890720		
	CA 1155119	A1	19831011	CA 1981-371904	19810227
	DE 3152880	C2	19900322	DE 1981-3152880	19810227
	AU 8167973	A1	19810910	AU 1981-67973	19810302
	AU 523005	B2	19820708		
	FI 8100669	A	19810907	FI 1981-669	19810303
	FI 76323	B	19880630		
	FI 76323	C	19881010		
	AT 8100984	A	19860215	AT 1981-984	19810303
	AT 381307	B	19860925		
	ZA 8101438	A	19820331	ZA 1981-1438	19810304
	CH 647775	A	19850215	CH 1981-1446	19810304
	SU 1367857	A3	19880115	SU 1981-3257001	19810304
	BE 887800	A1	19810907	BE 1981-204016	19810305
	DK 8100997	A	19810907	DK 1981-997	19810305
	DK 155282	B	19890320		
	DK 155282	C	19890807		
	NO 8100765	A	19810907	NO 1981-765	19810305
	NO 159531	B	19881003		
	NO 159531	C	19890111		
	SE 8101409	A	19810907	SE 1981-1409	19810305
	SE 447255	B	19861103		
	SE 447255	C	19870212		
	ES 500137	A1	19821101	ES 1981-500137	19810305
	GB 2071094	A1	19810916	GB 1981-7036	19810306
	GB 2071094	B2	19840926		
	NL 8101099	A	19811001	NL 1981-1099	19810306
	NL 184364	B	19890201		
	NL 184364	C	19890703		
	ES 509658	A1	19830401	ES 1982-509658	19820216
	ES 509659	A1	19830501	ES 1982-509659	19820216
	SU 1779249	A3	19921130	SU 1982-3406699	19820318
	ES 518667	A1	19840616	ES 1982-518667	19821229
	AT 8400541	A	19880515	AT 1984-541	19840217
	AT 387215	B	19881227		
	NL 8802223	A	19890102	NL 1988-2223	19880909
	NL 187209	B	19910201		
	NL 187209	C	19910701		

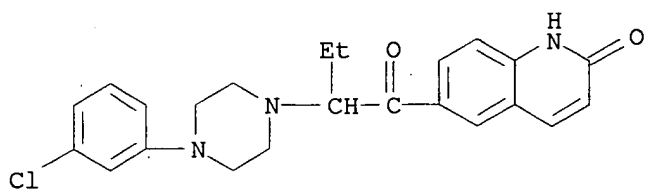
PRAI JP 1980-28805 A 19800306
 JP 1980-115022 A 19800820
 AT 1981-984 A 19810303
 NL 1981-1099 A3 19810306
 OS CASREACT 96:85434
 AB Substituted carbostyrils I and II [R = H, alkyl, phenylalkyl, alkenyl, alkynyl; Z = N-phenylimino, (un)substituted benzylidene; n = 0, 1; Z1 = alkylene; Z2 = CO, CH(OH), (un)substituted vinylene or ethylene] were prepared; the I and II exhibited antihistaminic, anti-aggressive, and adrenaline antagonist activity and showed usefulness as central nervous system agents. 6-(4-Chlorobutyryl)-3,4-dihydrocarbostyryl was treated with 1-phenylpiperazine in Me₂CO containing NaI and Et₃N to give 6-[4-(4-phenyl-1-piperazinyl)butyryl]-3,4-dihydrocarbostyryl.
 IT **80851-45-2**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrogenation of)
 RN 80851-45-2 CAPLUS
 CN 2(1H)-Quinolinone, 6-[4-(4-phenyl-1-piperazinyl)-1-butenyl]- (9CI) (CA INDEX NAME)



IT **80834-80-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and anti-aggression activity of)
 RN 80834-80-6 CAPLUS
 CN 2(1H)-Quinolinone, 6-[4-[4-(2-ethoxyphenyl)-1-piperazinyl]-1-butenyl]- (9CI) (CA INDEX NAME)



IT **80834-51-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and pharmacol. activity of)
 RN 80834-51-1 CAPLUS
 CN 2(1H)-Quinolinone, 6-[2-[4-(3-chlorophenyl)-1-piperazinyl]-1-oxobutyl]- (9CI) (CA INDEX NAME)

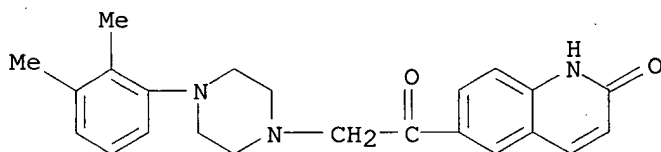


IT 80835-17-2P 80835-18-3P 80836-74-4P
80836-75-5P 80851-46-3P 80851-47-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

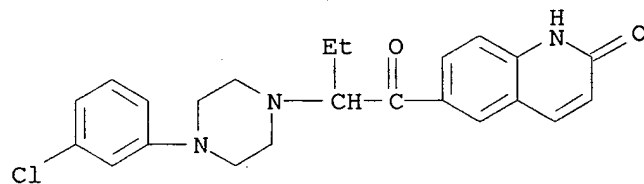
RN 80835-17-2 CAPLUS

CN 2(1H)-Quinolinone, 6-[[4-(2,3-dimethylphenyl)-1-piperazinyl]acetyl]- (9CI)
(CA INDEX NAME)



RN 80835-18-3 CAPLUS

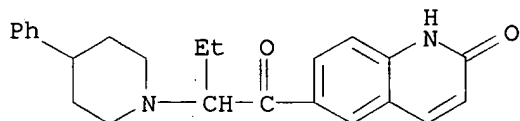
CN 2(1H)-Quinolinone, 6-[2-[4-(3-chlorophenyl)-1-piperazinyl]-1-oxobutyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 80836-74-4 CAPLUS

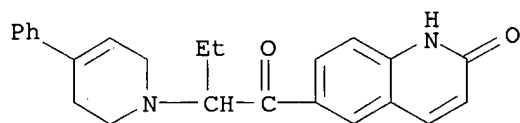
CN 2(1H)-Quinolinone, 6-[1-oxo-2-(4-phenyl-1-piperidinyl)butyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 80836-75-5 CAPLUS

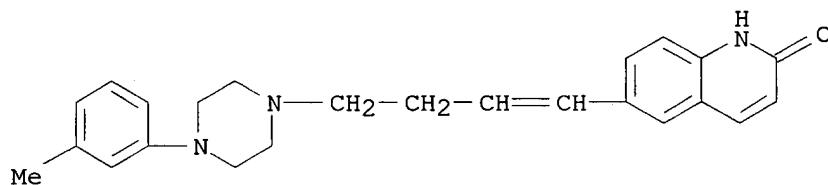
CN 2(1H)-Quinolinone, 6-[2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-1-oxobutyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 80851-46-3 CAPLUS

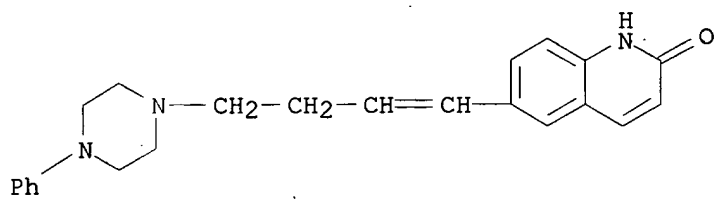
CN 2(1H)-Quinolinone, 6-[4-[4-(3-methylphenyl)-1-piperazinyl]-1-butenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 80851-47-4 CAPLUS

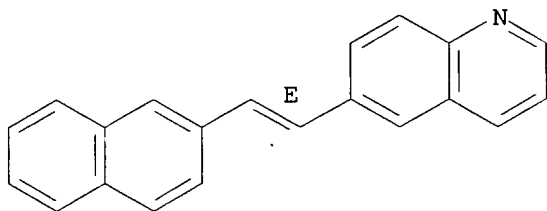
CN 2(1H)-Quinolinone, 6-[4-(4-phenyl-1-piperazinyl)-1-butenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

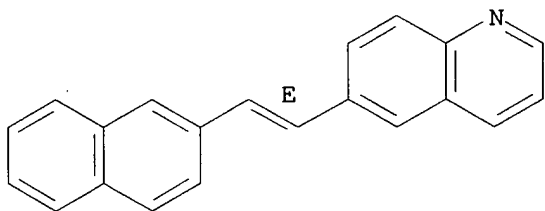
L62 ANSWER 195 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:5815 CAPLUS
DN 96:5815
TI Emission spectroscopy evidence for the existence of rotamers in solutions of trans-diarylethylenes and related compounds
AU Fischer, Ernst
CS Dep. Struct. Chem., Weizmann Inst. Sci., Rehovot, Israel
SO Journal of Photochemistry (1981), 17(3-4), 331-40
CODEN: JPCMAE; ISSN: 0047-2670
DT Journal
LA English
AB A large variety of trans-1,2-diarylethylenes and 2,6-distyrylnaphthalenes exhibit a pronounced variation in their emission spectra with the wavelength of excitation. In solution these compds. exist as mixts. of two or three almost isoenergetic rotational conformers involving the quasi-single bonds. The conformers have slightly different absorption and emission spectra. Compds. in which such conformers cannot be postulated or are expected to be far from isoenergetic do not exhibit the phenomena. In some cases the modifications also differ in their emission decay times and emission yields and can be distinguished by time-resolved emission spectroscopy.
IT **73541-19-2**
RL: PRP (Properties)
(conformers of, emission spectroscopy in relation to)
RN 73541-19-2 CAPLUS
CN Quinoline, 6-[(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 196 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:577956 CAPLUS
DN 95:177956
TI Quasi-linear electronic spectra of planar conformers of
trans-1,2-di(2-naphthyl)ethylene and its aza analogs
AU Muszkat, K. A.; Wismontski-Knittel, T.
CS Dep. Struct. Chem., Weizmann Inst. Sci., Rehovot, Israel
SO Journal of Physical Chemistry (1981), 85(23), 3427-31
CODEN: JPCHAX; ISSN: 0022-3654
DT Journal
LA English
AB The electronic spectra of trans-1,2-di(2-naphthyl)ethylene in crystalline
n-hexane and n-pentane matrixes at 4 K show evidence for the presence of
at least 2 single-bond s conformers. Highly resolved quasilinear
electronic spectra are given by only one of these (A) and, on the basis of
spectral evidence, are assigned to the most extended (e,e) planar
conformer. Similar effects are observed in two aza analogs of
dinaphthylethylene and are entirely specific to the n-hexane crystalline
matrix. The available crystallog. and spectra information indicates that
in the hydrocarbon matrix the 2 other less-extended conformers B and C,
(e,s) and (s,s), resp., depart significantly from planarity.
IT **73541-19-2**
RL: PROC (Process)
(quasilinear electronic spectra and conformation of)
RN 73541-19-2 CAPLUS
CN Quinoline, 6-[(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 197 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1980:586338 CAPLUS

DN 93:186338

TI Ampicillin derivatives

PA Mitsubishi Yuka Yakuhin Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55055194	A2	19800422	JP 1978-127324	19781018
PRAI	JP 1978-127324	A	19781018		

AB Fifteen title derivs. I (R = heterocyclic, heterocyclic-substituted methyl) were prepared and the min. inhibition concns. treated against *Pseudomonas aeruginosa*, *Staphylococcus aureus*, *Bacillus subtilis*, *Escherichia coli*, *Kl. pneumoniae*, and *Pr. vulgaris*. Thus, 618 mg DCC was added to a mixture of 648 4-carbamoyl-2-quinolinecarboxylic acid and 345 mg N-hydroxysuccinimide in DMF with ice cooling, the mixture stirred 10 h with ice cooling, a mixture of 1.2 g ampicillin-3H₂O and 0.42 mL Et₃N in CH₂Cl₂-DMF added, and the mixture stirred 3 h at room temperature to give,

after

treating with K 2-ethylhexanoate, 1.32 d-I (R = 4-carbamoyl-2-quinolyl) K salt.

IT 75218-24-5P

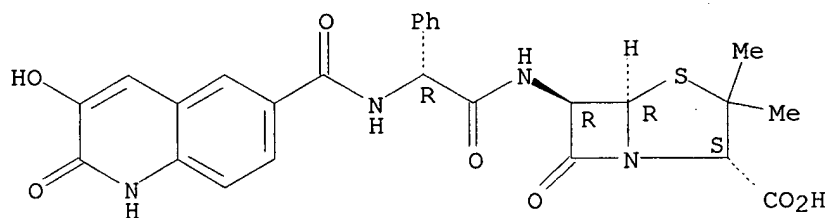
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

RN 75218-24-5 CAPLUS

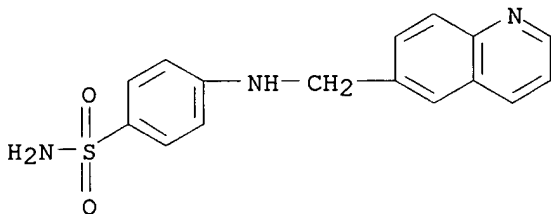
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[(1,2-dihydro-3-hydroxy-2-oxo-6-quinoliny)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α ,5 α ,6 β (S*)]]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

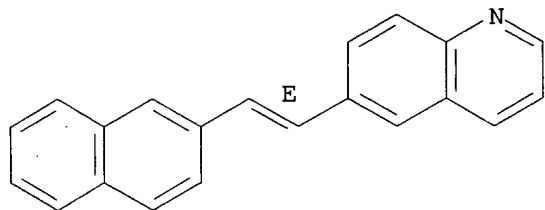


● K

L62 ANSWER 198 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1980:418935 CAPLUS
 DN 93:18935
 TI Structure dependence of antiplasmodic activity of 3-[N-(4-amidosulfonylphenyl)aminomethyl]-quinoline
 AU Gaedcke, Frauke; Knorr, Rosemarie; Zymalkowski, Felix
 CS Pharm. Inst., Univ. Bonn, Bonn, 53/1, Fed. Rep. Ger.
 SO Archiv der Pharmazie (Weinheim, Germany) (1980), 313(2), 166-73
 CODEN: ARPMAS; ISSN: 0365-6233
 DT Journal
 LA German
 OS CASREACT 93:18935
 AB Any alteration in the structure of the title compound I [62294-82-0] resulted in a decrease of its antimalarial activity. This was demonstrated with the heteroarylmethyleneaniline derivs. II (R = indolyl, pyridyl, quinolyl, etc.; R1 = H, Cl, OH, SO2NH2, etc.; R2 = H, Cl, etc.) on Plasmodium berghei. II were prepared by reducing with NaBH4 the azomethines III (R, R1, and R2 as above) prepared from the appropriate aldehyde with a primary aromatic amine.
 IT **74028-26-5P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antimalarial activity of)
 RN 74028-26-5 CAPLUS
 CN Benzenesulfonamide, 4-[(6-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



L62 ANSWER 199 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:197835 CAPLUS
DN 92:197835
TI Conformational equilibriums in trans 1,2-diarylethylenes manifested in their emission spectra. Part III. (1a). Pyridyl and quinolyl derivatives
AU Fischer, Ernst
CS Dep. Struct. Chem., Weizmann Inst. Sci., Rehovot, Israel
SO Bulletin des Societes Chimiques Belges (1979), 88(11), 889-95
CODEN: BSCBAG; ISSN: 0037-9646
DT Journal
LA English
AB The present results prove the existence of two and sometimes even three conformations in solns. of each of the investigated compds., I-IV. These conformations are in a state of dynamic equilibrium which may change to some extent with temperature and solvent. The phenomenon is restricted to those trans-diarylethylenes in which a dynamic equilibrium between two or three different but almost isoenergetic conformers may be postulated.
IT 73541-19-2
RL: PRP (Properties)
(conformation of, emission spectra in relation to)
RN 73541-19-2 CAPLUS
CN Quinoline, 6-[(1E)-2-(2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



Double bond geometry as shown.

L62 ANSWER 200 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1979:611277 CAPLUS

DN 91:211277

TI Heterocyclylcarbonyl derivatives of urea, agents for dissolution of gallstones

IN Holland, Gerald F.

PA Pfizer Inc., USA

SO U.S., 11 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4163784	A	19790807	US 1978-884858	19780309
	EP 4187	A1	19790919	EP 1979-300351	19790307
	EP 4187	B1	19820317		

R: BE, CH, DE, FR, GB, IT, LU, NL, SE

	DK 7900961	A	19790910	DK 1979-961	19790308
	IL 56821	A1	19820930	IL 1979-56821	19790308
	JP 59025794	B4	19840621	JP 1979-27220	19790308
	US 4252957	A	19810224	US 1979-75728	19790914
PRAI	US 1978-884858	A	19780309		
	US 1979-7722	A2	19790130		

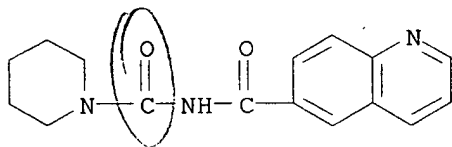
AB RCONHCONR1R2 [I; R = (un)substituted pyridyl, quinolyl, furyl, isoxazolyl, isothiazolyl, thiazolyl, oxazolyl, thiadiazolyl; R1 = H, C1-C10 alkyl, Ph; R2 = R1 or 1-naphthyl, Ph-substituted C1-C4 alkyl; R1R2N (thio)morpholino, tetrahydropyridyl, azacycloheptyl or -octyl, tetrahydrobenzazepinyl, (un)substituted piperidino] (.apprx.165 compds.) were prepared Thus, II was prepared by acylating 6-chloronicotinamide with (ClCO)2 and 1,2,3,6-tetrahydropyridine in ClCH2CH2Cl. I dissolve gallstones at dosages of 10-50 mg/kg per day, but exhibited toxic effects at higher dosages. E.g., administering II orally to dogs at 250 mg/kg resulted in death.

IT 71586-22-6P

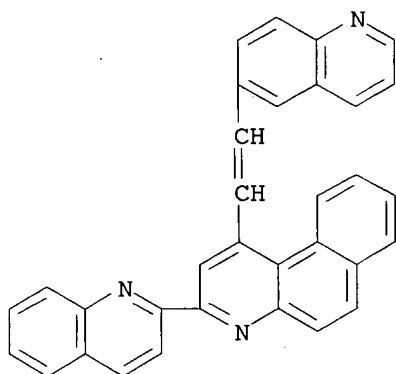
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 71586-22-6 CAPLUS

CN 6-Quinolinecarboxamide, N-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 201 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1978:433876 CAPLUS
 DN 89:33876
 TI Study of spectral-luminescent and generation characteristics of
 1-R-vinyl-3-aryl(heteryl)benzo[f]quinolines
 AU Kozlov, N. S.; Gladchenko, L. F.; Serzhanina, V. A.; Shmanai, G. S.;
 Stremok, I. P.; Korotyshova, G. P.; Sauts, R. D.
 CS Inst. Fiz.-Org. Khim., Minsk, USSR
 SO Khimiya Geterotsiklicheskikh Soedinenii (1978), (4), 511-15
 CODEN: KGSSAQ; ISSN: 0453-8234
 DT Journal
 LA Russian
 AB The compds. lase upon excitation by the 2nd harmonic of a ruby laser (347
 nm), working in a single-pulse regime. The laser band lies on the
 long-wavelength side of the fluorescence spectrum. The majority of the
 vinyl derivs. of benzo[f]quinoline luminesce in solution. The absolute quantum
 yield of the fluorescing alc. solns. does not surpass 10%. This decrease
 in quantum yield of the fluorescence of vinyl derivs. in comparison with
 the aryl derivs. may be attributed to the absence of rigidity of the mol.
 which causes degradation of the energy of electron excitation. Structural
 effects on the luminescence spectra are discussed.
 IT **33444-34-7**
 RL: PRP (Properties)
 (luminescent and laser characteristic of)
 RN 33444-34-7 CAPLUS
 CN Benzo[f]quinoline, 3-(2-quinolinyl)-1-[2-(6-quinolinyl)ethenyl]- (9CI)
 (CA INDEX NAME)



L62 ANSWER 202 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1978:128987 CAPLUS
 DN 88:128987
 TI Migration imaging process
 AU Van Allan, James Albert; Webster, Frank Glenn; Reynolds, George Arthur
 CS UK
 SO Research Disclosure (1977), 162, 26-31 (No. 16247)
 CODEN: RSDSBB; ISSN: 0374-4353
 DT Journal; Patent
 LA English

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	RD 162047		19771010		
PRAI	RD 1977-162047		19771010		

AB Forty electrophotosensitive pigments of the structure I (R, R1 are heterocyclic nuclei linked through a system of conjugated double bonds, R2, R3 are H or together with R and R1, resp., form a carbocyclic ring; R4, R5 are electron-withdrawing groups or together form an acidic heterocycle as in merocyanine dyes; and X is O, S, or NR6 where R6 is alkyl, aryl, aralkyl, or the like) are described for use in electrophoretic migration imaging. Thus, to 5g of an imaging dispersion containing Isopar G 2.2, Solvesso 1.3, Piccotex 100 1.4, and lauryl methacrylate-Li methacrylate-methacrylic acid-vinyltoluene polymer 0.1g was added II 0.45 g and the dispersion then milled with stainless steel balls for 3 h. Upon testing this dispersion in a migration imaging process, a neg. of an original was obtained on 1 electrode and a complementary image on the other electrode.

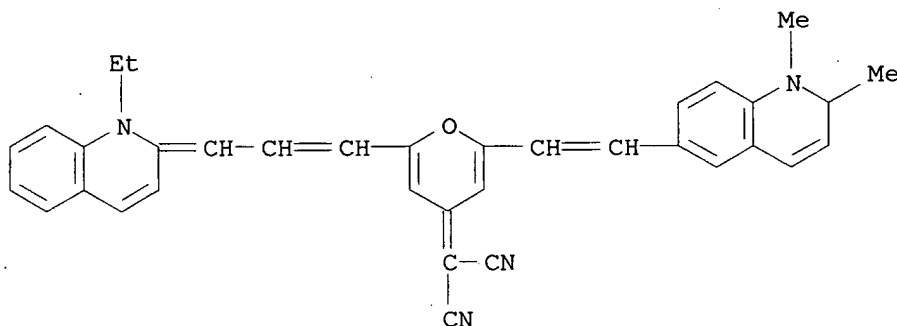
IT 65833-39-8 65833-40-1

RL: USES (Uses)

(electrophotosensitive pigment, for migration imaging process)

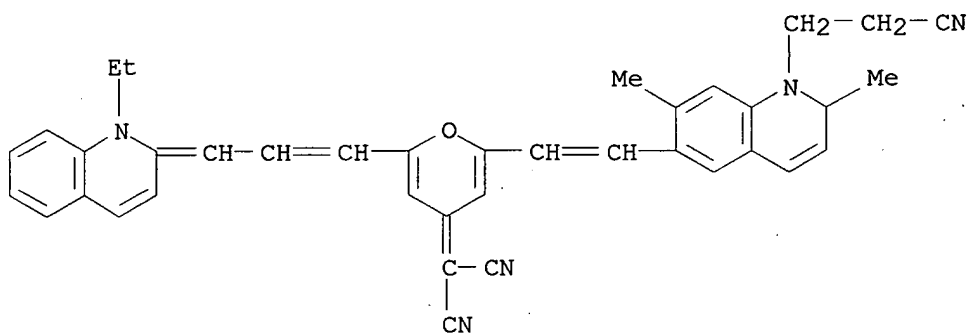
RN 65833-39-8 CAPLUS

CN Propanedinitrile, [2-[2-(1,2-dihydro-1,2-dimethyl-6-quinolinyl)ethenyl]-6-[3-(1-ethyl-2(1H)-quinolinylidene)-1-propenyl]-4H-pyran-4-ylidene]- (9CI) (CA INDEX NAME)

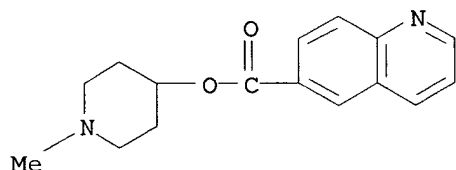


RN 65833-40-1 CAPLUS

CN Propanedinitrile, [2-[2-[1-(2-cyanoethyl)-1,2-dihydro-2,7-dimethyl-6-quinolinyl]ethenyl]-6-[3-(1-ethyl-2(1H)-quinolinylidene)-1-propenyl]-4H-pyran-4-ylidene]- (9CI) (CA INDEX NAME)



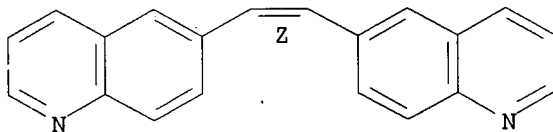
L62 ANSWER 203 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1977:561420 CAPLUS
DN 87:161420
TI Heterocyclic and piperonylic acid esters of 1-methyl-4-piperidinol as
analgesics
AU Waters, James A.
CS Natl. Inst. Arthritis, Metab. Dig. Dis., NIH, Bethesda, MD, USA
SO Journal of Medicinal Chemistry (1977), 20(11), 1496-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 87:161420
AB Fifteen title esters and related esters were prepared by the acid chloride
method, of which 4 had moderate analgesic activity in the mouse hot plate
test, and the most active, 1-methyl-4-piperidinol 4-piperonylate-HCl (I)
[64219-74-5] had potency equivalent to codeine. I showed no morphine-like
dependence liability in monkeys, and acted neither as a typical narcotic
agonist or antagonist. I showed marginal to almost no binding to the
opiate receptor of rat brain homogenates. Structure-activity relations
and the interaction of functional groups with potential binding sites of a
monopiate type receptor are discussed.
IT **64219-64-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic activity of)
RN 64219-64-3 CAPLUS
CN 6-Quinolinecarboxylic acid, 1-methyl-4-piperidinyl ester,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L62 ANSWER 204 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1977:88705 CAPLUS
DN 86:88705
TI Effects of electrostatic interactions on the photocyclization reactivity
of diaza-1,2-diarylethylenes
AU Muszkat, K. A.; Sharafi-Ozeri, S.
CS Dep. Struct. Chem., Weizmann Inst. Sci., Rehovot, Israel
SO Chemical Physics Letters (1976), 42(1), 99-102
CODEN: CHPLBC; ISSN: 0009-2614
DT Journal
LA English
AB Electrostatic repulsion of nonbonded N atoms is the factor responsible for
the diminished photocyclization reactivity of those initial conformations
of 1,2-bis(azaaryl)ethylenes having short N-N distances.
IT **61899-49-8**
RL: PRP (Properties)
(photocyclization reactivity of, interat. distances in relation to)
RN 61899-49-8 CAPLUS
CN Quinoline, 6,6'-(1,2-ethenediyl)bis-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L62 ANSWER 205 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1976:144565 CAPLUS

DN 84:144565

TI Sulfamylurea hypoglycemic agents. 6. High-potency derivatives

AU Sarges, Reinhard; Kuhla, Donald E.; Wiedermann, Hans E.; Mayhew, Dale A.

CS Cent. Res., Pfizer Inc., Groton, CT, USA

SO Journal of Medicinal Chemistry (1976), 19(5), 695-709

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 84:144565

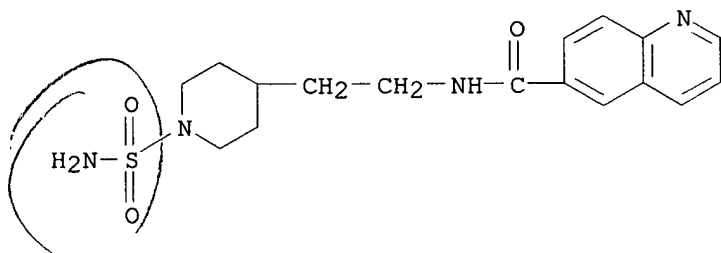
AB Of a series of 105 1-piperidinosulfonylureas (I) prepared and tested for hypoglycemic activity in fasted rats, gliamilide (I; RCO = 2-methoxynicotinoyl, n = 2, R1 = bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl) [51876-98-3] was among the most active compds., was well tolerated in man, and had a short plasma half-life. Compds. with a methylene bridge (I, n = 1) were less potent than those with the ethylene bridge (I, n = 2). Optimal acyl substituents (R) are 5-chloro-2-methoxybenzoyl, substituted nicotinoyl, 2,3-ethylenedioxybenzoyl and substituted quinoline-8-carbonyls. Optimal R1 groups are cyclohexyl, bicycloheptenylmethyl, and in certain cases propyl, 7-oxabicycloheptanymethyl, and adamantyl.

IT 58604-16-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-carbamoylation of)

RN 58604-16-3 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

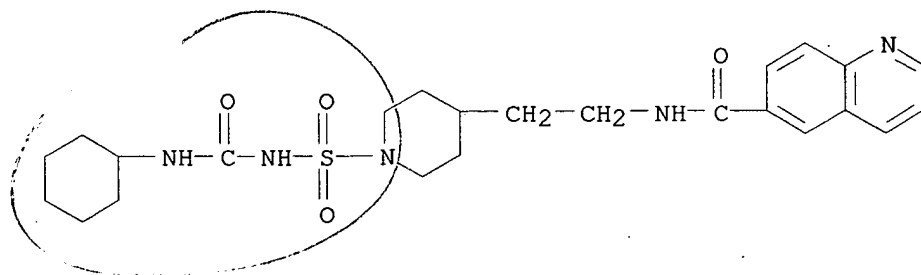


IT 58603-54-6P 58603-55-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and hypoglycemic activity of)

RN 58603-54-6 CAPLUS

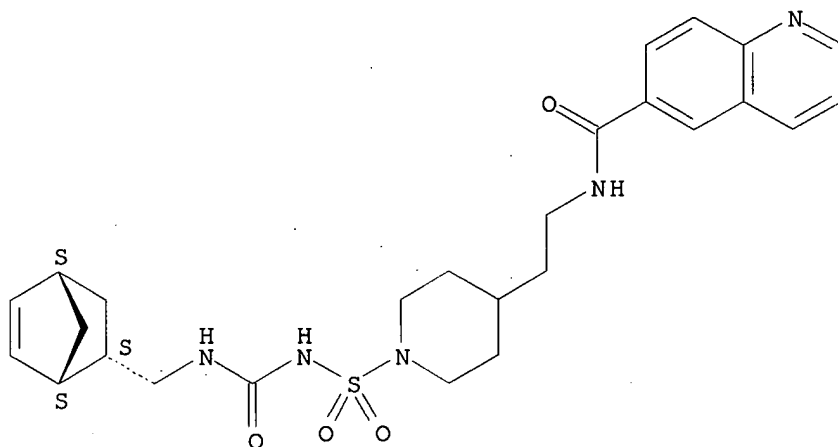
CN 6-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



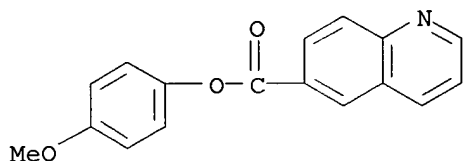
RN 58603-55-7 CAPLUS

CN 6-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)
(CA INDEX NAME)

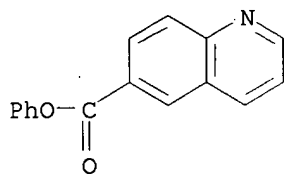
Relative stereochemistry.



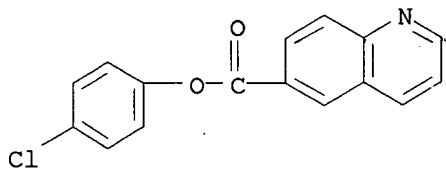
L62 ANSWER 206 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1974:504519 CAPLUS
 DN 81:104519
 TI Aminolysis of substituted phenyl quinoline-8- and -6-carboxylates with
 primary and secondary amines. Involvement of proton-slide catalysis
 AU Bruice, Paula Y.; Bruice, Thomas C.
 CS Dep. Chem., Univ. California, Santa Barbara, CA, USA
 SO Journal of the American Chemical Society (1974), 96(17), 5533-42
 CODEN: JACSAT; ISSN: 0002-7863
 DT Journal
 LA English
 AB Plots of log k_n (k_n = 2nd-order rate constant for nucleophilic aminolysis)
 for the title reactions vs. σ indicate that a change occurs in the
 rate-determining step: from collapse of the tetrahedral intermediate in the
 direction of products for the least reactive esters to amine attack for
 the most reactive esters. Proton-slide catalysis, in which the ammonium
 proton of the zwitterionic tetrahedral intermediate slides across the
 quinoline N lone pair orbital to the neg. charged O to yield an uncharged
 tetrahedral intermediate, is suggested as a feature of these reactions.
 IT 53329-24-1 53329-25-2 53329-26-3
 53329-27-4 53329-28-5 53329-29-6
 53329-30-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (aminolysis of, kinetics of)
 RN 53329-24-1 CAPLUS
 CN 6-Quinolinecarboxylic acid, 4-methoxyphenyl ester (9CI) (CA INDEX NAME)



RN 53329-25-2 CAPLUS
 CN 6-Quinolinecarboxylic acid, phenyl ester (9CI) (CA INDEX NAME)

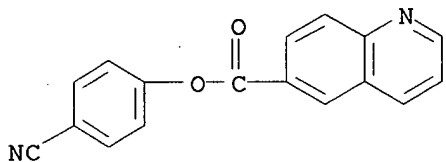


RN 53329-26-3 CAPLUS
 CN 6-Quinolinecarboxylic acid, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



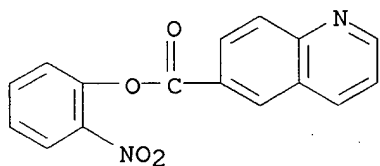
RN 53329-27-4 CAPLUS

CN 6-Quinolinecarboxylic acid, 4-cyanophenyl ester (9CI) (CA INDEX NAME)



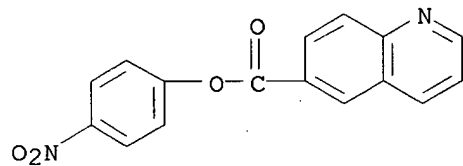
RN 53329-28-5 CAPLUS

CN 6-Quinolinecarboxylic acid, 2-nitrophenyl ester (9CI) (CA INDEX NAME)



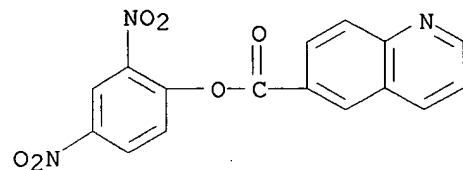
RN 53329-29-6 CAPLUS

CN 6-Quinolinecarboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 53329-30-9 CAPLUS

CN 6-Quinolinecarboxylic acid, 2,4-dinitrophenyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 207 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1974:504302 CAPLUS

DN 81:104302

TI Intramolecular general base catalyzed hydrolysis and tertiary amine nucleophilic attack vs. general base catalyzed hydrolysis of substituted phenyl quinoline-8- and -6-carboxylates

AU Bruice, Paula Y.; Bruice, Thomas C.

CS Dep. Chem., Univ. California, Santa Barbara, CA, USA

SO Journal of the American Chemical Society (1974), 96(17), 5523-32
CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

AB The reaction of substituted (*p*-MeO, H, *p*-Cl, *p*-CN, *o*-NO₂, *p*-NO₂, and 2,4-di-NO₂) phenyl quinoline-8- and -6-carboxylates (Q-8 and Q-6, resp.) with lyate species and tertiary amines was examined in 20% MeCN-H₂O, $\mu = 0.5$, 30°). The Hammett ρ values for HO- attack on the Q-8 ($\rho = 0.93$) and Q-6 ($\rho = 0.88$) esters are of a magnitude anticipated for rate-determining nucleophilic addition to the ester group. The rate ratio $k_{HO- Q-8}/k_{HO- Q-6} \approx 0.2$ is attributed to the greater steric hindrance of the 8-isomers. Spontaneous hydrolysis (k_{H_2O}) of the Q-8 esters greatly exceeds that for the Q-6 esters and exhibits a ρ value of 0.97. Employing two alternate means of approximation, $k_{H_2O} Q-8/k_{H_2O} Q-6 \approx 104$. The enhanced rate of hydrolysis of the 8-isomers is attributed to intramol. quinoline N general base assistance to nucleophilic attack of H₂O in the case of the Q-8 esters. The reaction of tertiary amines with the Q-8 and Q-6 esters provides Hammett plots having $\rho = 1.2-1.5$ with the 2,4-di-NO₂ substituted esters exhibiting marked pos. deviations. From the similarity of the ρ values to those for HO- and H₂O catalyzed hydrolysis, values of $k_{H_2O}/k_{D_2O} = 1.5-1.6$, and $\beta = 0.69$ for reaction of *p*-NO₂-Q-6 with quinuclidine derivs., tertiary amine catalysis of ester hydrolysis (except for the most reactive 2,4-di-NO₂ substituted esters) is best ascribed to general base catalyzed attack of water. For tertiary amine catalyzed hydrolysis of the 2,4-di-NO₂ substituted esters, direct nucleophilic attack on the esters is in effect: pos. deviation from the σ_p plot indicating a change in mechanism rather than a change in the rate-limiting step, $\rho > 2.0$, $k_{H_2O}/k_{D_2O} = 0.8-0.9$, and $\beta = 0.95$ for reaction of quinuclidines with 2,4-di-NO₂-Q-6. Steric hindrance to approach of a tertiary amine to the 2,4-di-NO₂ substituted esters (Et₃N and 4-morpholineethanesulfonic acid) brings about a change in the role of the tertiary amine from anucleophilic to a general base catalyst. The rate ratios k_{Q-8}/k_{Q-6} range from 0.6 to 0.8 for the less reactive esters. For the 2,4-di-NO₂ substituted esters the rate ratios increase with decreasing amine pK_a and range from 1.4 to 79. This observation led to the suggestion that the transition state of the 2,4-di-NO₂ substituted 8-isomer is electrostatically stabilized by the quinoline N lone pair. Reaction with imidazole is characterized by a linear Hammett plot with the 2,4-di-NO₂ substituted esters, unlike with other tertiary amines, evidencing no deviation. The ρ values of 2.3 and 2.4 for imidazole catalyzed hydrolysis of the Q-8 and Q-6 esters, resp., suggest that departure of phenoxide is at least partially rate limiting. The *p*-MeO, H, *p*-Cl, and *p*-NO₂ substituted Q-6 esters are subject to imidazole catalysis of imidazole attack, indicating that imidazole reacts directly with the esters as a nucleophile. This [imidazole]₂ rate term is seen only with the least reactive Q-8 ester (*p*-MeO). The decreased importance of general base catalysis and the slight increase in bimol. rate evidenced by the Q-8 esters in their reaction with imidazole suggest that the lone pair of the quinoline N may stabilize the zwitterionic tetrahedral intermediate,

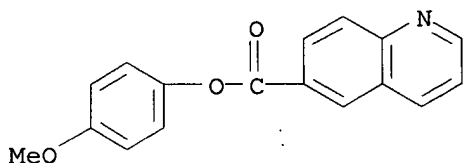
thereby increasing kn sufficiently to make kgb undetectable.

IT 53329-24-1 53329-25-2 53329-26-3
53329-27-4 53329-28-5 53329-29-6
53329-30-9

RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(hydrolysis of, kinetics of)

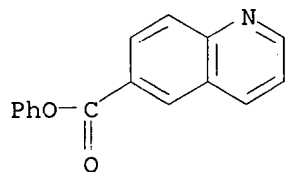
RN 53329-24-1 CAPLUS

CN 6-Quinolinecarboxylic acid, 4-methoxyphenyl ester (9CI) (CA INDEX NAME)



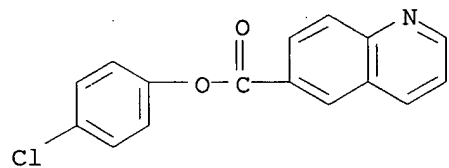
RN 53329-25-2 CAPLUS

CN 6-Quinolinecarboxylic acid, phenyl ester (9CI) (CA INDEX NAME)



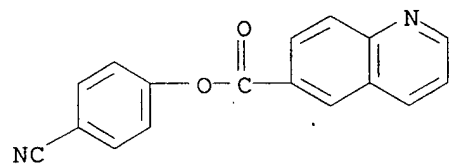
RN 53329-26-3 CAPLUS

CN 6-Quinolinecarboxylic acid, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



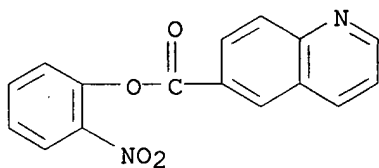
RN 53329-27-4 CAPLUS

CN 6-Quinolinecarboxylic acid, 4-cyanophenyl ester (9CI) (CA INDEX NAME)



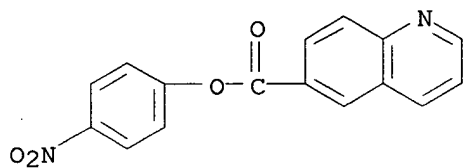
RN 53329-28-5 CAPLUS

CN 6-Quinolinecarboxylic acid, 2-nitrophenyl ester (9CI) (CA INDEX NAME)



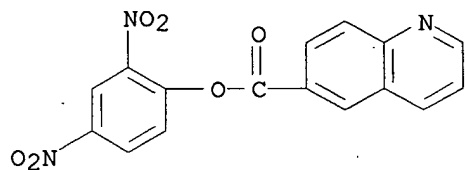
RN 53329-29-6 CAPLUS

CN 6-Quinolinecarboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 53329-30-9 CAPLUS

CN 6-Quinolinecarboxylic acid, 2,4-dinitrophenyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 208 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:431901 CAPLUS

DN 79:31901

TI Anilide animal vermicide compositions

IN Hashimoto, Yoshinobu; Takiguchi, Daigaku; Kato, Kinpei

PA Nippon Soda Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

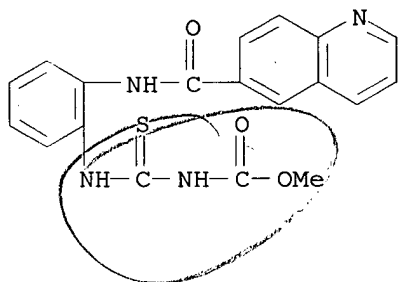
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 48010215	A2	19730208	JP 1971-44873	19710623
PRAI	JP 1971-44873		19710623		

AB The anilidocarboxyurea I (R = Me, Et; R1 = 2-, 3-, 4-pyridyl, 6-quinolyl, 2-quinolyl, 2-furyl, 2-oxo-2H-pyran-5-yl, 2-thienyl, 4-thiazolyl and 5,6-dihydro-2-methyl-1,4-oxathiin-3-yl) were prepared by acylation by R1COCl of the corresponding (o-aminophenyl)ureas. I are active against worm infestations in chicks and household pets.

IT **40523-06-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 40523-06-6 CAPLUS

CN Carbamic acid, [[[2-[(6-quinolinylcarbonyl)amino]phenyl]amino]thioxomethyl]-, methyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 209 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1973:155415 CAPLUS
 DN 78:155415
 TI Agricultural germicides based on anilides
 IN Yasuda, Yasushi; Takiguchi, Daigaku; Kato, Kinpei
 PA Nippon Soda Co., Ltd.
 SO Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese

FAN.CNT 1

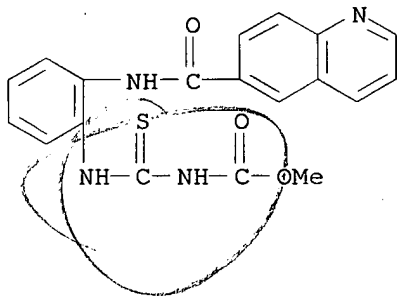
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 48010227	A2	19730208	JP 1971-44874	19710603
PRAI	JP 1971-44874		19710603		

AB Carboxanilide derivs. such as 2'-[3-(methoxycarbonyl)thioureido]pyridine-2-carboxanilide (I) [40523-05-5], 2'-[3-(methoxycarbonyl)thioureido]quinoline-6-carboxanilide [40523-06-6], and 2'-[3-(methoxycarbonyl)thioureido]furan-2-carboxanilide [40523-07-7] were used as germicides for plants. I at 500 ppm was effective for use against rice blight and the Pellicularia Sasakii infection of rice plants without phytotoxicity.

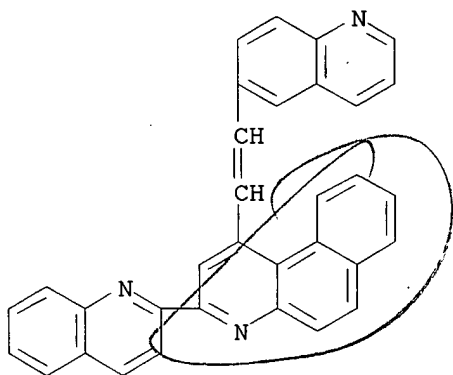
IT **40523-06-6**
 RL: BIOL (Biological study)
 (bactericides and fungicides)

RN 40523-06-6 CAPLUS

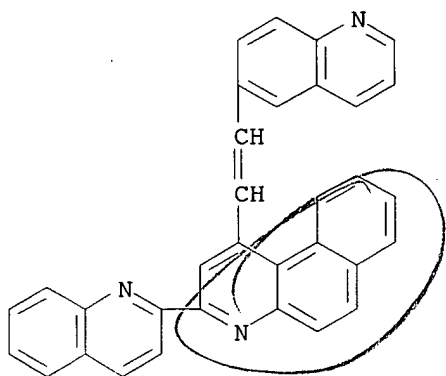
CN Carbamic acid, [[[2-[(6-quinolinylcarbonyl)amino]phenyl]amino]thioxomethyl]-, methyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 210 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1972:153549 CAPLUS
DN 76:153549
TI Synthesis of styryl derivatives of 5,6-benzoquinoline. II. Interaction
of 2-substituted 5,6-benzolepidines with aldehyde anils
AU Kozlov, N. S.; Serzhanina, V. A.; Shmanai, G. S.
CS Inst. Fiz.-Org. Khim., Minsk, USSR
SO Vestsi Akademii Navuk BSSR, Seryya Khimichnykh Navuk (1972), (1), 107-9
CODEN: VBSKAK; ISSN: 0002-3590
DT Journal
LA Russian
AB Treatment of 5,6-benzolepidines (I, R1 = Ph, 2-quinolyl) with PhN:CHR (R =
Ph, 2-, 4-, or 6-quinolyl) and KOH gave 28-61% of the styrenes (II).
IT **33444-34-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33444-34-7 CAPLUS
CN Benzo[f]quinoline, 3-(2-quinolinyl)-1-[2-(6-quinolinyl)ethenyl]- (9CI)
(CA INDEX NAME)



L62 ANSWER 211 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1972:14282 CAPLUS
DN 76:14282
TI Synthesis of styryl derivatives of 5,6-benzoquinoline. Condensation of
2-substituted 5,6-benzolepidines with aldehydes. I
AU Kozlov, N. S.; Shmanai, G. S.
CS Inst. Fiz.-Org. Khim., Minsk, USSR
SO Vestsi Akademii Navuk BSSR, Seryya Khimichnykh Navuk (1971), (4), 70-3
CODEN: VBSKAK; ISSN: 0002-3590
DT Journal
LA Russian
AB The condensation of 2-substituted 5,6-benzolepidines (I) (R1 = Ph,
2-quinolyl) at the 4-methyl group, was possible only when it become
activated by pos. charge on the N-atom which was converted to a quaternary
salt with HCl or MeI. This produced 9 styryl related derivs. in 30-80%
yield.
IT **33444-34-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33444-34-7 CAPLUS
CN Benzo[f]quinoline, 3-(2-quinolinyl)-1-[2-(6-quinolinyl)ethenyl]- (9CI)
(CA INDEX NAME)



L62 ANSWER 212 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1971:518528 CAPLUS

DN 75:118528

TI Erythromycin derivatives. III. Erythromycin A esters of quinolinemonocarboxylic acids

AU Bojarska-Dahlig, Halina; Slawinski, Wojciech

CS Inst. Antybiot., Warsaw, Pol.

SO Roczniki Chemii (1971), 45(6), 1081-4

CODEN: ROCHAC; ISSN: 0035-7677

DT Journal

LA Polish

AB A solution of erythromycin and NaHCO₃ in Me₂CO was treated, at room temperature,

with a solution of quinoline-6-carbonyl chloride in benzene, stirred 3 hr and evaporated to dryness. The residue redissolved in Me₂CO and repptd. with 2% aqueous NaHCO₃ yielded 75.5% of erythromycin ester of quinoline-6-carboxylic acid. Its lauryl sulfate was prepared by treatment with an aqueous solution of lauryl sulfate and nicotinic acid. Similarly prepared was the erythromycin ester of quinoline-2-carboxylic acid. The ester groups were bound to the desosamine portion. Preparation of 5-chloro-8-hydroxyquinoline-2-carboxylic acid from 5-chloro-8-methoxy-2-methylquinoline via 2-styryl-5-chloro-8-methoxyquinoline was reported.

IT **34274-74-3P 34294-06-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

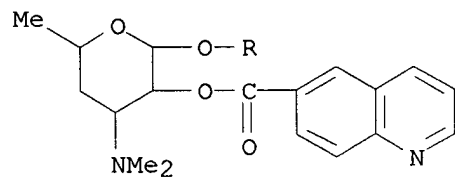
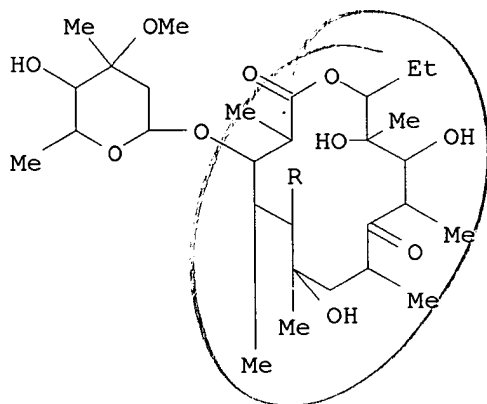
RN 34274-74-3 CAPLUS

CN Erythromycin, 2'-(6-quinolinecarboxylate), mono(monododecyl sulfate)
(salt) (8CI) (CA INDEX NAME)

CM 1

CRN 34294-06-9

CMF C47 H72 N2 O14



CM 2

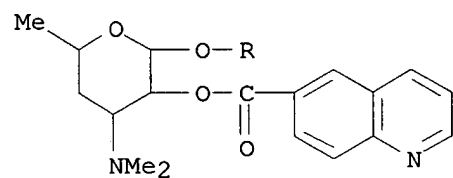
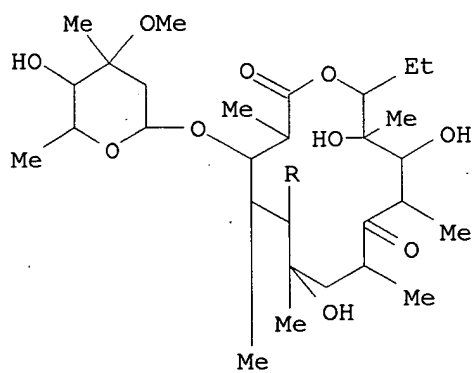
CRN 151-41-7

CMF C12 H26 O4 S

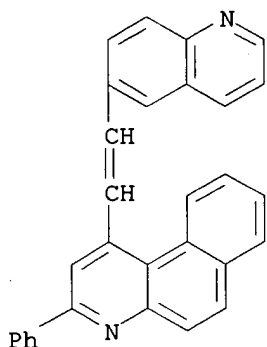
HO₃SO- (CH₂)₁₁-Me

RN 34294-06-9 CAPLUS

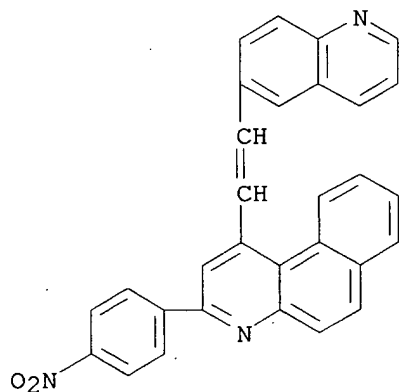
CN Erythromycin, 2'-(6-quinolinecarboxylate) (8CI) (CA INDEX NAME)



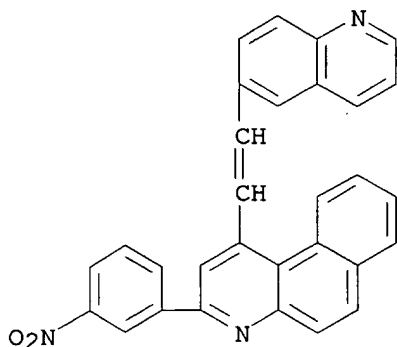
L62 ANSWER 213 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1971:476571 CAPLUS
 DN 75:76571
 TI Reaction of azomethines with 6-quinolalacetone
 AU Kozlov, N. S.; Slobodchikova, L. K.; Shmanai, G. S.
 CS Inst. Fiz.-Org. Khim., Minsk, USSR
 SO Doklady Akademii Nauk BSSR (1971), 15(4), 328-9
 CODEN: DBLRAC; ISSN: 0002-354X
 DT Journal
 LA Russian
 AB Equivalent amts. of 6-quinolalacetone and I heated 30-45 min at 60-80° with EtOH and HCl in a sealed tube gave 19% II (R = Ph). Similarly prepared were II (R given): p-O₂NC₆H₄, m-O₂NC₆H₄, 2-quinolyl, 4-quinolyl, and 6-quinolyl.
 IT **33357-30-1P 33357-31-2P 33357-32-3P**
33357-33-4P 33357-34-5P 33444-34-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 33357-30-1 CAPLUS
 CN Benzo[f]quinoline, 3-phenyl-1-[2-(6-quinolyl)vinyl]- (8CI) (CA INDEX NAME)



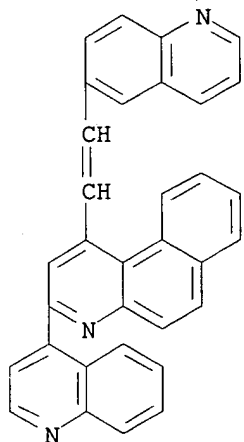
RN 33357-31-2 CAPLUS
 CN Benzo[f]quinoline, 3-(p-nitrophenyl)-1-[2-(6-quinolyl)vinyl]- (8CI) (CA INDEX NAME)



RN 33357-32-3 CAPLUS

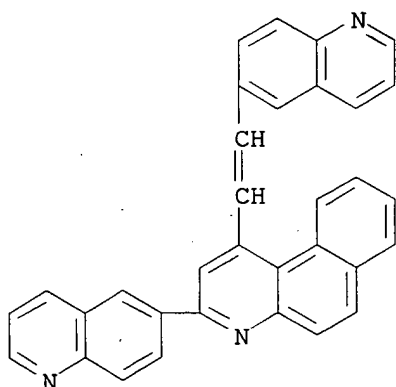
CN Benzo[f]quinoline, 3-(m-nitrophenyl)-1-[2-(6-quinolyl)vinyl]- (8CI) (CA
INDEX NAME)

RN 33357-33-4 CAPLUS

CN Benzo[f]quinoline, 3-(4-quinolyl)-1-[2-(6-quinolyl)vinyl]- (8CI) (CA
INDEX NAME)

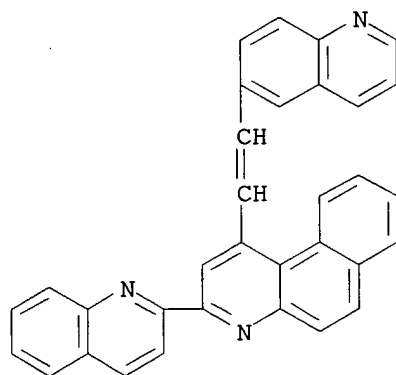
RN 33357-34-5 CAPLUS

CN Benzo[f]quinoline, 3-(6-quinolyl)-1-[2-(6-quinolyl)vinyl]- (8CI) (CA
INDEX NAME)



RN 33444-34-7 CAPLUS

CN Benzo[f]quinoline, 3-(2-quinolinyl)-1-[2-(6-quinolinyl)ethenyl]- (9CI)
(CA INDEX NAME)



L62 ANSWER 214 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1969:491335 CAPLUS
 DN 71:91335
 TI 2-Arylsulfonyliminoquinolines with analgesic activity
 IN Loev, Bernard
 PA Smith Kline and French Laboratories
 SO U.S., 4 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3455932	A	19690715	US 1967-662574	19670823
PRAI	US 1967-662574	A	19670823		

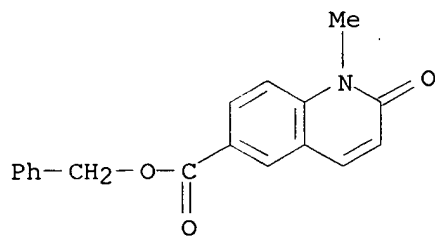
AB Title compds. (I) are prepared Thus, 15.9 g. 1-methylcarbostyryl and 12.6 g. Me₂SO₄ is heated 2 hrs. at 80° to give 2-methoxy-1-methylquinolinium methosulfate. This (7.15 g.) and 1.35 g. NaOMe in 50 ml. CH₂Cl₂ is treated 4 hrs. at room temperature with 8.6 g. p-toluenesulfonamide to give I. Other compds. are cited, but no phys. properties are given.

IT **23790-16-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 23790-16-1 CAPLUS

CN 6-Quinolinecarboxylic acid, 1,2-dihydro-1-methyl-2-oxo-, benzyl ester
 (8CI) (CA INDEX NAME)



L62 ANSWER 215 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1968:85827 CAPLUS
 DN 68:85827
 TI Potential antitumor agents. VI. Bisquaternary salts
 AU Atwell; G. J.; Cain, Bruce F.
 CS Cornwall Geriatric Hosp., Auckland, N. Z.
 SO Journal of Medicinal Chemistry (1968), 11(2), 295-300
 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Investigations of the structure-activity relations of a series of bisquaternary ammonium heterocycles (I) against the L1210 leukemia system in mice are described.

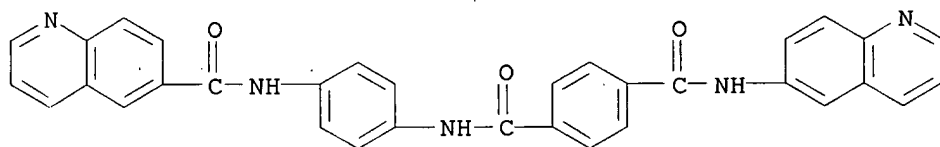
IT 19056-05-4 19146-92-0 19146-94-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as neoplasm inhibitor)

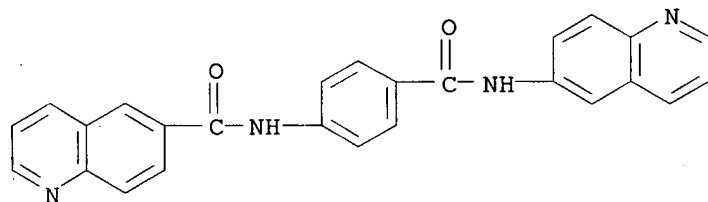
RN 19056-05-4 CAPLUS

CN Terephthalamide, N-(p-6-quinolinecarboxamidophenyl)-N'-6-quinolyl- (8CI)
 (CA INDEX NAME)



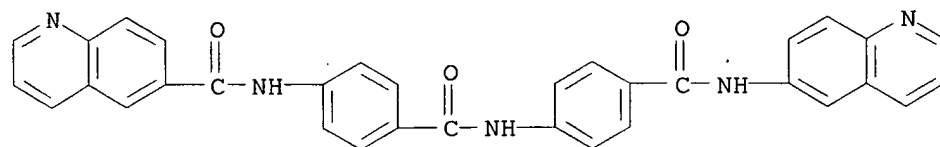
RN 19146-92-0 CAPLUS

CN 6-Quinolinecarboxanilide, 4'-(6-quinolylcarbamoyl)- (8CI) (CA INDEX NAME)



RN 19146-94-2 CAPLUS

CN 6-Quinolinecarboxanilide, 4'-[[p-(6-quinolylcarbamoyl)phenyl]carbamoyl]- (8CI) (CA INDEX NAME)



IT 19060-48-1P 19060-49-2P 19060-50-5P

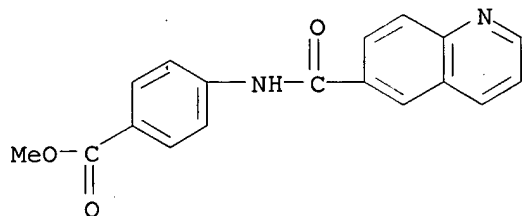
19060-51-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

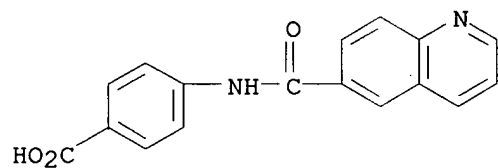
RN 19060-48-1 CAPLUS

CN Benzoic acid, p-(6-quinolinecarboxamido)-, methyl ester (8CI) (CA INDEX NAME)



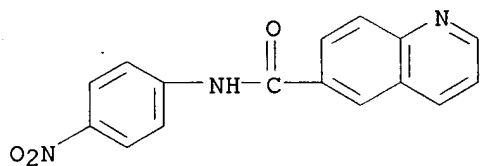
RN 19060-49-2 CAPLUS

CN Benzoic acid, p-6-quinolinecarboxamido- (8CI) (CA INDEX NAME)



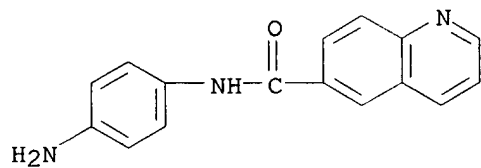
RN 19060-50-5 CAPLUS

CN 6-Quinolinecarboxanilide, 4'-nitro- (8CI) (CA INDEX NAME)



RN 19060-51-6 CAPLUS

CN 6-Quinolinecarboxanilide, 4'-amino- (8CI) (CA INDEX NAME)



L62 ANSWER 216 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1966:75701 CAPLUS

DN 64:75701

OREF 64:14164f-h,14165a

TI Monoamine oxidase inhibitors. VII. Derivatives of quinolinecarboxylic acids

AU Piechaczek, Janina; Bojarska-Dahlig, Halina

CS Inst. Farm., Warsaw

SO Acta Polon. Pharm. (1966), 23(1), 7-13

DT Journal

LA Polish

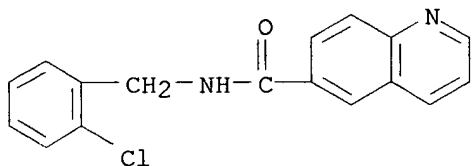
AB cf. CA 62, 10401b. Several amides, N-substituted amides, and hydrazides derived from quinoline-2-carboxylic acid (I), quinoline-3-carboxylic acid (II), and quinoline-6-carboxylic acid (III) were prepared and tested for monoamine oxidase inhibition. I (8.36 g.), 7.28 g. Et₃N, 5.44 g. ClCH₂CN, and 80 mL. AcOEt refluxed 3 h. yielded 73.5% CNCH₂ ester (IV) of I, m. 133-4° (AcOEt). CNCH₂ ester (V) of III, m. 109-10° (dilute EtOH), was prepared analogously in 90% yield. IV and V treated with NH₄OH yielded the corresponding amides: I amide, m. 158-9° (EtOH), and III amide (VI), m. 174-6° (EtOH-C₆H₆). On treatment of the Me or Et esters with, resp., (NH₂)₂, PhCH₂NH₂, and o-ClC₆H₄CH₂NH₂ the following derivs. were prepared: I hydrazide, m. 138-9° (EtOH); I benzylamide (VII), m. 123-5.5° (dilute EtOH); VII.HCl, m. 153-5°; II benzylamide, m. 140-1° (EtOH-Et₂O); III hydrazide (VIII), m. 188-9° (dilute EtOH); III benzylamide (IX), m. 137-8° (dilute EtOH); IX.HCl, m. 250-5°; III o-chlorobenzylamide (X), m. 168-700° (EtOH). Et ester of III (0.104 mol) hydrogenated 4 h. at 80° under 50 atmospheric with 1.3 g. 10% palladized C in EtOH gave 73% Et ester of 1,2,3,4-tetrahydroquinoline-6-carboxylic acid (XI), m. 82-3° (EtOH), subsequently converted into XI, m. 157-8°, by alkaline hydrolysis. XI was also prepared in 70% yield by a similar hydrogenation of III. XI hydrazide (XII), m. 167-8° (EtOH), and XI benzylamide (XIIa), m. 98-9° (AcOEt), were prepared as above. VIII (5.61 g.) in 15 mL. H₂O acidified with a few drops H₂SO₄ was treated at 40-50° with 3.4 g. BzH in 7.5 mL. EtOH and the mixture refluxed 1 h. to give III benzylidenehydrazide (XIII), m. 187° (dilute EtOH). Similarly, XII gave XI benzylidenehydrazide (XIV), m. 253-5° (C₅H₅N). XIV hydrogenated under pressure (conditions as above) underwent splitting of the C:N bond and XII was obtained; XIII was unchanged under similar conditions. The following % inhibition of monoamine oxidase in rat brain mitochondria was reported (β-phenylethylhydrazine sulfate taken as 100%): VII.HCl, 50; VI, 110; IX, 60; X, 56; XII, 90; XIIa, 50; II amide, 30. Other compds. revealed no activity.

IT **6019-44-9**, 6-Quinolinecarboxamide, N-(o-chlorobenzyl)-
6843-60-3, 6-Quinolinecarboxamide, N-benzyl-

(preparation and use as amine oxidase inhibitor)

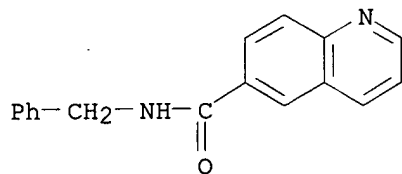
RN 6019-44-9 CAPLUS

CN 6-Quinolinecarboxamide, N-(o-chlorobenzyl)- (7CI, 8CI) (CA INDEX NAME)



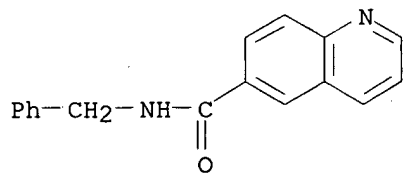
RN 6843-60-3 CAPLUS

CN 6-Quinolinecarboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)

IT 5382-48-9, 6-Quinolinecarboxamide, N-benzyl-, hydrochloride
(preparation of)

RN 5382-48-9 CAPLUS

CN 6-Quinolinecarboxamide, N-benzyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

L62 ANSWER 217 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1965:480607 CAPLUS

DN 63:80607

OREF 63:14842f-h,14843a

TI Interaction of quinoline-6-aldehyde with rhodanines and 2,6-dimethylquinoline

AU Lugovkin, B. P.

CS All-Union Res. Inst. Labor Safety, Kazan

SO Khimiya Geterotsiklicheskikh Soedinenii (1965), (3), 382-5

CODEN: KGSSAQ; ISSN: 0132-6244

DT Journal

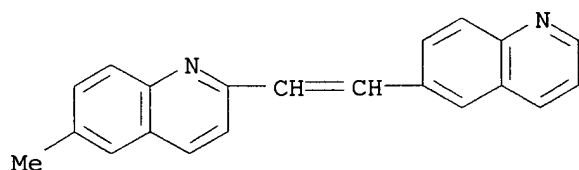
LA Russian

AB Quinoline-6-carboxaldehyde (I) was condensed with N-alkyl-substituted rhodanines (II) to form the expected 3-alkyl derivs. of 5-(6-quinolylmethylidene)rhodanine (III), either by heating several minutes at 150-70° (procedure A) or in a cold MeOH solution in the presence of piperidine (procedure B). Yields are very satisfactory. Methiodides of III are identical with reaction products of I.CH₃I with II. Heating I with 2,6-dimethylquinoline (IV) gives 1-(6-quinolyl)-2-[2-(6-methylquinolyl)]ethylene (V). Thus, 1.15 g. I and 1.15 g. 3-methylrhodanine give the 3-Me derivative of III, m. 240° (dark yellow crystals from benzene); procedure A, 3 min. at 165-70°, yields 76.5%; procedure B yields 98.6%; CH₃I derivative, dark red crystals, m. 264-6° (I.CH₃I, 3-methylrhodanine, and boiling AcOH in 45 min. yields 72%). Similarly, I and 3-ethylrhodanine give the 3-Et derivative of III, m. 182-3°, (light yellow crystals from benzene); procedure A in 3 min. at 150-5°, yields 84.2%; procedure B yields 93.2%; CH₃I derivative m. 207-8°. I and 3-allylrhodanine give the 3-allyl derivative of III, m. 176-7°, yellow crystals, (benzene); procedure B 95.9% yield; CH₃I derivative, m. 180-2°, orange crystals. I (2.8 g.) and 2.8 g. IV (procedure A, 15 min. at 240-50°) give 65.4% V, m. 177-8°, yellow crystals, (EtOH); di-CH₃I derivative, m. 277-8°, di-C₂H₅I derivative, m. 270-3°.

IT 3719-19-5, Quinoline, 6-methyl-2-[2-(6-quinolyl)vinyl]- (preparation of)

RN 3719-19-5 CAPLUS

CN Quinoline, 6-methyl-2-[2-(6-quinolyl)vinyl]- (7CI, 8CI) (CA INDEX NAME)



L62 ANSWER 218 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1965:15281 CAPLUS

DN 62:15281

OREF 62:2761a-c

TI Aminostyrylquinoline

AU Bahner, Carl T.; Rives, Lydia M.; Breder, Charles

CS Carson-Newman Coll., Jefferson City, TN

SO Journal of Medicinal Chemistry (1964), 7(6), 818-19

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

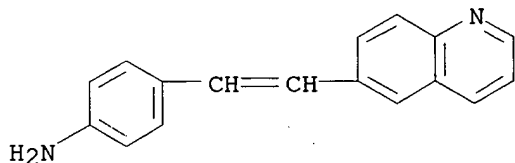
AB cf. Styrylquinolines effective against Walker 256 tumor have had an NH₂ group in the 4-position of the styryl group, and the latter has been attached at the 4- or sometimes the 2-position on the quinoline ring; cf. CA 53, 20061d. The following isomers of 4-(4-aminostyryl)quinoline (I) were prepared by reduction of the corresponding NO₂ compds. with SnCl₂ in concentrated

HCl at 80-110°: 4-(2-aminostyryl)quinoline, 4-(3-aminostyryl)quinoline, 4-(2,4-diaminostyryl)quinoline (II), 6-(4-aminostyryl)quinoline (III), 7-(4-aminostyryl)quinoline (IV), 8-(4-aminostyryl)quinoline (V). III, IV, and V appear to be more toxic than the others in rats, but not in KB cell cultures, and did not show superior antitumor activity against Walker 256 tumor. I and II were most effective in cell culture inhibition and strongly inhibited growth of Walker 256 tumor.

IT 54-82-0, Quinoline, 6-(p-aminostyryl)-
(preparation of)

RN 54-82-0 CAPLUS

CN Benzenamine, 4-[2-(6-quinolinyl)ethenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 219 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1964:23361 CAPLUS

DN 60:23361

OREF 60:4130a-d

TI Reexamination of the reaction of certain α -acyloxy ketones with ammonium acetate, their conversion to oxazoles and imidazoles, and the identification of intermediate products

AU Strzybny, P. P. E.; van Es, T.; Backeberg, O. G.

CS Univ. Witwatersrand, Johannesburg, S. Afr.

SO Journal of Organic Chemistry (1963), 28(12), 3381-3

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

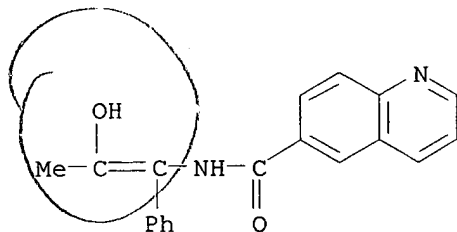
LA Unavailable

AB The reaction of 4 α -acyloxy ketones with NH_4OAc (I) was reinvestigated; the resulting oxazoles and imidazoles were characterized and the intermediates in 2 cases identified. $\text{BzCH}(\text{OBz})\text{CO}_2\text{Et}$ (4.8 g.), 9.0 g. I, and 75 cc. AcOH refluxed 1 hr. and evaporated, and the residue extracted with boiling EtOH yielded 1.8 g. Et ester (II) of 5-carboxy-2,4-diphenyloxazole (III), m. $93-4^\circ$, which was quant. hydrolyzed to III, m. $222-3^\circ$. The alc. mother liquor from the II yielded 1.8 g. Et ester of 5-carboxy-2,4-diphenylimidazole (IV), m. $163-4^\circ$, which was quant. hydrolyzed to IV, m. $209-10^\circ$ (decomposition) (aqueous EtOH). $\text{BzCH}(\text{OBz})\text{Me}$ with I yielded 5-methyl-2,4-diphenylimidazole (V) and $\text{Ph}(\text{BzNH})\text{C}:\text{C}(\text{OH})\text{Me}$ (VI); the aqueous phase basified yielded 0.6 g. 5-methyl-2,4-diphenylimidazole (VII), m. $213-14^\circ$ (aqueous EtOH). $\text{BzCH}(\text{NHBz})\text{Me}$ (VIII), m. $104-5^\circ$, gave a mixed m.p. depression with VI. VI refluxed with I in AcOH yielded VII, which was also obtained similarly from VIII. VI (1 g.) and 5 g. polyphosphoric acid heated 10 min. at 160° or kept overnight with 5 cc. concentrated H_2SO_4 and poured into H_2O yielded V, m. $72-2.5^\circ$. VIII gave similarly 4-methyl-2,5-diphenyloxazole (IX), m. $83-4^\circ$. $\text{PhCH}(\text{OBz})\text{Ac}$ refluxed with I in AcOH yielded IX. 2-(6-Quinolyloxy)-1-phenyl-1-propanone (X) (5.08 g.) yielded similarly 2.1 g. 5-methyl-4-phenyl-2-(6-quinolyl)oxazole, m. $150-1^\circ$, and 1.8 g. 1-phenyl-1-(6-quinolinecarboxamido)acetone (XI), m. $195-7^\circ$; the aqueous phase basified gave 0.7 g. 2-(6-quinolyl)-4-phenyl-5-methylimidazole (XII), m. $254-5^\circ$ (EtOAc -petr. ether) [hydrate m. 98 (aqueous EtOH)]. $\text{Ph}(\text{H}_2\text{N})\text{CHAc}.\text{HCl}$ (0.372 g.) in 5 cc. H_2O , 2.0 g. NaOAc , and 0.456 g. 6-quinolyl chloride shaken 15 min., basified with NaOH , shaken again 5 min., and filtered yielded 0.22 g. XI. XI (1 g.), 8 g. I, and 20 cc. AcOH refluxed 1 hr., poured into H_2O , and basified yielded 0.9 g. XII, m. $254-5^\circ$ (EtOAc -petr. ether).

IT 95169-22-5, 6-Quinolinecarboxamide, N-(2-hydroxy-1-phenylpropenyl)- (preparation of)

RN 95169-22-5 CAPLUS

CN 6-Quinolinecarboxamide, N-(2-hydroxy-1-phenylpropenyl)- (7CI) (CA INDEX NAME)



L62 ANSWER 220 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:403397 CAPLUS

DN 59:3397

OREF 59:572b-d

TI Condensation of 6-quinolylcarboxaldehyde with pyridine and quinoline bases

AU Lugovkin, B. P.

CS All-Union Res. Inst. Labor Protection, Kazan

SO Zhurnal Obshchei Khimii (1963), 33, 71-4

CODEN: ZOKHA4; ISSN: 0044-460X

DT Journal

LA Unavailable

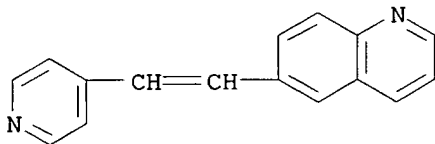
AB 6-Quinolynecarboxaldehyde heated in a sealed ampul with 2-methylpyridine in the presence of ZnCl_2 1 hr. at $210-20^\circ$ gave after treatment with aqueous HCl , separation of the resulting precipitate, neutralization of the filtrate,

extraction with hot MePh , and refluxing the extract with MeI in AcOH for 5 hrs. 16.8% 2-(6-quinolidene)methylpyridine-2 MeI , m. $283-4^\circ$. Similarly, 4-methylpyridine gave 31.5% 4-(6-quinolidene)methylpyridine, m. $140-1^\circ$, on being heated with the aldehyde and ZnCl_2 ; refluxing with MeI in Ac_2O 0.25 hr. gave the dimethiodide, m. 295° . Similarly, lepidine gave 16.7% 4-(6-quinolidene)methylquinoline, m. 135° ; dimethiodide m. $299-301^\circ$. Similarly, the aldehyde and 9-methylacridine gave 27.1% 9-(6-quinolidene)methylacridine (I), m. $127-8^\circ$, which with MeI gave a mixture of unseparable products; di- HCl salt m. $296-8^\circ$.

IT **92867-90-8**, Quinoline, 6-[2-(4-pyridyl)vinyl]- **96057-23-7**, Quinoline, 4,6'-vinylenedi- **96762-08-2**, Acridine, 9-[2-(6-quinolyl)vinyl]-, dihydrochloride **694428-77-8**, Acridine, 9-[2-(6-quinolyl)vinyl]- (preparation of)

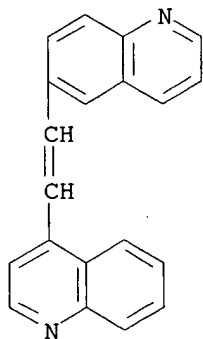
RN 92867-90-8 CAPLUS

CN Quinoline, 6-[2-(4-pyridyl)vinyl]- (7CI) (CA INDEX NAME)



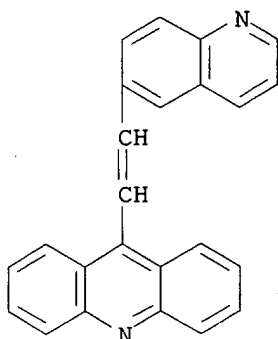
RN 96057-23-7 CAPLUS

CN Quinoline, 4,6'-vinylenedi- (7CI) (CA INDEX NAME)



RN 96762-08-2 CAPLUS

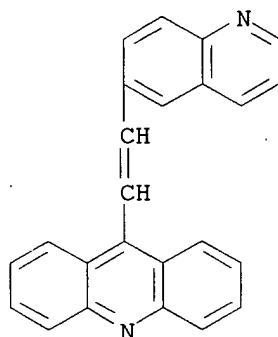
CN Acridine, 9-[2-(6-quinolyl)vinyl]-, dihydrochloride (7CI) (CA INDEX NAME)



● 2 HCl

RN 694428-77-8 CAPLUS

CN Acridine, 9-[2-(6-quinolinyl)ethenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 221 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:53332 CAPLUS

DN 58:53332

OREF 58:9094c-g

TI Penicillins.

IN Doyle, Frank P.; Nayler, John H. C.

PA Beecham Research Laboratories, Ltd.

SO 7 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 906383		19620919	GB	19600718

AB 6-Aminopenicillanic acid (I) is treated with a substituted ArCOCl or a mixed anhydride in basic solution, where Ar is a heterocycle, to produce substituted penicillins. Thus, sesamol methyl ether, b₁₅ 110-120°, with BuLi followed by CO₂ gave 2-methoxy-5,6-methylenedioxybenzoic acid (II), m. 142°. II (1.85 g.) and 1.5 ml. SOCl₂ refluxed 1 hr., excess SOCl₂ removed under reduced pressure, the residue dissolved in 10 ml. alc.-free CHCl₃, and the solution added dropwise to 2.16 g. I in 1.5 ml. Et₃N and 30 ml. alc.-free CHCl₃ over 30 min. After 1 hr., extraction with 20 ml. N HCl in 100 ml. ice-H₂O, separation of the layers, extraction of CHCl₃ with 10 ml. H₂O and 28 ml. of 3% NaHCO₃ gave an emulsion. The whole mixture was evaporated in vacuo and the residue washed by decantation with anhydrous Et₂O to give 2.8 g. Na 2-methoxy-5,6-methylenedioxy-phenylpenicillin (III), 72% pure (HONH₂ assay). Quinoline-6-carboxylic acid (415 mg.), 0.34 ml. Et₃N, and 8 ml. dry Me₂CO was stirred and cooled to 0°. EtO₂CCl (0.21 ml.) in 4 ml. dry Me₂CO was added over 10 min. and the precipitated Et₂N.HCl filtered off in 25 min. The filtrate was added slowly to 400 mg. I and 156 mg. NaHCO₃ in 12 ml. H₂O at 0° and the mixture stirred for 2.5 hrs. while the solution temperature was coming to room temperature, and the pH adjusted to 7. The solution was washed with 18 ml. Et₂O, the aqueous layer separated and evaporated at low pressure to give 6-quinolylpenicillanic acid (dried over P₂O₅ in vacuo), by paper chromatography. The product was 73% pure (manometric assay) and inhibited Staphylococcus aureus at 0.12 γ/ml. 4-Methyl-7-methoxycoumarin-8-carboxylic acid (2.34 g.) and 2.9 ml. SOCl₂ was refluxed 1 hr., excess SOCl₂ removed at reduced pressure, the residue mixed with 20 ml. anhydrous alc.-free CHCl₃, the suspension added in 3 parts to 2.16 g. I and 2.8 ml. Et₃N in 30 ml. alc.-free CHCl₃ and the mixture stirred 2 hrs. Filtration followed by extraction of the liquid phase with enough N HCl to give an extract of pH 2, followed by extraction with a solution of NaHCO₃ to give an extract of pH 7 gave a solution which was extracted with 2 20-ml. portions of Et₂O. Evaporation of the aqueous phase and drying the residue over P₂O₅ gave 1.45 g. Na 4-methyl-7-methoxy-8-coumarinylpenicillin in 28% purity (HONH₂ assay). The product inhibited Staphylococcus, Oxford strain, at 2.5 γ/ml., Staphylococcus strain 1 at 6.25 γ/ml., and Staphylococcus strain 2 at 5 γ/ml.

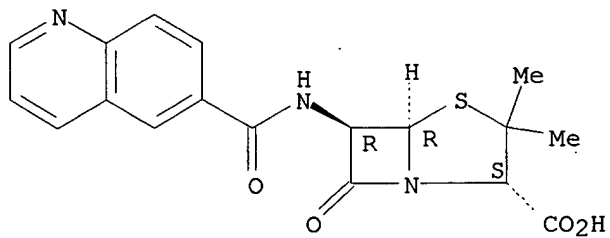
IT 98528-87-1, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-(6-quinolinecarboxamido)- (preparation of)

RN 98528-87-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-

(6-quinolinecarboxamido)- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 222 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:53244 CAPLUS

DN 58:53244

OREF 58:9059d-h

TI N-Oxides of quinoxaline series. V. Reaction of N-oxides of quinoxaline and 2-methylquinoxaline with acetic anhydride

AU Elina, A. S.

SO Zhurnal Obshchei Khimii (1962), 32, 2967-73

CODEN: ZOKHA4; ISSN: 0044-460X

DT Journal

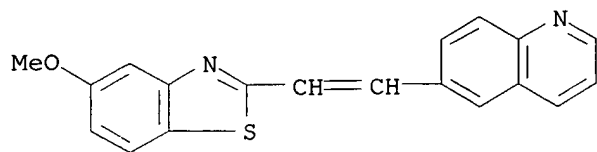
LA Unavailable

AB cf. CA 55, 23550i. Refluxing quinoxaline 1,4-di-N-oxide in Ac₂O 1 hr. gave, after precipitation of unreacted material with Et₂O, some 30% 2-acetoxiquinoxaline 1-N-oxide (I), m. 110.5-11°, while the mother liquor treated with picric acid gave some quinoxaline mono-N-oxide picrate, decomposed 184-5°. I and NaOH at 25° gave 2-hydroxyquinoxaline 1-N-oxide (II), m. 208-9°, which gave red color test with FeCl₃. Illumination of quinoxaline di-N-oxide with ultraviolet 2 days and with sunlight 20 days gave some 2-hydroxyquinoxaline 4-N-oxide (III), m. 273-4° (which gives light blue fluorescence in ultraviolet, in contrast to the dark violet color of the 1-N-oxide analog above). Heating either of these N-oxides with Fe-AcOH or hydrogenation over Ni gave 2-hydroxyquinoxaline. Refluxing II with POCl₃ 1 hr. gave some 2,3-dichloroquinoxaline; 4-N-oxide (III) gave the same product. II and MeI in 4% NaOH-MeOH gave 65% 1-methoxy-2-oxo-1,2-dihydroquinoxaline, m. 102-2.5°; similar reaction of 4-N-oxide analog gave 1-methyl-2-oxo-1,2-dihydroquinoxaline 4-N-oxide, m. 207-8° (Landquist, CA 48, 11428i). Refluxing III with alc. HCl 1 hr. gave some 2,3-dihydroxyquinoxaline, does not m. 360°, while under the same conditions II was largely unchanged and gave only a little dark unidentified product. Quinoxaline di-N-oxide hydrogenated over Raney Ni in aqueous EtOH gave quinoxaline mono-N-oxide, m. 124-5° (picrate m. 185-6°). This and Ac₂O failed to react at reflux. 2-Methylquinoxaline 1,4-di-N-oxide and Ac₂O at reflux 1 hr. gave some 16% 2-acetoxymethylquinoxaline 4-N-oxide (IV), b₂ 160-5°, m. 108-9°, and 30% 2-acetoxymethylquinoxaline, b_{1.5} 128-30°, m. 39-40°. Reduction of IV over Raney Ni in EtOH gave 2-acetoxymethylquinoxaline. IV and 2.5N NaOH at 20-50° gave 2-hydroxymethylquinoxaline 4-N-oxide, m. 155-6°. 2-Methylquinoxaline 1-N-oxide hydrogenated over Ni in H₂O to 2-methylquinoxaline 1-N-oxide, m. 93-4° (50%), which heated with Ac₂O 1 hr. gave 2-acetoxymethylquinoxaline, b_{1.5} 129-30°, m. 39-40°, identical with the above specimen. This treated with 2N NaOH gave 2-hydroxymethylquinoxaline, m. 79-80°.

IT 94212-10-9, Quinoline, 6-[2-(5-methoxy-2-benzothiazolyl)vinyl]-
98638-27-8, Quinoline, 6-[2-(5-methoxy-2-benzoselenazolyl)vinyl]-
(preparation of)

RN 94212-10-9 CAPLUS

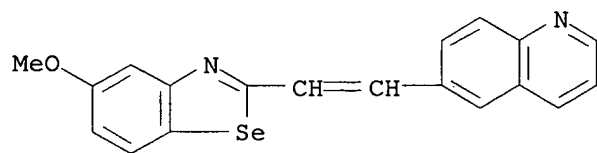
CN Quinoline, 6-[2-(5-methoxy-2-benzothiazolyl)vinyl]- (7CI) (CA INDEX NAME)



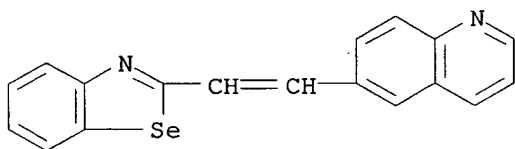
10/634,182

RN 98638-27-8 CAPLUS

CN Quinoline, 6-[2-(5-methoxy-2-benzoselenazolyl)vinyl]- (7CI) (CA INDEX NAME)



L62 ANSWER 223 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1963:53243 CAPLUS
 DN 58:53243
 OREF 58:9059c-d
 TI Reaction of 6-quinolinecarboxaldehyde with heterocyclic bases containing active methyl groups
 AU Lugovkin, B. P.
 CS All-Union Res. Inst. Labor Protection, Kazan
 SO Zhurnal Obshchei Khimii (1962), 32, 2544-8
 CODEN: ZOKHA4; ISSN: 0044-460X
 DT Journal
 LA Unavailable
 AB cf. CA 55, 22316a. Heating 6-quinolinecarboxaldehyde (I) and 2-methylbenzoselenazole 1 hr. at 270° gave 29% II (R = H, X = Se), m. 193-4°; 33.7% monomethiodide, m. 296-70°; diethiodide m. 246-8° (diethiodide of corresponding benzothiazole analog m. 245-6°). I and 2-methyl-5-methoxybenzothiazole in 0.5 hr. at 230° gave 36.8% II (R = MeO, X = S), m. 195-6°; monomethiodide m. 269-70°; diethiodide m. 245-6°. I and 2-methyl-5-methoxybenzoselenazole in 0.5 hr. at 260° gave 33.9% I (R = MeO, X = Se), m. 219-20°; monomethiodide m. 275-7°; diethiodide m. 258-9°.
 IT **98179-40-9**, Quinoline, 6-[2-(2-benzoselenazolyl)vinyl]- (preparation of)
 RN 98179-40-9 CAPLUS
 CN Quinoline, 6-[2-(2-benzoselenazolyl)vinyl]- (7CI) (CA INDEX NAME)



L62 ANSWER 224 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:40052 CAPLUS

DN 58:40052

OREF 58:6834c-d

TI Penicillin derivatives

IN Doyle, Frank P.; Nayler, John H. C.

SO 4 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3043831		19620710	US	
PRAI	GB		19600718		

AB Derivs. of I were also prepared with the following acids:

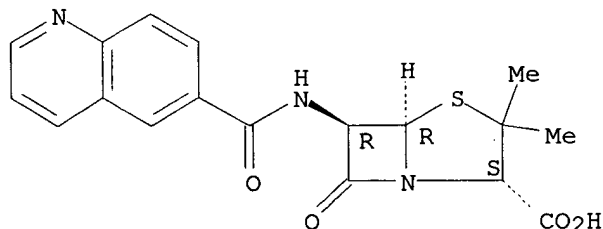
2-methoxy-5,6-methylenedioxybenzoic, quinoline-6-carboxylic,
 4-methyl-7-methoxyeoumarin-8-carboxylic, 6-methoxy-1,4-benzodioxane-5-
 carboxylic, 6-methoxy-3-methylbenzofuran-7-carboxylic,
 5,6-dimethoxyphthalen-4-carboxylic, 6-methoxyphthalide-7-carboxylic,
 7-methoxy-2-phenylehroman-8-carboxylic, 6-methoxyquinoline-5-carboxylic,
 7-methoxyquinoline-8-carboxylic, 5-ethoxybenzotriazole-4-carboxylic,
 6-chloro-1-phenylbenzotriazole-7-carboxylic, 5-methoxythianaphthene-4-
 carboxylic and 4-chloro-3-hydroxy-6-methylthianaphthene-7-carboxylic

IT **98528-87-1**, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid,
 3,3-dimethyl-7-oxo-6-(6-quinolinecarboxamido)-
 (preparation of)

RN 98528-87-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-
 (6-quinolinecarboxamido)- (7CI) (CA INDEX NAME)

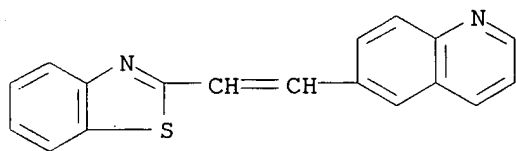
Absolute stereochemistry.



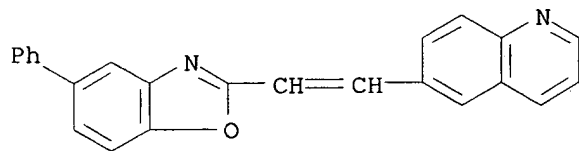
L62 ANSWER 225 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1961:118538 CAPLUS
 DN 55:118538
 OREF 55:22316a-c
 TI Condensation of 6-quinolinecarboxaldehyde with some heterocyclic compounds
 AU Lugovkin, B. P.
 CS All-Union Labor Hygiene Inst., Kazan
 SO Zhurnal Obshchei Khimii (1961), 31, 1685-8
 CODEN: ZOKHA4; ISSN: 0044-460X
 DT Journal
 LA Unavailable
 AB cf. CA 52, 17273c. Heating 6-quinoline-carboxaldehyde (I) with quinaldine at 165-75° 1 hr. gave 59.7% 1-(2-quinolyl)-2-(6-quinolyl)ethylene (II), m. 148°; in 1 hr. with ZnCl₂ catalyst the yield was 20%. Refluxed with MeI-MeOH 4 hrs., II gave a monomethiodide, m. 225-6°; MeI in hot AcOH 6 hrs. gave a dimethiodide, m. 274-6°. I and 2-methylbenzothiazole in 1 hr. at 235-40° gave orange 1-(6-quinolyl)-2-(2-benzothiazolyl)ethylene m. 169-70°; monomethiodide m. 273-6°. I and 2-methyl-β-naphthothiazole in 1 hr. at 260° gave green-yellow 1-(6-quinolyl)-2-(β-naphthothiazol-2-yl)ethylene, m. 203°; monomethiodide m. 257-8°. I and 2-methyl-5-phenylbenzoxazole gave in 45 min. at 290° 1-(6-quinolyl)-2-(5-phenylbenzoxazol-2-yl)ethylene, m. 172°; monomethiodide m. 263-4°. I and 1-phenyl-3-methylpyrazolone at 160° gave red-orange 6-quinolylmethylene-1-phenyl-3-methyl-5-pyrazolone, m. 148-9°; monomethiodide m. 243-4°.

IT **101874-94-6**, Quinoline, 6-[2-(2-benzothiazolyl)vinyl]-
102542-88-1, Quinoline, 6-[2-(5-phenyl-2-benzoxazolyl)vinyl]-
111441-03-3, Quinoline, 6-[2-(2-benzothiazolyl)vinyl]-, methiodide
111796-03-3, Quinoline, 2,6'-vinylenedi- **114447-81-3**,
 Naphtho[1,2-d]thiazole, 2-[2-(6-quinolyl)vinyl]- **114447-82-4**,
 Naphtho[1,2-d]thiazole, 2-[2-(6-quinolyl)vinyl]-, methiodide
124104-10-5, Quinoline, 6-[2-(5-phenyl-2-benzoxazolyl)vinyl]-,
 methiodide
 (preparation of)

RN 101874-94-6 CAPLUS
 CN Quinoline, 6-[2-(2-benzothiazolyl)ethenyl]- (9CI) (CA INDEX NAME)



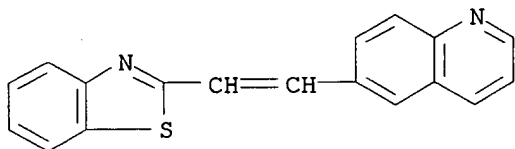
RN 102542-88-1 CAPLUS
 CN Quinoline, 6-[2-(5-phenyl-2-benzoxazolyl)vinyl]- (6CI) (CA INDEX NAME)



RN 111441-03-3 CAPLUS
 CN Quinoline, 6-[2-(2-benzothiazolyl)vinyl]-, methiodide (6CI) (CA INDEX NAME)

CM 1

CRN 101874-94-6
 CMF C18 H12 N2 S

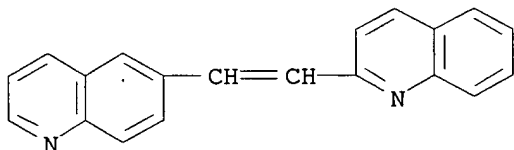


CM 2

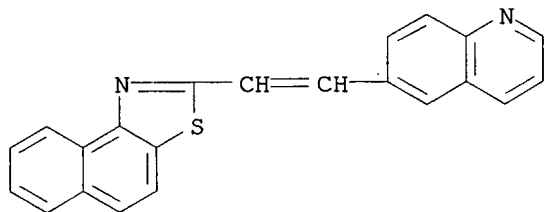
CRN 74-88-4
 CMF C H3 I

H₃C-I

RN 111796-03-3 CAPLUS
 CN Quinoline, 2,6'-vinylene- (6CI) (CA INDEX NAME)



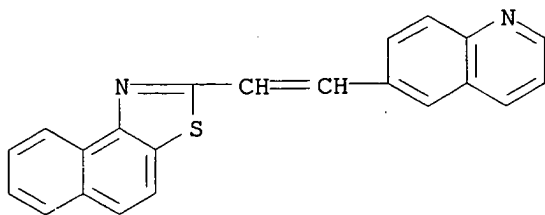
RN 114447-81-3 CAPLUS
 CN Naphtho[1,2-d]thiazole, 2-[2-(6-quinolinyl)ethenyl]- (9CI) (CA INDEX NAME)



RN 114447-82-4 CAPLUS
 CN Naphtho[1,2-d]thiazole, 2-[2-(6-quinolyl)vinyl]-, methiodide (6CI) (CA INDEX NAME)

CM 1

CRN 114447-81-3
CMF C22 H14 N2 S



CM 2

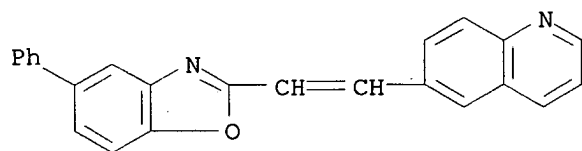
CRN 74-88-4
CMF C H3 I

H₃C-I

RN 124104-10-5 CAPLUS
CN Quinoline, 6-[2-(5-phenyl-2-benzoxazolyl)vinyl]-, methiodide (6CI) (CA INDEX NAME)

CM 1

CRN 102542-88-1
CMF C24 H16 N2 O



CM 2

CRN 74-88-4
CMF C H3 I

H₃C-I

L62 ANSWER 226 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1961:33194 CAPLUS
 DN 55:33194
 OREF 55:6515d-g
 TI Methyl reserpate 18-heterocyclic esters
 IN Schlittler, Emil
 PA Ciba Pharmaceutical Products, Inc.
 SO Continuation-in-part of U.S. 2,824,874 (CA 52, 18501h)
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2964527		19601213	US	

AB A new series of reserpine acid diesters and their salts were prepared. Reserpine (CA 50, 15032h) 1 was refluxed 1.5 hrs. under N with N methanolic KOH 40 parts, the pH of the cooled solution adjusted to 1-2 with 6N HCl, and the precipitated KCl removed. The filtrate was evaporated and the residue washed with ether and dissolved in methanol. The pH was adjusted to 6 with N methanolic KOH, and the solution evaporated almost to dryness.

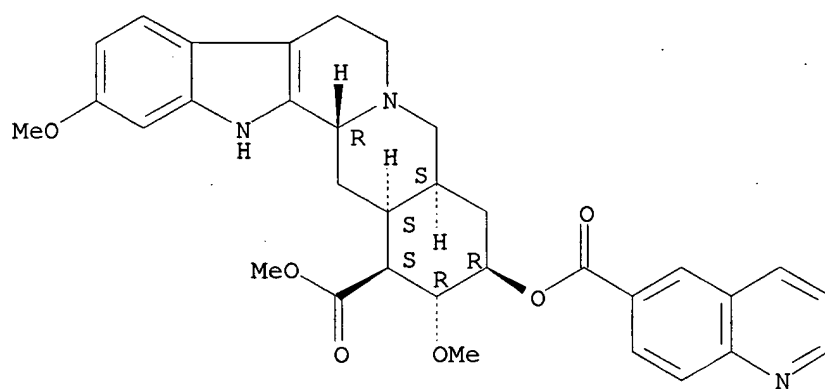
This solution was extracted with CHCl₃ 50 parts, and reserpine acid-HCl (I.HCl) obtained from the CHCl₃ solution, $[\alpha]_{25D} -75^\circ$ (1%, H₂O), $[\alpha]_D -80 \pm 3^\circ$ (CHCl₃). I, obtained by the treatment of I.HCl with Ag₂CO₃-EtOH, m. 239-45° (MeOH); K salt m. 270-300° (decomposition) (MeOH-Et₂O); Me ester (II) m. 240-2° (MeOH-Et₂O) $[\alpha]_D -101 \pm 3^\circ$ (CHCl₃). Infrared and ultraviolet spectral data were given for I, I.HCl, and II. Treatment of II with 2-furoyl chloride in anhydrous pyridine 2 days at 5° gave Me O-(2-furoyl)reserpate-HCl (III.HCl), m. 258-60° (H₂O); III.0.5H₂O m. 240-2° (decomposition) (H₂O-Me₂CO). Treatment of II with nicotinoyl chloride in pyridine gave methyl O-nicotinoyl-reserpate m. 255-256° (decomposition) (water-acetone). Treatment of II with isonicotinoyl chloride in pyridine gave Me O-isonicotinoylreserpate, m. 286-8° (MeOH-CH₂Cl₂). II with 3-(2-furyl)acryloyl chloride gave Me O-[3-(2-furyl)acryloyl]reserpate, m. 239-43° (EtOAc-Et₂O). II with quinoline-6-carbonyl chloride-HCl in pyridine gave Me O-(quinolyl-6-carbonyl)reserpate, m. 220-5° (MeOH-CH₂Cl₂).

IT 122650-60-6, 6-Quinolinecarboxylic acid, ester with Me reserpate (preparation of)

RN 122650-60-6 CAPLUS

CN Reserpine acid, methyl ester, 6-quinolinecarboxylate (6CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 227 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1961:22721 CAPLUS

DN 55:22721

OREF 55:4479f-i,4480a-f

TI Diaryloxazoles

AU Aldous, Duane L.; Riebsomer, J. L.; Castle, Raymond N.

CS Univ. of New Mexico, Albuquerque

SO Journal of Organic Chemistry (1960), 25, 1151-4

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

OS CASREACT 55:22721

AB Diaryloxazoles were prepared for pharmacol. investigation. Anhydrous Et₂O (150 ml.) added to 160.8 g. BzEt, the solution stirred in an ice bath, treated with 1.5 g. anhydrous AlCl₃ followed by 192 g. Br during 45 min., the mixture diluted with 150 ml. Et₂O, poured into H₂O, the Et₂O layer washed with H₂O until bromide ion was removed, dried, and distilled gave 228 g. BzCHBrMe (I), b₁₉ 135-44°. BzONa (14.4 g.), 21 g. I, 125 ml. absolute EtOH, and 3 drops concentrated H₂SO₄ refluxed and stirred 8 hrs., the mixture poured into

300

ml. H₂O with stirring, extracted with C₆H₆, the extract washed with 200 ml. 1% aqueous NaOH and twice with 200 ml. H₂O, and concentrated in vacuo gave 17.5 g. BzCHMeO₂CR (II) (R = Ph) (III), m. 109-10° (EtOAc). Similarly were prepared the following II (R, m.p., % yield, and recrystn. solvent given):

1-naphthyl, 104.5-5.5°, 83, EtOAc; 2-naphthyl, 105-7°, 67,

EtOAc; 2-quinolyl, 121-2°, 55, EtOAc; 6-quinolyl (IV),

120-1°, 62, 95% EtOH; 4-pyridyl, 112-13°, 23, 95% EtOH;

3-pyridyl, 84.5-5.5°, trace, 95% EtOH; 2-pyridyl, 93-4°, 39,

95% EtOH; 2,6-dipyridyl (bis ester) (V), 187-9°, 5, EtOAc. The II

cyclized (not all the II underwent cyclization) by the procedures of

Davidson, et al. (CA 32, 17028) and Dornow and Eichholz (CA 49, 8246h)

gave the following O.CR:N.CPh:CMe (VI) [R, m.p. (95% EtOH), and % yield

given]: 1-naphthyl, 77.5-8.5°, 53; 2-naphthyl, 98.5-100.0°,

77; 2-quinolyl, 163-3.5°, 18; 6-quinolyl, 150-1°, 49. By

the procedure of Davidson, et al. (CA 32, 17028) was prepared

O.CMe:N.CPh:CPh (VII). VII (4.7 g.), 15.7 g. BzH, and 1.4 g. ZnCl₂

refluxed 3 hrs. in a N atmospheric, the solution cooled, diluted with C₆H₆,

washed 3

times with H₂O, dried, and concentrated in vacuo (unchanged III removed at

165-75°/1 mm.) gave 1.9 g. O.C(CH:CHR):N.CPh:CPh (VIII) (R = Ph),

m. 119-19.5° (95% EtOH). Similarly were prepared the following VIII

[R, m.p. (95% EtOH), and % yield given]: p-anisyl, 269-71°, trace;

o-HOC₆H₄, 216-17°, 62; 3,4-CH₂O₂C₆H₃, 142.5-3.0°, trace.

VII (11.8 g.), 9 g. N-bromosuccinimide, 2 g. Bz₂O₂, and 40 ml. dry CCl₄

refluxed 6 hrs., the mixture cooled, filtered, the filtrate concentrated in

vacuo,

and the residue distilled in vacuo gave O.C(CH₂Z):N.CPh:CPh (IX) (Z = Br)

(X), b_{0.025} 170°, m. 104-6°. AgNO₃ (10 g.) in 12 ml. H₂O

added to 15.7 g. X in 100 ml. 95% EtOH with swirling, the mixture refluxed 1

hr. on a steam bath, filtered, the filtrate concentrated, the residual oil

dissolved in Et₂O, the solution washed several times with H₂O to remove Ag

ions, dried, and concentrated in vacuo gave IX (Z = OH), b_{0.1} 151-6°. By

the method for I from BzCH₂CO₂Et was prepared 88% BzCHBrCO₂Et (XI), b_{0.1}

113-33°. By the method for II from XI was prepared 75%

BzCH(OBz)CO₂Et (XII), m. 61-2° (95% EtOH). By the procedure of D.,

et al. (loc. cit.), was prepared from XII NH.CPh:N.CPh:CCO₂R (XIII) (R = Et)

(XIV), m. 166-7.5° (95% EtOH). XIV (5.86 g.), 1.6 g. KOH, and 50

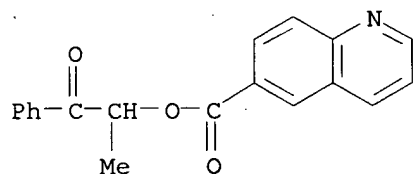
ml. H₂O refluxed while removing the condensate, when the condensate gave a

neg. test for EtOH (1.75 hrs.) the solution filtered, and the filtrate acidified with 5% aqueous HCl gave 5.3 g. O.CPh:N.CPh:CCOR (XV) (R = OH) (XVI), m. 222-3°. XVI K salt (9.1 g.) passed through a 100 mesh sieve, dried overnight at 120°, added to 60 ml. dry C₆H₆, the mixture treated during 20 min. with 3.8 g. (COCl)₂ in 15 ml. dry C₆H₆ while cooling in an ice bath, stirred 30 min. with ice bath cooling and 3 hrs. after removing the ice bath, treated during 20 min. with 3.2 g. Me₂N(CH₂)₃NH₂ in 15 ml. dry C₆H₆, the whole stirred 15 min., heated to boiling, cooled, treated with 5% aqueous HCl, the precipitate filtered off, dissolved in 5% aqueous HCl, and the solution neutralized with aqueous NH₃ gave XV [R = NH(CH₂)₃NMe₂], m. 161.5-3.0° (EtOAc). NH₄OAc (19.3 g.) in 50 ml. AcOH added to 12.6 g. III, the mixture refluxed 1 hr., poured into ice and H₂O, extracted with Et₂O, the extract washed with H₂O, dried, evaporated, and the residue repeatedly recrystd. from cyclohexane gave BzCHMeNHBz, m. 108-9.5°, λ 2.9, 5.82 and 6.0 μ (semicarbazone m. 202-3°); the mother liquors on concentration gave VI (R = Ph), m. 74-5°. During the cyclization of IV and V were isolated 1-phenyl-2-(6-quinolinecarboxamido)-1-propanone, m. 195-7° (EtOH) (semicarbazone m. 209-11°), and N,N'-bis(α-benzoyl-ethyl)pyridine-2,6-dicarboxamide, m. 211-13°, resp.

IT **111589-01-6**, 6-Quinolinecarboxylic acid, α-methylphenacyl ester **111666-59-2**, 6-Quinolinecarboxamide, N-(α-methylphenacyl)- **116603-15-7**, 6-Quinolinecarboxamide, N-(α-methylphenacyl)-, semicarbazone (preparation of)

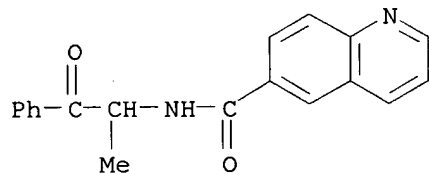
RN 111589-01-6 CAPLUS

CN 6-Quinolinecarboxylic acid, α-methylphenacyl ester (6CI) (CA INDEX NAME)



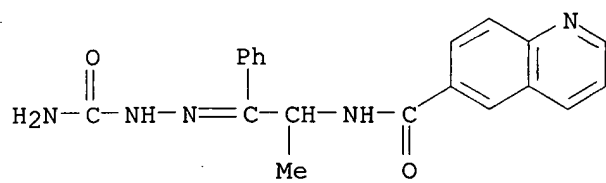
RN 111666-59-2 CAPLUS

CN 6-Quinolinecarboxamide, N-(α-methylphenacyl)- (6CI) (CA INDEX NAME)



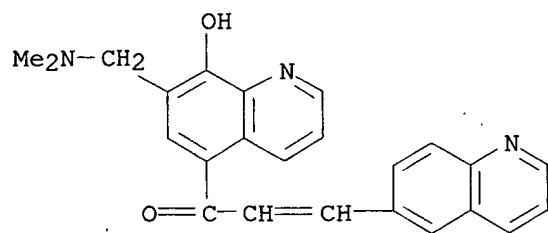
RN 116603-15-7 CAPLUS

CN 6-Quinolinecarboxamide, N-(α-methylphenacyl)-, semicarbazone (6CI) (CA INDEX NAME)



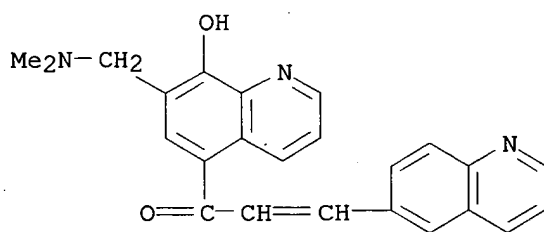
L62 ANSWER 228 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1960:118424 CAPLUS
 DN 54:118424
 OREF 54:22687b-f
 TI Substituted 8-hydroxyquinolines
 IN Schraufstatter, Ernst; Bock, Marianne
 PA Farbenfabriken Bayer Akt.-Ges.
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1039518		19580925	DE	
AB	<p>A mixture of 8.3 g. 5-benzoyl-8-hydroxyquinoline, 3.5 g. CH₂O solution (30%), 3 g. 50% HNet₂, and 200 cc. EtOH was refluxed 4 hrs., the EtOH distilled, the residue treated with 3% AcOH, filtered, and the filtrate treated with NH₄OH to give 5-benzoyl-7-dimethylaminomethyl-8-hydroxyquinoline, m. 113° (40% EtOH); hydrochloride, m. 135-40°. Similarly were prepared the following 5-(Y-substituted)-7-(X-substituted)-8-hydroxyquinolines[Y, X, m.p. (base), and m.p. (hydrochloride)given]: benzoyl, CH₂NetCH₂CH₂Net₂, 54-6°, -; 4-chlorobenzoyl, CH₂NMe₂, 92-3° (60% EtOH), 140° (decomposition); cinnamoyl, CH₂NMe₂, 193°, -; cinnamoyl, CH₂Net₂, 85-90°, 180-5° (decomposition); cinnamoyl, CH₂-NBu₂, 51-3°, -; cinnamoyl, CH₂N(CH₂CH₂OH)₂, 136°, -; cinnamoyl, CH₂NetCH₂CH₂Net₂, 85-90°, -; cinnamoyl, CH₂N(CH₂CH₂Net₂)₂, 85-90°, -; cinnamoyl, CH₂NMeCH₂Ph, 124° (C₆H₆-ligroine), 227° (decomposition); cinnamoyl, CH₂NMeC₁₂H₂₅, 76°, -; Cinnamoyl, CH₂N-(CH₂)₄, 178° (EtOH), 232° (decomposition); cinnamoyl, CH₂N(CH₂)₅, 71-2°, 220° (decomposition); cinnamoyl, CH₂-N.CH₂.CH₂.NMe.CH₂.CH₂, 181°, 203-4° (decomposition); 4-chlorocinnamoyl, CH₂NMe₂, 183° (EtOH), 215-16° (decomposition); β-phenylpropionyl, CH₂NMe₂, 88-9°, -; cinnamalacetyl, CH₂NMe₂, 173-4° (ligroine), 140° (decomposition); 2-chlorobenzoyl, CH₂NMe₂, -, 207° (EtOH) (decomposition); 2,4-dichlorobenzoyl, CH₂NMe₂, -, 222° (decomposition); 3,4-dimethoxycinnamoyl, CH₂NMe₂, 132°, 232° (decomposition); furfurylideneacetyl, CH₂NMe₂, 190°, 220° (decomposition); 5-nitrofurfurylideneacetyl, CH₂NMe₂, -, 254° (decomposition); 6-quinolyllacryloyl, CH₂NMe₂, 220°, 263-5° (decomposition). The compds. were useful as pharmaceuticals.</p>				
IT	<p>102664-71-1, 2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)- 116601-26-4, 2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)-, hydrochloride (preparation of)</p>				
RN	102664-71-1 CAPLUS				
CN	2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)- (6CI) (CA INDEX NAME)				



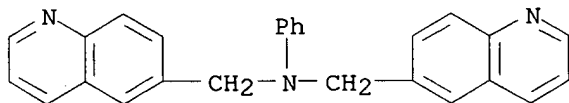
RN 116601-26-4 CAPLUS

CN 2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

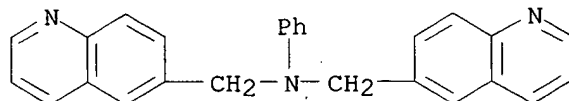
L62 ANSWER 229 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1960:44654 CAPLUS
 DN 54:44654
 OREF 54:8815i,8816a-b
 TI Reaction of 6-chloromethylquinoline with amines
 AU Lugovkin, B. P.
 CS All-Union Labor Protection Sci.-Research Inst., Kazan
 SO Zhurnal Obshchei Khimii (1959), 29, 1350-3
 CODEN: ZOKHA4; ISSN: 0044-460X
 DT Journal
 LA Unavailable
 AB cf. C.A. 51, 15518h. Keeping 6-chloromethylquinoline (I) in excess 24% NH₄OH 2 days gave a low yield of 6-aminomethylquinoline, b₂ 158-9°, n_{20D} 1.6390, d₂₀₂₀ 1.0220; HCl salt m. 239°; diacetyl derivative m. 112-13°. I heated 40 min. with aqueous Me₂NH to 60° gave 6-dimethylaminoquinoline, b₁ 143-4°, 1.5762, 1.0074; di-HCl salt m. 242-3°; dimethiodide m. 232°. Similarly Et₂NH gave 6-diethylaminoquinoline, b₂ 160-1°, 1.5658, 1.0024; di-HCl salt m. 241-2°; dimethiodide m. 216-17°. PhNH₂ gave bis(6-quinolylmethyl)aniline, m. 141° (dimethiodide m. 197°) when 2 moles I were allowed to react with 1 mole PhNH₂; an equimolar mixture failed to yield the expected anilinomethyl derivative I and aqueous piperidine gave 6-piperidinomethylquinoline, b_{1.5} 190-1°, 1.5950, 1.0100; di-HCl salt m. 232°; dimethiodide m. 216°. Morpholine gave 6-morpholinomethylquinoline, b₁ 192-3°, m. 66°; di-HCl salt m. 242°; dimethiodide m. 215-16°.
 IT **102949-40-6**, Quinoline, 6,6'-[(phenylimino)dimethylene]di-
122218-47-7, Quinoline, 6,6'-[(phenylimino)dimethylene]di-,
 dimethiodide
 (preparation of)
 RN 102949-40-6 CAPLUS
 CN Quinoline, 6,6'-[(phenylimino)dimethylene]di- (6CI) (CA INDEX NAME)



RN 122218-47-7 CAPLUS
 CN Quinoline, 6,6'-[(phenylimino)dimethylene]di-, dimethiodide (6CI) (CA INDEX NAME)

CM 1

CRN 102949-40-6
 CMF C26 H21 N3



CM 2

10/634,182

CRN 74-88-4
CMF C H3 I

H₃C-I

L62 ANSWER 230 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1959:122367 CAPLUS

DN 53:122367

OREF 53:22045a-i,22046a-f

TI Rauwolfia alkaloids. XXXI. The synthesis and activity of some reserpine analogs

AU Lucas, R. A.; Kuehne, M. E.; Ceglowski, M. J.; Dziemian, R. L.;

MacPhillamy, H. B.

CS Ciba Pharm. Prods., Inc., Summit, NJ

SO Journal of the American Chemical Society (1959), 81, 1928-32

CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA Unavailable

AB cf. Muller, C.A. 52, 16699e. Me reserpate (I) (8 g.) in 60 cc. dry C₅H₅N shaken 10-15 min. with cooling with 3.3 g. p-MeC₆H₄COCl, kept at room temperature overnight, and poured into H₂O, and the sticky precipitate triturated until

solid, filtered off, and washed gave 4.4 g. 18-[O-(p-toluy)] derivative of I, m. 246-8° (EtOAc and MeOH-CH₂Cl₂) (method A). Reaction products obtained by method A which did not solidify were poured into H₂O, extracted with CHCl₃ or CH₂Cl₂, washed with 2% HCl, 2% aqueous KOH, and H₂O, dried, filtered through Florisil, and recrystd. to yield the corresponding derivative of I (method B). I (8 g.) in 60 cc. C₅H₅N treated with shaking and cooling with 3,5,4-(MeO)₂(EtO₂CO)C₆H₂COCl in 60 cc. C₅H₅N, kept overnight, and poured into H₂O, and the gummy precipitate heated 1 h. with 200 cc. 1:1 EtOH-28% NH₄OH, and cooled gave 18-[O-(3,5-dimethoxy-4-hydroxybenzoate)] (II) of I, m. 190-2° (EtOH) (method C). Me 18-[O-(p-nitrobenzoyl)]-reserpate (III) (5.0 g.) in 200 cc. MeOH hydrogenated about 24 h. under ambient conditions over 500 mg. 10% Pd-C, filtered, and evaporated in vacuo yielded 4.7 g. p-NH₂ analog (IV) of III, m. 208-12° (Me₂CO-petr. ether) (method D). The appropriate phenolic or amino ester prepared by methods C or D (1 g.) heated 10 min. in 100 cc. C₆H₆, 5 cc. C₅H₅N, and 5 cc. appropriate acid chloride, cooled, and concentrated to near dryness in vacuo, the residue diluted with H₂O, the gummy precipitate triturated and

washed

with H₂O, and the resulting HCl salt stirred 10 min. with an equal weight of Ag₂CO₃ in MeOH, filtered, and evaporated gave the corresponding derivative of I (method E). IV (2.8 g.) in 200 cc. MeOH and 4 cc. 37% aqueous CH₂O reduced 2 days over 2 g. 10% Pd-C, filtered, and evaporated, and the residual pale yellow foam recrystd. from aqueous EtOH yielded 0.35 g. Me₂N analog of IV, m. 251-3° (method F). IV (1 g.) refluxed 6 h. with 0.3 cc. PhNCO in 50 cc. CH₂Cl₂, evaporated in vacuo, and recrystd. from Me₂CO yielded 0.65 g. p-PhNHCONH analog of IV, m. 250-1° (method G). II (2 g.) refluxed 2 h. in 50 cc. CH₂Cl₂ with 0.4 cc. PhNCO, filtered, and evaporated in vacuo yielded 0.9 g. 4,3,5-PhNHCO₂(MeO)₂C₆H₂CO derivative of I, m. 192-6° (Me₂CO) (method H). I (4 g.) and 1.2 g. PhNCO refluxed 1 h. in 100 cc. CH₂Cl₂, filtered 1 h., and evaporated in vacuo gave 1.3 g. 18-[O-(PhNHCO₂)] derivative of I, m. 264-5° (Me₂CO) (method I). Me 18-[O-(3,4,5-trimethoxycinnamoyl)]reserpate (V) (5 g.) (isolated from Rauwolfia vomitoria) in 300 cc. MeOH hydrogenated 16 h. under ambient conditions, filtered, and evaporated in vacuo yielded 4.3 g. Me 18-[O-[3-(3,4,5-trimethoxyphenyl)propionyl]]reserpate, m. 172-5° (EtOAc-Et₂O) (method J). V (5 g.) in 300 cc. MeOH containing 5 drops AcOH hydrogenated 4 h. over 1 g. PtO₂ under ambient conditions, filtered, and evaporated in vacuo yielded 4.5 g. Me 18-[O-[3-(3,4,5-trimethoxyphenyl)propionyl]]isoreserpate, m. 196-7° (method K); reserpine was converted under the same conditions to about 20% isoreserpine. I (3 g.) in 60 cc. CHCl₃ containing 1.27 g. antipyrine treated with 0.48 cc. COCl₂ in 5 cc. PhMe at

-10°, kept at room temperature overnight, filtered, cooled to 0°, treated with excess gaseous NH₃, and filtered, the filtrate washed, dried, and evaporated, and the residual gum crystallized from EtOH yielded 0.2 g. 18-(O-carbamoyl) derivative of I, m. 263-4° (method L). I (4.14 g.) in 300 cc. CH₂Cl₂ treated with 0.02 mol maleic anhydride, kept 3 days at 25° evaporated in vacuo, treated with 25 cc. Me₂CO, and cooled gave 2.0 g. maleate of I.0.5H₂O, m. 195-7° (Me₂CO) (method M). I (4.14 g.) and 1.57 g. Me₂NCH₂COCl.HCl in 100 cc. CH₂Cl₂ kept 7 days in the dark at 25° and chromatographed on Al₂O₃ yielded 0.27 g. N,N-dimethylglycinate of I, m. 229-30° (CH₂Cl₂-C₆H₆). I (4.14 g.) and 2.2 g. hippuryl chloride in 80 cc. CH₂Cl₂ kept 18 days in the dark at room temperature and chromatographed with CH₂Cl₂ on 100 g. Al₂O₃ yielded 0.73

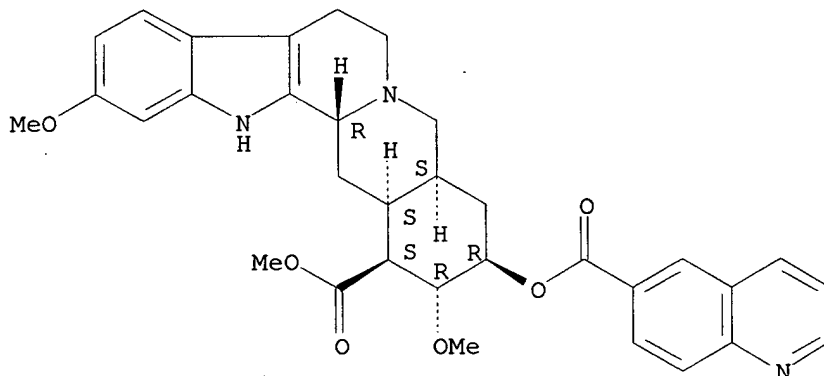
g.

N-benzoylglycinate of I.2MeOH, m. 252-3° (CH₂Cl₂-MeOH). By these methods were prepared the following 18-(O-substituted) benzoates of I (substituent, method of preparation, and m.p. given): o-OH, C, 232-3°; o-MeO, A, 202-3°; o-OCO₂Et, E, 110-20° (crystallizing with 1 mol H₂O); o-CO₂H, M, 191-3° (crystallizing with 1 mol H₂O); m-Me, A, 231-4°; m-OH, C, 181-2° (crystallizing with 1 mol H₂O); m-MeO, B, 209-11° (crystallizing with 1 mol H₂O); m-OCO₂Et, B, 206-8°; m-NO₂, A, 243-4°; m-NH₂, D, 149-58°; m-Me₂N, F, 205-8°; m-AcNH, E, 248-50°; m-EtO₂CNH, E, 235-7° (crystallizing with 0.5 mol H₂O); p-OH, C, 249-52°; p-AcO, E, 216-19°; p-EtO₂CO, E, 180-2°; p-AcNH, E, 182-7° (crystallizing with 2 mol H₂O); p-EtO₂NH, B, 150-8°; p-PhN:N, A, 205-11°; 2,3-(MeO)₂, B, 138-41°; 2,5-(HO)₂, C, 180-5°; 2,5-(MeO)₂, B, 180-2°; 2,5-(EtO₂CO)₂, E, 140-5°; 2-OH, 5-NO₂, C, 235°; 2-OH, 5-NH₂, D, 148-53° (crystallizing with 1 mol MeOH); 2-MeO, 3-Me₂N, F, 219°; 2-MeO, 5-Me₂N, F, 145-50°; 3,4-(OH)₂, C, 218-20° (crystallizing with 0.5 H₂O); 2-MeO, 3-NO₂, A, 221°; 3,4-(EtO₂CO)₂, E, 162-4°; 3,4-(CH₂O)₂, B, 231-3°; 3-MeO, 4-OH, C, 174-7° (0.5 H₂O); 3-MeO, 4-EtO₂CO, E, 140-2° (crystallizing with 0.5 H₂O); 3-EtO₂CO, 4-MeO, A, 205-7°; 3,5-(EtO₂CO)₂, B, 200-6° (crystallizing with 0.5 H₂O); 3,5-(NO₂)₂, A, 235-9°; 3,5-(NH₂)₂, D, 186-8° (crystallizing with 0.5 H₂O); 3,5-(Me₂N)₂, F, 260-1°; 3,5-(AcNH)₂, E, 210-15° (crystallizing with 0.5 H₂O); 3,5-(EtO₂CNH)₂, E, 188-91° (crystallizing with 1 mol H₂O); 3,4,5-Me₃, A, 251-2°; 3,4,5-(EtO)₃, B, 209-11°; 3,4,5-(PrO)₃, B, 162-3°; 3,4-(MeO)₂, 5-OH, C, 228-33°; 3,4-(MeO)₂, 5-EtO₂CO, E, 140-50°; 3,5-(MeO)₂, 4-AcO, E, 233-6°; 3,5-(MeO)₂, 4-MeO₂CO, E, 231-2°; 3,5-(MeO)₂, 4-EtO₂CO, E, 175-9°; 3,5-(MeO)₂, 4-BuO₂CO, E, 182-3°; 3,5-(MeO)₂, 4-PrO₂CO, E, 183-4°; 3,5-(MeO)₂, 4-iso-BuO₂CO.HCl, E, 224-5° (crystallizing with 1 H₂O); 3,5-(MeO)₂, 4-BzO.HCl, E, 230-4° (crystallizing with 1 H₂O); 3,5-(MeO)₂, 4-Me₂NOCO.HCl, A, 275°; 3,5-(MeO)₂, 4-EtNHOCO, H, 212-15°; o-CO₂H, 3,4,5,6-Cl₄, M, 207-8° (crystallizing with 2 H₂O). Also prepared were the following 18-[O-(RCO)] derivs. of I (R, method of preparation, and m.p. given): p-O₂NC₆H₄NH, I, 242-5° (crystallizing with 0.5 H₂O); p-H₂NC₆H₄NH, D, 250-5°; 2,5-Cl₂C₆H₃NH, I, 272-7°; MeCH:CH, B, 236-8°; Me₃C, B, 240-5°; Me₂CH(CH₂)₂, B, 223-6° (crystallizing with 0.5 H₂O); cyclohexyl, B, 223-5°; trans-(4-ethoxycarbonylamino)cyclohexyl, B, 140-50° (crystallizing with 0.5 H₂O); C₈H₁₇, B, 208-11°; C₁₅H₃₁, B, 175-90°; HO₂C(CH₂)₃, M, 226-7°; 2-carboxycyclohex-4-en-1-yl, M, 214-15° (crystallizing with 1 H₂O); MeOCH₂, B, 206-12° (crystallizing with 1 H₂O); EtOCO₂CH₂, B, 100-10°; Ph(MeO)CH, B, 247-50°;

2,5-(MeO)2C6H3CH2, B, 190-2°; Ph(EtO2CO)CH, B, 220-7° (crystallizing with 0.5 H2O); Ph2CH, B, 225-7°; 9-fluorenyl, B, 205-10°; 3,4-(HO)2C6H3CH:CH, C, 245°; 3,4-(MeO)2C6H3CH:CH, C, 204-5°; 3,4-MeO(EtO2CO)C6H3CH:CH, E, 218-19°; 3,4-(EtO2CO)2C6H3CH:CH, E, 203-5°; 3,4-(CH2O2)C6H3CH:CH, B, 235-7°; 3,4-(CH2O2)C6H3(CH:CH)2, B, 229-30°; 2-C10H7, B, 244-6°; 1,2-EtO2COC10H6, B, 182-5° (crystallizing with 1 H2O); 2-furylvinyl, A, 239-43°; 4-pyridyl, A, 286-8°; 6-quinolyl, B, 220-5° (crystallizing with 1 H2O). Three general types of activity were found among these derivs. of I: typical reserpine-like activity; predominantly hypotensive activity with little sedative effect; and predominantly sedation activity with little hypotensive effect.

IT **122650-60-6**, 6-Quinolinecarboxylic acid, ester with Me reserpate (preparation of)
 RN 122650-60-6 CAPLUS
 CN Reserpic acid, methyl ester, 6-quinolinecarboxylate (6CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 231 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1959:72522 CAPLUS

DN 53:72522

OREF 53:13129f-g

TI Acylamino amino anthraquinones

IN Schwechten, Heinz W.; Neeff, Rutger

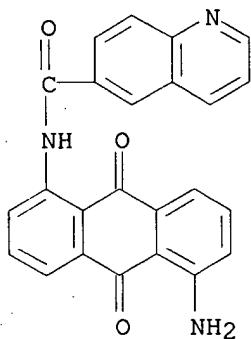
PA Farbenfabriken Bayer Akt.-Ges.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2864823		19581216	US	
AB	See Brit. 800,962 (C.A. 53, 8095g).				
IT	119925-61-0 , 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)- (preparation of)				
RN	119925-61-0 CAPLUS				
CN	6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)- (6CI) (CA INDEX NAME)				



L62 ANSWER 232 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1959:72520 CAPLUS

DN 53:72520

OREF 53:13128a-i,13129a-f

TI Guanyl-substituted triphenylethanes, triphenylethylenes, and benzalfluorenes

IN Van Campen, Marcus G., Jr.; Allen, Robert E.; Palopoli, Frank P.; Schumann, Edward L.

PA Wm. S. Merrell Co.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2877269		19590310	US	

AB The title compds. have antiinflammatory and antifungal action. 1,1-Di-p-anisyl-2-(p-cyanophenyl)ethylene (I) (27.2 g.) in 38 g. anhydrous EtOH and 500 ml. C₆H₆ was saturated with HCl at 5°. After 3 days, evaporation gave 1,1-di-p-anisyl-2-(p-ethoxycarbiminophenyl)ethylene-HCl (II), m. 131°. II (13.5 g.) in 100 ml. CHCl₃ with 160 g. ice is brought to pH 8. The CHCl₃ layer is concentrated, dissolved in 65 ml. EtOH, 2.5 g. NH₄Cl in 5 ml. H₂O is added at 60° and the mixture stirred 6 hrs. Concentration gave 1,1-di-p-anisyl-2-(p-guanylphenyl)ethylene-HCl (IIa), m. 252-6°. Replacement of I by 1,2-di-p-anisyl-1-(m-cyanophenyl)ethylene and 1,1-di-p-anisyl-2-(m-cyanophenyl)ethylene gave 1,2-di-p-anisyl-1-(m-ethoxycarbiminophenyl)ethylene-HCl (III), m. 119-20°, and 1,1-di-p-anisyl-2-(m-ethoxycarbiminophenyl)ethylene-HCl (IV), m. 140°, resp. III (4 g.) in 20 ml. absolute EtOH at -50° was treated with 2 g. liquid NH₃, refluxed 6 hrs., filtered, diluted with Et₂O to give 1,2-di-p-anisyl-1-(m-guanylphenyl)ethylene-HCl (IVa), m. 208-10°. Similarly IV gave 1,1-di-p-anisyl-2-(m-guanylphenyl)ethylene-HCl, m. 232-3°. To 200 ml. 0.28N Et₂NMgBr was added 13.6 g. 1,1-di-p-anisyl-2-(o-cyanophenyl)ethylene in 100 ml. Et₂O and 100 ml. C₆H₆. After refluxing 6 hrs., a 10% NaOH solution was added, the organic layer separated, concentrated, and dissolved in alc. HCl and extracted with CHCl₃. The washed and dried extract was concentrated to give 1,1-di-p-anisyl-2-[o-(N,N-diethylguanyl)phenyl]ethylene, m. 109-10°; HCl salt, m. 221-2°. By the procedure described earlier, the appropriate cyanophenylethylene compds. were converted to 1,2-di-p-anisyl-1-(p-ethoxycarbiminophenyl)ethylene-HCl (V), m. 118-20°; 1,2-diphenyl-1-(m-ethoxycarbiminophenyl)ethylene-HCl (VI), m. 142° (from the cyano compound, m. 135-7°), and m. 117° (from the cyano compound, m. 168-9°); 1,1-di-p-tolyl-2-(p-ethoxycarbiminophenyl)ethylene-HCl (VII), m. 148°; 1,1-bis(p-chlorophenyl)-2-(p-ethoxycarbiminophenyl)ethylene-HCl (VIIa), m. 129-30°; 1-(o-chlorophenyl)-1-(p-chlorophenyl)-2-(p-ethoxycarbiminophenyl)ethylene-HCl (VIIb), m. 110-30°; 1,1-bis(p-dimethylaminophenyl)-2-(p-ethoxycarbiminophenyl)ethylene-HCl (VIIc), m. 160°; 1,2-di-p-anisyl-2-(p-ethoxycarbiminophenyl)chloroethylene (VIII), m. 167°. Similarly 9-(p-cyanobenzal)fluorene gave 9-(p-ethoxycarbiminobenzal)fluorene-HCl (IX), m. 263-4°; 1-(p-cyanophenyl)-1-phenyl-2-(p-chlorophenyl)ethylene gave 1-(p-ethoxycarbiminophenyl)-1-phenyl-2-(p-chlorophenyl)ethylene-HCl (X), m. 174° (from the cyano compound m. 171-2°), and m. 161° (from the cyano compound m. 126-30°). 2,7-Dichloro-9-(p-cyanobenzal)fluorene gave 2,7-dichloro-9-(p-

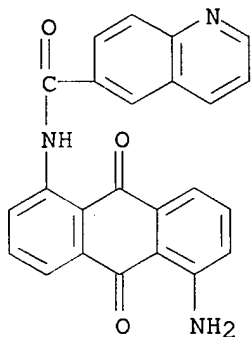
ethoxycarbiminobenzal)fluorenone-HCl (XI); 2-cyano-9-anisalfluorene gave 2-ethoxycarbimino-9-anisalfluorene-HCl (XIa), m. 140°; 1,1-di-p-anisyl-2-(p-cyanophenyl)bromoethylene gave 1,1-di-p-anisyl-2-(p-ethoxycarbiminophenyl)bromoethylene (XIb), m. 144-5°.

4-Methyl-4-chlorobenzophenone and p-BrC₆H₄CH₂MgBr gave 1-p-tolyl-1-(p-chlorophenyl)-2-(p-bromophenyl)ethylene which reacted with CuCN in quinoline to give 1-p-tolyl-1-(p-chlorophenyl)-2-(p-cyanophenyl)ethylene which gave the corresponding ethoxy compound (XIII). Similarly starting with 4-methoxy-4'-chlorobenzophenone an ethoxy compound (XIII) was obtained. 9-(p-Cyanobenzal)fluorene in CHCl₃ with Cl in CCl₄ gave 9-(α-chloro-p-cyanobenzal)fluorene which gave the corresponding ethoxy compound (XIV). 1,1-di-p-anisyl-2-(m-bromophenyl)ethylene in EtOAc with PtO₂ and H gave 1,1-di-p-anisyl-2-(m-bromophenyl)ethane which with CuCN in quinoline gave 1,1-di-p-anisyl-2-(m-cyanophenyl)ethane (XV), b.p. 232-4°. XV gave as before 1,1-di-p-anisyl-2-(m-ethoxycarbiminophenyl)ethane-HCl (XVI), m. 130°. Similarly, 1-(p-bromophenyl)-1-phenyl-2-(p-chlorophenyl)ethylene gave 1-(p-cyanophenyl)-1-phenyl-2-(p-chlorophenyl)ethylene, m. 150-8° which gave the 1-p-ethoxy compound HCl salt (XVII), m. 166°.

Following the procedure used to prepare IVa, V gave 1,2-di-p-anisyl-1-(p-guanylphenyl)ethylene-HCl, m. 226°; VI gave 1,2-diphenyl-1-(m-guanylphenyl)ethylene-HCl, m. 234-7° (from the isomer, m. 117°) and m. 237.5-8.5° (from the isomer m. 142°); VII gave 1,1-di-p-tolyl-2-(p-guanylphenyl)ethylene-HCl, m. 314°; VIIa gave 1,1-bis(p-chlorophenyl)-2-(p-guanylphenyl)ethylene-HCl, m. 306-7°; VIIb gave 1-(o-chlorophenyl)-1-(p-chlorophenyl)-2-(p-guanylphenyl)ethylene-HCl, m. 315°; VIII gave 1,2-di-p-anisyl-2-(p-guanylphenyl)chloroethylene-HCl, m. 135°; IX gave 9-(p-guanylbenzal)fluorene-HCl, m. 308°; XI gave 2,7-dichloro-9-(p-guanylbenzal)fluorene-HCl, m. 315°; XII gave 1-p-tolyl-1-(p-chlorophenyl)-2-(p-guanylphenyl)ethylene-HCl, m. 260-70°; XIII gave 1-p-anisyl-1-(p-chlorophenyl)-2-(p-guanylphenyl)ethylene-HCl, m. 220-8°; VIIc gave 1,1-bis(p-dimethylaminophenyl)-2-(p-guanylphenyl)ethylene-HCl, m. 173°; XIV gave 9-(α-chloro-p-guanylbenzal)fluorene; XIa gave 2-guanyl-9-anisalfluorene-HCl, m. 130°; XIb gave 1,1-di-p-anisyl-2-(p-guanylphenyl)bromoethylene-HCl, m. 237°; XIV gave 1,1-di-p-anisyl-2-(m-guanylphenyl)ethane, m. 200°; XVII gave 1-(p-guanylphenyl)-1-phenyl-2-(p-chlorophenyl)ethane-HCl, m. 274-9°. X gave, as described for the preparation of IIa, 1-(p-guanylphenyl)-1-phenyl-2-(p-chlorophenyl)ethylene-HCl, m. 290° (from the isomer m. 174°) and m. 245° (from the isomer m. 161°). II (9.6 g.), 3.4 g. ethylenediamine (XVIII), and 25 ml. absolute EtOH refluxed 24 hrs. treated with H₂O to precipitate a gum, which is dissolved in alc. HCl and precipitated by Et₂O, gave 1,1-di-p-anisyl-2-(p-2-imidazolinyphenyl)ethylene-HCl, m. 261-2°. Similarly VII and XVIII gave 1,1-bis(p-chlorophenyl)-2-[p-(2-imidazolinyphenyl)]ethylene-HCl, m. 282°. II (8.5 g.) was converted to its free base and refluxed with 1.8 ml. 1,3-diaminopropanol and 25 ml. absolute EtOH, concentrated, and alc. HCl added to give 1,1-di-p-anisyl-2-[p-(2-(1,4,5,6-tetrahydropyrimidyl)phenyl)]ethylene-HCl, m. 238-40°. II (10 g.), 4 g. piperidine (XIX), and 25 ml. absolute EtOH kept 2 days, concentrated, and treated with alc. HCl gave 1,1-di-p-anisyl-2-(p-piperidinocarbiminophenyl)ethylene-HCl, m. 150°. X, m. 161° (10 g.), 2.2 g. XIX, and 35 ml. absolute EtOH was refluxed 6 hrs. and treated as above to give 1-(p-piperidinocarbiminophenyl)-1-phenyl-2-(p-chlorophenyl)ethylene-HCl,

m. 225°. Similarly XVII and XIX gave 1-(p-piperidinocarbiminophenyl)-1-phenyl-2-(p-chlorophenyl)ethane-HCl, m. 258°. VIIc.3HCl (6 g.), 1.05 ml. XIX, 5.5 ml. Et3N, and 25 ml. absolute EtOH was refluxed 2 hrs., CHCl3 added and 1,1-bis(p-dimethylaminophenyl)-2-(p-piperidinocarbiminophenyl)ethylene-HCl, m. 152°, precipitated by EtOAc and Et2O. II (8.5 g.), 4.9 g. 1-diethylamino-4-aminopentane, and 35 ml. absolute EtOH was refluxed 2 hrs., concentrated and dissolved in 10% HCl, converted to the free base and treated with alc. HCl to give 1,1-di-p-anisyl-2-[p-(N-1-diethylamino-4-pentylguanyl)phenyl]ethylene-2HCl, m. 140°. IX (15.5 g.), 4.1 g. β-diethylaminoethylamine (XX) and 50 ml. EtOH kept 16 hrs. and treated as above gave 9-[p-(N-β-diethylaminoethyl)guanylbenzal]fluorene-HCl, m. 297°. Similarly, II and XX gave 1,1-di-p-anisyl-2-[p-N-(β-diethylaminoethyl)guanylphenyl]ethylene-HCl, m. 239°.

IT **119925-61-0**, 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)-
(preparation of)
RN 119925-61-0 CAPLUS
CN 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)- (6CI) (CA INDEX NAME)



L62 ANSWER 233 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1959:45105 CAPLUS
 DN 53:45105
 OREF 53:8095g-i,8096a
 TI Acylamino amino anthraquinones
 PA Farbenfabriken Bayer Akt.-Ges.
 DT Patent
 LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 800962		19580903	GB	
AB	Valuable precursors in the manufacture of vat dyes are prepared by acylating 1-amino-5-benzoylaminoanthraquinone (I) or 1-amino-4-benzoylaminoanthraquinone (II) with N-heterocyclic carboxylic acids (III), saponifying the benzoyl group of the diacyls with concentrated H ₂ SO ₄ (IV) at 40-100° a short time, diluting the mixts. with H ₂ O, and boiling the precipitated sulfates in dilute NH ₃ to liberate the free anthraquinones.				

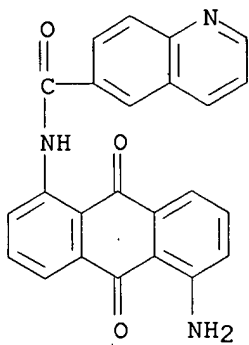
Thus, 40

g. compound obtained by acylating I with isonicotinic acid (V) dissolved in 460 g. 96% H₂SO₄, heated 15 min. at 90°, H₂O at 20-30° added dropwise to reduce the concentration of the H₂SO₄ to 50%, the yellow needles filtered off with suction, washed with 50% H₂SO₄, boiled in H₂O, and NH₃ added gave 1-isonicotinoylamino-5-aminoanthraquinone, red needles, brownish red needles from aniline or quinoline, dissolves in IV with greenish yellow color. Acylated product from II and V (40 g.) dissolved in 460 g. IV, heated 15 min. at 70°, the mixture cooled, added to ice, the precipitate filtered off, and boiled in dilute NH₃ yields 1-isonicotinoylamino-4-aminoanthraquinone, small blue needles, violet needles from nitrobenzene (VI), dissolves in IV with a red color. In essentially the same manner, the following 1-acylamino-5-aminoanthraquinones are prepared from I and III (acyl group given): nicotinoyl; picolinoyl; quinoline-8-carbonyl, orange-red flakes from pyridine; quinoline-6-carbonyl and quinoline-4-carbonyl, both brownish red needles from VI; 5,6-phthaloylquinoline-8-carbonyl, light-red crystalline powder from H₂O and long brownish red needles from VI; 1,9-isothiazoylanthrone-2-carbonyl, reddish brown needles from VI; 1,9-anthrapyrimidine-2-carbonyl, red crystals from dilute NH₃ and fine brownish red needles from VI.

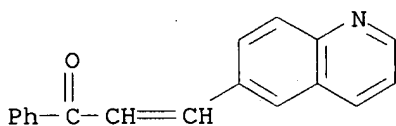
IT 119925-61-0; 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)-
 (preparation of)

RN 119925-61-0 CAPLUS

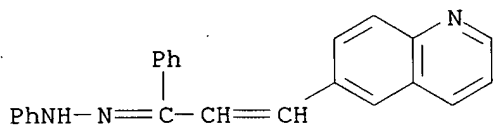
CN 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)- (6CI) (CA INDEX NAME)



I62 ANSWER 234 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1958:97986 CAPLUS
 DN 52:97986
 OREF 52:17273c-e
 TI Condensation of 6-quinolinealdehyde with ketones and esters
 AU Lugovkin, B. P.
 CS Labor Protection Sci. Research Inst., Kazan, USSR
 SO Zhurnal Obshchei Khimii (1958), 28, 1007-10
 CODEN: ZOKHA4; ISSN: 0044-460X
 DT Journal
 LA Unavailable
 AB cf. C.A.A. 50, 2594c. To 4 g. 6-quinolinecarboxaldehyde and 8 g. Me₂CO in 200 ml. H₂O was added 3 ml. 2N NaOH yielding after 48 hrs. and extraction with CHCl₃ 1.66 g. 6-(3-oxo-1-butenyl)quinoline, m. 112-12.5° (phenylhydrazone, m. 169°; methiodide, m. 236-7°). Similarly AcPh and the aldehyde with EtONa in EtOH gave 6-(3-oxo-3-phenyl-1-propenyl)quinoline, m. 146-6.5° (phenylhydrazone, m. 178°; methiodide, m. 245-7°). The aldehyde and AcCH₂CO₂Et with piperidine in Et₂O-EtOH in 48 hrs. at 0° gave a low yield of 6-(3-oxo-2-carbethoxy-1-butenyl)quinoline, m. 146° (picrate, m. 185-6°; methiodide, m. 195-6°). To 0.95 g. Na in 20 g. EtOAc there was added 6.4 g. 6-quinolinecarboxaldehyde with ice cooling and after 1 hr. the mixture was treated with AcOH yielding a low yield of Et 6-quinolylacrylate, b₆₋₇ 198-201°, n_D²⁰ 1.6369, d₂₀²⁰ 1.0641 (picrate, m. 238-9°; methiodide, m. 202-4°). Similarly CH₂(CO₂Et)₂ and the aldehyde in presence of piperidine in 48 hrs. gave 6-(2,2-dicarbethoxyethenyl)quinoline, distillable in vacuo; picrate, m. 196-8°; methiodide, m. 182-4°.
 IT **96682-65-4**, Acrylophenone, 3-(6-quinolyl)- **102665-69-0**, Acrylophenone, 3-(6-quinolyl)-, phenylhydrazone (preparation of)
 RN 96682-65-4 CAPLUS
 CN 2-Propen-1-one, 1-phenyl-3-(6-quinolyl)- (9CI) (CA INDEX NAME)



RN 102665-69-0 CAPLUS
 CN Acrylophenone, 3-(6-quinolyl)-, phenylhydrazone (6CI) (CA INDEX NAME)



L62 ANSWER 235 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1957:25556 CAPLUS

DN 51:25556

OREF 51:5084f-h

TI Heterocyclic compounds. VIII. Synthesis of 1-quinolyliisoquinolines

AU Govindan, T. K.

CS Univ. Madras

SO Proceedings - Indian Academy of Sciences, Section A (1956), 44A, 126-9

CODEN: PISAA7; ISSN: 0370-0089

DT Journal

LA Unavailable

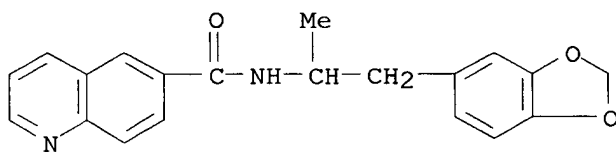
AB 1-Quinolyl-3-methyl-3,4-dihydro-6,7-methylenedioxyisoquinolines (I) were prepared. Piperonal condensed with nitroethane and the product reduced with LiAlH_4 in Et_2O gave 3,4-(CH_2O_2) $\text{C}_6\text{H}_3\text{CH}:\text{C}(\text{NH}_2)\text{CH}_3$ (II), b₁₇ 152°. II in C_6H_6 refluxed with quinolinecarboxylic acid chloride-HCl (III), (or by heating II with the Et ester, for R = 4-quinolyl and 7-quinolyl), gave 3,4-(CH_2O_2) $\text{C}_6\text{H}_3\text{CH}:\text{C}(\text{CH}_3)\text{NHCOR}$ (IV), which was cyclized by heating with POCl_3 in C_6H_6 or PhMe to I. The following I were prepared (R, III, m.p. of IV, solvent of crystallization, m.p. of picrate, m.p. of I, solvent of crystallization,

and m.p. of picrate given): 2-quinolyl, quinaldinic acid, 116°, petr. ether, -, 141°, petr. ether, -; 3-quinolyl, quinoline-3-carboxylic acid, 110-14°, dilute EtOH (128° when dried over P_2O_5), 182° (from AcOH), 98-100°, dilute MeOH, 201° (from MeOH); 4-quinolyl, cinchoninic acid, 144°, Me_2CO , 204° (from EtOH), -, -, 202° (from MeOH); 5-quinolyl, quinoline-5-carboxylic acid, 173°, C_6H_6 -petr. ether, -, -, -, 175° (from EtOH); 6-quinolyl, quinoline-6-carboxylic acid, 142°, petr. ether, -, 122°, petr. ether, -; 7-quinolyl, quinoline-7-carboxylic acid, 165°, Me_2CO , -, 140°, petr. ether, -; 8-quinolyl, quinoline-8-carboxylic acid, -, -, 177° (from PhMe), 164°, MeOH, -.

IT 857208-85-6, 6-Quinolinecarboxamide, N-(α -methyl-3,4-methylenedioxy-phenethyl)- (preparation of)

RN 857208-85-6 CAPLUS

CN 6-Quinolinecarboxamide, N-(α -methyl-3,4-methylenedioxy-phenethyl)- (6CI) (CA INDEX NAME)



L62 ANSWER 236 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1957:10965 CAPLUS

DN 51:10965

OREF 51:2298d-e

TI Vat dyes

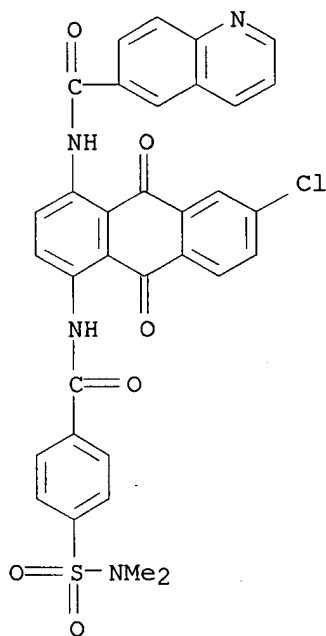
PA C I B A Ltd.

DT Patent

LA Unavailable

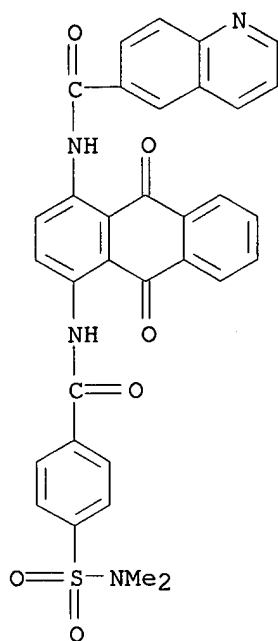
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 293918		19540104	CH	
AB	4-(Piperidinosulfonyl)benzoic acid 27 and 1-(2-furoylamino)-4-amino-6-chloroanthraquinone 36.5 parts gave similarly a dye, red crystals, gray-violet, blue-green, pure pink.				
IT	104297-78-1 , 6-Quinolinecarboxamide, N-{7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- 104399-02-2 , 6-Quinolinecarboxamide, N-{4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (preparation of)				
RN	104297-78-1	CAPLUS			
CN	6-Quinolinecarboxamide, N-[7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)				



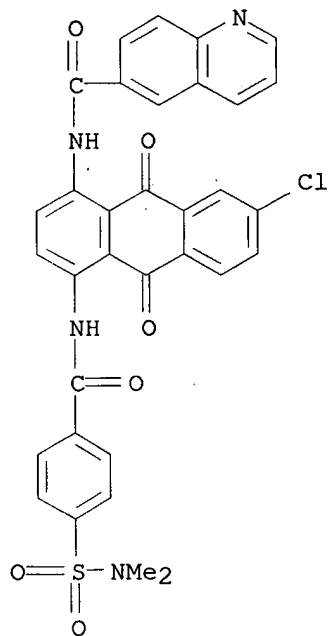
RN 104399-02-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)

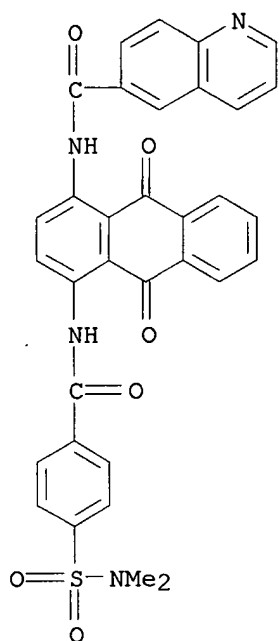


L62 ANSWER 237 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1957:10964 CAPLUS
 DN 51:10964
 OREF 51:2298d
 TI Vat dyes
 PA C I B A Ltd.
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 293917		19540104	CH	
AB	XIII 18 and 7-Cl derivative 48 parts of II gave by method B a dye, red crystalline powder, red, blue-green, pink.				
IT	104297-78-1 , 6-Quinolinecarboxamide, N-{7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- 104399-02-2 , 6-Quinolinecarboxamide, N-{4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (preparation of)				
RN	104297-78-1 CAPLUS				
CN	6-Quinolinecarboxamide, N-[7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)				

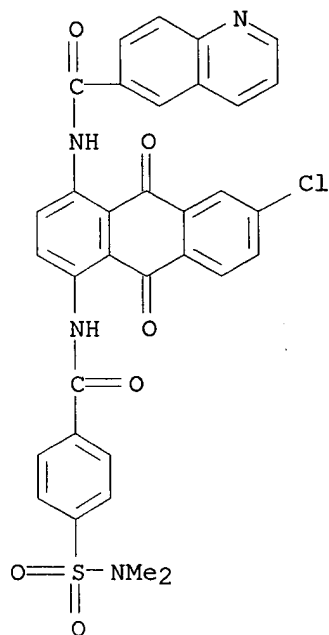


RN 104399-02-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)

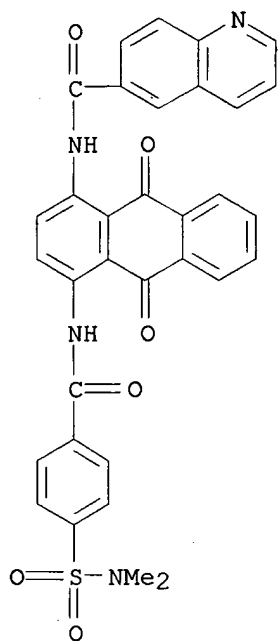


L62 ANSWER 238 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1957:10963 CAPLUS
 DN 51:10963
 OREF 51:2298d
 TI Vat dyes
 PA C I B A Ltd.
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 293916		19540104	CH	
AB	6-Quinolinecarboxylic acid (XIII) 17.5 and II 45 parts gave by method B a dye, red crystalline powder, red, blue-green, pink.				
IT	104297-78-1 , 6-Quinolinecarboxamide, N-{7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- 104399-02-2 , 6-Quinolinecarboxamide, N-{4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (preparation of)				
RN	104297-78-1	CAPLUS			
CN	6-Quinolinecarboxamide, N-[7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)				



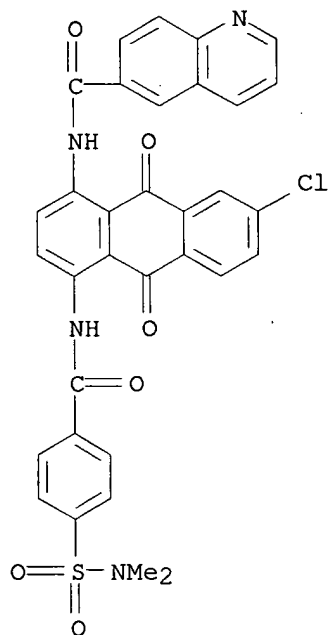
RN 104399-02-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)



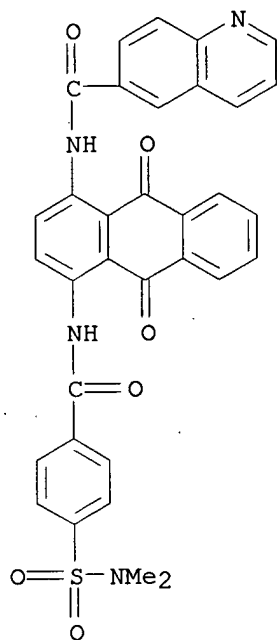
L62 ANSWER 239 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1957:10962 CAPLUS
 DN 51:10962
 OREF 51:2298c-d
 TI Vat dyes
 PA C I B A Ltd.
 DT Patent
 LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 293915		19540104	CH	
AB	III 12 and the 7-Cl derivative 19 parts of IX gave similarly a dye, red crystals, blue-red, olive, pink.				
IT	104297-78-1, 6-Quinolinecarboxamide, N-{7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- 104399-02-2, 6-Quinolinecarboxamide, N-{4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (preparation of)				
RN	104297-78-1	CAPLUS			
CN	6-Quinolinecarboxamide, N-[7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)				



RN 104399-02-2 CAPLUS
 CN 6-Quinolinecarboxamide, N-[4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)



L62 ANSWER 240 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1956:20384 CAPLUS

DN 50:20384

OREF 50:4238e-h

TI Substituted 8-quinolinols

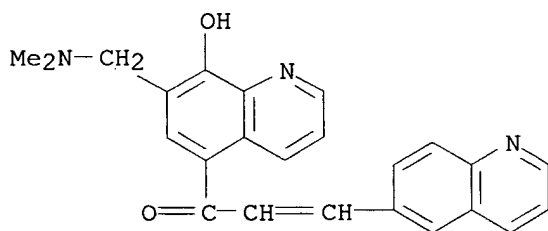
PA Farbenfabriken Bayer A.-G.

DT Patent

LA Unavailable

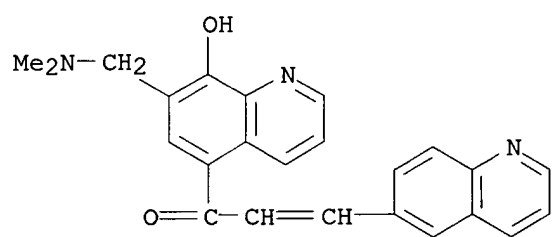
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 735547		19550824	GB	
AB	5-ZCO-7-XYNCH ₂ substituted (I) 8-quinolinols, where X and Y may be straight or branched chain alkyl radicals which may contain hetero atoms, and Z represents an aromatic or heterocyclic radical are synthesized by treating the corresponding 5-acyl-8-quinolinol with HCHO and a secondary amine. Thus 5-benzoyl-7-dimethylaminomethyl-8-quinolinol (decompose 135-140°) was obtained by refluxing 8.3 g. 5-benzoyl-8-quinolinol with 3.5 g. 30% HCHO and 3.5 g. 50% MeNH. Other I prepared were (Z, X, Y, m.p., m.p. of HCl salt given): Ph, Et ₂ NCH ₂ CH ₂ , Et, 54-6° -; 4-ClC ₆ H ₄ , Me, Me, 92-3°, 140°; 2-ClC ₆ H ₄ , Me, Me, 207°, -; 2,4-Cl ₂ C ₆ H ₃ , Me, Me, -, 220°; PhCH:CH, Me, Me, 193°, -; PhCH:CH, Et, Et, 85-90°, 180-5°; PhCH:CH, Bu, Bu, 51-3°, -; PhCH:CH, HOCH ₂ CH ₂ , HOCH ₂ CH ₂ , 136° -; PhCH:CH, Et, Et ₂ NCH ₂ CH ₂ , 85-90°, -; PhCH:CH, Et ₂ NCH ₂ CH ₂ , H, 85-90°, -; PhCH:CH, Me, PhCH ₂ , 124°, 227°; PhCH:CH, Me, n-C ₁₂ H ₂₅ , 76°, -; PhCH:CH, X + Y = (CH ₂) ₄ , 178°, 232°; PhCH:CH, X + Y = (CH ₂) ₅ , 71-2°, 220°; PhCH:CH, XYN = 4-methyl-1-piperazinyl, 181°, 203-4°; 4-ClC ₆ H ₄ CH:CH, Me, Me, 183°, 215-16°; MePhCH, Me, Me, 88-90°, -; PhCH:CHCOCH ₂ , Me, Me, 73-4°, 140°; BzCH ₂ , Me, Me, 188°, 175°; 3,4-(MeO) ₂ C ₆ H ₃ CH:CH, Me, Me, 132°, 232°; 2-(2-furyl)vinyl, Me, Me, 190°, 220°; 2-(5-nitro-2-furyl)vinyl, Me, Me, -, 220° (decompose 254°); 2-(6-quinolyl)vinyl, Me, Me, 200°, 263-5°.				
IT	102664-71-1, 2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)- 116601-26-4, 2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)-, hydrochloride (preparation of)				
RN	102664-71-1 CAPLUS				
CN	2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)- (6CI) (CA INDEX NAME)				



RN 116601-26-4 CAPLUS

CN 2-Propen-1-one, 1-[7-(dimethylaminomethyl)-8-hydroxy-5-quinolyl]-3-(6-quinolyl)-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

L62 ANSWER 241 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1954:56612 CAPLUS

DN 48:56612

OREF 48:9984a-c

TI Synthesis of 1-methylnaphthalene

AU Vardanyan, S. A.; Babadzhanyan, K. A.

SO Doklady Akad Nauk Armyan. S.S.R. (1951), 13(No. 1), 9-11

DT Journal

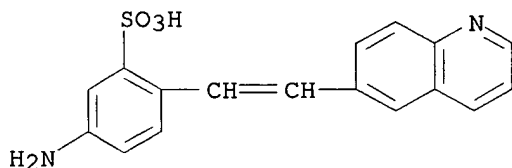
LA Unavailable

AB cf. Rapson and Robinson, C.A. 30, 97.6. PhCH_2MgCl (from 8.15 g. Mg and 42.22 g. PhCH_2Cl) treated over 3 hrs. with cooling with 41.75 g. $\text{MeCl:CHCH}_2\text{Cl}$, then refluxed 2 hrs. gave 6.83 g. $\text{PhCH}_2\text{CH}_2\text{CH:CClMe}$ (I), b13-14 110-15°, d20 1.0313, n20D 1.5228. The bulk of the reaction mixture boiled over a wide range, b13-14 115-210°. To 18.1 g. I was added with cooling 25 ml. 85% H_2SO_4 and the mixture stirred 16 hrs., finally at room temperature; treated with ice, and extracted with Et_2O , giving 20% 1-methyl-3,4-dihydronaphthalene (II), b10 81-3°, d14 0.9901, n14D 1.5459; the rest consisted of $\text{Ph}(\text{CH}_2)_3\text{Ac}$, b10 123-8°. Heating 3.2 g. II with 1 g. S 1 hr. to 220-30° gave 1.2 g. liquid, which with picric acid yielded 1-methylnaphthalene picrate, m. 138-9°.

IT **856290-73-8**, Metanilic acid, 6-[2-(6-quinolyl)vinyl]-
(preparation of)

RN 856290-73-8 CAPLUS

CN Benzenesulfonic acid, 5-amino-2-[2-(6-quinolyl)ethenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 242 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1954:56611 CAPLUS

DN 48:56611

OREF 48:9983h-i,9984a

TI Synthesis of some N-acyl derivatives of 4,4'-diamino-2,2'-stilbenedisulfonic acid

AU Bogoslovskii, B. M.

CS Moscow Textile Inst.

SO Zhurnal Prikladnoi Khimii (Sankt-Peterburg, Russian Federation) (1953), 26, 225-7

CODEN: ZPKHAB; ISSN: 0044-4618

DT Journal

LA Unavailable

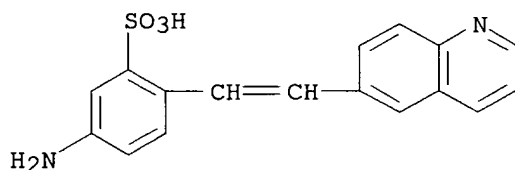
AB To 18.5 g. [4,2-H₂N(HO₃S)C₆H₃CH:]₂ (I) (18.5 g.) in 200 mL. H₂O at 50° is added 15 g. Na₂CO₃, and the mixture is cooled to 25°, treated with 15 g. BzCl, and stirred 2 h., giving 71% N,N'-di-Bz derivative, orange powder (from dilute EtOH). I di-Na salt (4.1 g.) heated with 3.5 g. nicotinoyl chloride in 15 g. pyridine 3 h. at 100° diluted, filtered, and acidified with HCl gave 62.5% N-nicotinoyl derivative of I (from dilute EtOH). CH₂(COCl)₂ (5 g.) similarly gave 41% N,N'-bis[3-sulfo-4-(3-sulfo-4-aminostyryl)phenyl]malonamide, C₃₁H₂₈N₄O₁₄S₄, (from dilute EtOH). Heating 30.8 g. I, 12 g. PhNO₂, 50 g. glycerol, 30 mL. 80% AcOH, and 29.3 mL. concentrated H₂SO₄ slowly 21 h. to 130°, steam-distilling, diluting with H₂O, neutralizing with Na₂CO₃, filtering and repptg. the product from alkaline solution by HCl gave 67% 6-(2-sulfo-4-aminostyryl)quinoline. The last 3 products in the form of the Na salts show blue luminescence in UV light; the free acids do not display this phenomenon, which is clear enough to be used for a titration endpoint.

IT **856190-10-8**, Quinoline, 6-(4-amino-2-sulfostyryl)-, sodium salt

856290-73-8, Quinoline, 6-(4-amino-2-sulfostyryl)-
(preparation of)

RN 856190-10-8 CAPLUS

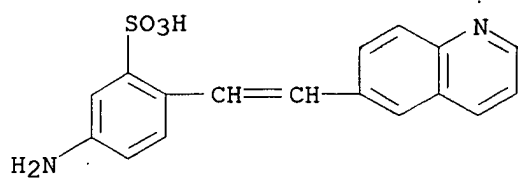
CN Metanilic acid, 6-[2-(6-quinolyl)vinyl]-, sodium salt (5CI) (CA INDEX NAME)



● Na

RN 856290-73-8 CAPLUS

CN Benzenesulfonic acid, 5-amino-2-[2-(6-quinolinyl)ethenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 243 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1951:37445 CAPLUS
 DN 45:37445
 OREF 45:6390h-i,6391a-d
 TI 1,4-Bis(acylamino)anthraquinones
 IN Jenny, Walter; Kern, Walter
 PA C I B A Ltd.
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2506023		19500502	US	

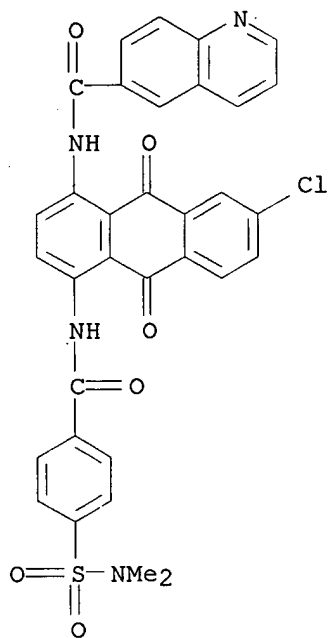
AB A 1-amino-4-acylaminoanthraquinone (I) is treated with an acylating agent (II); I and II are so chosen that one of the acyl residues corresponds to the residue of a heterocyclic carboxylic acid, and the other acyl residue to the residue of a dialkylsulfamylbenzoic acid. The products can be used for dyeing or printing a very wide variety of fibers, especially vegetable fibers; in some cases these products diminish the tendering of the dyed fibers by sunlight. A mixture of nicotinic acid 2.5, PhNO₂ 48 and SOCl₂ 3.0 is stirred at 120-30° for 1.5 h.; 1-amino-4-(4-dimethylsulfamylbenzamido)anthraquinone (III) 9 parts is added, and stirring is continued at 120-30° for 2 h. to give a dye (red crystals, orange in concentrated H₂SO₄) which colors cotton from a blue-gray vat pink tints. The dye is also suitable for printing by the usual potash printing process. In a similar manner, 6-quinolinecarboxylic acid (IV) is condensed with III to give a dye (crystalline red powder, red in concentrated H₂SO₄) which colors cotton from a blue-green vat pink tints. IV is condensed with the 7-chloro-derivative of III (V) to give a dye (crystalline red powder, red in concentrated H₂SO₄) which colors cotton from a blue-green vat pink tints. 4-(1-Piperidylsulfonyl)benzoic acid and 1-furoylamino-4-amino-6-chloroanthraquinone (VI) are condensed to give a dye (red crystals, gray-violet in concentrated H₂SO₄) which colors cotton from a blue-green vat very pure fast pink tints. 4-(4-morpholinylsulfonyl)benzoic acid is condensed with 1-(3-pyridylcarbonylamino)-4-amino-6-chloroanthraquinone (VII) to give a dye (fine crystalline red powder, red in concentrated H₂SO₄) which colors cotton from an olive-green vat fast bluish pink tints; it is well suited for dyeing at a medium temperature of about 40-50°. p-Me₂NO₂SC₆H₄CO₂H and 1-(6-quinolylylcarbonylamino)-4-amino-6-chloroanthraquinone (VIII) are condensed to give a dye (fine crystalline red powder, red in concentrated H₂SO₄) which colors cotton from a green vat bluish pink tints. V is prepared as follows: a mixture of p-Me₂NO₂SC₆H₄CO₂H 120, dry PhNO₂ 800, and SOCl₂ 78 parts is stirred at 120° for 2 h.; 1-amino-4-nitro-6-chloroanthraquinone (IX) 150 parts is added and stirring is continued for 3 h. at 120-30°; the small yellow crystals (X) which precipitate on cooling are removed by filtration and washed thoroughly with boiling EtOH. A mixture of X 175, PhNHNH₂ 300, and o-Cl₂C₆H₄ 130 parts is stirred at 135-40° for 1 h. to produce V (violet crystals). VI, VII, and VIII (all violet crystals) are prepared by a similar procedure from IX and the appropriate heterocyclic carboxylic acid. Cf. following abstract

IT 104297-78-1, 6-Quinolinecarboxamide, N-[7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- 104399-02-2,

6-Quinolinecarboxamide, N-[4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- **860205-47-6**, 6-Quinolinecarboxamide, N-[6-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (preparation of)

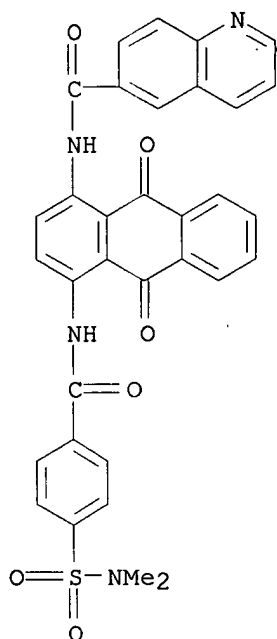
RN 104297-78-1 CAPLUS

CN 6-Quinolinecarboxamide, N-[7-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)



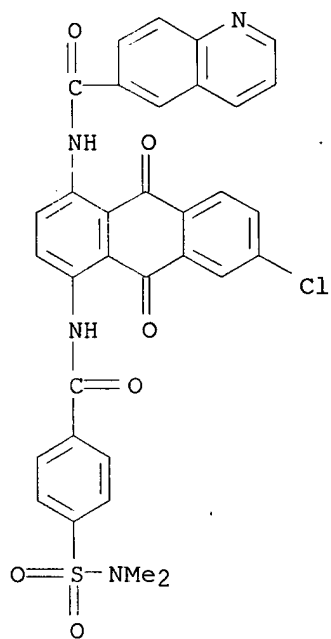
RN 104399-02-2 CAPLUS

CN 6-Quinolinecarboxamide, N-[4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (6CI) (CA INDEX NAME)



RN 860205-47-6 CAPLUS

CN 6-Quinolinecarboxamide, N-[6-chloro-4-[p-(dimethylsulfamoyl)benzamido]-1-anthraquinonyl]- (5CI) (CA INDEX NAME)



L62 ANSWER 244 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1949:17545 CAPLUS

DN 43:17545

OREF 43:3426g-i,3427a-i,3428a-c

TI Some heterocyclic analogs of stilbenes

AU Brown, Daniel M.; Kon, George A. R.

SO Journal of the Chemical Society, Abstracts (1948) 2147-54

CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA Unavailable

AB Derivs. of 4-aminostilbene are known to be carcinogenic and also to exercise an inhibitory effect on the development of transplanted tumors in the rat. 4-Methylpyrimidine (1 g.), 1.5 g. 4-Me₂NC₆H₄CHO (I), and 0.5 g. ZnCl₂, heated 1.5 h. at 165°, give 1.2 g. 4-(4-dimethylaminostyryl)pyrimidine, yellow, m. 179° (picrate, purple, m. 195-6°, forms black needles at 175°). 2-Hydroxy-4,6-dimethylpyrimidine (II) and 1 mol. I give 2-hydroxy-4,6-bis(4-dimethylaminostyryl)pyrimidine (III), purple, with 0.5 mol. H₂O, decompose 316-18° [from (HOC₂H₄)₂O]; the residue from MeOCH₂CH₂OH gives the monostyryl derivative (IV), scarlet, m. 253° (decomposition) (Stark and Bogemann, C.A. 4, 2466). I (1.5 g.) and 1.5 g. II.HCl in 20 cc. EtOH and 10 cc. H₂O, boiled 4 h., give a mixture of III and IV; 1 drop concentrated HCl gives the same mixture II (1.1 g.), 3 g. I, and 10 drops piperidine in 100 cc. EtOH, refluxed 48 h., give III. The crude mixture of III and IV (2 g.), refluxed 2 h. with 10 cc. POCl₃, gives 1.2 g. 2-chloro-4-(4-dimethylaminostyryl)-6-methylpyrimidine (V), yellow, m. 176-7°, and 0.1 g. 2-chloro-4,6-bis(4-dimethylaminostyryl)pyrimidine (VI), red, m. 223-4°; these were separated by chromatog. on Al₂O₃. VI (0.1 g.) and 1 cc. piperidine refluxed 3 min. give 0.025 g. of the 2-(1-piperidyl) compound, yellow, m. 223-4°. V (0.52 g.) gives 0.6 g. of the 2-(1-piperidyl) compound (VII), light yellow, m. 168-9°; 2-(4-morpholinyl) analog (VIIA), pale yellow, m. 155°, 100%; 2-cyclohexylamino analog, bright yellow, m. 142-3°, 82%; 2-(2-diethylaminoethylamino) analog, yellow, m. 80-1°, 65%; 2-diethylamino analog, yellow (from petr. ether) or greenish yellow (from aqueous alc.), m. 121-2°, 74%; 2-[bis(2-hydroxyethyl)amino] analog, bright yellow, m. 116°. VII (1 g.) in 50 cc. EtOH, hydrogenated over 2% Pd-SrCO₃ at room temperature/atmospheric pressure, gives 0.8 g. 2-(1-piperidyl)-4-[2-(4-dimethylaminophenyl)ethyl]-6-methylpyrimidine (VIII), m. 70°. V (1 g.) and 0.085 g. Na in 25 cc. EtOH and 10 cc. C₆H₆, refluxed 1.5 h. on a steam bath, give 0.8 g. 2-ethoxy-4-(4-dimethylaminostyryl)-6-methylpyrimidine, orange, m. 120°. The Cl in V could not be removed by refluxing with Zn in aqueous dioxane; catalytic reduction gives the 4-[2-(4-dimethylaminophenyl)ethyl] derivative, m. 59-60°; with piperidine it yields VIII. 2-Hydroxy-4-styryl-6-methylpyrimidine. (1.1 g.) and 6 g. POCl₃, refluxed 1 h., give 0.35 g. of the 2-Cl compound, m. 95°; 2-(1-piperidyl) compound, light yellow, m. 94°. 2-Hydroxy-4,6-distyrylpyrimidine yields the 2-Cl compound, m. 177-8°; 2-(1-piperidyl) compound, light yellow, m. 133°. 2-(1-Piperidyl)-4,6-dimethylpyrimidine (IX) m. 60-1°. 2,6-Di-1-piperidyl-4-methylpyrimidine (X) m. 118°. IX and X failed to react with I under a variety of conditions. 2-Amino-4,6-dimethylpyrimidine (5 g.), refluxed 5 min. with 15 cc. Ac₂O, treated with 6 g. I in 5 cc. Ac₂O, and refluxed 1 h., gives 0.2 g. 2-acetamido-4-(4-dimethylaminostyryl)-6-methylpyrimidine, yellow, m. 218-19°. VII and VIIA possess considerable growth-inhibiting action. 6-Quinolinecarboxaldehyde (XI) (5 g.), 5.8 g. 4-O₂NC₆H₄CH₂CO₂H, and 2 cc. piperidine, heated 1.5 h. at 130-40°, give 3 g.

6-(4-nitrostyryl)quinoline (XII), yellow, m. 199-200°; reduction of 2 g. XII with 16 g. SnCl₂ in 40 cc. AcOH saturated with HCl (stirred several hrs. at room temperature and heated 4 h. on the steam bath) gives 0.87 g. 6-(4-aminostyryl)quinoline (XIII), yellow, m. 214-15°. The 8-isomer of XI (6.75 g.) yields 2.3 g. of the 8-isomer of XII, yellow, m. 171°; reduction of 0.5 g. gives 0.38 g. of the 8-isomer of XIII, yellow, m. 156°. XI (3.64 g.) in 25 cc. C₆H₆, added to PhCH₂MgCl (3.3 g. PhCH₂Cl) in 50 cc. ether and refluxed 2 h., gives only 0.25 g. 2-phenyl-1-(6-quinolyl)ethanol, m. 129.5-30°. PhCH₂CO₂Na (0.9 g.), 0.9 g. XI, 5 cc. Ac₂O, and 0.2 g. ZnCl₂, heated 3 h. at 160°, give 1.4 g. α-phenyl-6-quinoline acrylic acid, m. 265°; it could not be decarboxylated. A Skraup synthesis with XI and 4-aminostilbene gave no recognizable product. The diazo compound from 0.5 g. XIII, decomposed with H₃PO₂, gives only 10 mg. 6-styrylquinoline, m. 119°. SnCl₂ reduction of 6-nitro-6-styrylquinoline yields the 6-NH₂ compound, brown, m. 198-9°; Ac derivative, with 1 mol. CHCl₃, m. 193°.

6-Nitroquinaldine (XIV) (5 g.), 4 g. I, and 0.2 g. ZnCl₂, heated 0.5 h. at 160°, give 7.6 g. 6-nitro-2-(4-dimethylaminostyryl)quinoline, deep purple, m. 248-9°, 2 g. of which with 10 g. SnCl₂ and 15 cc. fuming HCl, heated 1 h. on the steam bath, give 1.15 g. of the 6-NH₂ compound, brown, m. 251-2°; Ac derivative, orange-yellow, m. 241-2°; Sn and HCl give 2-(2-phenylethyl) quinoline. XIV (2.1 g.), 1.7 g. 4-O₂NC₆H₄CHO, and a little ZnCl₂, heated at 170°, give 6-nitro-2-(4-nitrostyryl)quinoline, yellow, m. 278°; the 6-NH₂ derivative m. 242-3°; these amines are very sensitive to aerial oxidation

1-Methyltetrahydroquinoline (10 g.), added dropwise to 10.5 g. POCl₃ and 9.2 g. PhNMeCHO in 10 cc. C₆H₆ and kept overnight, gives 5.5 g. 6-formyl-1-methyl-1,2,3,4-tetrahydroquinoline (XV), b₁₅ 219-21°, m. 28-9°; 1.53 g. XV in 25 cc. C₆H₆, added to PhCH₂MgCl (1.23 g. PhCH₂Cl) in 30 cc. ether, refluxed 45 min., and the reaction product in 25 cc. C₆H₆ refluxed 45 min. with 2 g. P₂O₅, gives 0.6 g. 6-styryl-1-methyl-1,2,3,4-tetrahydroquinoline, m. 93-4°.

2-Methylthiazole (1 cc.), 1.5 g. I, and 0.5 g. ZnCl₂, heated 14 h. at 160-70°, give 10 mg. 2-(4-dimethylaminostyryl)thiazole, yellow, m. 124°. 2-Methylnaphtho[1,2]thiazole (0.5 g.), 0.4 g. I, and 0.5 g. ZnCl₂, heated 1.5 h. at 160-80°, give 0.46 g. of the 2-(4-dimethylaminostyryl) derivative, yellow, m. 170-1°.

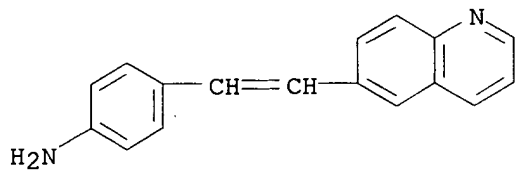
2-Methylbenzothiazole (1 g.), 0.8 cc. I, and 2 drops concentrated HCl, heated overnight at 100°, give 0.46 g. 2-styrylbenzothiazole, m. 112°. 2-Methylbenzoxazole (XVI) gives an HCl salt, m. 154°.

XVI (2 cc.), 2 cc. BzH, and 1 g. anhydrous ZnCl₂, heated 6 h. at 160°, give 1 g. 2-styrylbenzoxazole m. 81-2° (picrate, yellow, m. 163-4°); 2-(4-dimethylaminostyryl) compound, yellow, m. 174-5°. 1-Methylphthalazine (2.5 g.), 2.75 g. I, and 0.75 g. ZnCl₂, heated 2 h. at 160°, give 0.39 g. 1-(4-dimethylaminostyryl)phthalazine, orange, m. 186-7°. Furfuraldehyde (5 g.), 9 g. 4-O₂NC₆H₄CH₂CO₂H, and 1 cc. piperidine, heated 5 h. at 130-40°, give 2 g. 2-(2-nitrostyryl)furan (XVII), orange, m. 130-1°; the addition of p-O₂NC₆H₄N₂Cl to 2-furanacrylic acid in Me₂CO, followed by AcONa and CuCl₂, gives XVII. Catalytic reduction of XVII over Raney Ni gives the azoxy compound, orange, m. 231-2° (decomposition); reduction with Zn and NH₄Cl in EtOH gives 2-(4-aminostyryl)furan, m. 104°; Ac derivative m. 201-2°; reduction under acid conditions gives resinous products.

IT 54-82-0, Quinoline, 6-(p-aminostyryl)- 860203-41-4,
Quinoline, 6-styryl- 860718-31-6, Quinoline, 6-(p-nitrostyryl)-
(preparation of)

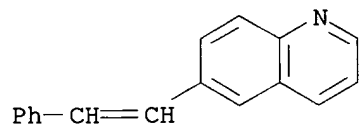
RN 54-82-0 CAPLUS

CN Benzenamine, 4-[2-(6-quinolinyl)ethenyl]- (9CI) (CA INDEX NAME)



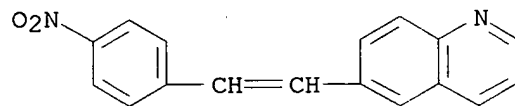
RN 860203-41-4 CAPLUS

CN Quinoline, 6-styryl- (5CI) (CA INDEX NAME)



RN 860718-31-6 CAPLUS

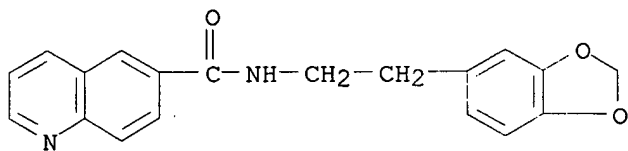
CN Quinoline, 6-(p-nitrostyryl)- (5CI) (CA INDEX NAME)



L62 ANSWER 245 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1949:6482 CAPLUS
 DN 43:6482
 OREF 43:1421i,1422a-f
 TI The isoquinoline series. X. 1-(6-Quinolyl)- and 1-(8-quinolyl)isoquinolines
 AU Alamela, B. S.; Dey, B. B.
 SO Proceedings of the National Institute of Sciences of India (1941), 7, 215-21
 CODEN: PNISBD; ISSN: 0370-0860
 DT Journal
 LA Unavailable
 AB 8-Methylquinoline (10 g.) in the required amount of 4 N HCl was refluxed with a mixture of 140 cc. 30% H₂SO₄ and 30 g. CrO₃ 36 hrs., the solution made alkaline with NH₄OH, the Cr(OH)₃ removed, and the filtrate made acid to Congo red to give 2.5 g. 8-carboxyquinoline (VII), m. 187° (from C₆H₆ after repptn.); an addnl. 2.5 g. was obtained by extraction of the Cr(OH)₃ with dilute NaHCO₃ or NH₄OH. A Skraup synthesis from 27 g. anthranilic acid, 60 cc. glycerol, and 37 g. arsenic acid by careful addition of 40 cc. H₂SO₄ with cooling, followed by 3 hrs.' boiling, gave 10.5 g. pure VII. VII (3 g.) and 2.7 g. I after 6 hrs.' heating at 110° gave 5 g. N-[2-(3,4-methylenedioxyphenyl) ethyl]-8-quinolinecarboxamide (VIII), m. 112° (from C₆H₆); HCl salt, m. 227°; picrate, m. 170°; a methiodide was not formed after heating the amide with MeI in a closed vessel. From 2 g. VIII in 10 cc. dry PhMe and 6 cc. POCl₃ after heating 2.5 hrs. at 120-30° was obtained 1-(8-quinolyl)-6,7-methylenedioxy-3,4-dihydroisoquinoline (IX), m. 205° (from C₆H₆); HCl salt, m. 150° with sintering at 140°; monopicrate, m. 224° (from Me₂CO-alc.); monomethiodide, m. 252°. Reduction of IX with Zn as before gave 1-(8-quinolyl)-6,7-methylenedioxy-1,2,3,4-tetrahydroisoquinoline, m. about 225°; picrate, m. 152°; Bz derivative, m. 195°. 6-Methylquinoline (10 g.) in 25 cc. 4 N HCl was refluxed 36 hrs. with 30 g. of CrO₃ and 140 cc. 30% H₂SO₄; 6 g. of crystals separated on cooling. The filtrate was made alkaline with concentrated NH₄OH, the Cr(OH)₃ filtered off and boiled 3 times with dilute NH₄OH, and the combined filtrates concentrated and made slightly acid with AcOH to give nearly 3 g. of acid. Repptn. gave 8.5 g. 6-carboxyquinoline (X), m. 291°; Me ester (XI), m. 90°. X (4 g.) was refluxed 2 hrs. in 15 cc. SOCl₂, the SOCl₂ removed and the residue dried over KOH, then boiled 1 hr. with 3.8 g. I in 10 cc. C₆H₆. After removal of the C₆H₆, addition of dilute Na₂CO₃ gave 4.5 g. N-[2-(3,4-methylenedioxyphenyl)ethyl]-6-quinolinecarboxamide (XII), m. 139°; picrate, m. 196°; HCl salt, m. 238° (decomposition); methiodide, m. 215°. From the alkaline washings 1.5 g. X was recovered. No amide formed from XI and the amine. XII (3 g.) and 10 cc. POCl₃ gave 2.8 g. 1-(6-quinolyl)-6,7-methylenedioxy-3,4-dihydroisoquinoline, m. 167°; chloroplatinate, decompose above 300°; picrate, m. 224° (from excess alc. or AcOH); HCl salt, m. 250° (color change at about 230°); methiodide (XIII), m. 275° (slight decomposition from 250°). XIII reduced with Zn and HCl gave noncryst. 1-(6-quinolyl)-6,7-methylenedioxy-1,2,3,4-tetrahydroisoquinoline, m. 110-15°; unpurifiable picrate, m. 155-60° (decomposition from 130°).

IT **860205-45-4**, 6-Quinolinecarboxamide, N-(3,4-methylenedioxyphenethyl)- (and derivs.)
 RN 860205-45-4 CAPLUS
 CN 6-Quinolinecarboxamide, N-(3,4-methylenedioxyphenethyl)- (5CI) (CA INDEX

NAME)



L62 ANSWER 246 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1937:49764 CAPLUS
 DN 31:49764
 OREF 31:6899b-c
 TI Carbazole derivatives
 IN Mieg, Walter; Burneleit, Willy
 PA I. G. Farbenindustrie AG
 DT Patent
 LA Unavailable
 FAN.CNT 1

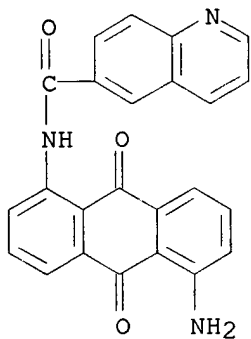
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 646994		19370629	DE	

AB The above derivs. are prepared by treating secondary amines, in which an aryl residue and a p-quinone of at least a double nucleus ring system are bound to the N atom and in which both residues contain a halogen in the o-position to the imino group, with Cu at raised temperature and in the presence of a solvent. Thus, a mixture of 2-p-toluidine-3-chloro- α -naphthoquinone and glacial AcOH is treated with Br to introduce a Br atom into the toluidino residue in the o-position to the imino group. The product is heated with Cu powder in the presence of PhNO₂ to give a product which forms a golden yellow vat which gives wool yellow shades. Other examples are given.

IT **119925-61-0**, 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)- (preparation of)

RN 119925-61-0 CAPLUS

CN 6-Quinolinecarboxamide, N-(5-amino-1-anthraquinonyl)- (6CI) (CA INDEX NAME)



L62 ANSWER 247 OF 247 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1908:12246 CAPLUS

DN 2:12246

OREF 2:2682a-i,2683a-f

TI N-Methylol Compounds of Acid Amides (II)

AU Einhorn, Alfred; Feibelman, Richard; Gottler, Max; Hamburger, Alexander; Sprongerts, Eduard

CS Konigl. Akad. Wiss., Munich

SO Ann. (1908), 361, 113-65

DT Journal

LA Unavailable

AB (Cf. Ibid., 343, 207. The reaction leading to the formation of these compds. from CH₂O and the acid amides in alkaline solution described in the first

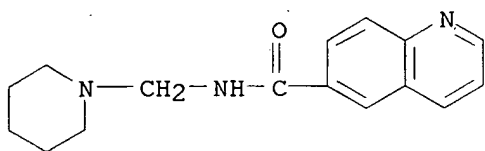
paper was extended to the amides of other aliphatic and some hydroxy acids and several other acids and the substances obtained studied. The reaction with urethane, urea, and substituted ureas was examined carefully. The physiol. action was also tested in a number of cases. Propionamide and CH₂O in Ba(OH)₂ solution warmed, treated with CO₂, and evaporated in vacuo yielded N-methylolpropionamide, Et.CO.NH.CH₂OH, m. 64°, breaking down into its constituents on heating; with alkali forming N-methylolmethylenebispropionamide, Et.CO.N(CH₂OH).CH₂.NH.COEt, m. 76-7°, not decomposed on heating; with an excess of propionamide forming methylenebispropionamide, m. 201°; oxidized with chromic acid, producing N-formylpropionamide, m. 65°, heated with PhN₂H₃, formylphenylhydrazine, m. 142°, being produced. With isobutyramide N-methylolisobutyramide, m. 77°; with diethylacetamide, from diethylmalonic acid PCl₃ → diethylacetic acid → amide, its methylol compound (loc. cit.). was formed which with more diethylacetamide and HCl yielded methylenebisdiethylacetamide, m. 235°; with diethylglycylamide, m. 77°, and methyloldiethylacetamide, diethylacetyldiethylglycylmethylenediamine, m. 78-9°; with dipropylacetamide, N-methyloldipropylacetamide, m. 117°, were prepared Isovalerylchloride and EtNH₂ yielded isovalerylethylamide, b. 121°, which did not yield a methylol compound Ethylurethane, CH₂O, and Ba(OH)₂ solution yielded methylolurethane, m. 53°, with dilute HCl forming methylenediurethane, and with alkali N-methylolmethylenediurethane, m. 68-9°. The requisite amts. of CH₂O urea and Ba(OH)₂ yielded N-monomethylolurea, m. 111°, and N-dimethylolurea, m. 128°, solidifying at 137-8° and then decompose 260°, also from the monomethylol compound and dilute HCl in a few minutes, while in several hours amorphous white substances, decompose 260°, were formed. Dimethylolurea and concentrate HCl yielded a base. CH₂O and ethylurea yielded N-methylolmethylenebismonoethylurea, m. 168-70° (methylolethylurea was probably an intermediate product), which with HCl produced methylenebismonoethylurea, m. 204°, picrate, m. 115-6°. α,α-Dimethylurea yielded N-methylol-α,α-dimethylurea, m. 110°, which with more CH₂O and HCl formed methylenebis-α,α-dimethylurea, m. 183.5-4.0°, and another substance. With sym. dimethylurea, N-methylol-α,α-dimethylurea, m. 92-3°, was formed from which methylenebis-α,β-dimethylurea, m. 149-51°, was obtained with CH₂O and HCl. Triethylurea, from diethylcarbamyl chloride and EtNH₂, did not condense with CH₂O in acid or alkaline solution Urea, Et₂NH, and CH₂O, boiled in H₂O yielded α,β-tetraethyldiaminodimethylurea, oil, decompose on distillation in vacuo, dipicrate,

m. 145-7. With piperidine, α,β -diperidyl dimethylurea, m. 136°, was formed. Lactamide, CH₂O, and very dilute Ba(OH)₂ soluble, yielded methylol lactamide, m. 82-4°, dibenzoyl derivative, m. 124°, benzoyl lactamide, m. 115° (Schotten-Baumann reaction), i-valeryllactamide, b. (in vacuo) 157-8°. With tartramide similarly, N-dimethylol tartramide, m. 166°, was prepared, dipicrate of tetraethyldiaminodimethyl tartramide, m. 155°, by boiling with Et₂NH, dibenzoyl tartramide, becoming brown at 225°, m. 240°. With citramide similarly, N-trimethylol citramide, m. 149-61° (decompose) was formed; with mandelamide, N-methylol mandelamide, m. 73-81° (decompose), dibenzoyl derivative, m. 110-5°; with mandelamide, Et₂NH and CH₂O, mandeldiethylaminomethylamide, hydrochloride, m. 155°; with mandelamide, piperidine and CH₂O, mandelpiperidyl methylamide, m. 133-4°, hydrochloride, m. 188°. Pyrocatechindiacetamide, from pyrocatechin, chloracetamide, NaI, and Na, boiled in EtOH, with CH₂O and dilute K₂CO₃ solu., yielded N-methylolpyrocatechindiacetamide, m. 136-7°. In the same way, N-dimethylolresorcindiacetamide, m. 192° (decompose) was prepared. The following addition products were obtained with hexamethylenetetramine: -Hexamethylenetetraminechloracetamide, m. 160° (decompose); -bromacetamide, m. 170-1° (decompose); -iodacetamide, m. 166-7° (decompose); -methylolchloracetamide, m. 152° (decompose); -methylolbromacetamide, m. 155-8° (decompose); -methyloliiodacetamide, m. (decompose). p-Cyanquinoline (Ber., 17, 440), and concentrate H₂SO₄, cooled, neutralized with NH₄OH yielded p-quinolinecarbonamide, m. 174°, iodethylate, m. 229° (slight decompose). The amide, with CH₂O and some K₂CO₃ yielded N-methylol-p-quinolinecarbonamide, m. 179°, with piperidine in place of K₂CO₃, p-quinolinepiperidyl carbonmethylamide, m. 98°, monohydrochloride, m. 192°, was formed. Camphorcarboxylic acid amide, m. 116-7°, from the Et ester and MeOH-NH₃ in sealed tubes, with CH₂O and Ba(OH)₂ formed N-dimethylolcamphorcarboxylic acid amide, m. 152-3°, dibenzoyl derivative, m. 95°. Camphorcarboxylic acid and diethylaminoethanol in CHCl₃, saturated with HCl formed diethylaminocamphorcarboxylate, oil, hydrochloride, m. 153° (decompose). The acid with ethylenechlorhydrin and HCl formed chlorethylcamphorcarboxylate, b.p. 190-1°, which, heated in sealed tubes at 110-20° with Et₂NH, yielded camphorcarboxyldiethylamide, m. 60-1°, and with piperidine, the piperidide, m. 101°. β -Naphthol and methylolchloracetamide in EtOH, saturated with HCl, formed β -hydroxy- α -naphthochloracetylbenzylamine, m. 132°, which, boiled with aqueous alc. HCl, yielded β -hydroxy- α -naphthobenzylaminehydrochloride, m. 225° (decompose), free base, m. 112-3° (cf. Gazz. chim. ital. [1], 36, 388), and with MeOH-KOH and Me₂SO₄ yielded β -methoxy- α -naphthochloracetylbenzylamine, m. 170°, also prepared from methylolchloracetamide and β -naphthol Me ether in the presence of alc. HCl. The last compound boiled with alc. HCl yielded β -methoxy- α -naphthobenzylamine, m. about 100°, hydrochloride, m. 223° (decompose), hydrobromide, m. 242° (decompose), with HNO₂ forming a compound, C₁₂H₁₁O₃N, m. 112°, perhaps a nitroso derivative.

IT 859959-46-9, 6-Quinolinecarboxamide, N(1-piperidylmethyl)-, hydrochloride 860113-76-4, 6-Quinolinecarboxamide, N(1-piperidylmethyl)- (preparation of)

RN 859959-46-9 CAPLUS

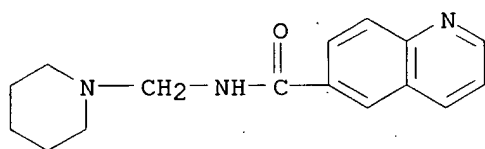
CN 6-Quinolinecarboxamide, N(1-piperidylmethyl)-, hydrochloride (1CI) (CA INDEX NAME)



● HCl

RN 860113-76-4 CAPLUS

CN 6-Quinolinecarboxamide, N-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



10/634,182

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1222.88

1433.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-180.31

-180.31

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